Supporting Information

A facile access to functionalized spiro[indoline-3,2'-pyrrole]-2,5'-diones *via* post-Ugi domino Buchwald-Hartwig/Michael reaction

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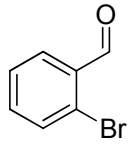
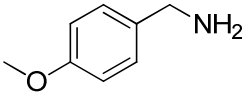
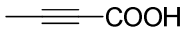
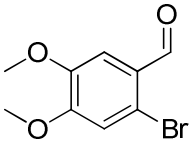
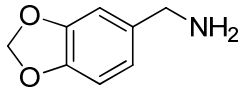
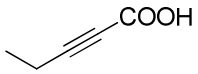
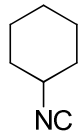
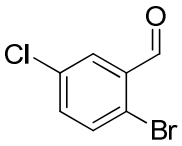
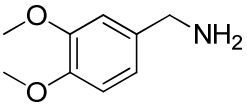
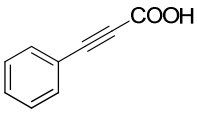
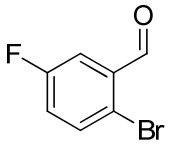
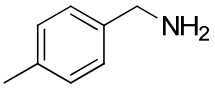
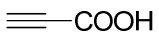
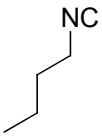
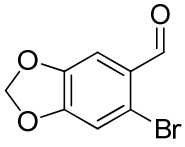
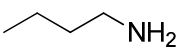
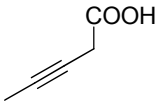
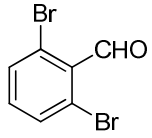
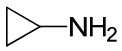
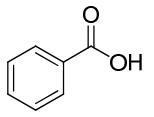
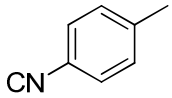
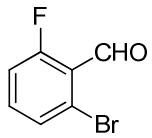
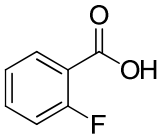
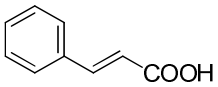
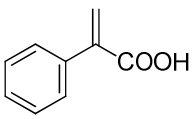
General Experimental Methods

NMR spectra were recorded on a 300 MHz instrument using $CDCl_3$ and DMSO-d_6 as solvent unless and otherwise stated. The ^{1}H and ^{13}C chemical shifts are reported in parts per million relative to tetramethylsilane as an internal standard. Resonance patterns are reported with the notations s (singlet), d (doublet), t (triplet), q (quartet) and m (multiplet). The notation bs is used to indicate a broad signal. Coupling constants (J) are reported in hertz (Hz). For the Mass spectrometry, ion source temperature was 150-250°C. High-resolution EI-mass spectra were performed with a resolution of 10,000. Thin layer chromatography was carried out using plates coated with 70-230 mesh silica gels. Commercially available reagents were used without additional purification, unless otherwise stated. Sealed tubes were dried in oven for overnight and cooled at room temperature prior to use.

General procedure for syntheses of Ugi products 1a-u and 1a′-e′

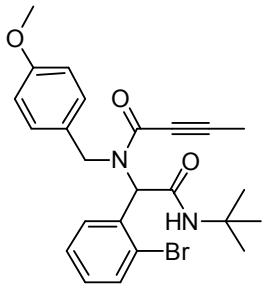
To a solution of aldehyde (**A1-A7**; 200 mg) in methanol (3 mL) were added successively Na_2SO_4 (0.3 g), amine (**B1-B6**; 1.2 equiv), acid (**C1-C9**; 1.2 equiv) and isonitrile (**D1-D4**; 1.2 equiv) in a screw capped vial equipped with a magnetic stir bar. The reaction mixture was stirred at room temperature for 24-48 h in closed vial. After completion of the reaction, the mixture was diluted with dichloromethane (100 mL) and extracted with water (50 mL). Organic layer was washed with brine (50 mL), dried over magnesium sulfate and evaporated under reduced pressure to obtained residue which was subjected to silica gel column chromatography (10-30 % EtOAc in heptane) to afford the desired product **1a-u** and **1a′-1e′** as solid. Ugi products appear as mixture of two rotamers in the ratio of ~7:3, so ^{1}H and ^{13}C NMR spectra are not very characteristic.

Table S1: Starting materials for Ugi reaction

Aldehyde	Amine	2-alkynoic acid	Isonitrile
 **A1**	 **B1**	 **C1**	 **D1**
 **A2**	 **B2**	 **C2**	 **D2**
 **A3**	 **B3**	 **C3**	
 **A4**	 **B4**	 **C4**	 **D3**
 **A5**	 **B5**	 **C5**	
 **A6**	 **B6**	 **C6**	 **D4**
 **A7**		 **C7**	
		 **C8**	
		 **C9**	

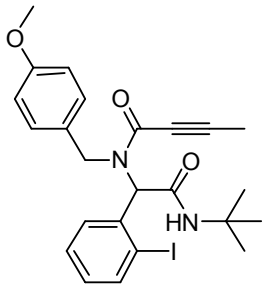
Characterization data for starting materials (1a-v and 1a′-1e′)

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1a)**



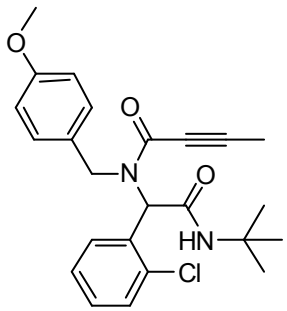
White solid, Yield 84%, Melting point: 142-144°C.^{1}H NMR (300 MHz, $CDCl_3$) δ 7.57-7.52 (m, 1H), 7.39-7.19 (m, 2H), 7.09-6.93 (m, 3H), 6.74-6.64 (m, 2H), 6.18 (s, 0.35H), 5.92 (s, 0.65H), 5.45 (bs, 1H), 4.95 (d, J = 16.38 Hz, 0.70H), 7.73 (d, J = 14.70Hz, 0.31H), 4.57 (d, J = 16.17 Hz, 0.66H), 3.84 (d, J = 14.85 Hz, 0.35H), 3.76-3.74 (m, 3H), 2.03 (s, 1H), 1.99 (s, 2H), 1.28 (s, 6H), 1.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.0, 167.6, 159.1, 158.7, 156.8, 155.8, 134.2(2), 133.0, 131.2, 121.1, 130.4, 130.1, 129.9, 129.0, 128.6, 127.7, 127.5, 127.0, 126.6, 114.0, 113.5, 91.8, 90.3, 73.8, 67.7, 62.2, 55.3, 51.7, 51.6, 51.1, 28.5, 4.2. HRMS for $C_{24}H_{27}BrN_2O_3$ calculated 470.1205, found 470.1195.

***N*-(2-(*tert*-butylamino)-1-(2-iodophenyl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1b)**



White solid, Yield 70%, Melting point: 71-72°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85-7.83 (m, 0.39H), 7.63-7.60 (m, 0.62H), 7.53-7.29 (m, 2H), 7.08-6.87 (m, 3H), 6.75-6.64 (m, 2H), 6.02 (s, 0.40H), 5.75 (s, 0.61H), 5.46 (bs, 0.36H), 5.37 (bs, 0.64H), 4.91 (d, J = 16.02 Hz, 0.66H), 4.76 (d, J = 14.88 Hz, 0.34H), 4.55 (d, J = 16.38 Hz, 0.64H), 3.76-3.75 (m, 3H), 3.73 (d, J = 14.88 Hz, 0.36H), 2.03-2.00 (m, 3H), 1.28 (s, 6H), 1.16 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.1, 167.7, 159.1, 158.7, 155.9, 140.3, 139.9, 137.4, 130.9, 130.6, 130.4, 130.1, 129.9, 128.9(2), 128.5, 128.3, 114.0, 113.5, 103.4, 91.9, 90.3, 73.8, 73.4, 71.9, 66.9, 55.3(2), 51.7, 51.5, 51.0, 45.1, 28.5, 4.2. HRMS for $C_{24}H_{27}IN_2O_3$ calculated 518.1066, found 518.1064.

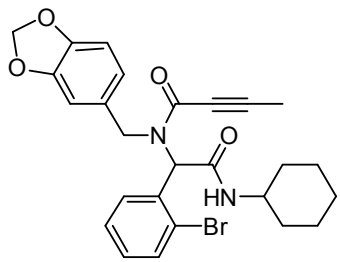
***N*-(2-(tert-butylamino)-1-(2-chlorophenyl)-2-oxoethyl)-N-(4-methoxybenzyl)but-2-ynamide (1c)**



White solid, Yield 83%, Melting point: 156-157°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.57-7.54 (m, 0.66H), 7.35-7.30 (m, 1.35H), 7.24-7.11 (m, 2H), 7.01-6.91 (m, 2H), 6.73-6.64 (m, 2H), 6.24 (s, 0.34H), 5.97 (s, 0.66H), 5.47 (bs, 1H), 4.99 (d, J = 16.20 Hz, 0.70H), 4.70 (d, J = 14.88 Hz, 0.31H), 4.57 (d, J = 16.38 Hz, 0.68H), 3.91 (d, J = 14.88 Hz,

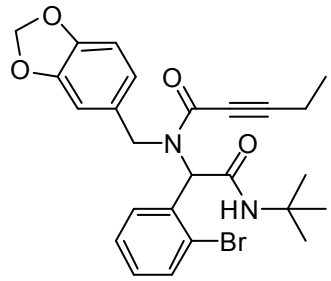
0.32H), 3.75-3.74 (m, 3H), 2.03 (s, 1.02H), 1.98 (m, 1.99H), 1.28 (s, 6.03H), 1.18 (m, 2.98H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.9, 167.7, 159.0, 158.6, 156.7, 155.8, 136.3, 135.8, 132.5, 130.9, 130.8, 130.2, 130.1, 129.9(2), 129.5, 129.0, 128.5, 127.0, 126.9, 114.0, 113.5, 91.7, 90.3, 73.8, 73.1, 65.3, 59.5, 55.3(2), 51.7, 51.6, 51.1, 45.2, 28.5, 4.2. HRMS for $C_{24}H_{27}ClN_2O_3$ calculated 426.1710, found 426.1727.

***N*-(benzo[*d*][1,3]dioxol-5-ylmethyl)-*N*-(1-(2-bromophenyl)-2-(cyclohexylamino)-2-oxoethyl)but-2-ynamide (1d)**



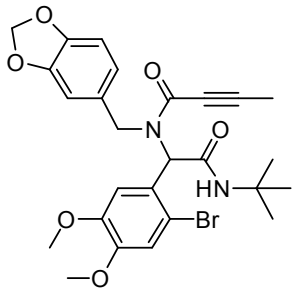
White solid, Yield 95%, Melting point: 208-210^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56-7.52 (m, 1H), 7.41-7.35 (m, 1H), 7.29-7.07 (m, 2H), 6.68-6.55 (m, 2H), 6.46-6.42 (m, 1H), 6.29 (s, 0.41H), 5.94 (s, 0.59H), 5.92-5.88 (m, 2H), 5.59 (d, J = 8.49 Hz, 0.42H), 5.51 (d, J = 8.46 Hz, 0.59H), 4.86 (d, J = 16.20 Hz, 0.64H), 4.77 (d, J = 14.85 Hz, 0.36H), 4.59 (d, J = 16.20 Hz, 0.65H), 3.79 (d, J = 14.88 Hz, 0.36H), 3.79-3.70 (m, 1H), 2.04-2.00 (m, 3H), 1.85-1.64 (m, 5H), 1.34-0.88 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.8, 167.3, 156.8, 155.7, 147.7, 147.3, 147.0, 146.6, 134.0, 133.9, 133.4, 133.0, 131.5, 131.2, 130.6, 130.5, 130.2, 127.7, 127.5, 126.9, 126.5, 122.1, 121.0, 109.2, 108.2, 108.0, 107.8, 101.0(2), 92.0, 90.5, 73.6, 73.0, 67.3, 61.9, 51.4, 48.6, 48.4, 45.5, 32.7, 32.6, 32.5, 25.5, 24.8, 24.7, 4.2(2). HRMS for $C_{26}H_{27}BrN_2O_4$ calculated 510.1154, found 510.1140.

***N*-(benzo[*d*][1,3]dioxol-5-ylmethyl)-*N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)pent-2-ynamide (1e)**



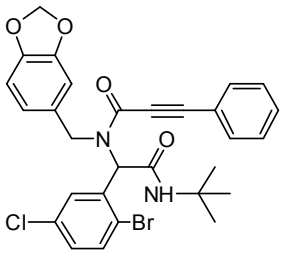
White solid, Yield 88%, Melting point: 78-79^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.58-7.52 (m, 1H), 7.39-7.28 (m, 2H), 7.20-7.05 (m, 1H), 6.69-6.51 (m, 2H), 6.42-6.38 (m, 1H), 6.20 (s, 0.36H), 5.94 (m, 0.65H), 5.90-5.86 (m, 2H), 5.53-5.47 (m, 1H), 4.97 (d, J = 16.20 Hz, 0.66H), 4.67 (d, J = 14.88 Hz, 0.35H), 4.53 (d, J = 16.20 Hz, 0.65H), 3.88 (d, J = 14.88 Hz, 0.36H), 2.41-2.31 (m, 2H), 1.30-1.13 (m, 12H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.9, 167.8, 156.9(2), 147.2, 146.5, 134.2(2), 133.4, 132.9, 131.5, 131.1(2), 130.8, 130.4, 127.7, 127.5, 127.1, 126.7, 122.1, 120.8, 109.3, 108.1, 107.9, 107.7, 101.0, 100.9, 97.0, 95.5, 73.8, 73.2, 67.6, 61.6, 51.8, 51.7, 51.4, 45.6, 28.5, 12.7. HRMS for $C_{25}H_{27}BrN_2O_4$ calculated 498.1154, found 498.1139.

***N*-(benzo[*d*][1,3]dioxol-5-ylmethyl)-*N*-(1-(2-bromo-4,5-dimethoxyphenyl)-2-(*tert*-butylamino)-2-oxoethyl)but-2-ynamide (1f)**



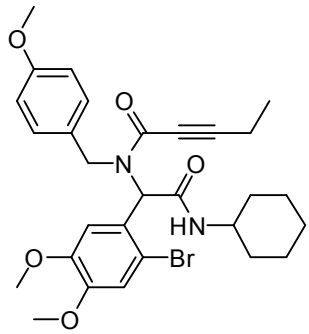
White solid, Yield 82%, Melting point: 153-155°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.12 (s, 0.65H), 6.98 (s, 0.35H), 6.79-6.56 (m, 3H), 6.43-6.39 (m, 1H), 6.05 (s, 0.33H), 5.91-5.87 (m, 2H), 5.82 (s, 0.67H), 5.56-5.54 (m, 1H), 4.87 (d, J = 16.2 Hz, 0.68H), 7.73 (d, J = 14.7 Hz, 0.33H), 4.57 (d, J = 16.2 Hz, 0.68H), 3.88 (s, 0.93H), 3.86 (d, J = 14.88 Hz, 0.33H), 3.81 (s, 5.08H), 2.04-1.99 (m, 3H), 1.31 (s, 6.32H), 1.23 (s, 2.69H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.3, 168.0, 156.7, 155.8, 149.9, 149.7, 148.4, 148.3, 147.8, 147.3, 147.0, 146.5, 131.8, 130.9, 125.7, 125.6, 122.1, 120.7, 117.6, 117.2, 115.7, 115.3, 113.5(2), 109.3, 108.0, 107.9, 107.6, 101.0(2), 92.0, 90.4, 73.7, 67.4, 61.8, 56.3, 56.2, 56.0, 55.9, 51.7, 51.6, 51.3, 45.6, 28.5, 4.2. HRMS for $C_{26}H_{29}BrN_2O_6$ calculated 544.1209, found 544.1214.

***N*-(benzo[*d*][1,3]dioxol-5-ylmethyl)-*N*-(1-(2-bromo-5-chlorophenyl)-2-(tert-butylamino)-2-oxoethyl)-3-phenylpropiolamide (1g)**



White solid, Yield 87%, Melting point: 199°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.62-7.18 (m, 7H), 7.22-7.05 (m, 1H), 6.76-6.68 (m, 1H), 6.66-6.56 (m, 1H), 6.50-6.41 (m, 1H), 6.22 (s, 0.30H), 5.96 (s, 0.70H), 5.92-5.87 (m, 2H), 5.58-5.55 (m, 1H), 5.10 (d, J = 16.20 Hz, 0.71H), 4.74-4.65 (m, 1H), 4.10 10 (d, J = 14.88 Hz, 0.30H), 1.33-1.22 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.2, 167.1, 156.6, 155.7, 147.9, 147.4, 146.7, 135.8(2), 134.2, 133.8, 133.7, 132.8, 132.6, 131.2(2), 131.1, 130.6, 130.5, 130.4(2), 130.1, 128.6, 128.5, 124.7, 124.3, 122.0, 120.9, 120.1, 119.8, 109.2, 108.2, 108.9, 107.8, 101.1, 101.0, 93.0, 91.6, 81.7, 81.1, 67.2, 61.5, 52.0, 28.5. HRMS for $C_{29}H_{26}BrClN_2O_4$ calculated 580.0764, found 580.0748.

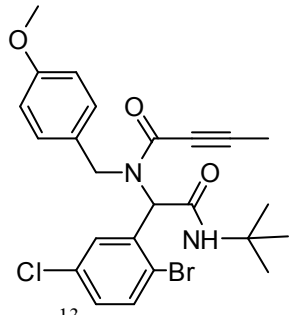
***N*-(1-(2-bromo-4,5-dimethoxyphenyl)-2-(cyclohexylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)pent-2-ynamide (1h)**



White solid, Yield 51%, Melting point: 206-208°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.26 (s, 1H), 7.15-6.70 (m, 5H), 6.20 (s, 0.33H), 5.82 (s, 0.67H), 5.60 (m, 1H), 4.85-4.61 (m, 1.66H), 3.87-3.75 (m, 10.33H), 2.43-2.31 (m, 2H), 1.85-1.60 (m, 5H), 1.26-0.86 (m, 8H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.0, 167.6, 159.2, 158.8, 155.8,

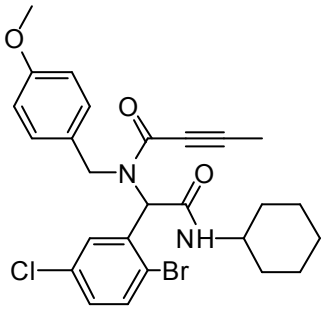
149.6, 148.3, 130.2, 130.1, 130.0, 128.7, 125.7, 117.5, 117.0, 115.3, 114.0, 113.5, 95.4, 73.8, 67.2, 61.7, 56.1(2), 55.3(2), 48.5, 48.3, 32.7, 25.5, 24.7, 12.8, 12.7. HRMS for $C_{29}H_{35}BrN_2O_5$ calculated 570.1729, found 570.1739.

***N*-(1-(2-bromo-5-chlorophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1i)**



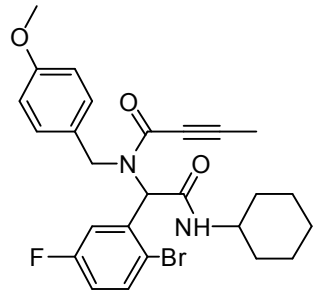
White solid, Yield 66%, Melting point: 166-167°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.57-7.41 (m, 1H), 7.21-7.16 (m, 1H), 7.03-6.96 (m, 3H), 6.72-6.67 (m, 2H), 6.10 (s, 0.32H), 5.87 (s, 0.68H), 5.51-5.48 (m, 1H), 4.99 (d, J = 16.20 Hz, 0.71H), 4.71-4.59 (m, 1H), 4.01 (d, J = 14.88 Hz, 0.32H), 3.76-3.75 (m, 3H), 2.03-2.00 (m, 3H), 1.29-1.19 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.2, 167.1, 159.1, 158.7, 156.6, 155.8, 136.0, 135.9, 134.3, 133.8, 133.7, 133.6, 131.3, 130.3, 130.0(2), 129.6, 128.7(2), 124.6, 124.2, 114.1, 113.6, 92.1, 90.7, 73.6, 73.1, 67.1, 61.5, 55.3(2), 51.9, 51.8, 51.2, 45.5, 28.5, 28.3, 4.2. HRMS for $C_{24}H_{26}BrClN_2O_3$ calculated 504.0815, found 504.0822.

***N*-(1-(2-bromo-5-chlorophenyl)-2-(cyclohexylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1j)**



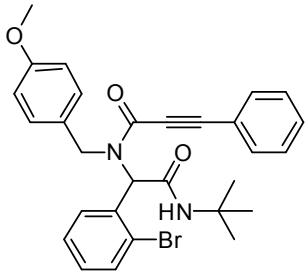
White solid, Yield 75%, Melting point: 174-176°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.56-7.42 (m, 1H), 7.23-7.00 (m, 4H), 6.77-6.70 (m, 2H), 6.23 (s, 0.36H), 5.90 (s, 0.65H), 5.55-5.53 (m, 1H), 4.90 (d, J = 16.20 Hz, 0.67H), 4.78 (d, J = 14.85 Hz, 0.34H), 4.68 (d, J = 16.17 Hz, 0.66H), 3.89 (d, J = 15.06 Hz, 0.35H), 3.77-7.76 (m, 3H), 3.70-3.64 (m, 1H), 2.03-2.01 (m, 3H), 1.81-1.61 (m, 5H), 1.33-0.99 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.0, 166.7, 159.2, 158.8, 156.7, 155.7, 135.8, 135.8, 134.3, 133.8, 133.7, 133.6, 131.3(2), 130.4, 130.1, 129.9, 129.6, 128.8, 128.6, 124.5, 124.1, 114.1, 113.7, 92.2, 90.8, 73.5, 73.0, 66.9, 61.4, 55.3(2), 51.2, 48.7, 48.5, 45.3, 32.6, 32.5(2), 25.5, 25.4, 24.7(2), 4.2(2). HRMS for $C_{26}H_{28}BrClN_2O_3$ calculated 530.0972, found 530.0976.

***N*-(1-(2-bromo-5-fluorophenyl)-2-(cyclohexylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1k)**



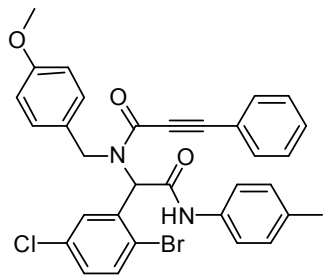
White solid, Yield 68%, Melting point: 190-191°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.51-7.27 (m, 2H), 7.05-6.70 (m, 5H), 6.23 (s, 0.33H), 5.86 (s, 0.67H), 5.58-5.52 (m, 1H), 4.90 (d, J = 15.99 Hz, 0.66H), 4.81 (d, J = 14.88 Hz, 0.34H), 4.68 (d, J = 15.99 Hz, 0.67H), 3.85 (d, J = 14.88 Hz, 0.35H), 3.77-3.76 (m, 3H), 3.71-3.64 (m, 1H), 2.03-2.01 (m, 3H), 1.81-1.65 (m, 5H), 1.38-0.92 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.1, 166.7, 163.4, 160.1, 159.2, 158.9, 156.7, 155.7, 136.1(2), 136.0, 134.6, 134.5, 134.0, 133.9, 129.9(2), 129.6, 128.8, 120.8(2), 128.6, 120.8(2), 120.3, 118.7, 118.4, 117.7, 117.4(2), 117.1, 114.2, 113.7, 92.2, 90.7, 73.5, 73.0, 67.0, 61.6, 55.3, 55.1, 51.3, 48.7, 48.5, 45.3, 32.6, 32.5, 32.4, 25.5, 25.4, 24.7(2), 4.2. HRMS for $C_{26}H_{28}BrFN_2O_3$ calculated 514.1267, found 514.1288.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)-3-phenylpropiolamide (1l)**



White solid, Yield 79%, Melting point: 183-184°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.61-7.54 (m, 2H), 7.47-7.29 (m, 6H), 7.24-6.99 (m, 3H), 6.77-6.64 (m, 2H), 6.30 (s, 0.34H), 6.00 (m, 0.66H), 5.52-5.46 (bs, 1H), 5.06 (d, J = 16.20 Hz, 0.60H), 4.82 (d, J = 14.70 Hz, 0.41H), 4.66 (d, J = 16.38 Hz, 0.63H), 3.92 (d, J = 14.67 Hz, 0.38H), 3.76-3.73 (m, 3H), 1.30 (s, 5.47H), 1.15 (s, 3.53H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.0, 167.6, 158.7, 155.8, 134.1, 133.0, 132.9, 131.1, 130.5, 130.2(2), 129.8, 128.9, 128.6(2), 128.5, 127.6, 126.7, 114.1, 113.6, 91.1, 82.1, 81.4, 67.9, 62.3, 55.3(2), 51.8, 51.7, 51.2, 28.5, 28.3. HRMS for $C_{29}H_{29}BrN_2O_3$ calculated 532.1362, found 532.1360.

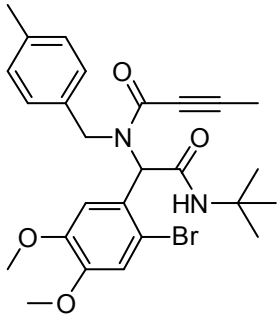
***N*-(1-(2-bromo-5-chlorophenyl)-2-oxo-2-(*p*-tolylamino)ethyl)-*N*-(4-methoxybenzyl)-3-phenylpropiolamide (1m)**



Off-white solid, Yield 72%, Melting point: 178-180°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.71-7.27 (m, 9H), 7.24-7.07 (m, 6H), 6.80-6.69 (m, 2H), 6.51 (s, 0.29H), 6.24 (s, 0.71H), 5.10 (d, J = 15.81 Hz, 0.74H), 4.91-4.79 (m, 1H), 4.18 (d, J = 14.88 Hz, 0.25H), 3.77-3.74 (m, 3H), 2.30-2.29 (m, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 166.0,

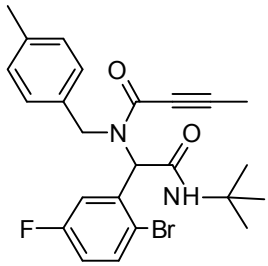
158.8, 156.0, 135.2, 134.8, 134.0, 132.8, 132.6, 131.1, 130.4, 130.3, 130.1, 129.4, 129.3, 128.7, 128.5, 128.3, 124.2, 120.2, 120.1, 119.9, 114.3, 113.7, 92.1, 81.7, 67.6, 61.7, 55.3, 51.3, 20.9. HRMS for $C_{32}H_{26}BrClN_2O_3$ calculated 600.0815, found 600.0838.

***N*-(1-(2-bromo-4,5-dimethoxyphenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methylbenzyl)but-2-ynamide (1n)**



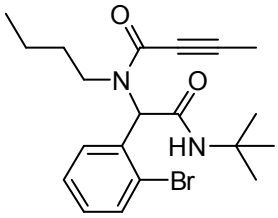
White solid, Yield 71%, Melting point: 160-161°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.07-6.95 (m, 5H), 6.73 (m, 1H), 6.07 (s, 0.33H), 5.85 (s, 0.67H), 5.54-5.48 (m, 1H), 4.91-4.82 (m, 1H), 4.65 (d, J = 16.38 Hz, 0.70H), 3.88 (s, 0.73H), 3.87 (d, J = 16.77 Hz, 0.30H), 3.80-3.78 (m, 5.28H), 2.28-2.26 (m, 3H), 2.04-1.97 (m, 3H), 1.29 (s, 6.08H), 1.16 (s, 2.93H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.3, 168.0, 156.7, 155.9, 149.8, 149.6, 148.3, 148.2, 137.4, 136.6, 135.0, 134.0, 129.3, 128.8, 128.6, 127.2, 125.7, 117.5, 117.2, 115.6, 115.2, 113.6, 113.5, 91.9, 90.2, 73.7, 73.3, 67.5, 61.8, 56.1, 55.9, 51.7, 28.5, 21.0, 4.1. HRMS for $C_{26}H_{31}BrN_2O_4$ calculated 514.1467, found 514.1462.

***N*-(1-(2-bromo-5-fluorophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methylbenzyl)but-2-ynamide (1o)**



White solid, Yield 55%, Melting point: 133-134°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.51-7.33 (m, 1H), 7.21-7.20 (m, 1H), 7.06-6.90 (m, 4H), 6.91-6.76 (m, 1H), 6.12 (s, 0.31H), 5.85 (s, 0.69H), 5.52-5.47 (m, 1H), 4.97 (d, J = 16.17 Hz, 0.70H), 4.80 (d, J = 15.06 Hz, 0.31H), 4.65 (d, J = 16.38 Hz, 0.70H), 3.87 (d, J = 15.06 Hz, 0.30H), 2.29-2.26 (m, 3H), 2.03-1.99 (m, 3H), 1.26-1.14 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.2, 167.0, 163.4, 160.1, 156.7, 155.9, 137.6, 136.9, 136.2, 136.1, 134.5(2), 134.0, 133.9, 133.5, 129.5, 128.9, 128.6, 127.3, 120.9, 120.5, 120.4, 118.7, 118.4, 117.7, 117.4, 117.3, 117.0, 92.2, 90.7, 73.6, 73.1, 61.8, 51.8, 51.6, 28.4, 28.1, 21.0, 4.2. HRMS for $C_{24}H_{26}BrFN_2O_2$ calculated 472.1162, found 472.1172.

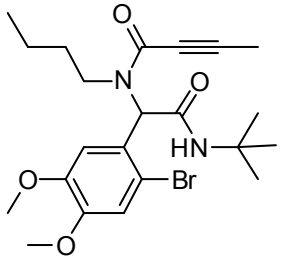
***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-butylbut-2-ynamide (1p)**



White solid, Yield 43%, Melting point: 143-145°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.67-7.60 (m, 2H), 7.37-7.32 (m, 1.38H), 7.24-7.21 (m, 0.72H), 6.16 (s, 0.30H), 5.94 (s, 0.70H), 5.61-5.59 (m, 1H), 3.51-3.44 (m, 2H), 2.02-2.01 (m, 3H), 1.40 (s, 2.16H), 1.34 (m, 6.85H), 1.12-1.05 (m, 4H),

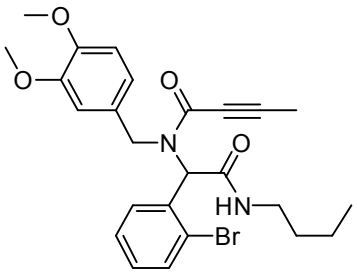
0.75-0.64 (m, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.9, 155.5, 134.5, 133.3(2), 131.1, 130.2, 127.7, 126.4, 89.2, 73.7, 61.8, 51.8, 47.7, 31.5, 28.6, 28.5, 19.9, 13.4, 4.0. HRMS for $C_{20}H_{27}BrN_2O_2$ calculated 406.1256, found 306.0430 (M-100).

***N*-(1-(2-bromo-4,5-dimethoxyphenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-butylbut-2-ynamide (1q)**



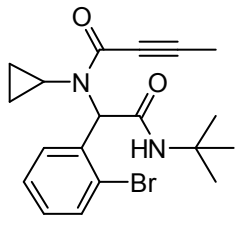
Yellow solid, Yield 54%, Melting point: 171-173^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.28 (s, 0.79H), 7.07 (s, 0.28H), 7.06 (s, 0.72H), 6.89 (s, 0.21H), 6.04 (s, 0.21H), 5.83 (s, 0.79H), 5.69 (bs, 0.79H), 5.54 (bs, 0.20H), 3.90-3.84 (m, 6H), 3.47 (t, J = 15.81 Hz, 2H), 2.02-2.01 (m, 3H), 1.40-1.34 (m, 9H), 1.67-0.95 (m, 4H), 0.78-0.67 (m, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.4, 155.5, 149.8, 126.1, 116.8, 115.5, 113.3, 89.3, 73.6, 61.4, 56.2, 51.7, 47.6, 31.5, 28.6, 28.5, 20.0, 13.5, 4.0. HRMS for $C_{22}H_{31}BrN_2O_4$ calculated 466.1467, found 366.0690 (M-100).

***N*-(1-(2-bromophenyl)-2-(butylamino)-2-oxoethyl)-*N*-(3,4-dimethoxybenzyl)but-2-ynamide (1r)**



White solid, Yield 85%, Melting point: 64-65^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.59-4.49 (m, 1H), 7.36-7.04 (m, 3H), 6.70-6.47 (m, 3H), 6.34 (s, 0.34H), 6.00 (s, 0.66H), 5.66-5.56 (m, 1H), 4.97 (d, J = 16.20 Hz, 0.62H), 4.68 (d, J = 14.88 Hz, 0.41H), 4.61 (d, J = 16.20 Hz, 0.59H), 4.04 (d, J = 15.06 Hz, 0.41H), 3.82-3.79 (m, 6H), 3.25-3.16 (m, 2H), 2.04-1.99 (m, 3H), 1.40-1.24 (m, 4H), 0.90-0.85 (m, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.8, 168.3, 156.8, 155.8, 148.7, 148.4, 148.2, 147.9, 134.1, 133.9, 133.4, 131.2, 131.1, 130.5, 130.2, 130.1, 129.4, 127.5, 127.0, 126.6, 120.7, 119.7, 111.5, 110.7(5), 110.4, 91.9, 90.3, 73.7, 73.1, 61.7, 55.9, 55.7, 51.4, 46.0, 39.5, 31.3, 20.0, 13.7, 4.1. HRMS for $C_{25}H_{29}BrN_2O_4$ calculated 500.1311, found 500.1300.

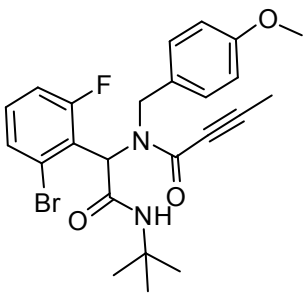
***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-cyclopropylbut-2-ynamide (1s)**



White solid, Yield 50%, Melting point: 157-159^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.62-7.56 (m, 2H), 7.37-7.30 (m, 1H), 7.24-7.19 (m, 1H), 5.77 (s, 1H), 5.56 (bs, 1H), 2.43-2.36 (m, 1H), 2.03 (s, 3H), 1.34 (s, 9H), 1.10-1.06 (m, 1H), 0.88-0.75 (m, 2H), 0.43-0.38 (m, 1H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.9, 157.9, 134.6, 133.0, 131.8, 129.9, 127.4, 125.9, 91.0, 74.4, 65.2,

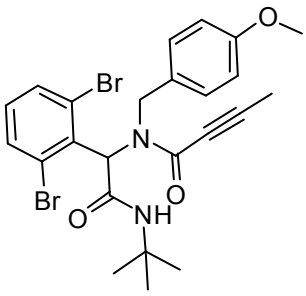
51.7, 29.8, 28.5, 10.7, 8.8, 4.2. HRMS for $C_{19}H_{23}BrN_2O_2$ calculated 390.0943, found 390.0948.

***N*-(1-(2-bromo-6-fluorophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1t)**



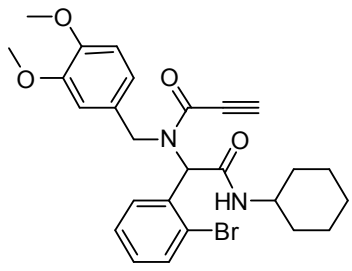
White solid, Yield 92%, Melting point: 69-71°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.31-7.06 (m, 3H), 6.99-6.96 (m, 1H), 6.90-6.84 (m, 1H), 6.71-6.61 (m, 2H), 6.43-6.34 (m, 1H), 5.55-5.20 (m, 1H), 5.09-4.15 (m, 2H), 3.74-3.72 (m, 3H), 2.06-1.97 (m, 3H), 1.28-1.21(m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.3, 166.3, 158.9, 158.5, 156.8, 155.9, 131.4, 131.3, 131.2, 131.1, 129.6, 129.5, 129.0, 128.1, 127.6, 123.2, 123.0, 122.8, 122.5, 115.8, 115.5, 115.1, 113.7, 113.4, 91.8, 90.6, 73.4, 72.9, 64.6, 58.6, 55.3, 51.7, 51.5, 50.2, 45.3, 28.5, 28.2, 4.2. HRMS for $C_{24}H_{26}BrFN_2O_3$ calculated 488.1111, found 488.1110.

***N*-(2-(tert-butylamino)-1-(2,6-dibromophenyl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (1u)**



White solid, Yield 66%, Melting point: 129-131°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.4-7.40 (m, 1H), 7.32-7.29 (m, 1H), 7.01-6.95 (m, 2H), 6.90-6.86 (m, 1H), 6.64-6.57 (m, 3H), 5.76-5.29 (m, 1H), 5.24-3.75 (m, 2H), 3.71-3.70 (m, 3H), 2.05-1.96 (m, 3H), 1.36-1.37 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.0, 165.6, 158.5, 157.2, 155.9, 133.5, 133.4, 133.1, 132.9, 130.7, 129.4, 129.3, 128.7, 127.2, 113.2, 91.4, 90.6, 73.4, 73.1, 62.7, 55.3, 55.2, 52.0, 51.9, 49.8, 45.5, 28.6, 28.4, 4.2. HRMS for $C_{24}H_{26}Br_2N_2O_3$ calculated 548.0310, found 548.0333.

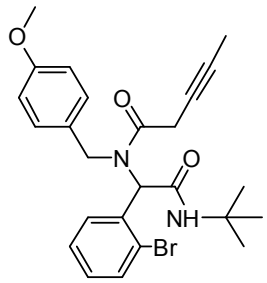
***N*-(1-(2-bromophenyl)-2-(cyclohexylamino)-2-oxoethyl)-*N*-(3,4-dimethoxybenzyl)propiolamide (1v)**



White solid, Yield 97%, Melting point: 160-161°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.54-7.52 (m, 1H), 7.36-7.22 (m, 2H), 7.20-7.05 (m, 1H), 6.69-6.47 (m, 3H), 6.29 (s, 0.36H), 5.99 (s, 0.64H), 5.50-5.40 (m, 1H), 4.98 (d, J = 16.38 Hz, 0.65H), 4.75 (d, J = 15.06 Hz, 0.36H), 4.65 (d, J = 16.38 Hz, 0.63H), 3.987 (d, J = 15.06 Hz, 0.38H), 3.83-3.70 (m, 7H), 3.28-3.17 (m, 1H), 1.87-1.66 (m, 5H), 1.33-0.97 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 167.4, 167.1, 155.6, 154.5, 148.9, 148.5, 148.4, 133.8, 133.6, 133.0,

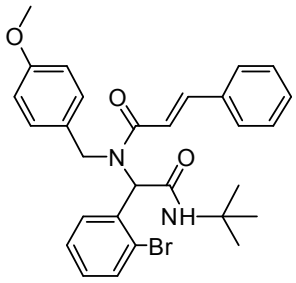
131.2, 131.1, 130.7, 130.3, 129.8, 128.8, 127.6(2), 127.0, 126.6, 120.9, 119.7, 111.6, 111.0, 110.8, 110.4, 81.1, 79.8, 77.1, 76.0, 75.4, 67.0, 61.8, 55.9, 55.7(2), 51.4, 48.7, 48.5, 46.0, 32.6(2), 32.5, 25.4(2), 24.8, 24.7. HRMS for $C_{26}H_{29}BrN_2O_4$ calculated 512.1311, found 512.1315.

***N*-(1-(2-bromophenyl)-2-*(tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)pent-3-ynamide (1a′)**



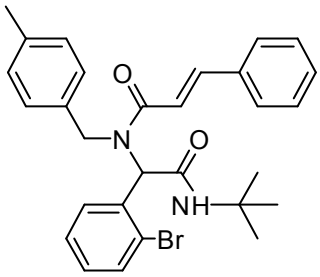
Off-white solid, Yield 53%, Melting point: 151-153°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.59-6.69 (m, 8H), 6.21-6.06 (m, 1H), 5.65-5.52 (m, 1H), 4.72-4.36 (m, 2H), 3.74 (s, 3H), 3.39-3.18 (m, 2H), 1.86-1.81 (m, 3H), 1.38-1.32 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 169.7, 168.5, 159.0, 152.6, 134.7, 133.3, 131.0, 130.2, 128.8, 127.8, 126.5, 113.9, 71.4, 63.2, 55.3, 51.8, 49.9, 28.6, 27.1, 3.8. HRMS for $C_{25}H_{29}BrN_2O_3$ calculated 484.1362, found 484.1400.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)cinnamamide (1b′)**



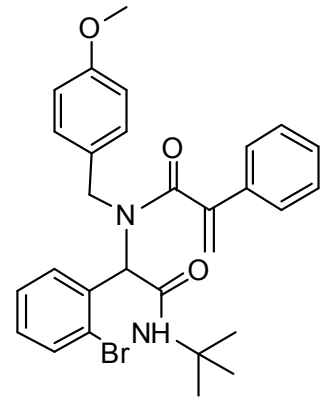
Off-white solid, Yield 69%, Melting point: 159-161°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85-6.68 (m, 15H), 6.26-5.39 (m, 2H), 4.87-4.58 (m, 2H), 3.79-7.34 (m, 3H), 1.34-1.09 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 169.5, 168.6, 167.9, 158.5, 153.1, 144.0, 135.1, 134.8, 133.1, 130.9, 128.7, 128.0, 127.6, 126.6, 117.9, 113.7, 62.5, 55.3, 51.7, 49.1, 28.7, 28.6. HRMS for $C_{29}H_{31}BrN_2O_3$ calculated 534.1518, found 534.1517.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methylbenzyl)cinnamamide (1c′)**



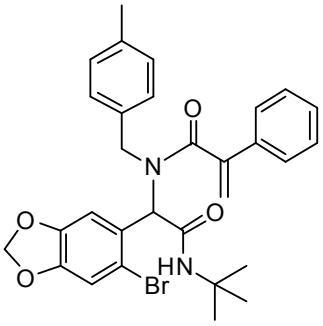
White solid, Yield 81%, Melting point: 164-165°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (s, 0.50H), 7.80 (s, 0.5H), 7.62-6.77 (m, 14H), 6.29 (s, 0.72H), 5.66-5.58 (m, 1H), 5.34 (s, 0.29H), 4.89-4.61 (m, 2H), 2.33-2.25 (m, 3H), 1.34-1.06 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.6, 168.0, 144.0, 136.5, 135.2, 134.9, 134.5, 133.1, 131.0, 130.0, 129.7, 128.9, 128.7, 128.0, 127.5, 126.2, 118.0, 62.5, 51.7, 49.5, 28.6, 21.0. HRMS for $C_{29}H_{31}BrN_2O_2$ calculated 518.1569, found 518.1556.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)-2-phenylacrylamide (1d′)**



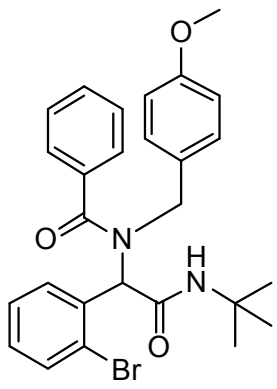
White solid, Yield 86%, Melting point: 133-135^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.60-6.57 (m, 14H), 5.80-5.53 (m, 3H), 5.06-4.39 (m, 2H), 3.72-3.70 (m, 3H), 1.32-1.09 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$ δ 172.2, 167.8, 158.6, 144.9, 135.5, 134.6, 132.9, 131.2, 130.0, 128.8, 128.4, 127.6, 126.2, 126.0, 114.9, 113.5, 63.6, 55.2, 51.9, 51.6, 28.5. HRMS for $C_{29}H_{31}BrN_2O_3$ calculated 534.1518, found 534.1504.

***N*-(1-(6-bromobenzo[*d*][1,3]dioxol-5-yl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methylbenzyl)-2-phenylacrylamide (1e′)**



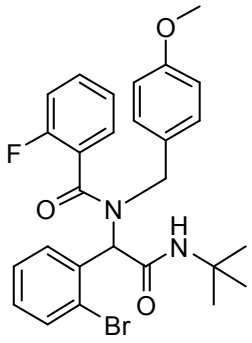
White solid, Yield 71%, Melting point: 182-184^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.54-7.34 (m, 6H), 7.14-6.83 (m, 6H), 5.96-5.50 (m, 5H), 4.56-4.38 (m, 2H), 2.23 (s, 3H), 1.33-1.26 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.3, 167.8, 148.4, 147.5, 144.8, 136.7, 135.5, 133.5, 129.3, 128.7, 127.9, 127.4, 127.3, 126.5, 125.9, 117.3, 114.9, 112.5, 110.9, 102.0, 63.2, 52.0, 51.6, 51.4, 28.5, 28.2, 21.0. HRMS for $C_{30}H_{31}BrN_2O_4$ calculated 562.1467, found 562.1452.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl)benzamide**



Off-white solid, Yield 79%, Melting point: 131-132^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.55-7.28 (m, 8H), 7.14-7.09 (m, 1H), 6.97-6.94 (m, 2H), 6.69-6.66 (m, 2H), 5.74-5.36 (m, 2H), 4.67-4.42 (m, 2H), 3.74 (s, 3H), 1.29 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.2, 170.1, 158.6, 136.4, 136.3(2), 134.7, 133.2, 131.2, 130.1, 129.8, 128.4, 127.6, 126.9, 113.6, 55.2, 51.6, 28.4. HRMS for $C_{27}H_{29}BrN_2O_3$ calculated 508.1362, found 508.1392.

***N*-(1-(2-bromophenyl)-2-(*tert*-butylamino)-2-oxoethyl)-2-fluoro-*N*-(4-methoxybenzyl)benzamide**

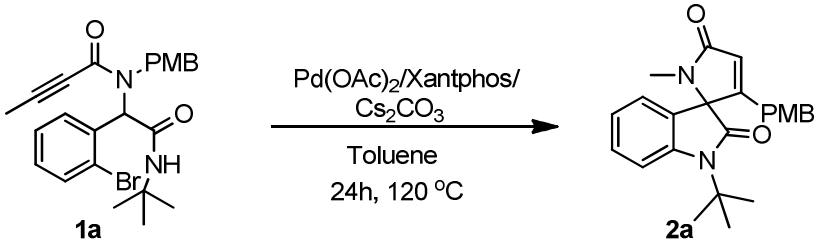


Off-white solid, Yield 75%, Melting point: 104-105^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.70-7.29 (m, 5H), 7.17-6.83 (m, 5H), 6.66-6.63 (m, 2H), 5.87-5.40 (m, 2H), 4.49-4.34 (m, 2H), 3.75-3.72 (m, 3H), 1.32-1.23 (m, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 168.1, 167.2, 160.0, 158.8, 134.5, 133.2, 133.0, 131.4, 131.1, 130.8, 130.3, 130.0, 129.2, 128.8, 128.5, 128.1, 127.7, 126.2, 124.8, 124.4, 116.1, 115.8, 113.6, 64.2, 55.2, 52.0, 51.8, 51.6, 28.4, 28.2. HRMS for $C_{27}H_{28}BrFN_2O_3$ calculated 526.1267, found 426.0548 (M-100).

General procedure for the synthesis of spirocyclic oxindoles via Buchwald-Hartwig/Michael reaction sequence

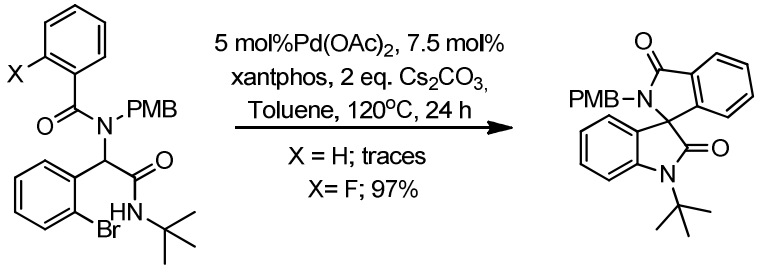
To a dry screw capped glass vial $Pd(OAc)_2$ (5 mol%), Xantphos (7.5 mol%), Cs_2CO_3 (2 equiv.) were loaded along with dry toluene (2 mL). Ugi product **1a-v** and **1a′-1e′** (0.5 mmol) was added. The reaction vial was evacuated, backfilled with nitrogen (4 cycles) and was stirred at 120°C for 24 hours. After completion, the reaction mixture was cooled, directly loaded over a silica gel column and chromatographed (10-30 % EtOAc in heptane) to afford compounds **2a-u** and **3b-e**. The structures of the compounds were confirmed by NMR and HRMS data.

Table S2: Effect of different conditions on domino cyclization



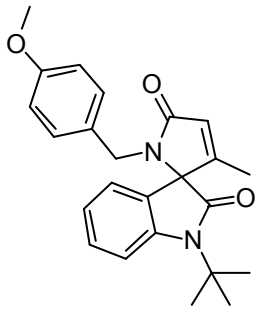
$Pd(OAc)_2$	Xantphos	Cs_2CO_3	NMR Yield
a) 5 mol%	7.5 mol%	2 equiv	81%
b) -	-	2 equiv	nd
c) 5 mol%	7.5 mol%	-	nd
d) 5 mol%	-	2 equiv	nd

Scheme S1



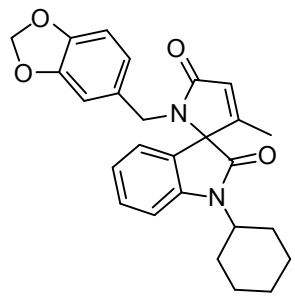
Characterization data for spiro-oxindoles (2a-u and 3b-e)

1-(*tert*-butyl)-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2a)



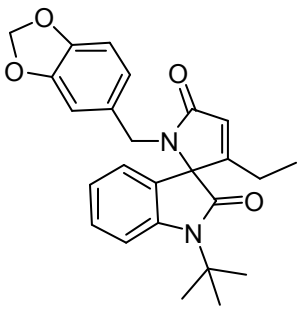
Yellow oil, Yield 75%, ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.27-7.19 (m, 2H), 6.97-6.93 (m, 1H), 6.86-6.83 (m, 2H), 6.78-6.76 (m, 1H), 6.65-6.61 (m, 2H), 6.08 (q, $J_{1,3}$ = 3.00, $J_{1,2}$ = 1.50 Hz, 1H), 4.59 (d, J = 14.8 Hz, 1H), 4.02 (d, J = 14.88 Hz, 1H), 3.73 (s, 3H), 1.60 (d, J = 1.71 Hz, 3H), 1.55 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.6, 171.5, 158.9, 156.8, 144.4, 130.4, 129.6, 128.1, 124.4, 124.2, 123.4, 122.5, 113.7, 113.4, 75.6, 58.3, 55.3, 43.9, 28.9, 12.2. HRMS for $C_{24}H_{26}N_2O_3$ calculated 390.1943, found 390.1935.

1'-(benzo[*d*][1,3]dioxol-5-ylmethyl)-1-cyclohexyl-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2d)



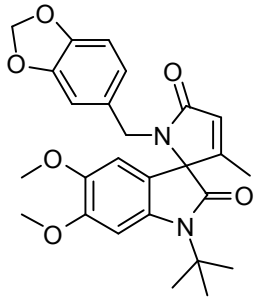
White solid, Yield 97%, Melting point: 172-174^{o}C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.27 (m, 1H), 7.01 (d, J = 8.10 Hz, 1H), 6.62 (m, 1H), 6.75 (m, 1H), 6.48 (d, J = 5.85 Hz, 1H), 6.46 (s, 1H), 6.34-6.31 (m, 1H), 6.10 (q, $J_{1,3}$ = 3.00, $J_{1,2}$ = 1.50 Hz, 1H), 5.84 (q, $J_{1,3}$ = 2.07, $J_{1,2}$ =1.50 Hz, 2H), 4.34 (d, J = 14.67 Hz, 1H), 4.18 (d, J = 14.70 Hz, 1H), 4.02-3.94 (m, 1H), 1.92-1.71 (m, 5H), 1.58 (d, J = 1.68 Hz, 3H), 1.40-1.21 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.7, 170.9, 156.8, 147.2, 146.9, 143.1, 130.0, 129.8, 124.5, 123.7, 123.5, 122.7, 122.3, 110.5, 109.5, 107.6, 100.8, 75.3, 53.1, 44.4, 29.1, 28.7, 25.8(2), 25.1, 12.1. HRMS for $C_{26}H_{26}N_2O_4$ calculated 430.1893, found 430.1885.

1'-(benzo[*d*][1,3]dioxol-5-ylmethyl)-1-(*tert*-butyl)-3'-ethylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2e)



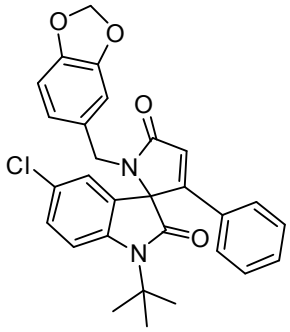
Yellow oil, Yield 78%, ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.26-7.24 (m, 2H), 6.96-6.91 (m, 1H), 6.77-6.74 (m, 1H), 6.52-6.49 (m, 2H), 6.37-6.34 (m, 1H), 6.09 (t, J = 1.89 Hz, 1H), 5.86-5.85 (m, 2H), 4.46 (d, J = 15.1 Hz, 1H), 4.05 (d, J = 14.9 Hz, 1H), 1.92-1.69 (m, 2H), 1.60 (s, 9H), 1.03 (t, J = 7.35 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.6, 171.7, 163.1, 147.2, 146.8, 144.4, 130.1, 129.6, 124.5, 124.4, 122.4, 122.2, 121.3, 113.7, 109.6, 107.6, 100.8, 75.3, 58.3, 44.1, 28.9, 19.6, 11.0. HRMS for $C_{25}H_{26}N_2O_4$ calculated 418.1893, found 418.1862.

1'-(benzo[*d*][1,3]dioxol-5-ylmethyl)-1-(*tert*-butyl)-5,6-dimethoxy-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2f)



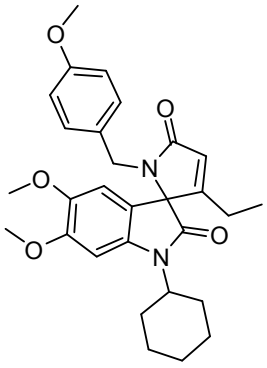
Brown solid, Yield 46%, Melting point: 165-167°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.86 (s, 1H), 6.53-6.49 (m, 2H), 6.43-6.39 (m, 1H), 6.07 (q, $J_{1,3}$ = 3.03, $J_{1,2}$ =1.53 Hz, 1H), 5.85 (q, $J_{1,3}$ = 2.43, $J_{1,2}$ =1.50 Hz, 2H), 1.64 (s, 9H), 1.62 (d, J = 1.53 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.7, 171.8, 157.2, 149.8, 147.2, 146.8, 145.2, 137.9, 130.4, 123.2, 122.2, 115.1, 109.6, 107.8, 100.9, 100.0, 99.2, 76.1, 58.3, 56.6, 56.1, 44.3, 29.1, 12.2. HRMS for $C_{26}H_{28}N_2O_6$ calculated 464.1947, found 464.1944.

1'-(benzo[*d*][1,3]dioxol-5-ylmethyl)-1-(*tert*-butyl)-5-chloro-3'-phenylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2g)



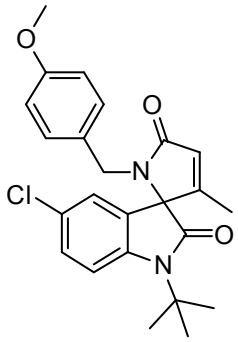
Brown solid, Yield 41%, Melting point: 181-183°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.29-7.28 (m, 0.67H), 7.26.-7.25 (m, 0.37H), 7.23-7.18 (m, 3H), 7.15-7.13 (m, 1H), 7.03-7.00 (m, 2H), 6.75-6.74 (m, 1H), 6.60 (s, 1H), 6.52-6.50 (m, 2H), 6.38-3.35 (m, 1H), 5.88 (q, $J_{1,3}$ = 3.60, $J_{1,2}$ =1.53 Hz, 2H), 4.40 (d, J = 14.9 Hz, 1H), 4.24 (d, J = 15.1 Hz, 1H), 1.53 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 171.2, 171.0, 156.5, 147.4, 147.0, 142.9, 130.6, 130.1, 130.0, 129.8, 128.7, 126.7, 126.5, 125.4, 123.7, 121.9, 114.6, 109.2, 107.7, 101.0, 74.2, 58.6, 44.0, 28.6. HRMS for $C_{29}H_{25}ClN_2O_4$ calculated 500.1503, found 500.1512.

1-cyclohexyl-3'-ethyl-5,6-dimethoxy-1'-(4-methoxybenzyl)spiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2h)



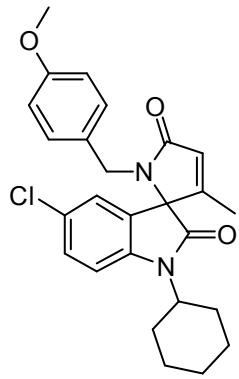
Off-white solid, Yield 92%, Melting point: 118°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.87-6.84 (m, 2H), 6.61-6.57 (m, 3H), 6.16 (s, 1H), 6.10 (t, J = 1.86 Hz, 1H), 4.37 (d, J = 14.9 Hz, 1H), 4.17 (d, J = 14.7 Hz, 1H), 3.93 (s, 3H), 3.87-3.84 (m, 1H), 3.71 (s, 3H), 3.63 (s, 3H), 2.10-1.66 (m, 10H), 1.40-1.36 (m, 2H), 1.02 (t, J = 7.35 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.4, 171.5, 162.7, 158.8, 150.4, 145.3, 137.0, 128.9, 121.8, 114.8, 113.3, 108.4, 96.3, 75.4, 56.6, 56.3, 55.2, 53.2, 43.8, 29.5, 29.2, 25.9, 25.3, 19.6, 11.0. HRMS for $C_{29}H_{34}N_2O_5$ calculated 490.2468, found 490.2449.

1-(*tert*-butyl)-5-chloro-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2i)



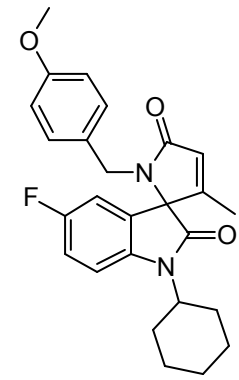
White solid, Yield 58%, Melting point: 102-104°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.19-7.11 (m, 2H), 6.86-6.83 (m, 2H), 6.64-6.61 (m, 3H), 6.09 (q, $J_{1,3}$ =3.00, $J_{1,2}$ =1.50 Hz, 1H), 4.33 (s, 2H), 3.74 (s, 4H), 1.61 (d, J = 1.50 Hz, 3H), 1.58 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.4, 171.2, 159.0, 156.2, 142.6, 130.3, 129.4, 128.2, 127.9, 126.2, 124.7, 123.6, 114.5, 113.5, 75.3, 58.6, 55.2, 44.0, 28.8, 12.1. HRMS for $C_{24}H_{25}ClN_2O_3$ calculated 424.1554, found 424.1561.

5-chloro-1-cyclohexyl-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2j)



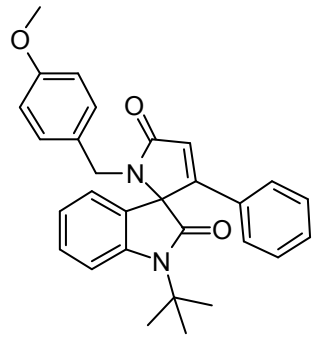
Off-white solid, Yield 51%, Melting point: 101-102°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.18 (m, 1H), 6.90-6.76 (m, 3H), 6.61-6.58 (m, 3H), 6.11 (q, $J_{1,3}$ = 3.21 Hz, $J_{1,2}$ =1.53 Hz, 1H), 4.46 (d, J = 14.7 Hz, 1H), 4.19 (d, J = 14.7 Hz, 1H), 3.73 (s, 3H), 2.00-1.87 (m, 5H), 1.58 (d, J = 1.50 Hz, 3H), 1.39-1.24 m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.3, 170.6, 159.0, 155.9, 141.4, 130.2, 129.7, 128.3, 127.8, 125.7, 124.9, 123.9, 113.5, 111.3, 78.0, 55.2, 53.3, 44.1, 29.2, 28.8, 25.8, 25.7, 25.1, 12.1. HRMS for $C_{26}H_{27}ClN_2O_3$ calculated 450.1710, found 450.1706.

1-cyclohexyl-5-fluoro-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2k)



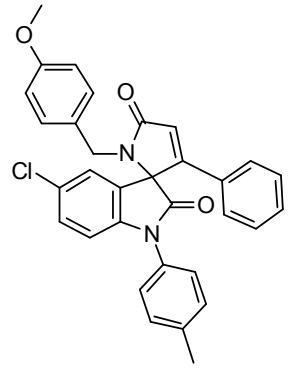
White solid, Yield 93%, Melting point: 86-88°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.98-6.89 (m, 2H), 6.84-6.80 (m, 2H), 6.62-6.57 (m, 2H), 6.44-6.41 (m, 1H), 6.11 (q, $J_{1,3}$ = 3.00 Hz, $J_{1,2}$ = 1.50 Hz, 1H), 4.37 (d, J = 14.8 Hz, 1H), 4.28 (d, J = 14.8 Hz, 1H), 4.00-3.91 (m, 1H), 3.72 (s, 3H), 2.06-1.82 (m, 5H), 1.58 (d, J = 1.50 Hz, 3H), 1.39-1.22 (m, 5H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.4, 170.7, 160.5, 159.0, 157.3, 156.1, 138.9, 130.2, 127.8, 125.8, 125.7, 123.8, 116.4, 116.1, 113.5, 112.5, 112.2, 111.2, 111.1, 75.2, 55.2, 53.2, 44.1, 29.2, 28.8, 25.8, 25.7, 25.1, 12.1. HRMS for $C_{26}H_{27}FN_2O_3$ calculated 434.2006, found 434.2002.

1-(*tert*-butyl)-1'-(4-methoxybenzyl)-3'-phenylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2l)



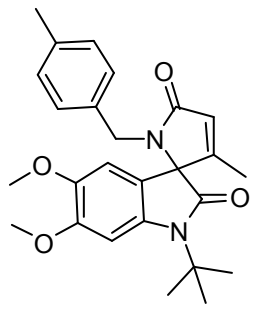
Yellow solid, Yield 77%, Melting point: 155-157°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.33-7.14 (m, 6H), 7.00-6.88 (m, 5H), 6.68-6.63 (m, 5H), 6.61 (s, 1H), 4.65 (d, J = 15.1 Hz, 1H), 3.99 (d, J = 15.1 Hz, 1H), 3.73 (s, 3H), 1.43 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 171.9, 171.2, 158.9, 157.3, 144.6, 130.9, 130.1, 130.0, 129.8, 128.5, 128.1, 126.8, 126.8, 125.0, 124.2, 123.4, 122.8, 114.0, 113.5, 74.6, 58.2, 55.2, 43.5, 28.5. HRMS for $C_{29}H_{28}N_2O_3$ calculated 452.2100, found 452.2090.

5-chloro-1'-(4-methoxybenzyl)-3'-phenyl-1-(*p*-tolyl)spiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2m)



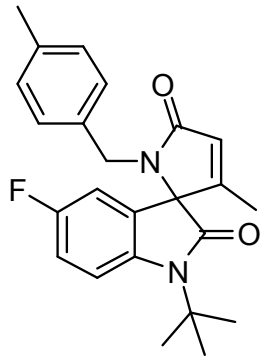
Brown solid, Yield 15%, Melting point: 62-64°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.28 (s, 1H), 7.24-7.10 (m, 7H), 6.97-6.91 (m, 6H), 6.69-6.63 (m, 3H), 4.58-4.43 (m, 2H), 3.74 (s, 3H), 2.40 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 171.0, 164.2, 159.4, 142.4, 139.9, 138.7, 132.5, 130.9, 130.7, 130.3, 129.6, 128.6, 125.7, 125.5, 125.2, 125.0, 113.8, 110.7, 68.5, 55.2, 45.0, 21.2. HRMS for $C_{32}H_{25}ClN_2O_3$ calculated 520.1554, found 520.1529.

1-(*tert*-butyl)-5,6-dimethoxy-3'-methyl-1'-(4-methylbenzyl)spiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2n)



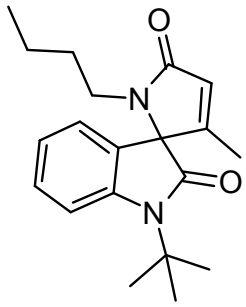
Yellow solid, Yield 51%, Melting point: 163-165°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.92-6.84 (m, 5H), 6.14 (s, 1H), 6.08 (q, $J_{1,3}$ = 3.21 Hz, $J_{1,3}$ = 1.68 Hz, 1H), 4.30 (s, 3H), 3.91 (s, 3H), 3.62 (s, 3H), 2.24 (s, 3H), 1.60 (d, J = 1.50 Hz, 3H), 1.59 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.5, 171.9, 156.8, 149.7, 145.7, 145.2, 138.0, 136.8, 133.7, 128.9, 128.6, 123.4, 115.2, 107.9, 100.0, 76.1, 58.2, 56.6, 56.0, 44.2, 29.1, 21.0, 12.2. HRMS for $C_{26}H_{30}N_2O_4$ calculated 434.2206, found 434.2207.

1-(*tert*-butyl)-5-fluoro-3'-methyl-1'-(4-methylbenzyl)spiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2o)



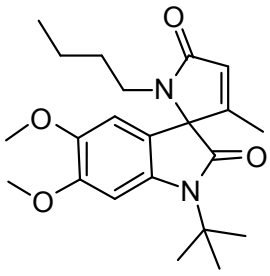
Brown oil, Yield 50%, ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.16-7.11 (m, 1H), 6.92-6.81 (m, 5H), 6.43-6.40 (m, 1H), 6.09 (q, $J_{1,3}$ = 3.00 Hz, $J_{1,2}$ =1.50 Hz, 1H), 4.41 (d, J = 14.8 Hz, 1H), 4.25 (d, J = 14.7 Hz, 1H), 2.23 (s, 3H), 1.61 (d, J = 1.50 Hz, 3H), 1.56 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.4, 171.3(2), 160.2, 160.0, 157.0, 156.3(2),, 140.1(2),, 137.2, 132.8, 129.0, 128.7, 126.3(2), 126.2, 123.6, 116.0, 115.7, 114.3(2), 112.3, 111.9, 75.5(2), 58.4, 44.3, 28.8, 21.0, 12.1. HRMS for $C_{24}H_{25}FN_2O_2$ calculated 392.1900, found 392.1898.

1-(*tert*-butyl)-1'-butyl-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2p)



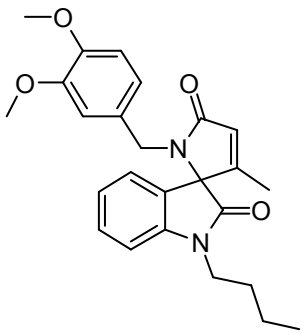
Yellow oil, Yield 80%, ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.40-7.30 (m, 2H), 7.07 (m, 1H), 6.96-6.93 (m, 1H), 6.03 (q, $J_{1,3}$ = 3.03 Hz, $J_{1,2}$ = 1.50 Hz, 1H), 3.31-3.21 (m, 1H), 3.00-2.91 (m, 1H), 1.76 (s, 9H), 1.63 (d, J = 1.71 Hz, 3H), 1.27-1.20 (m, 4H), 0.77 (t, J = 7.14 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.9, 172.5, 156.1, 144.6, 130.0, 124.7, 124.3, 123.7, 122.9, 114.0, 76.0, 58.7, 40.8, 30.5, 29.0, 20.0, 13.6, 12.2. HRMS for $C_{20}H_{26}N_2O_2$ calculated 326.1994, found 326.2005.

1-(*tert*-butyl)-1'-butyl-5,6-dimethoxy-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2q)



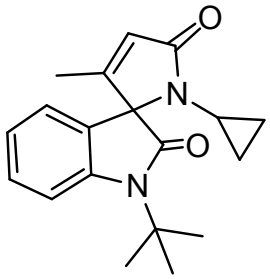
Yellow oil, Yield 45%, ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.00 (s, 1H), 6.47 (s, 1H), 6.02 (s, 1H), 3.95 (s, 3H), 3.80 (s, 3H), 3.30-3.23 (s, 3H), 3.01-2.91 (s, 3H), 1.76 (s, 9H), 1.64 (d, J = 1.53 Hz, 3H), 1.28-1.22 (m, 4H), 0.79 (t, J = 6.96Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.8, 172.7, 156.2, 150.0, 145.5, 138.2, 123.7, 115.7, 107.6, 100.1, 76.2, 58.5, 56.5(2), 40.7, 30.6, 29.3, 20.1, 13.7, 12.3. HRMS for $C_{22}H_{30}N_2O_4$ calculated 386.2206, found 386.2203.

1-butyl-1'-(3,4-dimethoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2r)



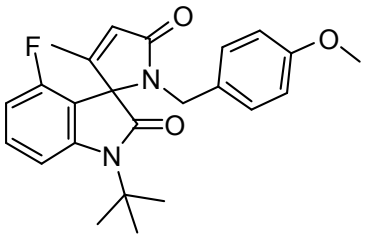
Off-white solid, Yield 85%, Melting point: 121-123°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.32 (m, 1H), 7.00 (m, 1H), 6.83 (m, 1H), 6.79 (d, J = 7.92 Hz, 1H), 6.53 (d, J = 8.10 Hz, 1H), 6.50 (d, J = 1.89 Hz, 1H), 6.32-6.28 (m, 1H), 6.14 (q, $J_{1,3}$ = 3.21 Hz, $J_{1,2}$ = 1.50 Hz, 1H), 4.68 (d, J = 14.7 Hz, 1H), 4.02 (d, J = 14.8 Hz, 1H), 3.79 (s, 3H), 3.75 (s, 3H), 3.57-3.47 (m, 1H), 3.37-3.27 (m, 1H), 1.60 (d, J = 1.50 Hz, 3H), 1.36-1.24 (m, 4H), 0.92 (t, J = 7.17 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.8, 171.2, 156.6, 148.4, 148.3, 143.7, 130.4, 127.8, 124.4, 123.9, 123.5, 123.1, 121.4, 112.4, 110.2, 109.0, 75.2, 55.8, 55.7, 44.6, 40.3, 29.4, 20.1, 13.7, 12.3. HRMS for $C_{25}H_{28}N_2O_4$ calculated 420.2049, found 420.2047.

1-(*tert*-butyl)-1'-cyclopropyl-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2s)



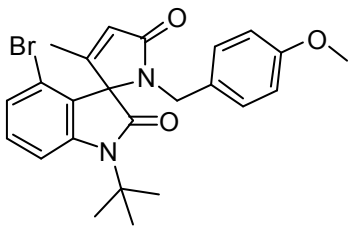
Light yellow solid, Yield 80%, Melting point: 161-163°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.40-7.30 (m, 2H), 7.09-7.03 (m, 1H), 6.96-6.94 (m, 1H), 6.00 (q, $J_{1,3}$ = 3.21 Hz, $J_{1,2}$ = 1.53 Hz, 1H), 2.34-2.30 (m, 1H), 1.77 (s, 9H), 1.61 (d, J = 1.50 Hz, 3H), 0.61-0.44 (m, 4H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 174.1, 172.7, 156.4, 144.6, 129.8, 125.6, 124.0, 123.8, 122.8, 113.9, 76.8, 58.6(2), 29.0, 23.4, 12.3, 4.3, 4.10. HRMS for $C_{19}H_{22}N_2O_2$ calculated 310.1681, found 310.1678.

1-(*tert*-butyl)-4-fluoro-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'H)-dione (2t)



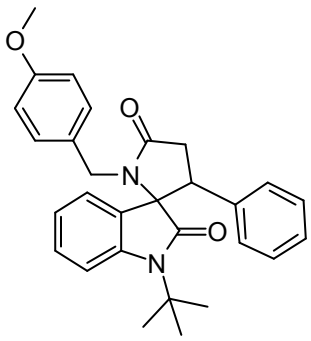
Brown oil, Yield 53%.,^{1}H NMR (300 MHz, $CDCl_3$) δ 7.24-7.19 (m, 1H), 7.02-6.99 (m, 1H), 6.88-6.85 (m, 2H), 6.63-6.55 (m, 3H), 6.15-6.14 (m, 1H), 4.49 (d, J = 14.88Hz, 1H), 4.17 (d, J = 14.67Hz, 1H), 3.72 (m, 3H), 1.64 (d, J = 1.32Hz, 3H), 1.55 (s, 9H). **^{13}C** NMR (75 MHz, $CDCl_3$) δ 172.3, 171.2, 158.9, 154.6, 146.0, 131.5, 131.4, 130.2, 127.7, 124.1, 113.4, 110.0, 109.8, 109.5, 73.9, 58.7, 55.2, 44.1, 28.9, 12.2. HRMS for $C_{24}H_{25}FN_2O_3$ calculated 408.1849, found 408.1850.

4-bromo-1-(*tert*-butyl)-1'-(4-methoxybenzyl)-3'-methylspiro[indoline-3,2'-pyrrole]-2,5'(1'*H*)-dione (2u)



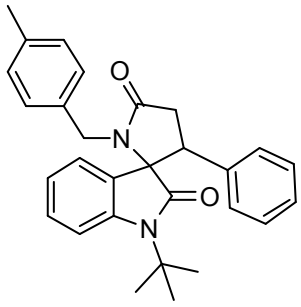
Off-white solid, Yield 74%, Melting point: 296 - 298°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.17-7.09 (m, 3H), 6.90-6.85 (m, 2H), 6.66-6.62 (m, 2H), 6.20-6.19 (m, 1H), 4.77 (d, J = 14.88Hz, 1H), 3.87 (d, J = 14.67Hz, 1H), 3.72 (s, 3H), 1.61 (d, J = 1.50Hz, 2H), 1.50 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 173.0, 170.9, 159.0, 153.9, 146.4, 130.9, 130.7, 127.4, 126.7, 125.5, 122.3, 120.5, 113.4, 113.4, 76.2, 58.6, 55.3, 44.3, 28.9, 12.2. HRMS for $C_{24}H_{25}BrN_2O_3$ calculated 468.1049, found 468.1027.

1-(*tert*-butyl)-1'-(4-methoxybenzyl)-3'-phenylspiro[indoline-3,2'-pyrrolidine]-2,5'-dione (3b)



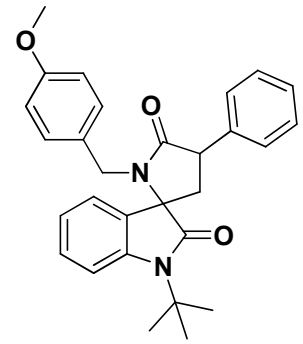
White solid, Yield 65%, Melting point: 177-178°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.31-7.27 (m, 2H), 7.18-7.10 (m, 4H), 6.994-6.61 (m, 7H), 4.97 (d, J = 14.5 Hz, 1H), 3.73-3.50 (m, 6H), 2.72-2.65 (m, 1H), 0.97 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 175.3, 174.5, 159.0, 144.4, 133.5, 131.0, 129.4, 128.2, 128.0, 127.8, 127.4, 126.1, 124.1, 122.0, 113.4, 72.6, 65.9, 56.9, 55.2, 51.8, 44.0, 33.3, 28.0, 15.3. HRMS for $C_{29}H_{30}N_2O_3$ calculated 454.2256, found 454.2257.

1-(*tert*-butyl)-1'-(4-methylbenzyl)-3'-phenylspiro[indoline-3,2'-pyrrolidine]-2,5'-dione (3c)



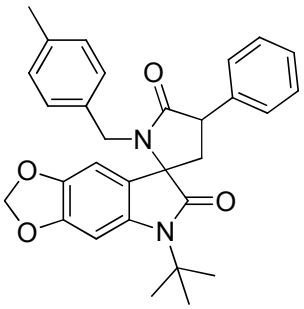
White solid, Yield 68%, Melting point: 157-159°C. ^{1}H NMR (300 MHz, DMSO-*d6*) δ 7.31-7.27 (m, 2H), 7.19-7.15 (m, 4H), 6.93-6.71 (m, 7H), 4.96 (d, J = 14.3 Hz, 1H), 3.73 (d, J = 14.3 Hz, 1H), 3.68-3.50 (m, 2H), 2.72-2.65 (m, 1H), 2.23 (s, 3H), 0.96 (s, 9H). ^{13}C NMR (300 MHz, DMSO-*d6*) δ 175.4, 174.4, 144.4, 137.1, 133.6, 132.3, 129.7, 129.4, 128.7, 128.2, 128.0, 127.8, 126.1, 124.1, 122.0, 113.3, 72.6, 56.8, 51.8, 44.5, 33.3, 28.0, 21.1. HRMS for $C_{29}H_{30}N_2O_2$ calculated 438.2307, found 438.2309.

1-(*tert*-butyl)-1'-(4-methoxybenzyl)-4'-phenylspiro[indoline-3,2'-pyrrolidine]-2,5'-dione (3d)



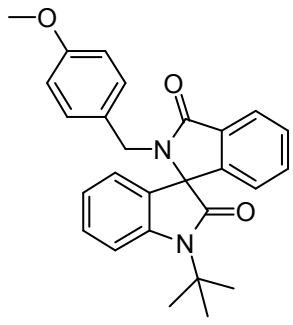
White solid, Yield 61%, Melting point: 155-157°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.40-7.27 (m, 6H), 7.21-7.04 (m, 3H), 6.82-6.66 (m, 4H), 7.81 (d, J = 14.5 Hz, 1H), 4.46-4.40 (m, 1H), 3.78 (d, J = 14.7 Hz, 1H), 3.75 (s, 1H), 2.74-2.67 (m, 1H), 2.22 (t, J = 12.2 Hz, 1H), 1.48 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 177.0, 176.0, 159.0, 144.1, 139.2, 130.5, 129.5, 128.8, 128.3, 127.8, 127.2, 124.3, 122.3, 113.7, 113.5, 65.9, 57.7, 55.2, 46.1, 44.2, 41.9, 28.8. HRMS for $C_{29}H_{30}N_2O_3$ calculated 454.2256, found 454.2249..

5-(*tert*-butyl)-1'-(4-methylbenzyl)-4'-phenylspiro[[1,3]dioxolo[4,5-*f*]indole-7,2'-pyrrolidine]-5',6(5*H*)-dione (3e)



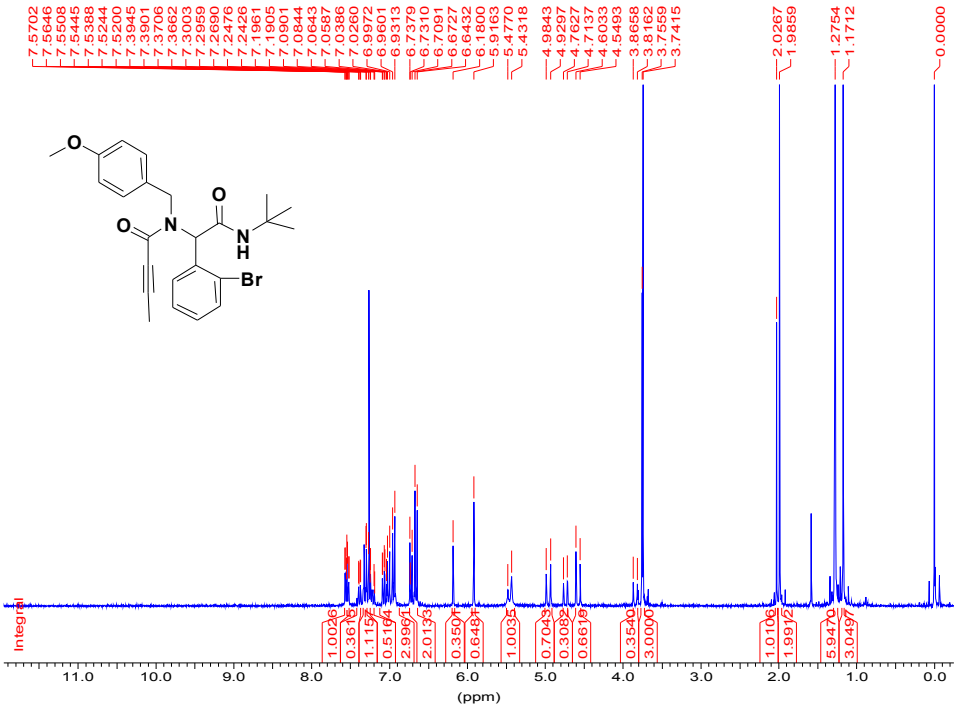
White solid, Yield 88 %, Melting point: 89-91°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.47-7.28 (m, 5H), 6.99-6.81 (m, 5H), 6.56 (s, 0.8H), 6.40 (s, 0.2H), 5.98-5.87 (m, 2H), 4.73-3.89 (m, 3H), 2.70-2.48 (m, 1H), 2.28-2.25 (m, 3H), 2.14-2.10 (m, 1H), 1.61 (s, 1.60H), 1.44 (s, 7.4H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 177.2, 176.0, 148.4, 147.9, 143.0, 139.4, 138.3, 137.1, 133.4, 132.8, 129.1, 128.8, 128.7, 128.6, 128.5, 128.3, 127.3, 127.2, 121.9, 119.3, 105.1, 104.6, 101.5, 101.3, 97.2, 67.2, 66.3, 58.0, 57.6, 46.8, 46.2, 45.1, 44.7, 41.7, 40.4, 29.0, 28.8, 21.1. HRMS for $C_{30}H_{30}N_2O_4$ calculated 482.2206, found 482.2197.

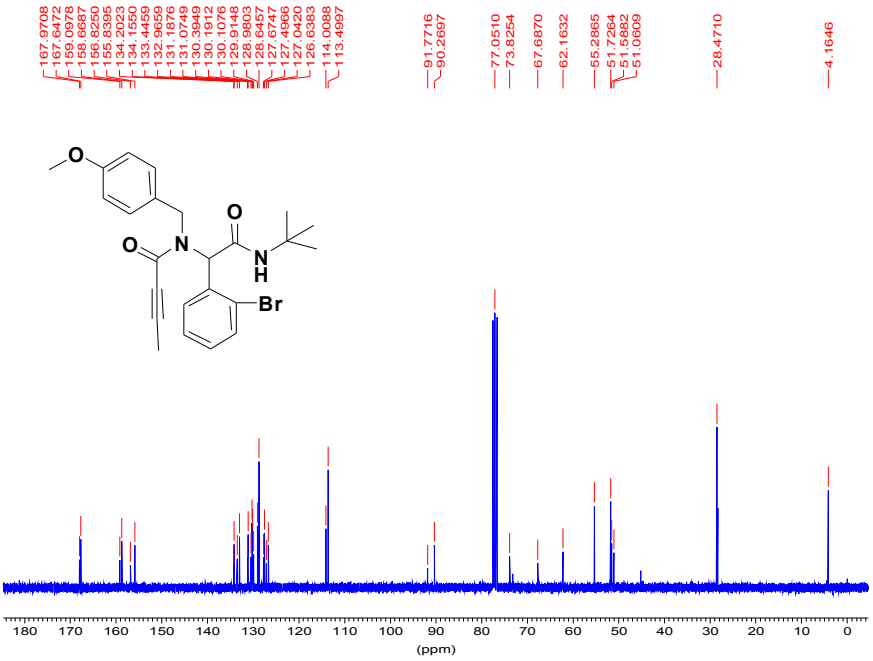
1-(*tert*-butyl)-2'-(4-methoxybenzyl)spiro[indoline-3,1'-isoindoline]-2,3'-dione



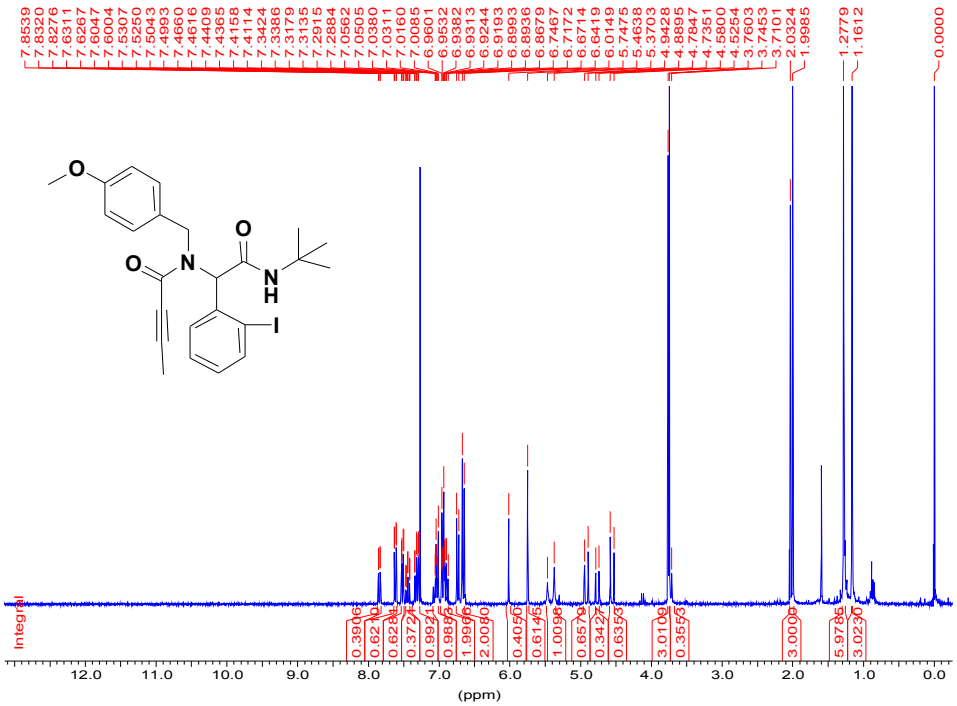
White solid, Yield 97%, Melting point: 109-110°C. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.96-7.93 (m, 1H), 7.50-7.39 (m, 2H), 7.32-7.23 (m, 2H), 6.94-6.83 (m, 4H), 6.66-6.61 (m, 3H), 4.66 (d, J = 14.8 Hz, 1H), 4.32 (d, J = 14.8 Hz, 1H), 3.73 (s, 3H), 1.61 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 172.8, 169.6, 158.9, 144.9, 144.5, 132.2, 131.6, 129.0, 128.0, 126.0, 125.0, 124.2, 122.5, 120.7, 113.7, 113.5, 71.4, 58.2, 55.2, 44.1, 28.9. HRMS for $C_{27}H_{26}N_2O_3$ calculated 426.1943, found 426.1912.

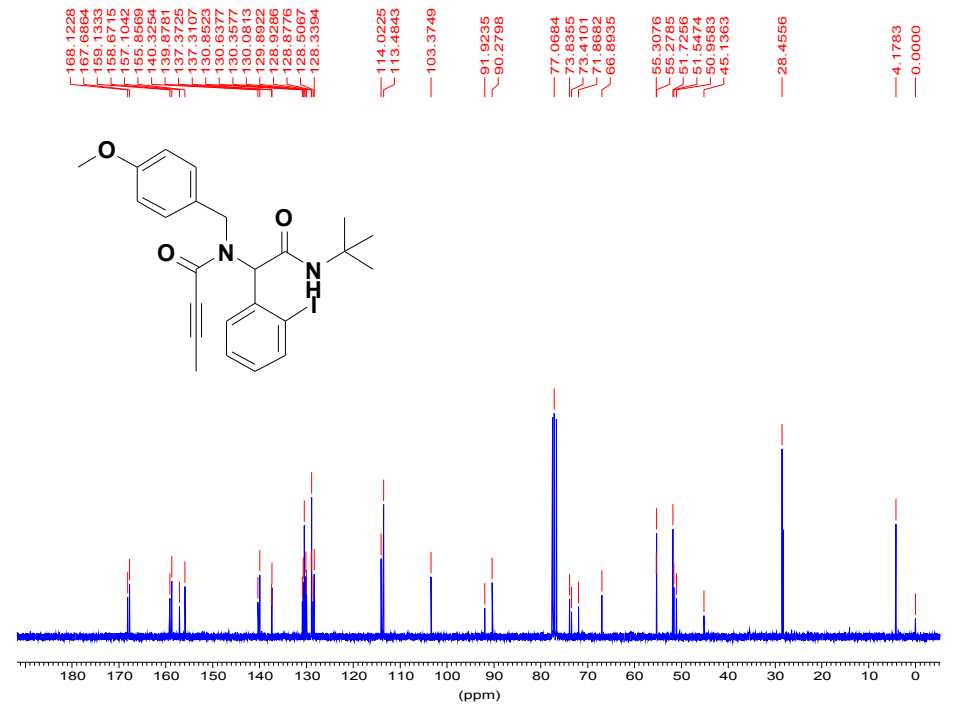
^{1}H and ^{13}C NMR spectra of compound 1a (300 MHz, $CDCl_3$)



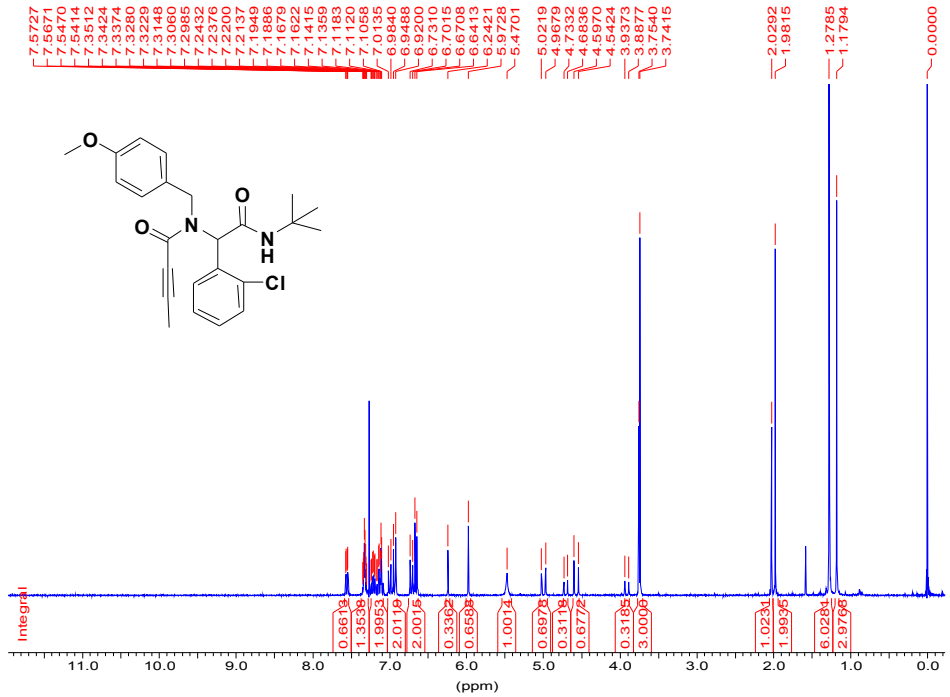


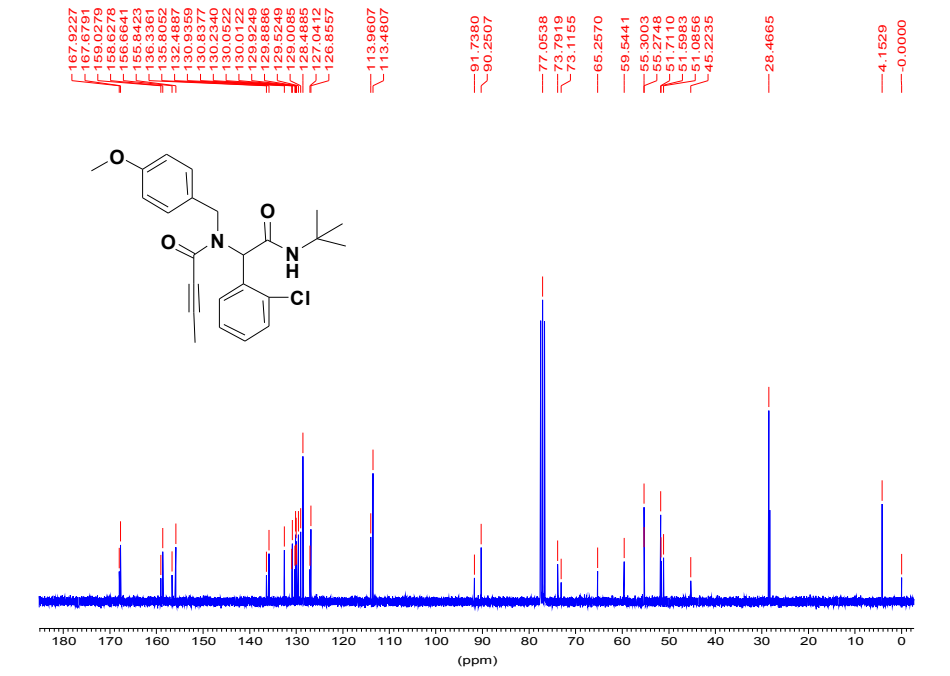
^{1}H and ^{13}C NMR spectra of compound 1b (300 MHz, $CDCl_3$)



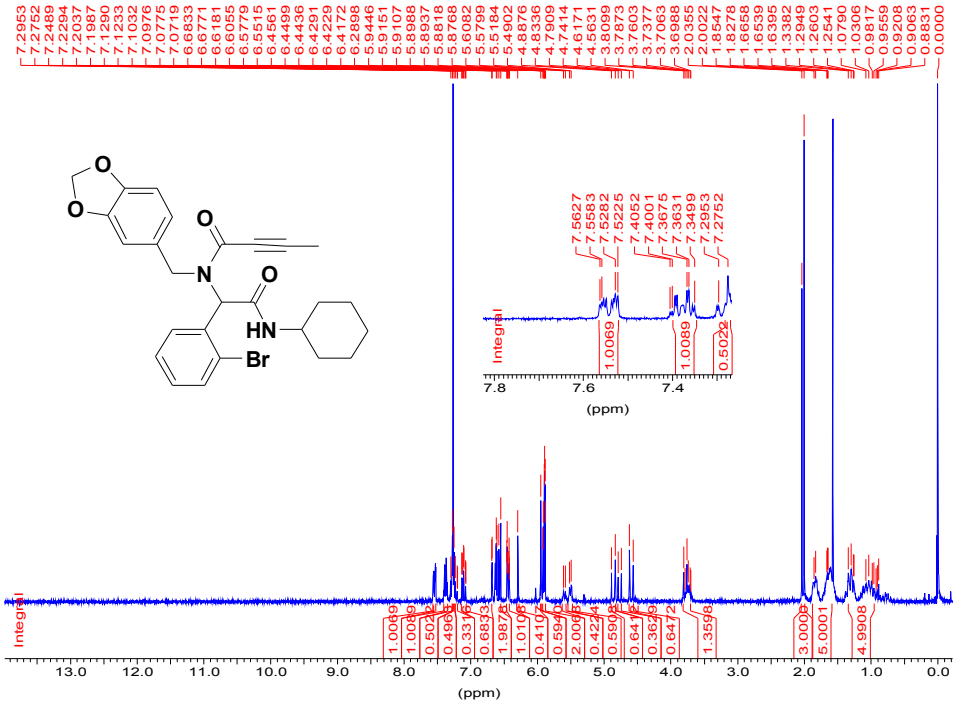


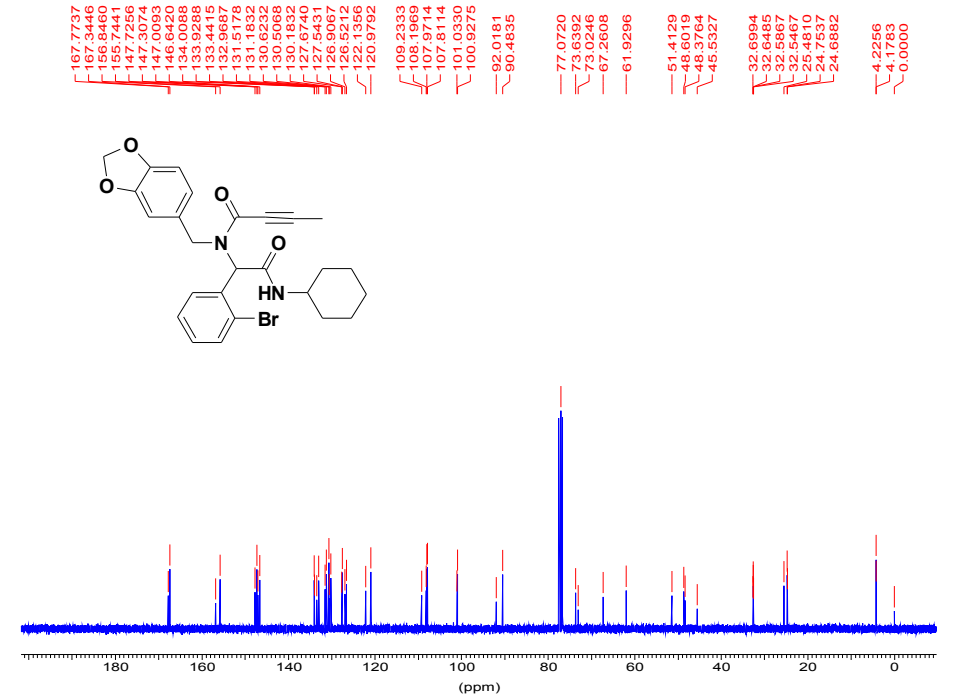
^{1}H and ^{13}C NMR spectra of compound 1c (300 MHz, $CDCl_3$)



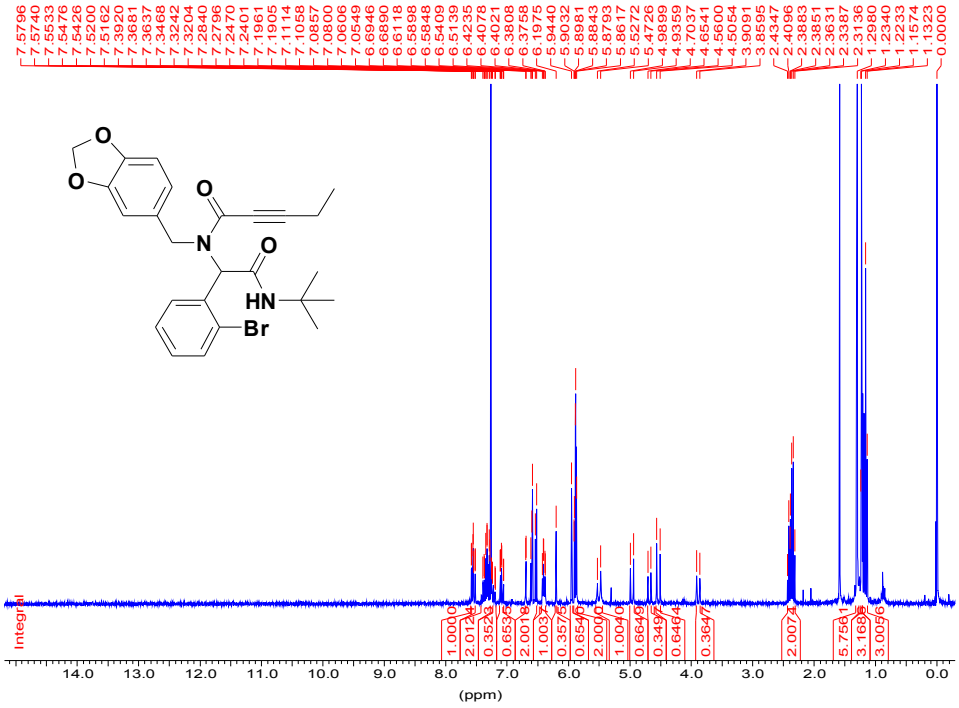


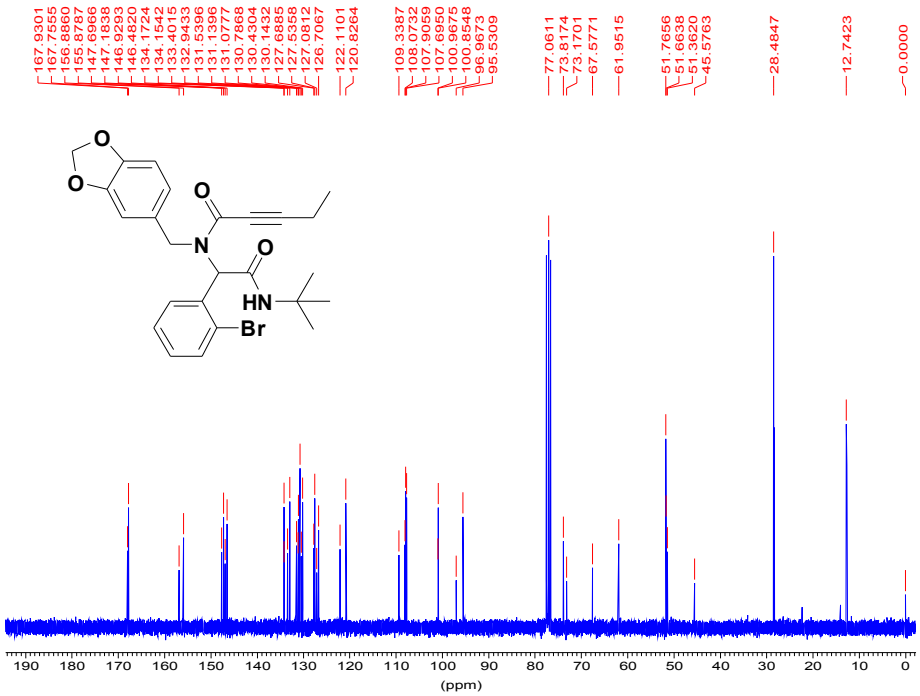
^{1}H and ^{13}C NMR spectra of compound 1d (300 MHz, $CDCl_3$)



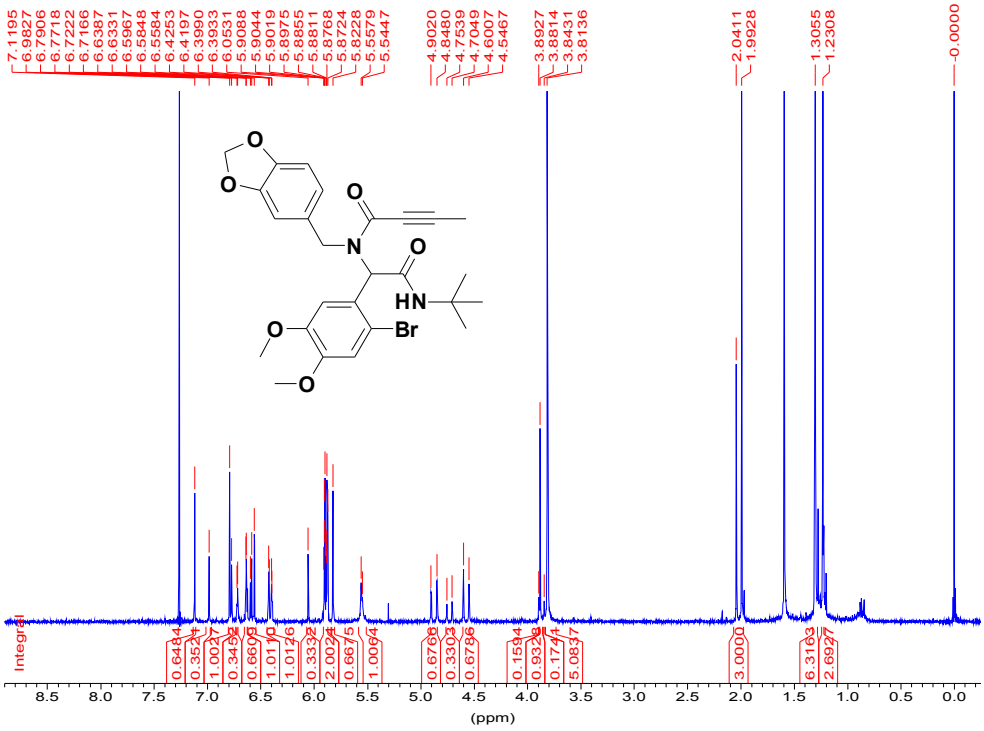


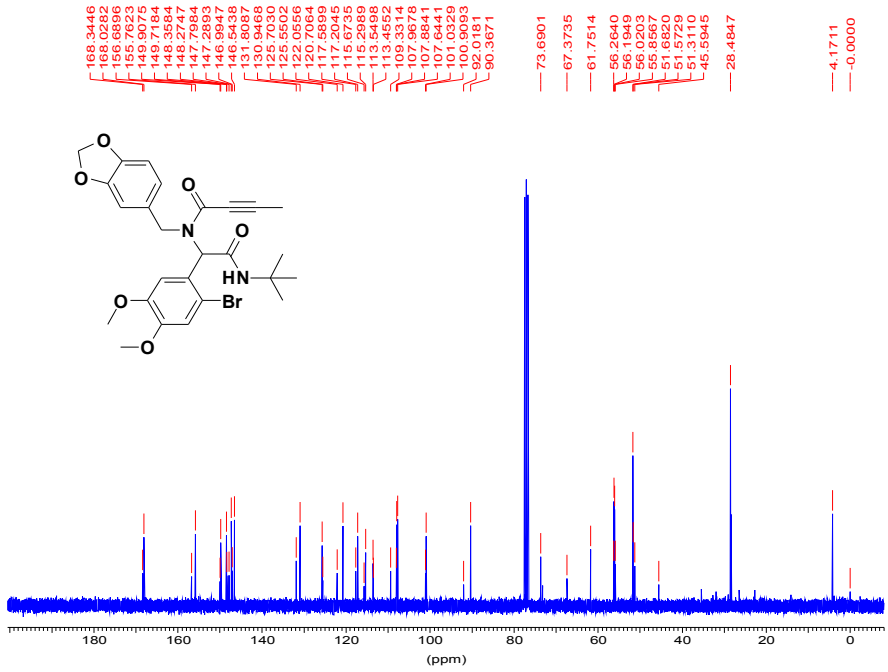
^{1}H and ^{13}C NMR spectra of compound 1e (300 MHz, $CDCl_3$)



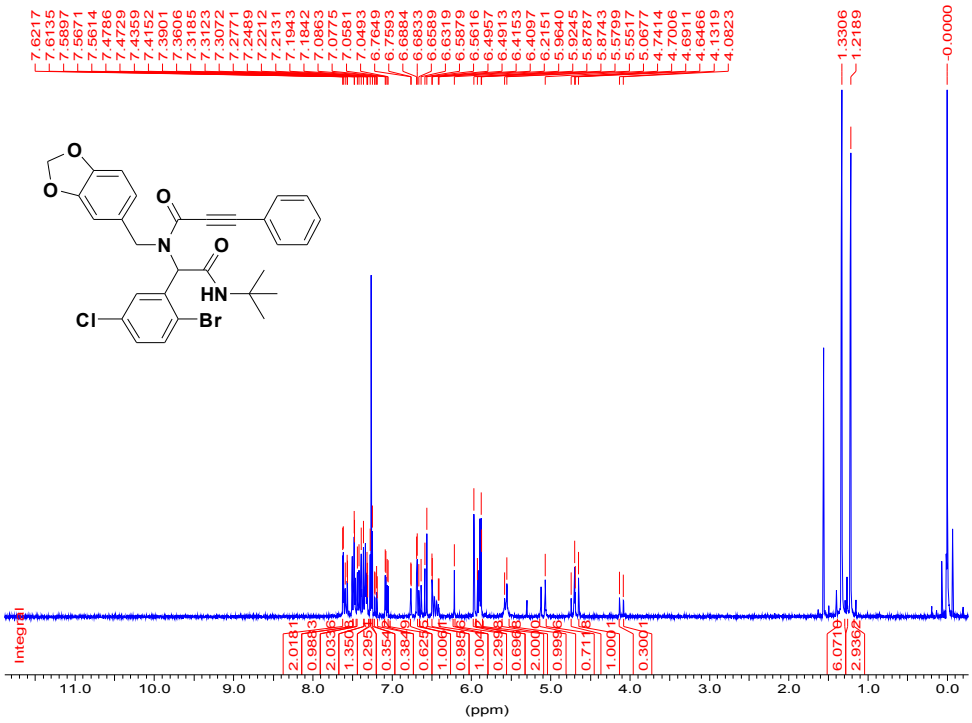


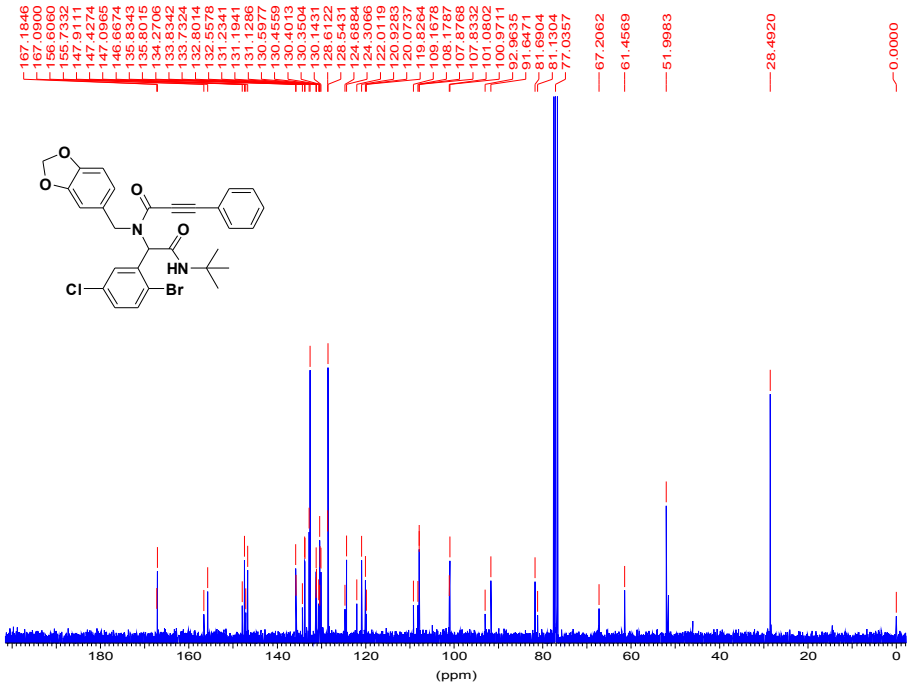
^{1}H and ^{13}C NMR spectra of compound 1f (300 MHz, $CDCl_3$)



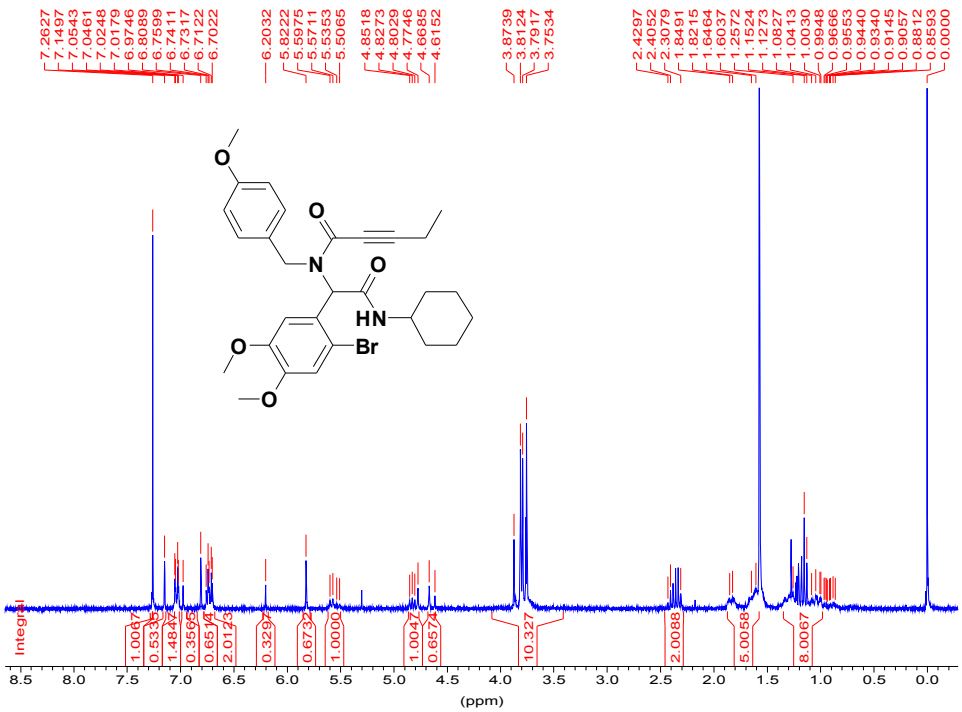


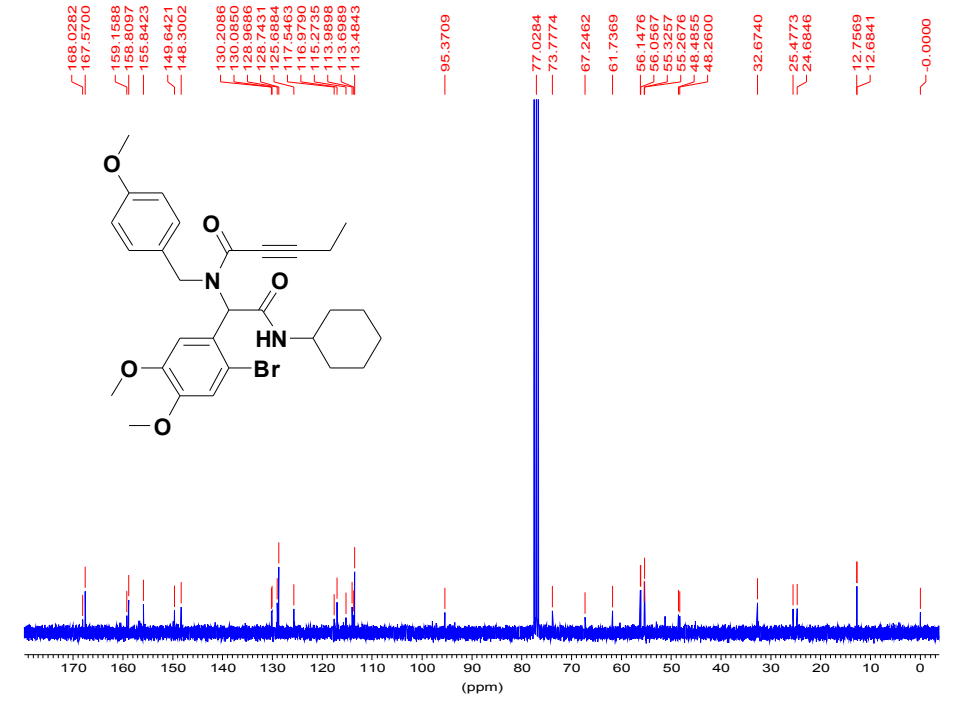
^{1}H and ^{13}C NMR spectra of compound 1g (300 MHz, $CDCl_3$)



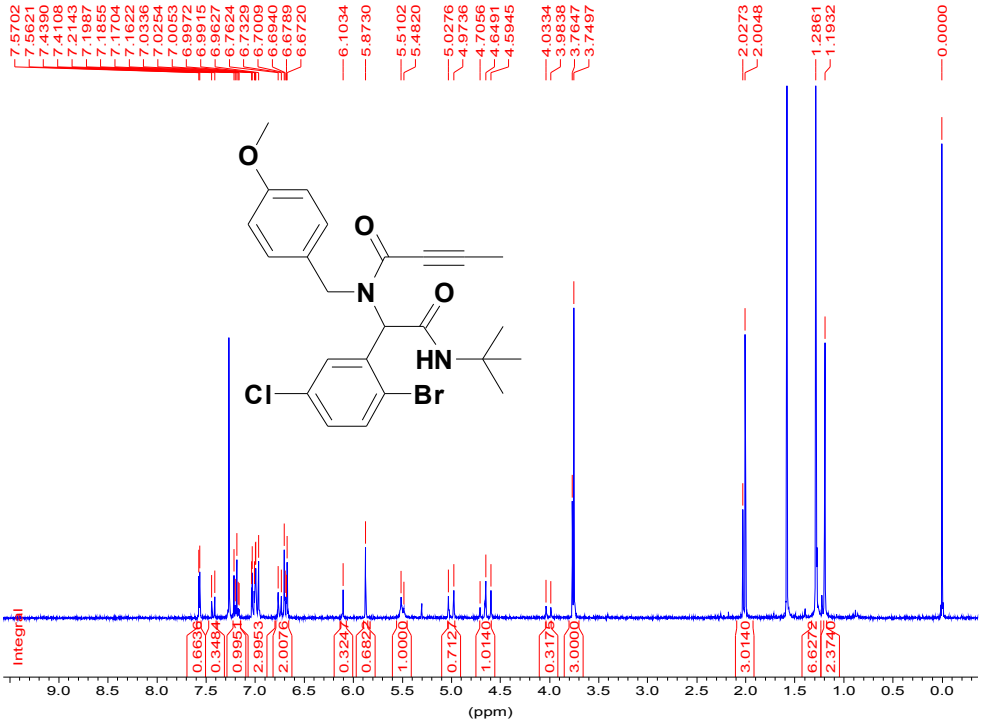


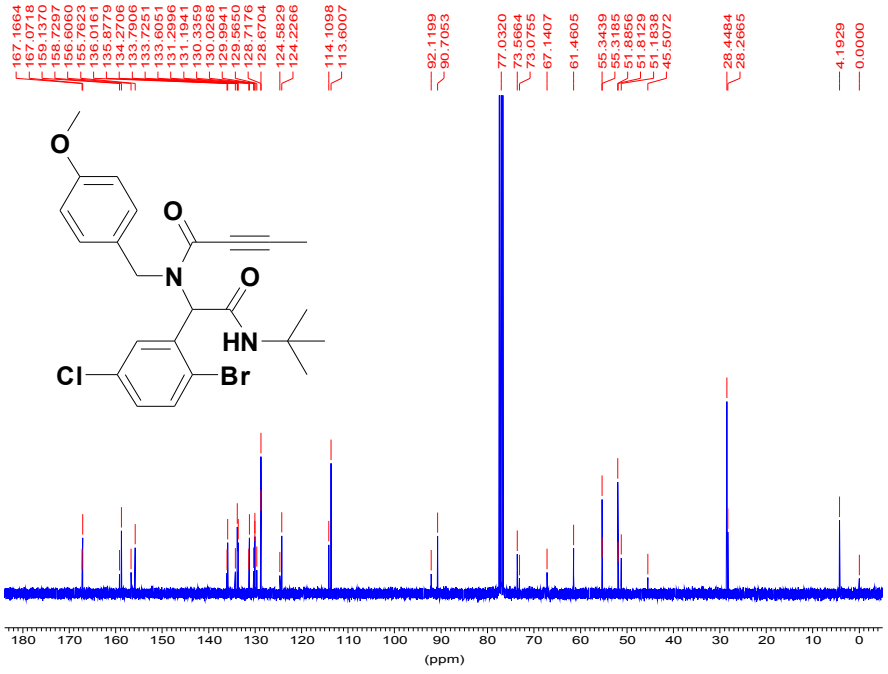
^{1}H and ^{13}C NMR spectra of compound 1h (300 MHz, $CDCl_3$)



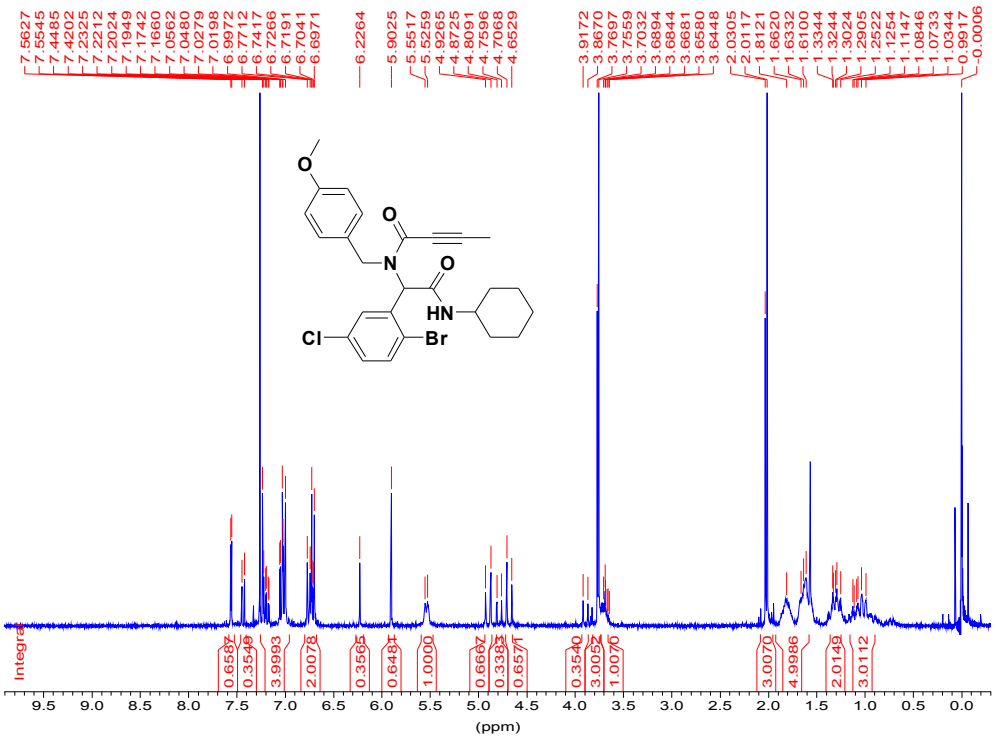


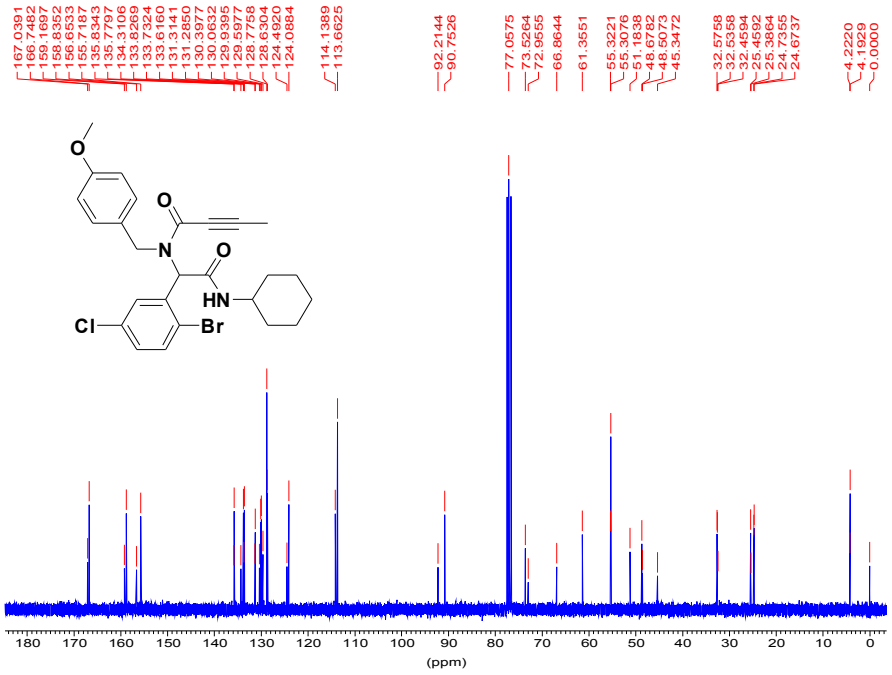
^{1}H and ^{13}C NMR spectra of compound 1i (300 MHz, $CDCl_3$)



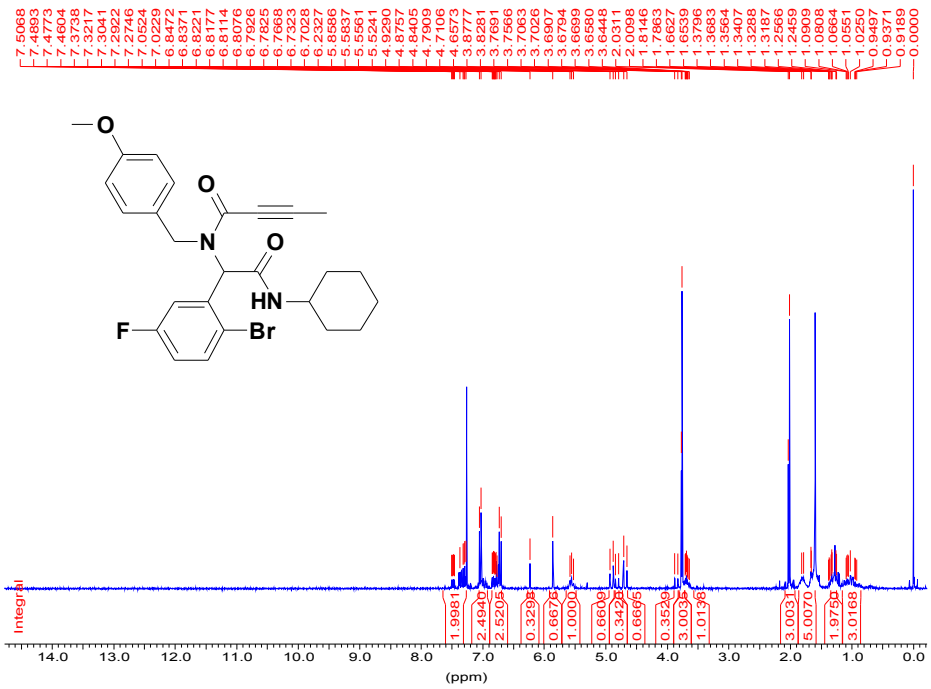


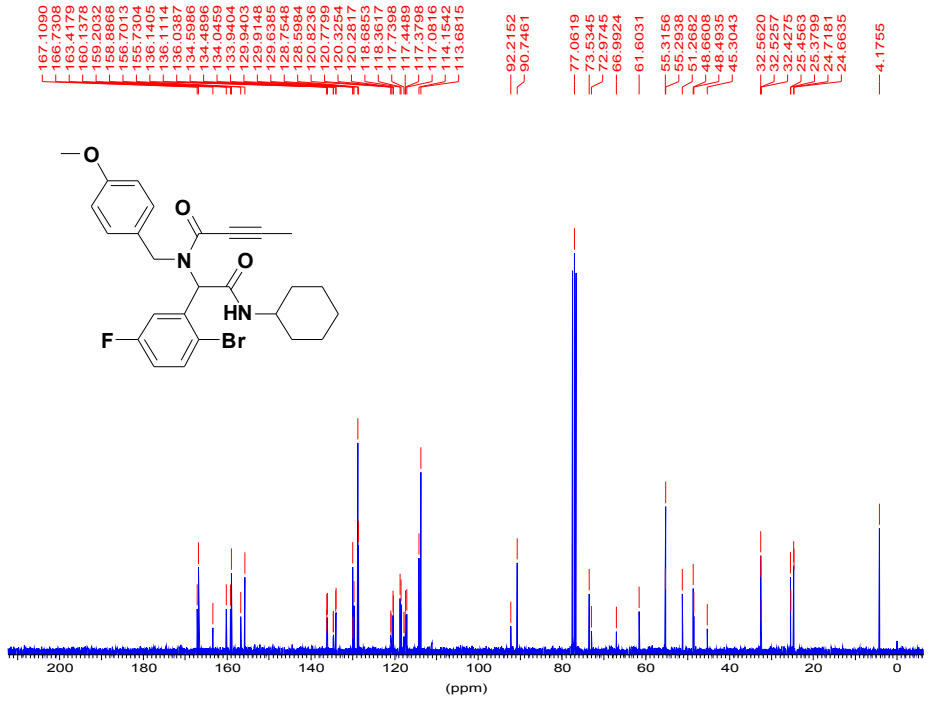
^{1}H and ^{13}C NMR spectra of compound 1j (300 MHz, $CDCl_3$)



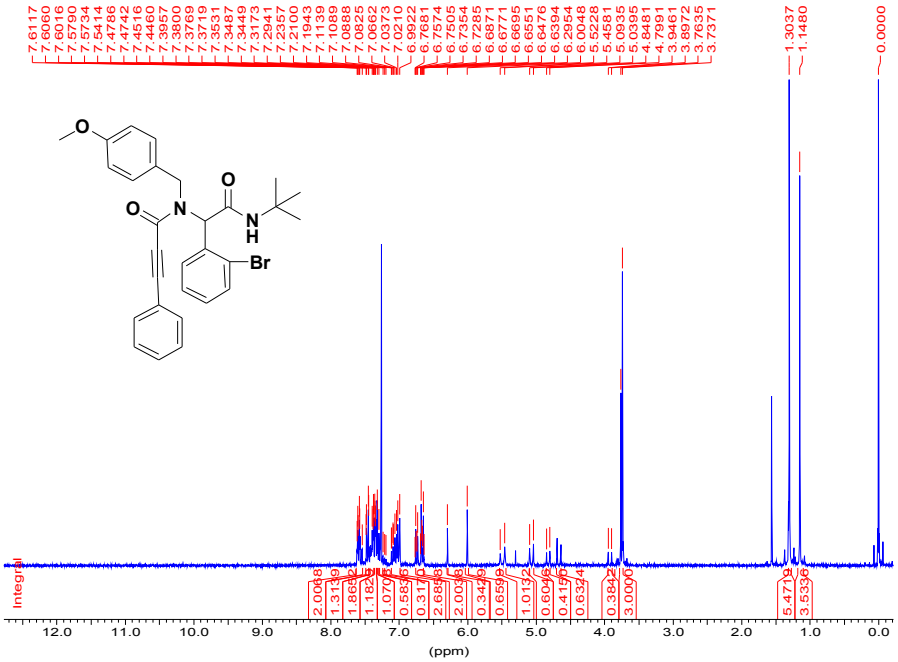


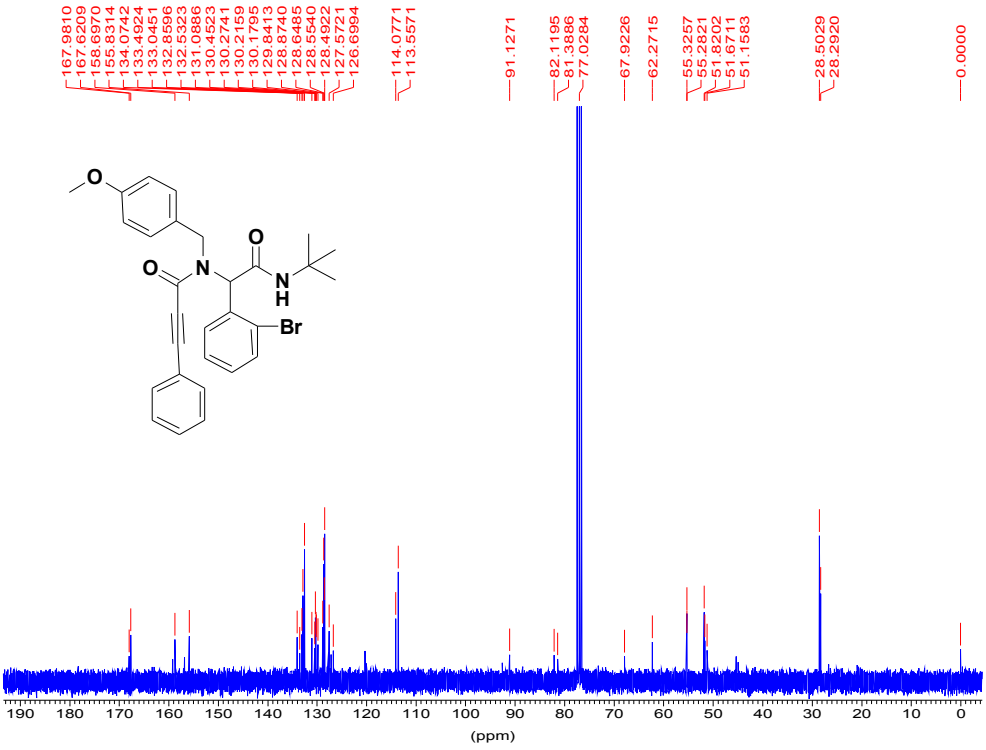
^{1}H and ^{13}C NMR spectra of compound 1k (300 MHz, $CDCl_3$)



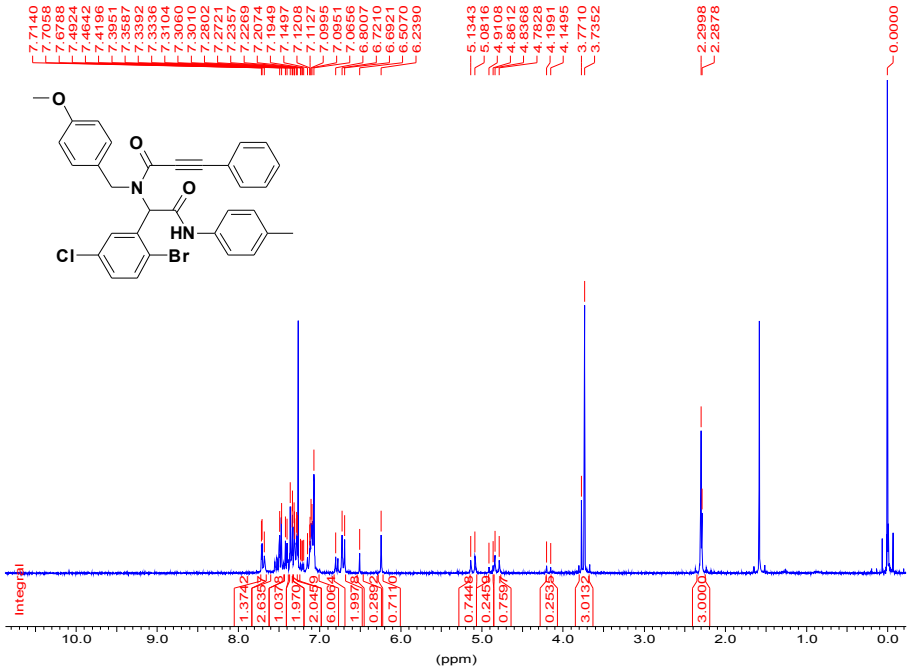


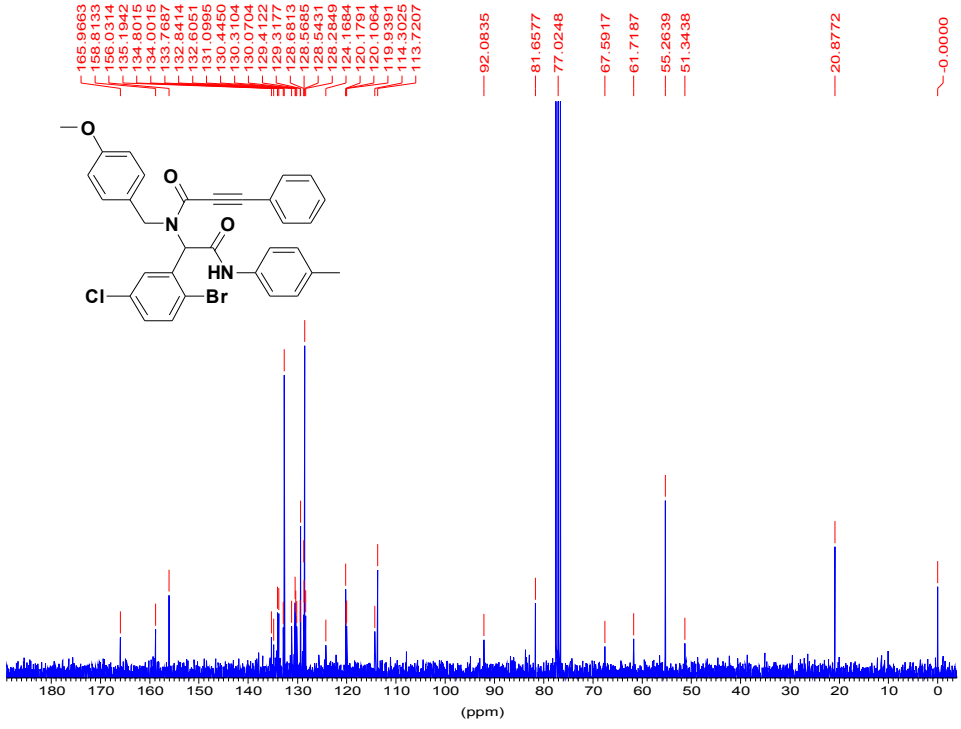
^{1}H and ^{13}C NMR spectra of compound 1l (300 MHz, $CDCl_3$)



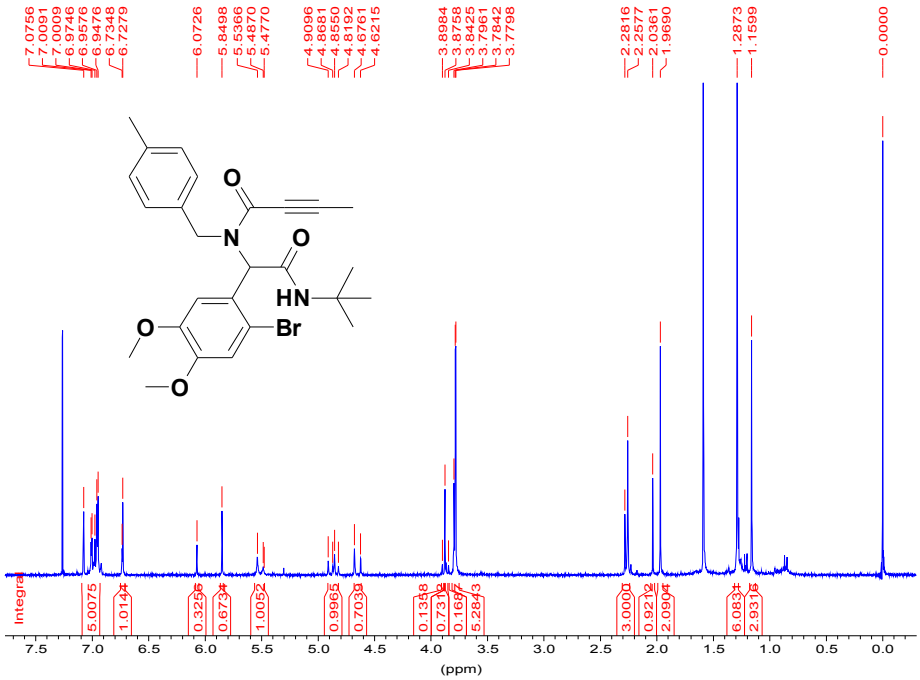


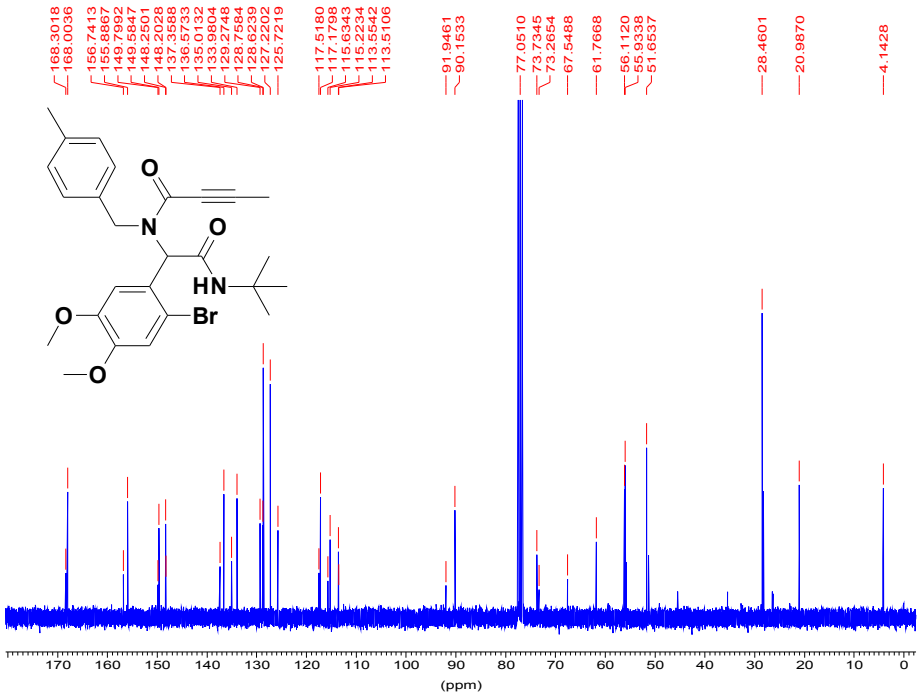
^{1}H and ^{13}C NMR spectra of compound 1m (300 MHz, $CDCl_3$)



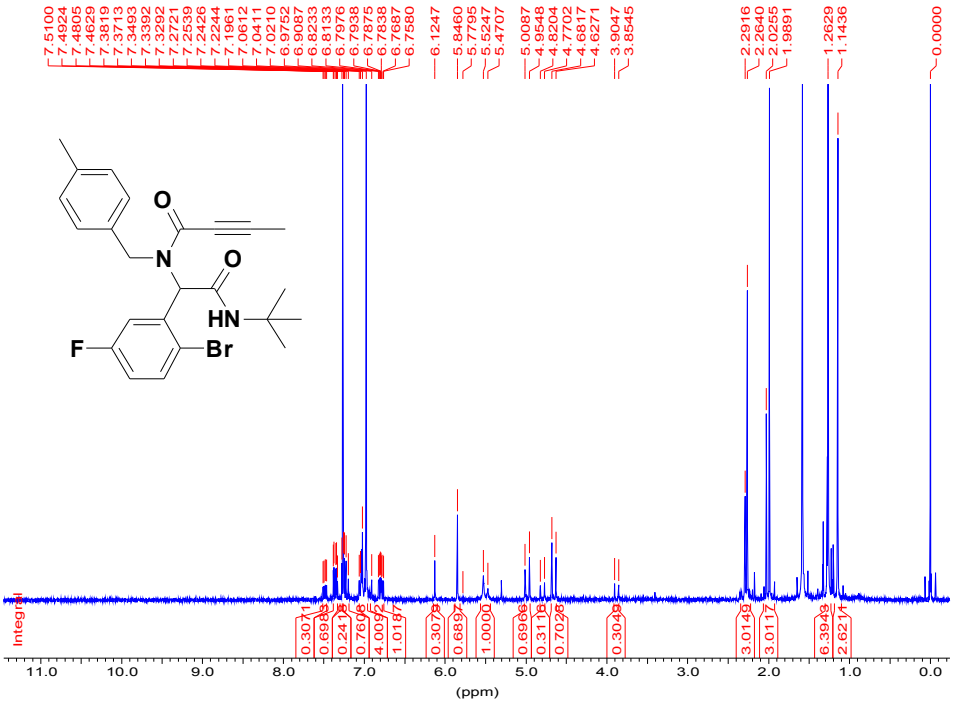


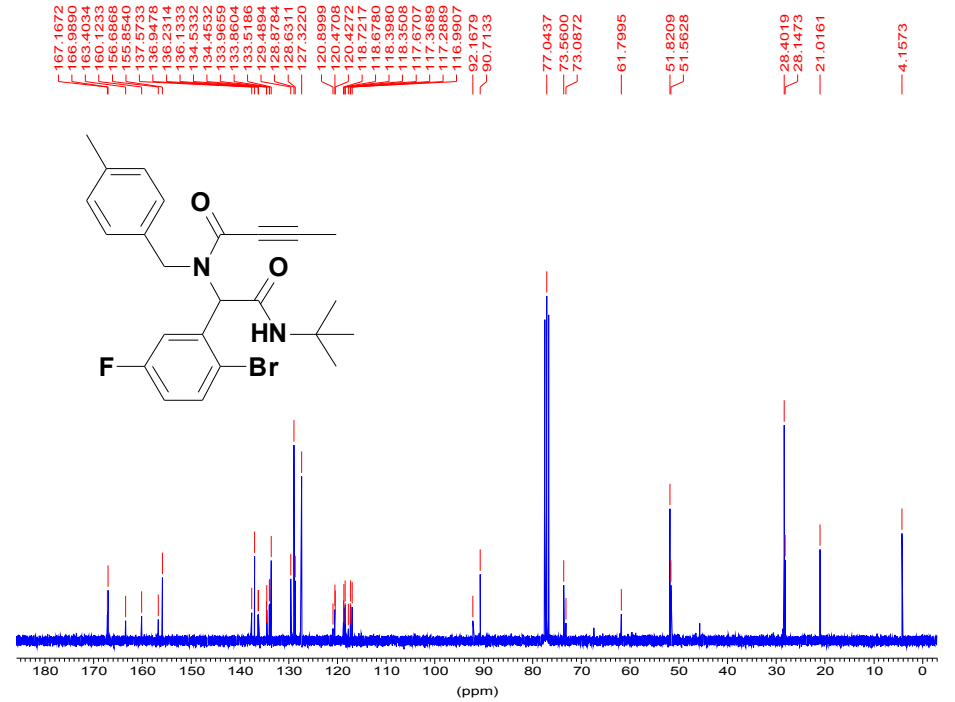
^{1}H and ^{13}C NMR spectra of compound 1n (300 MHz, $CDCl_3$)



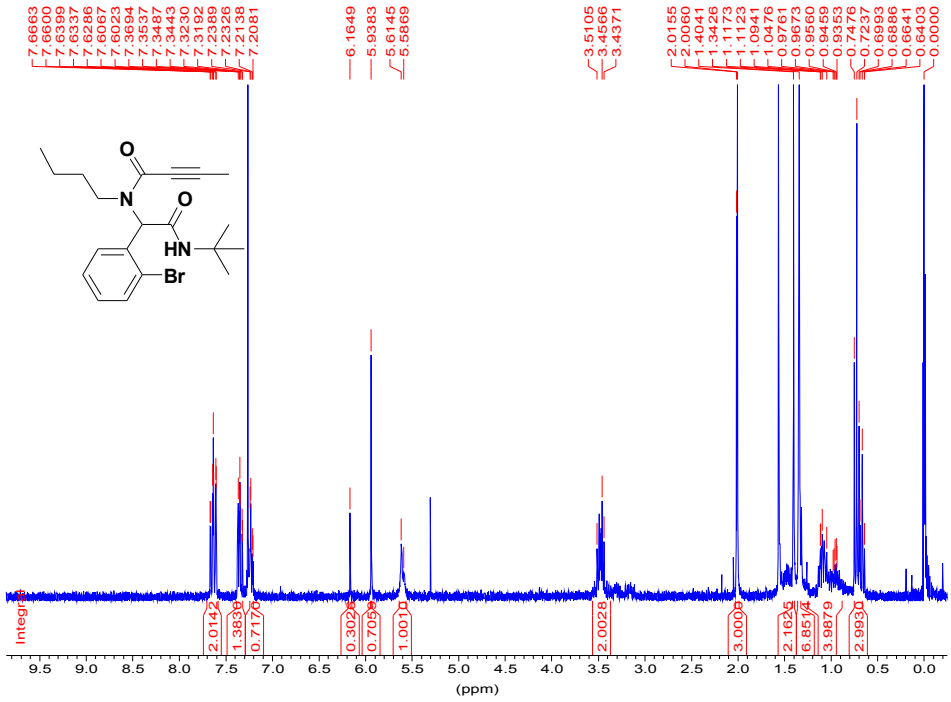


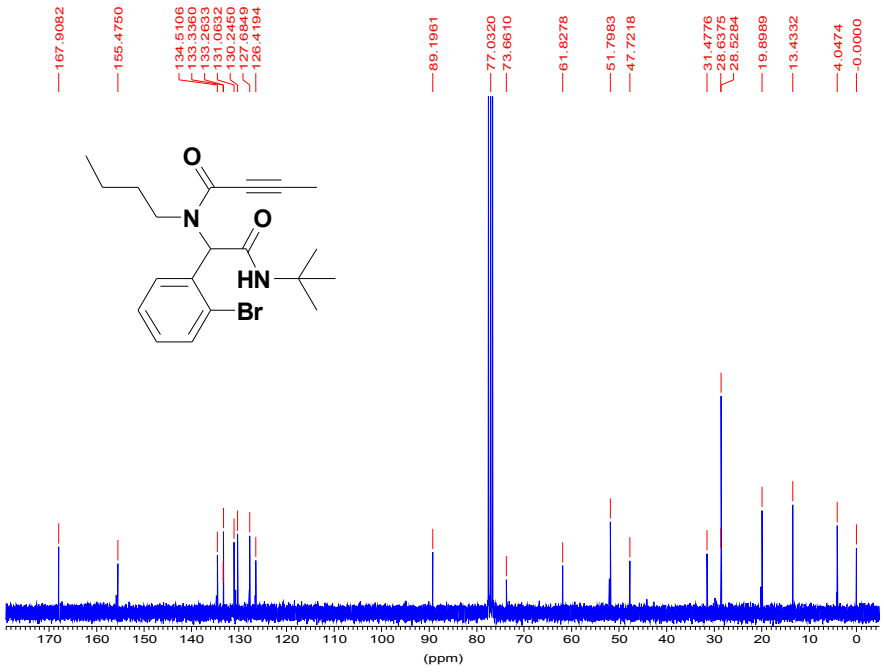
^{1}H and ^{13}C NMR spectra of compound 1o (300 MHz, $CDCl_3$)



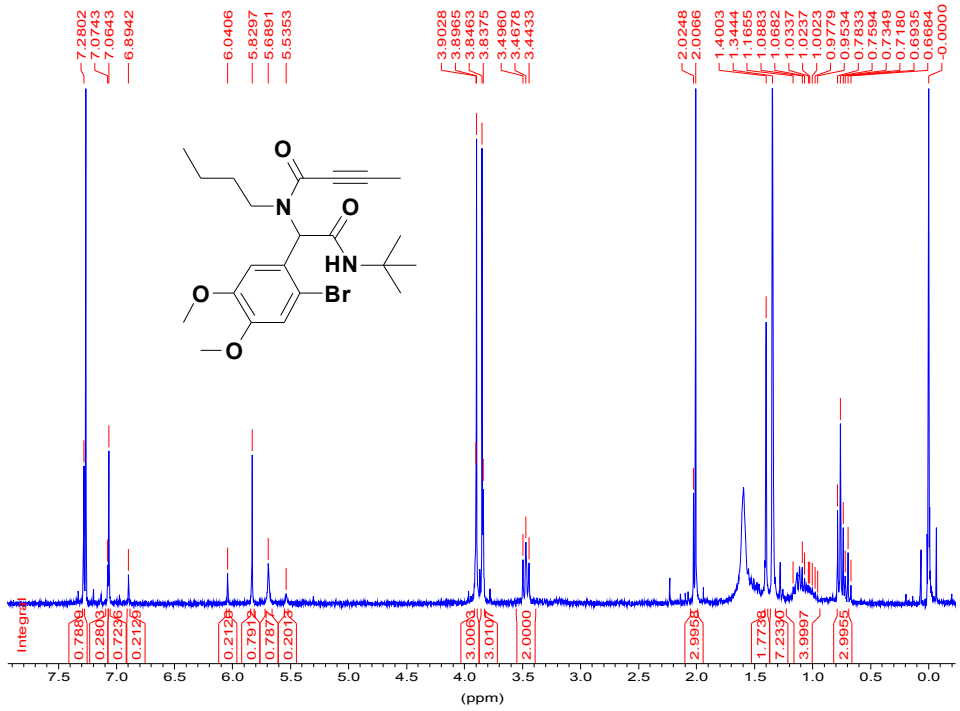


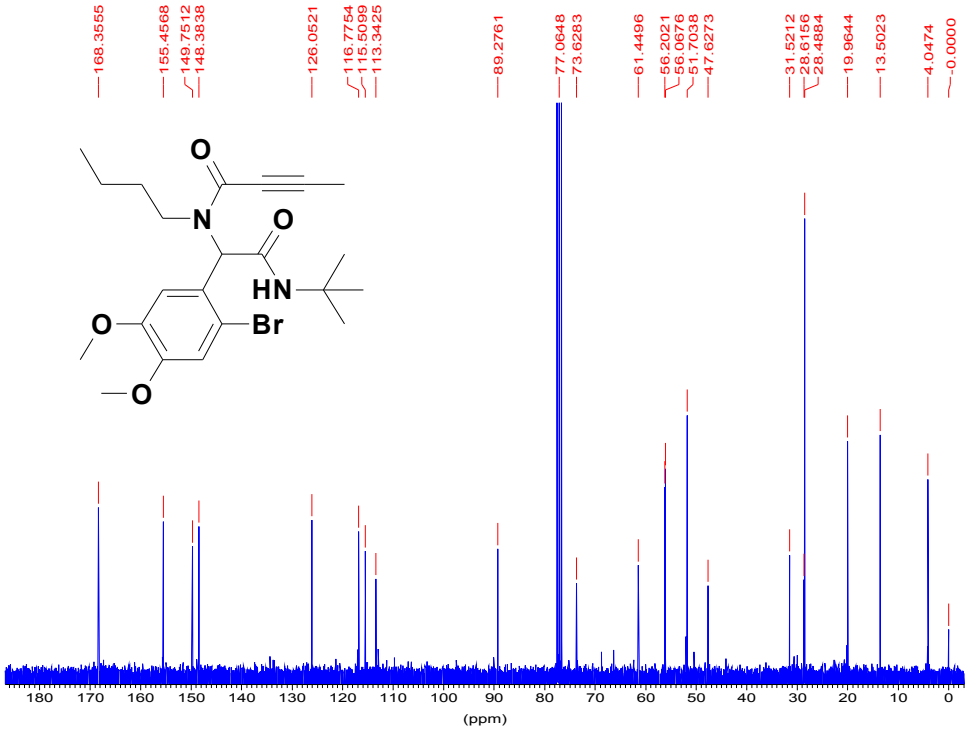
^{1}H and ^{13}C NMR spectra of compound 1p (300 MHz, $CDCl_3$)



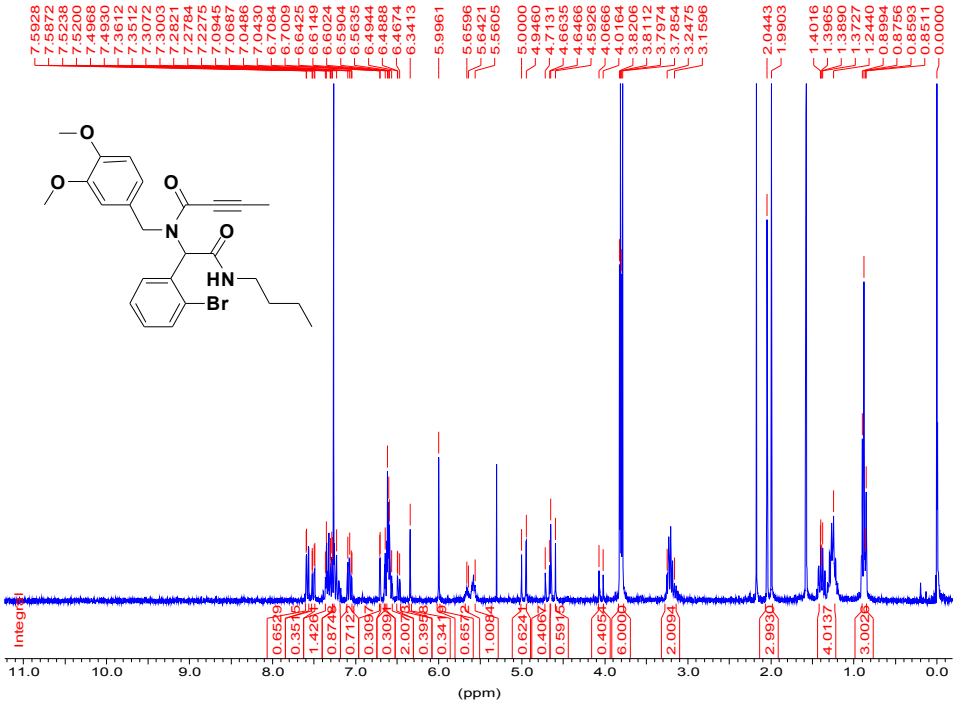


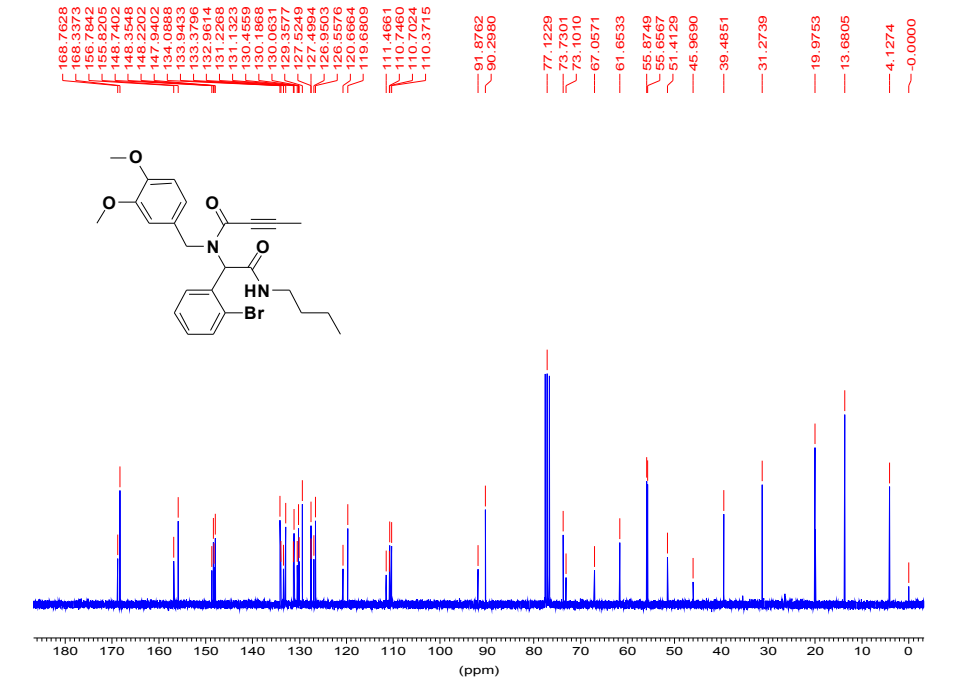
^{1}H and ^{13}C NMR spectra of compound 1q (300 MHz, $CDCl_3$)



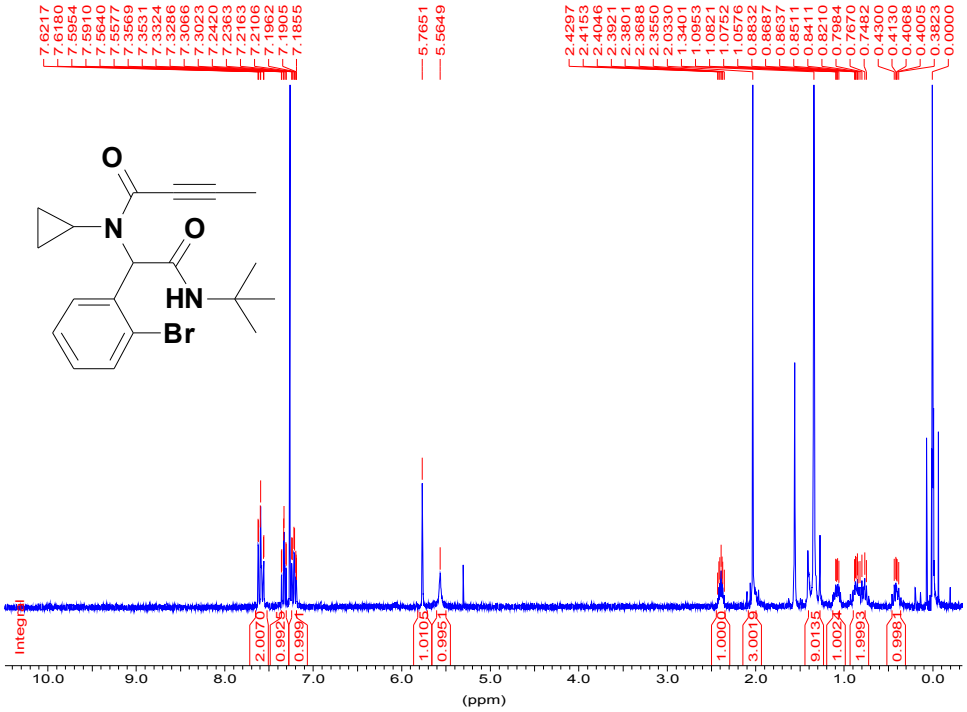


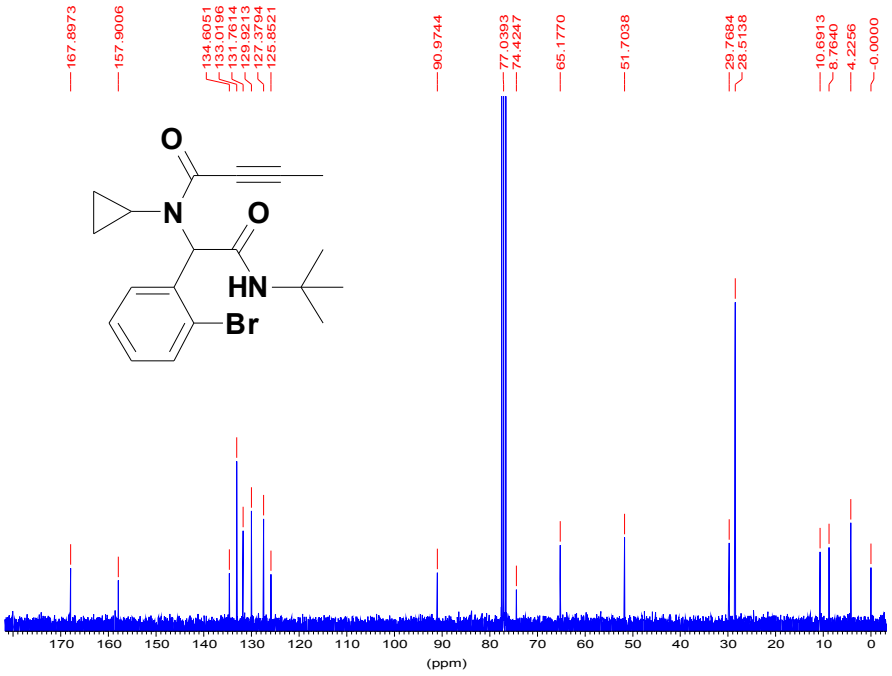
^{1}H and ^{13}C NMR spectra of compound 1r (300 MHz, $CDCl_3$)



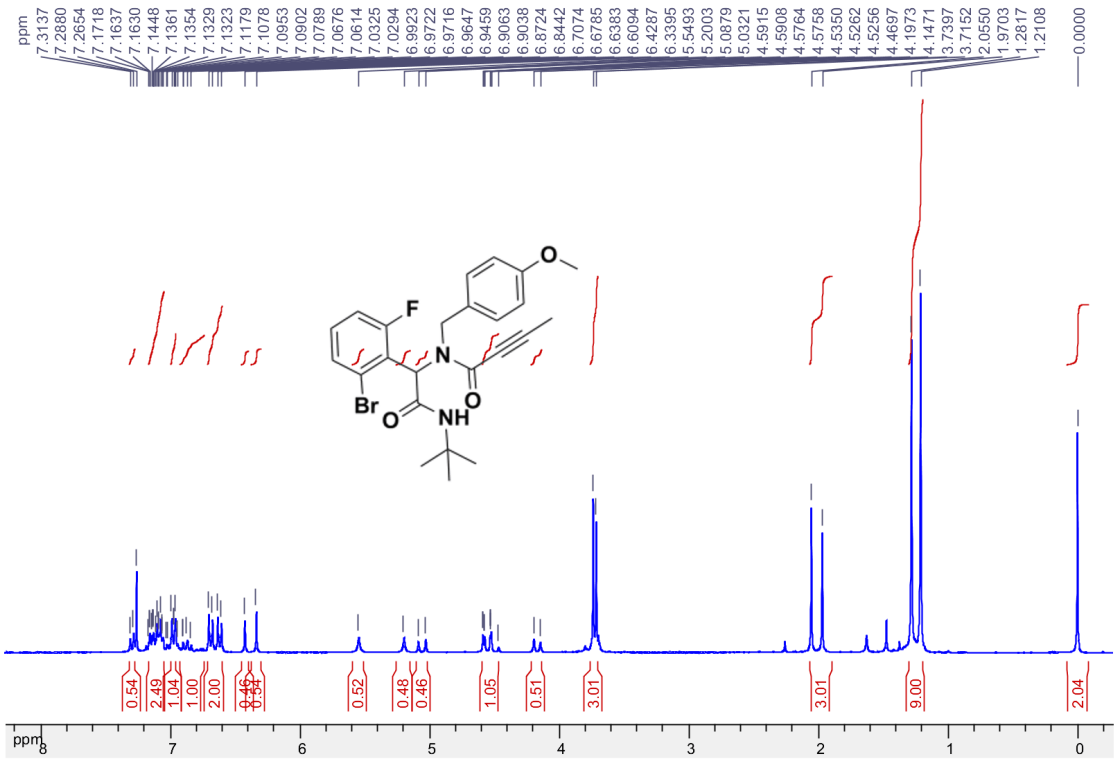


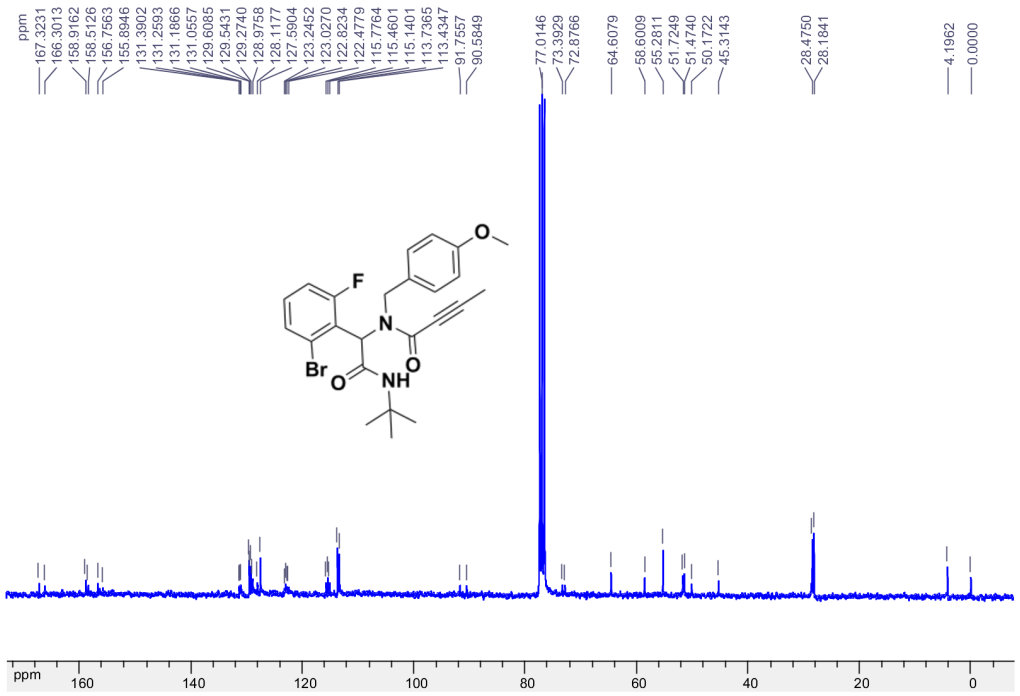
^{1}H and ^{13}C NMR spectra of compound 1s (300 MHz, $CDCl_3$)



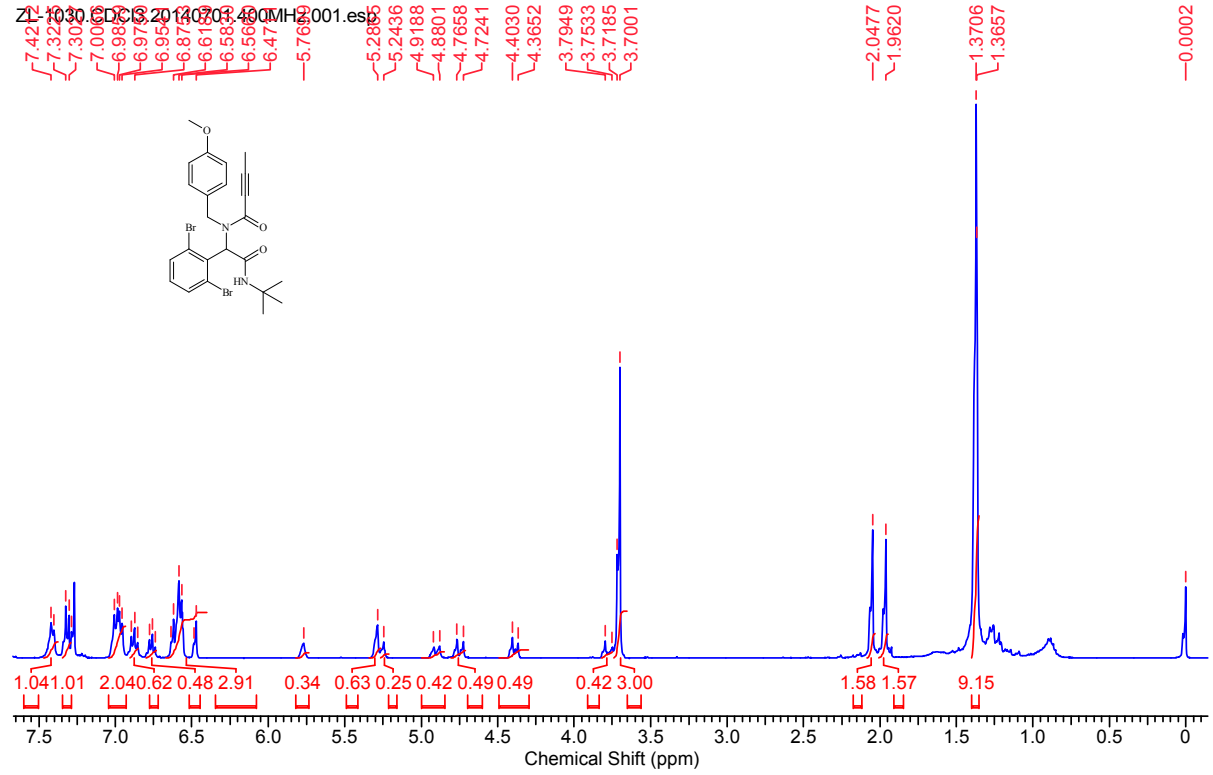


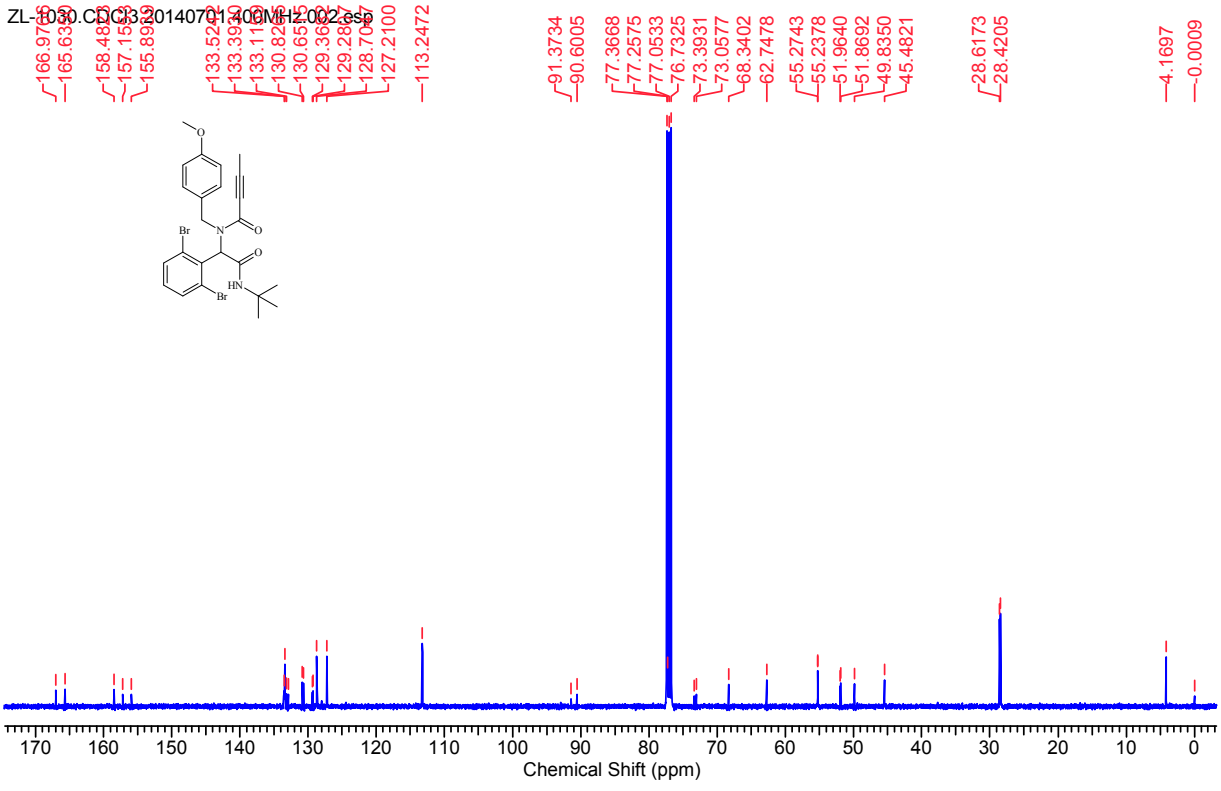
^{1}H and ^{13}C NMR spectra of compound 1t (300 MHz, $CDCl_3$)



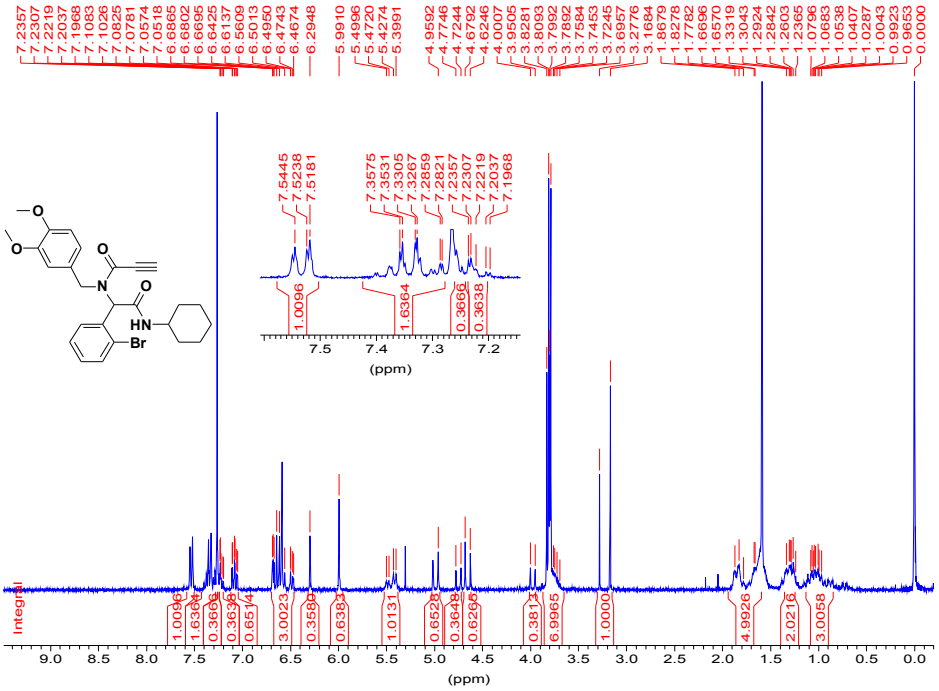


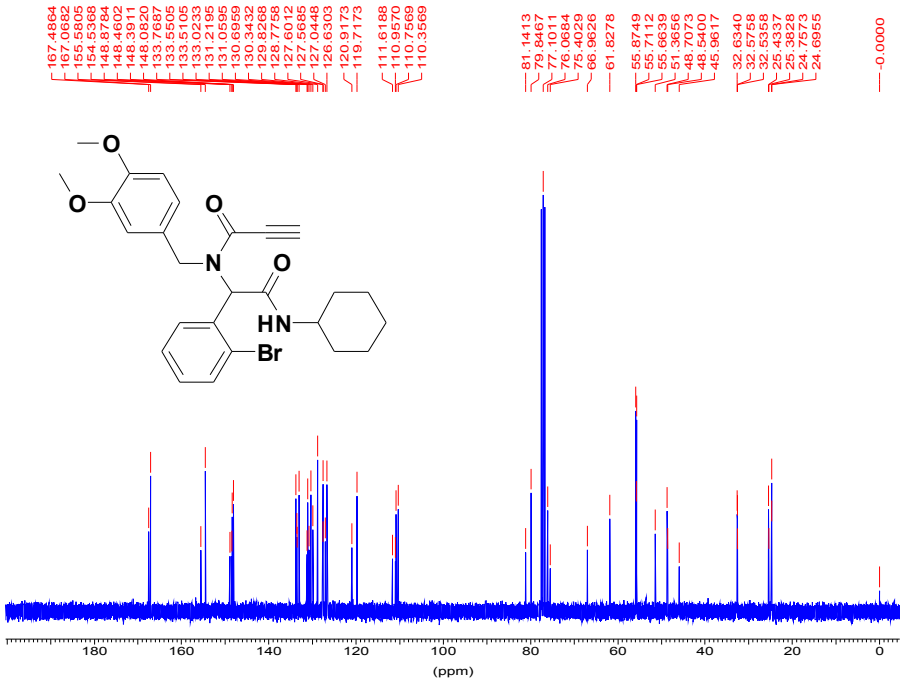
^{1}H and ^{13}C NMR spectra of compound 1u (300 MHz, $CDCl_3$)



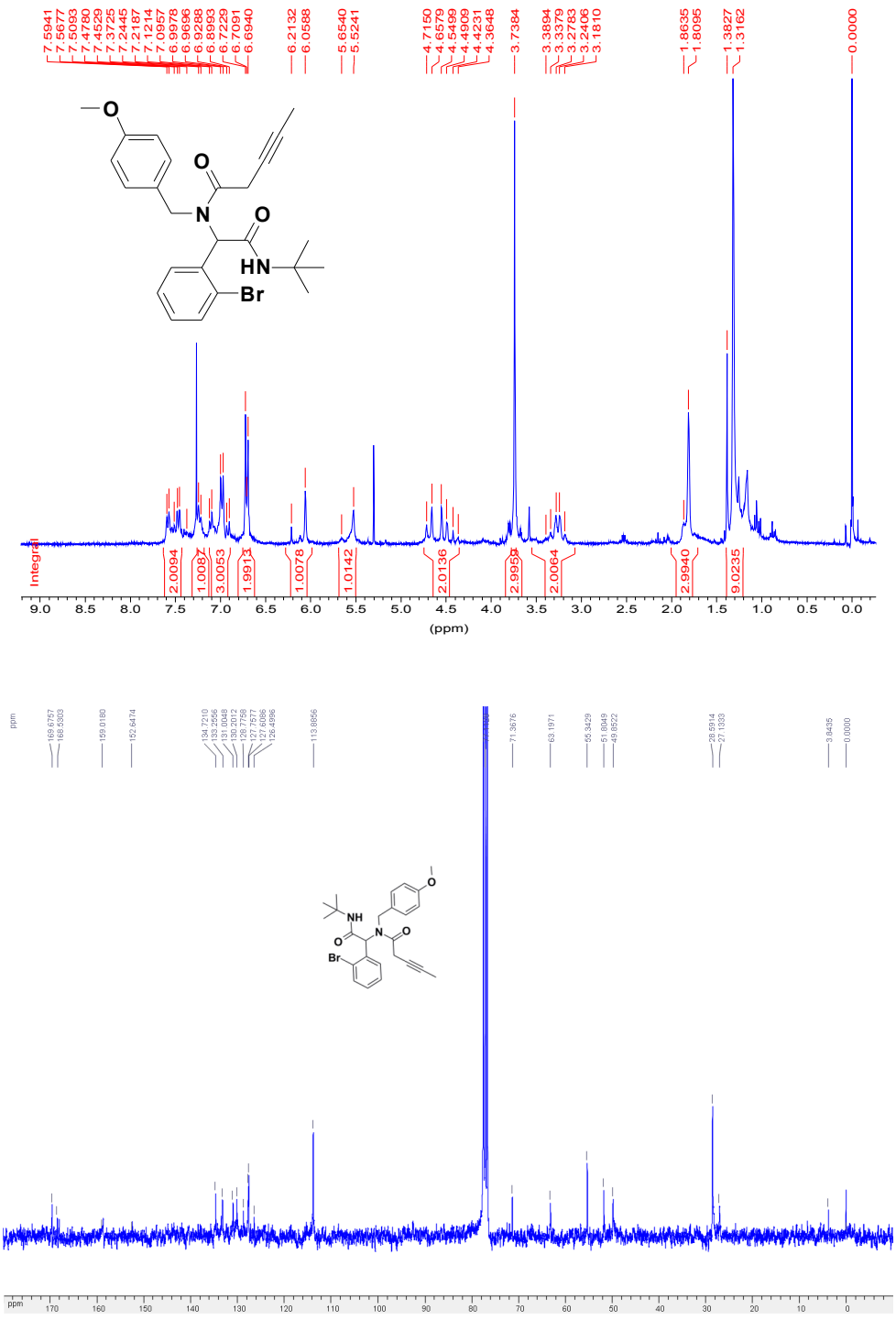


^{1}H and ^{13}C NMR spectra of compound 1v (300 MHz, $CDCl_3$)

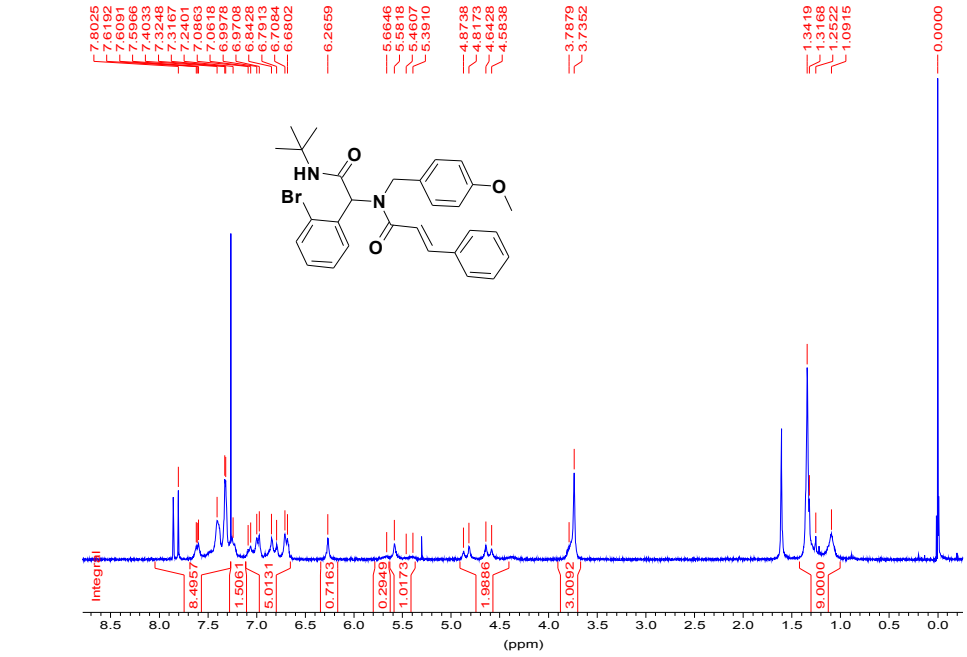


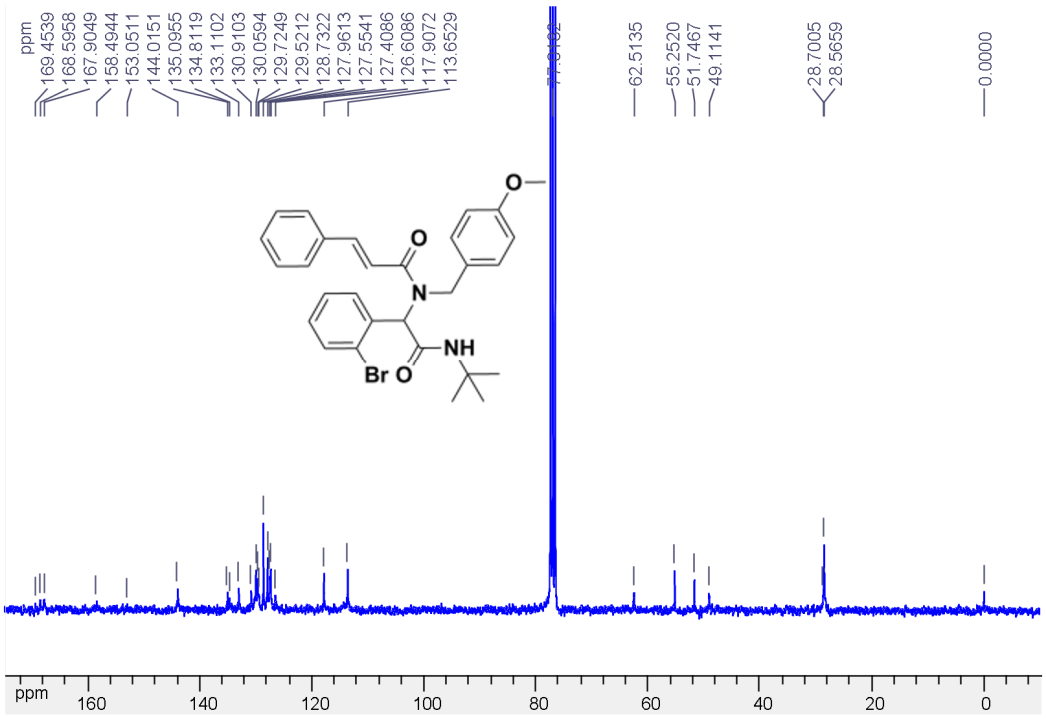


^{1}H and ^{13}C NMR spectra of compound 1a′ (300 MHz, $CDCl_3$)

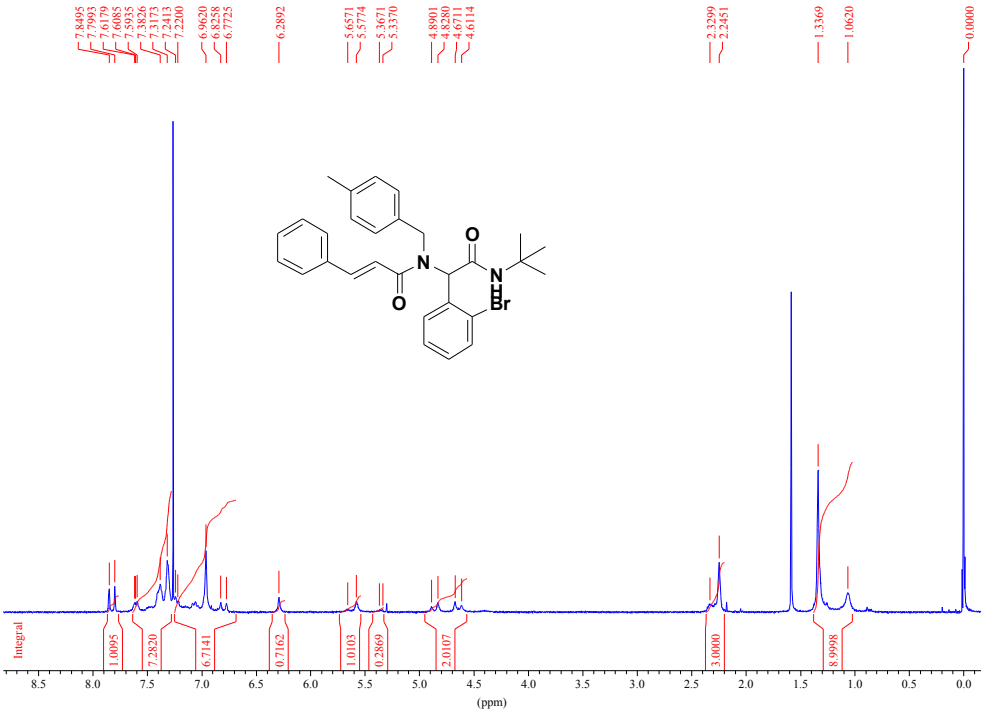


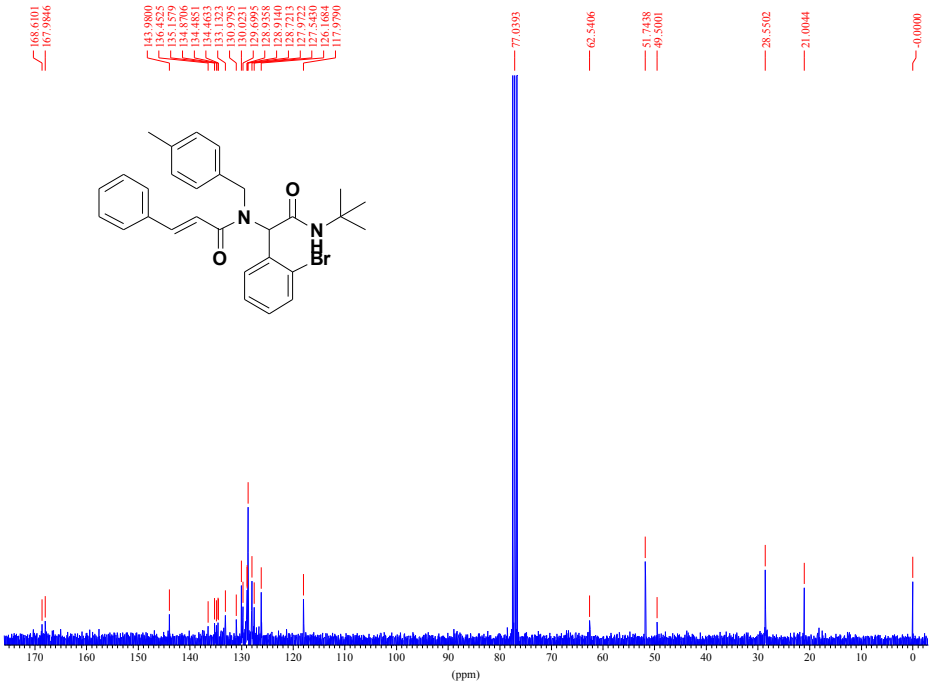
^{1}H and ^{13}C NMR spectra of compound 1b′ (300 MHz, $CDCl_3$)



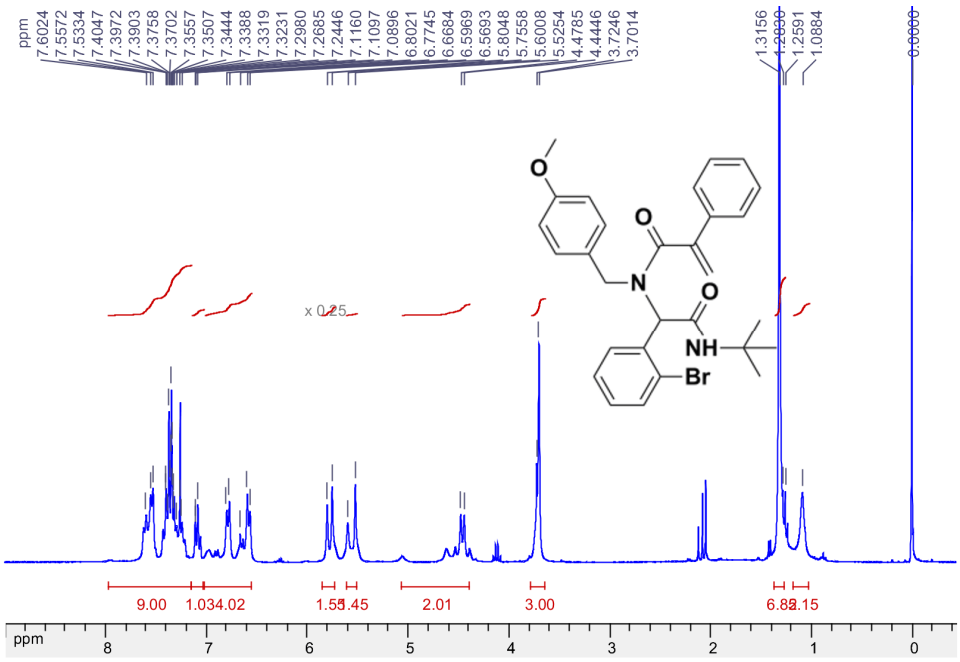


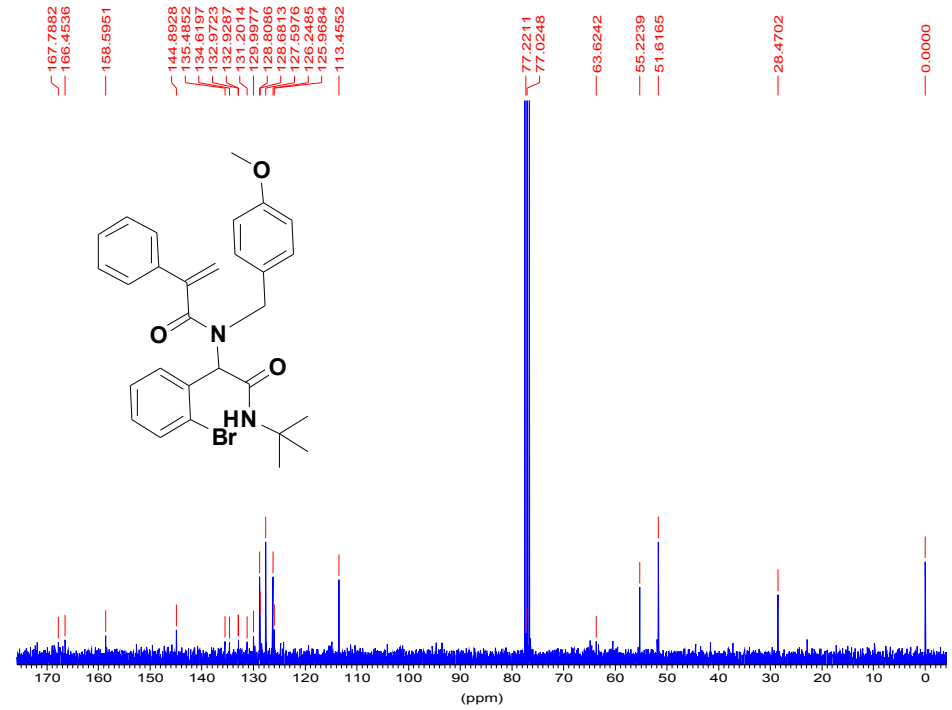
^{1}H and ^{13}C NMR spectra of compound 1c′ (300 MHz, $CDCl_3$)



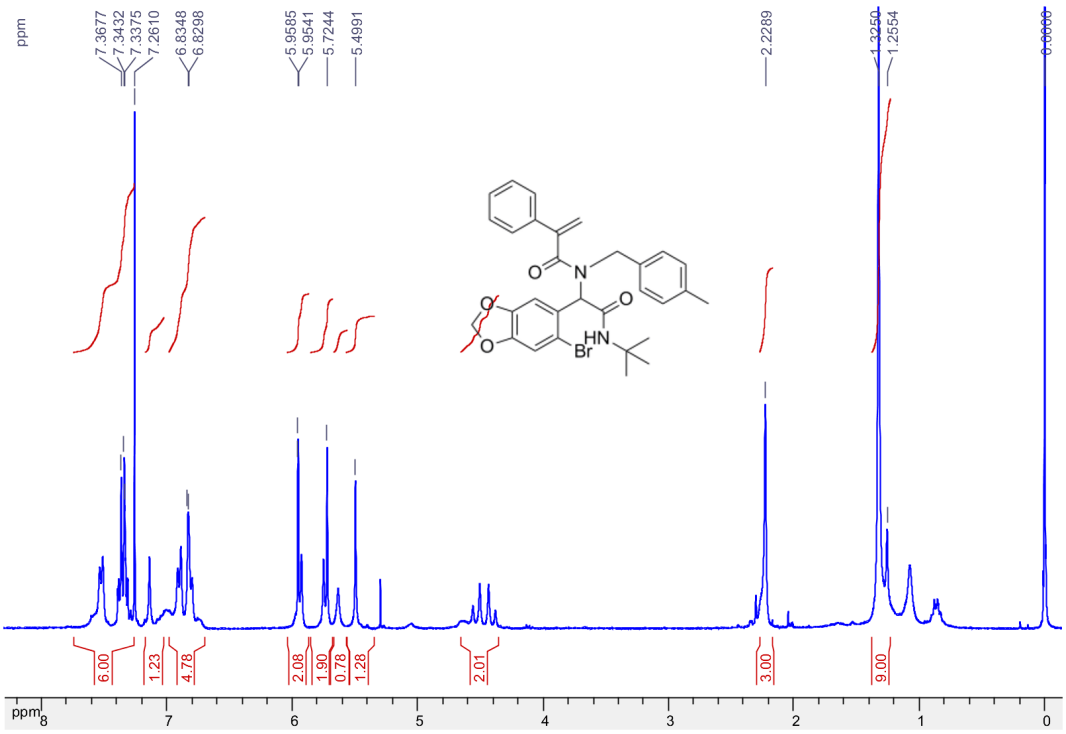


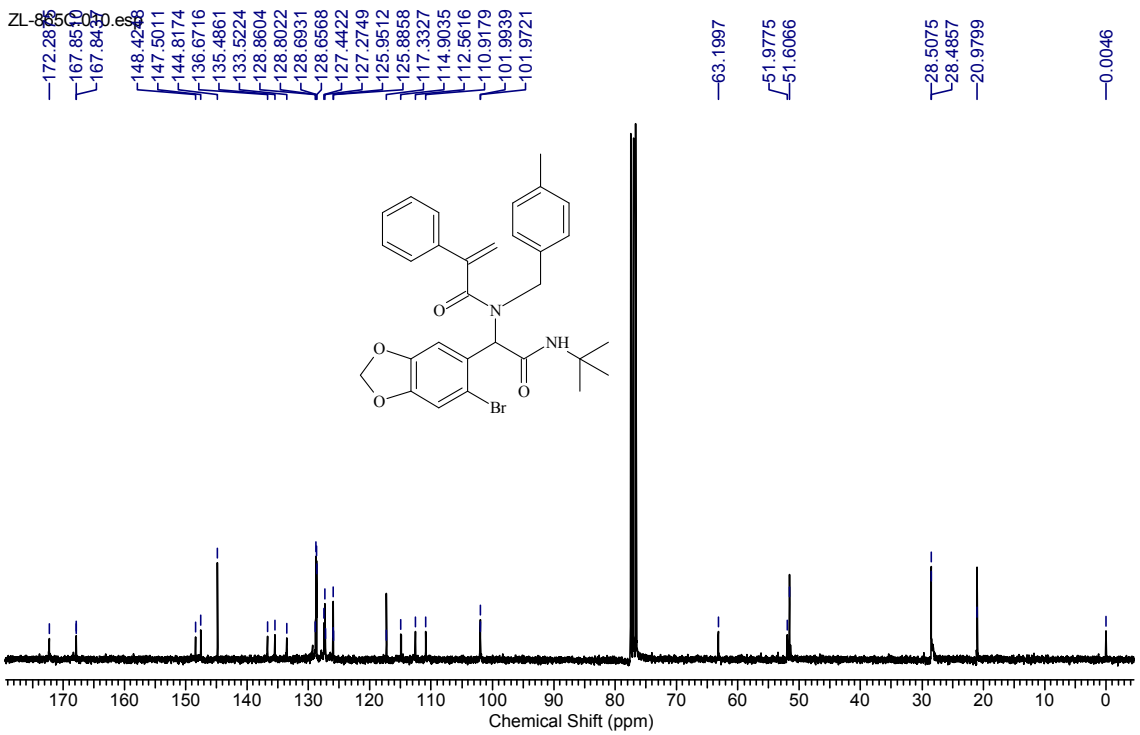
^{1}H and ^{13}C NMR spectra of compound 1d′ (300 MHz, $CDCl_3$)



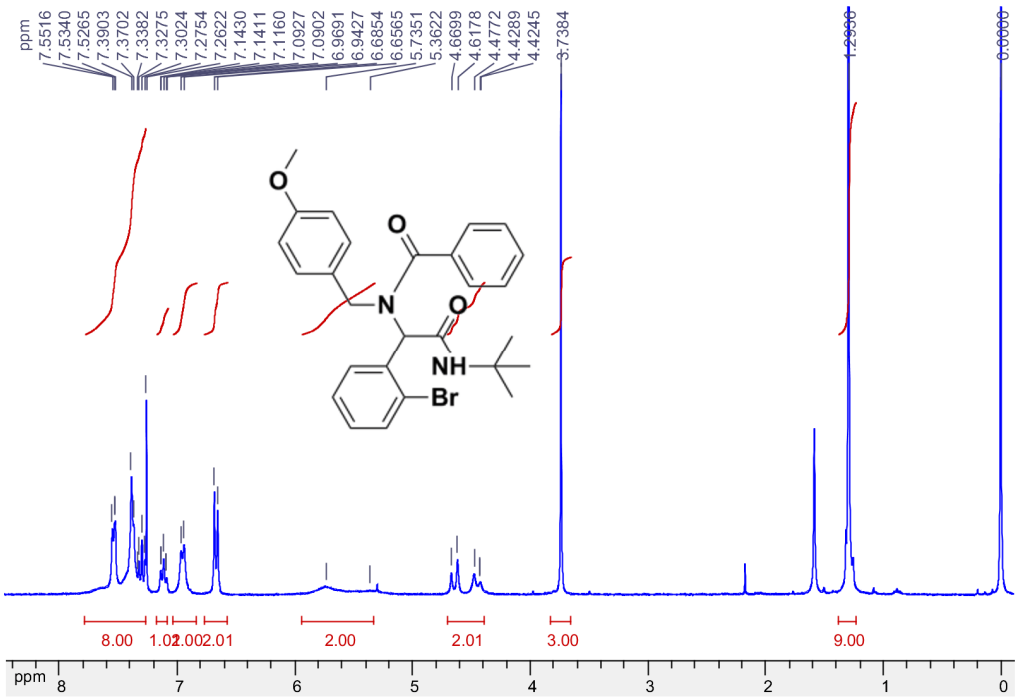


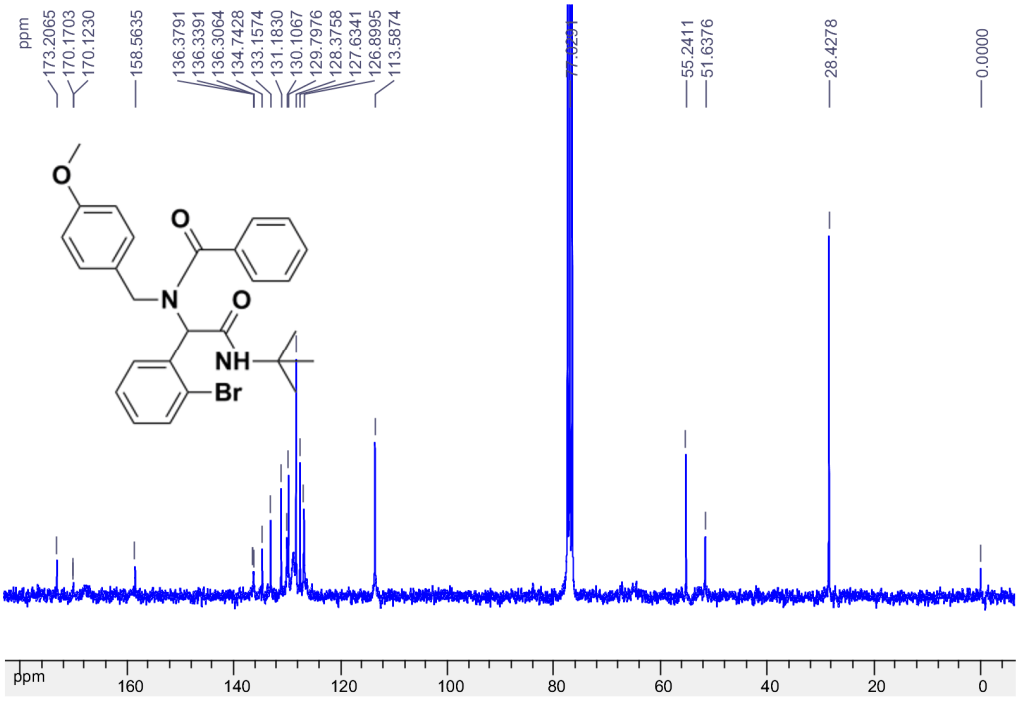
^{1}H and ^{13}C NMR spectra of compound 1e′ (300 MHz, $CDCl_3$)



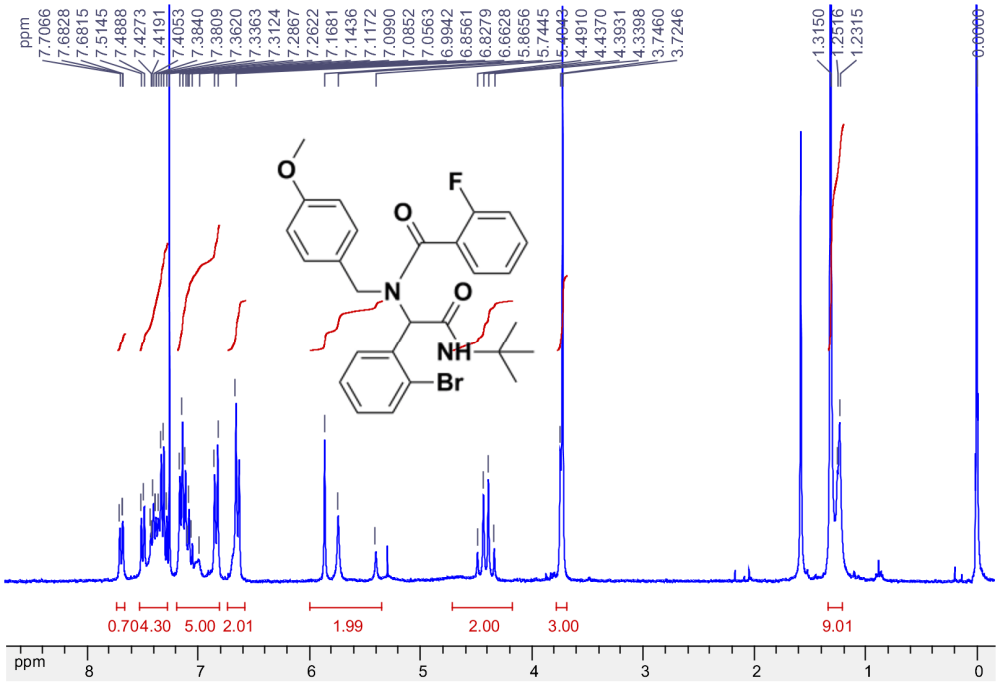


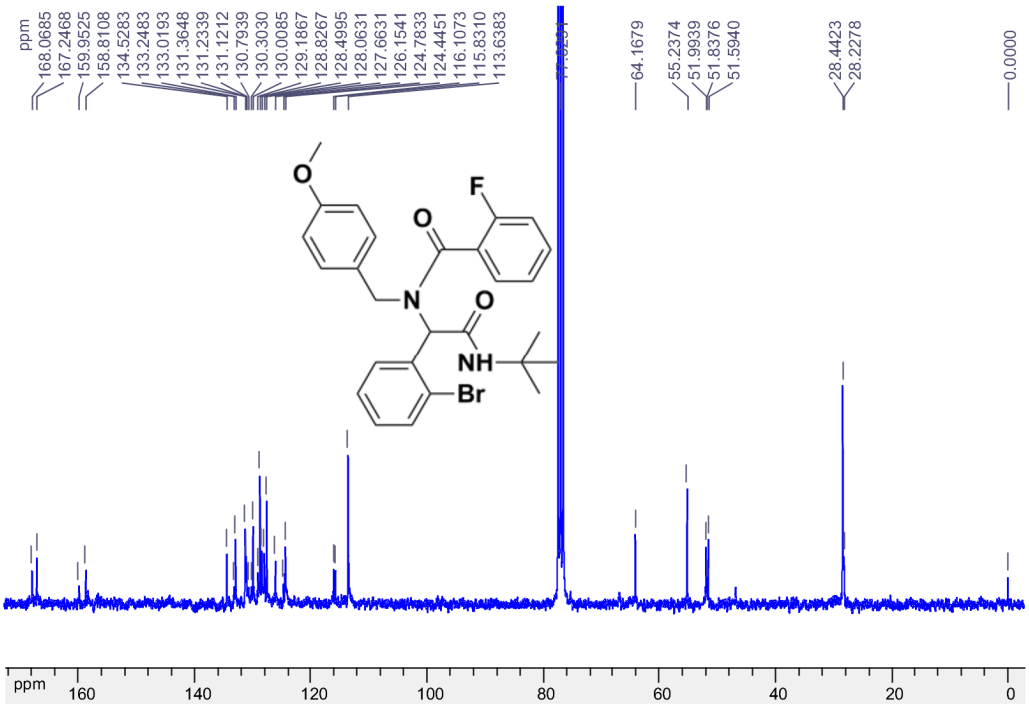
^{1}H and ^{13}C NMR spectra of compound, Scheme S1 (300 MHz, $CDCl_3$)



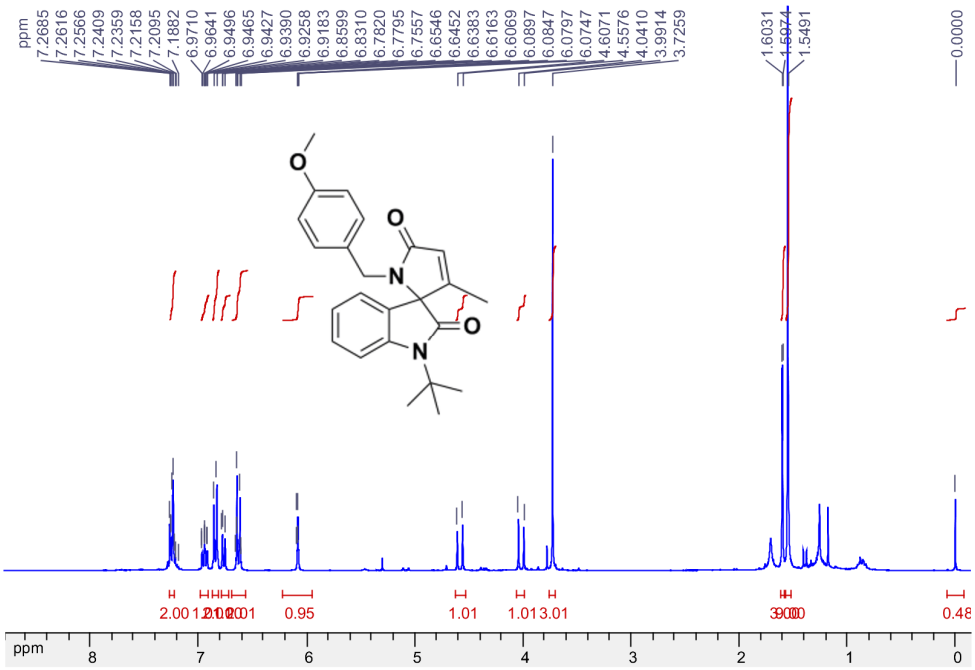


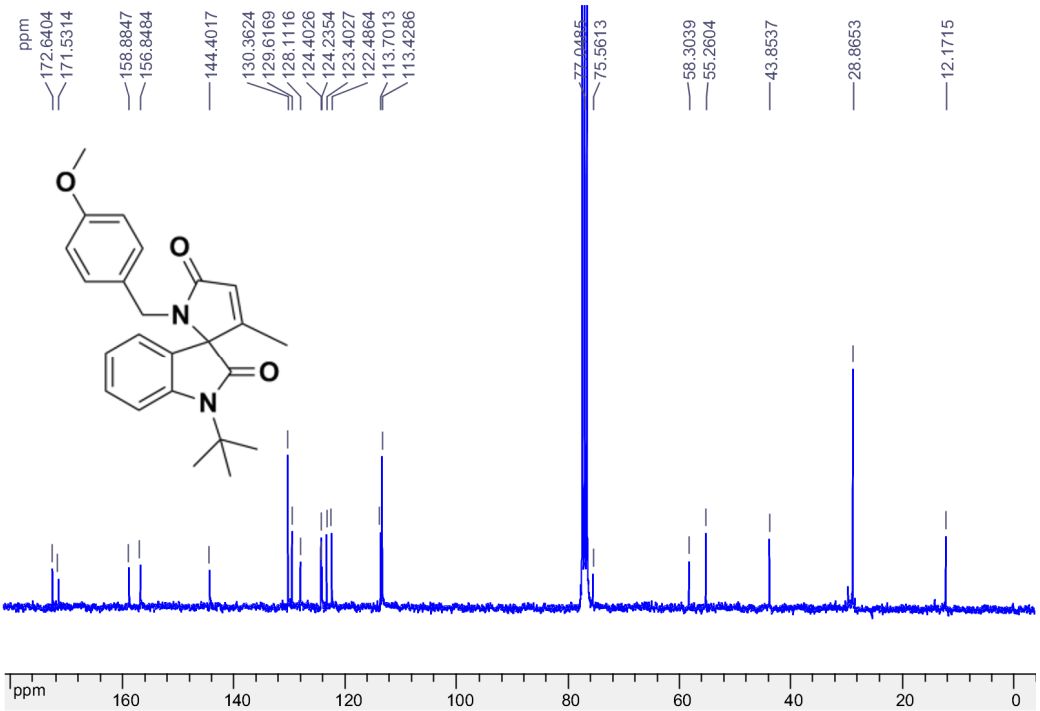
^{1}H and ^{13}C NMR spectra of compound, Scheme S1 (300 MHz, $CDCl_3$)



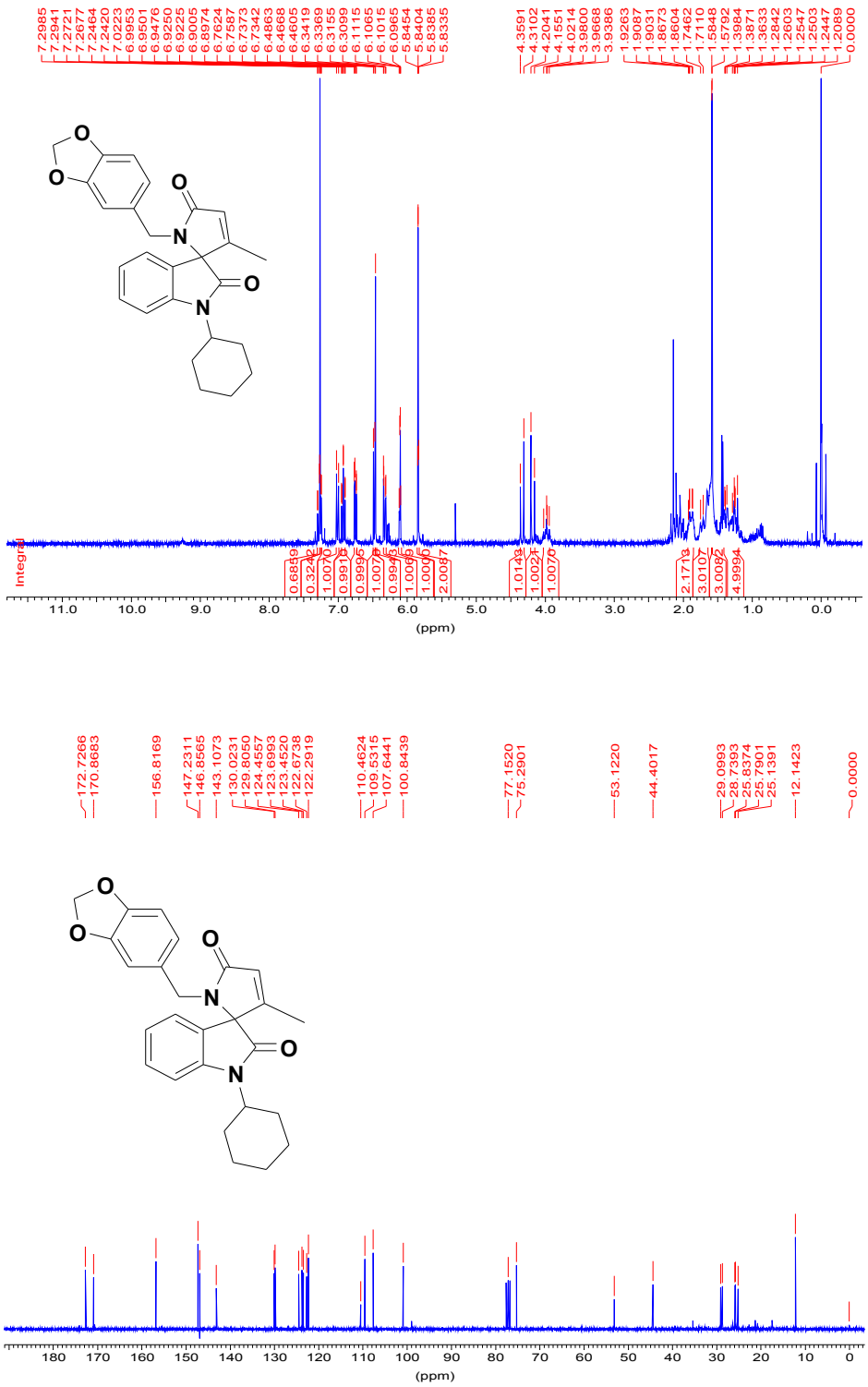


^{1}H and ^{13}C NMR spectra of compound 2a (300 MHz, $CDCl_3$)

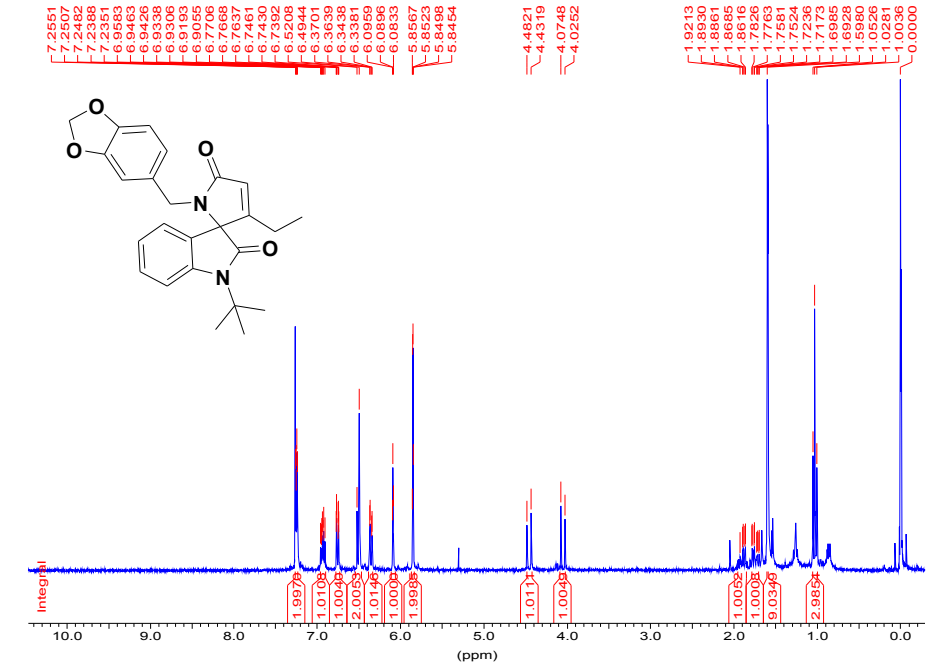


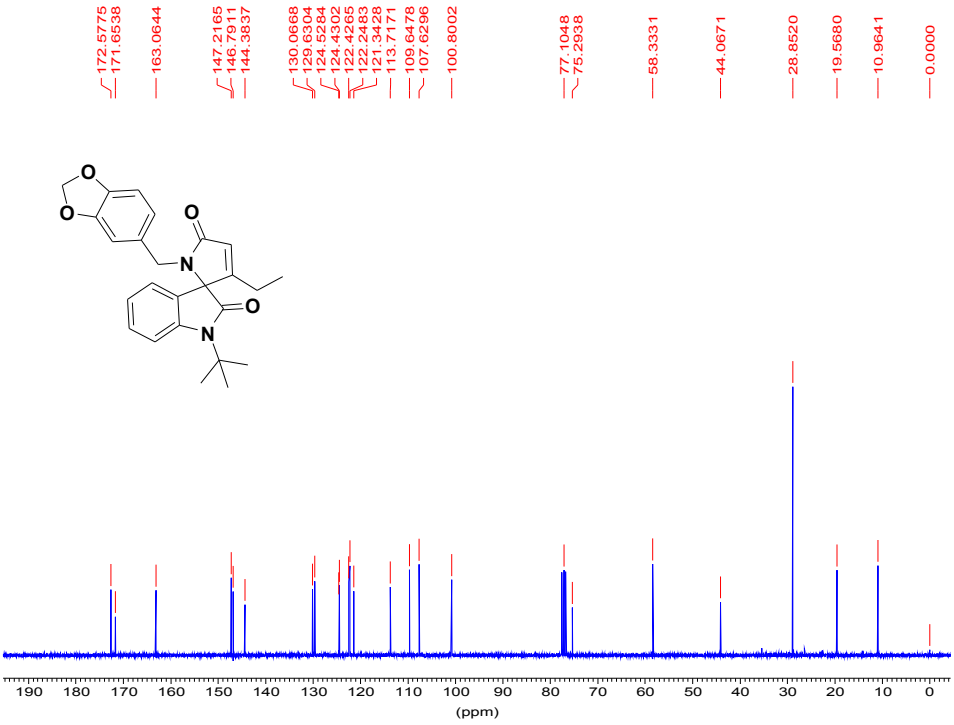


^{1}H and ^{13}C NMR spectra of compound 2d (300 MHz, $CDCl_3$)

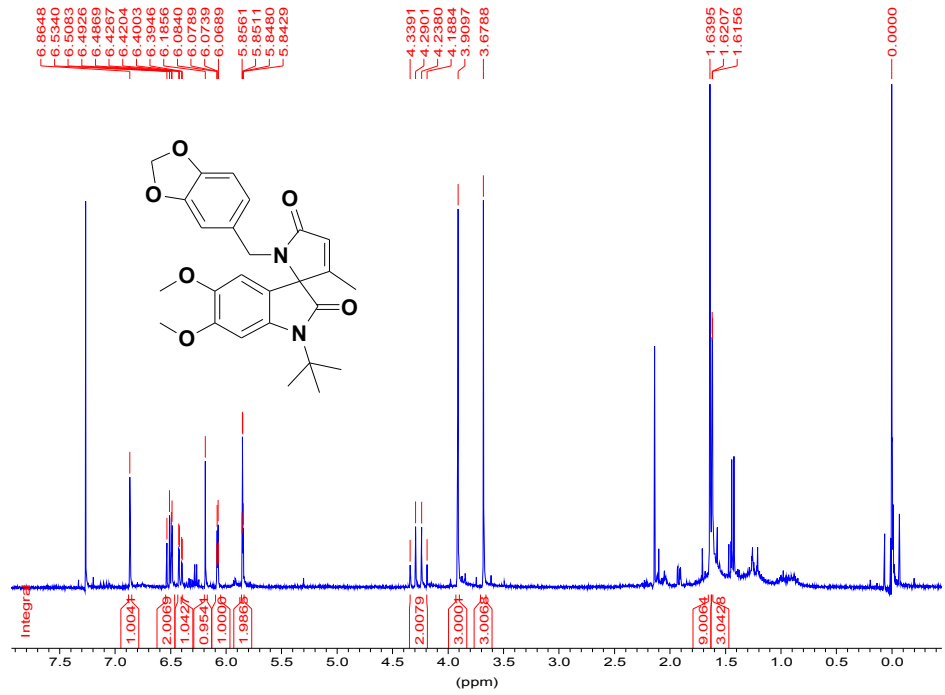


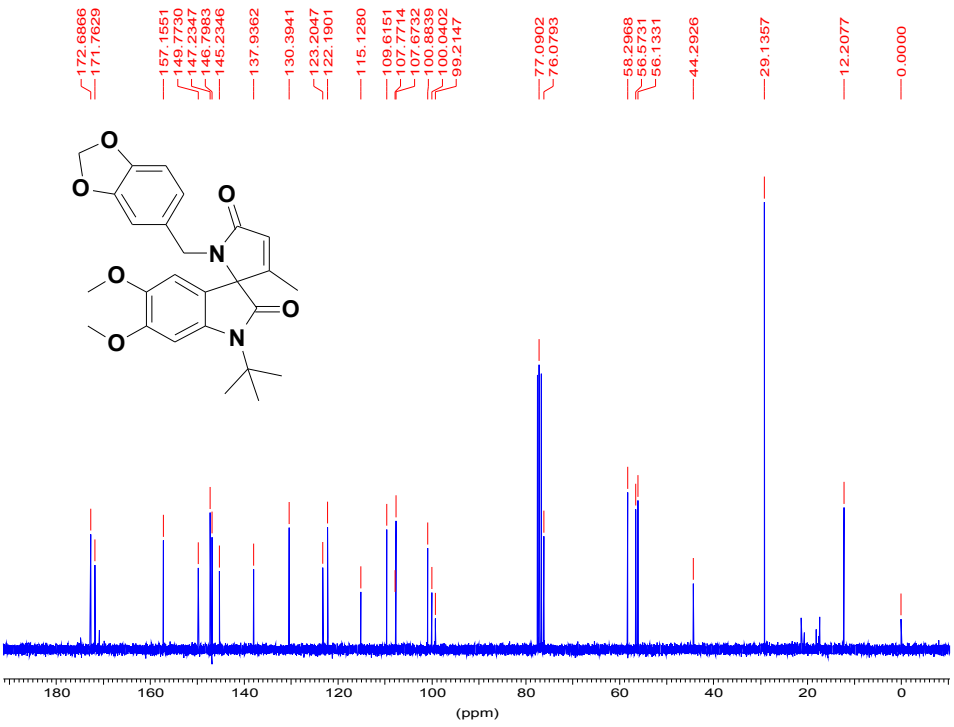
^{1}H and ^{13}C NMR spectra of compound 2e (300 MHz, $CDCl_3$)



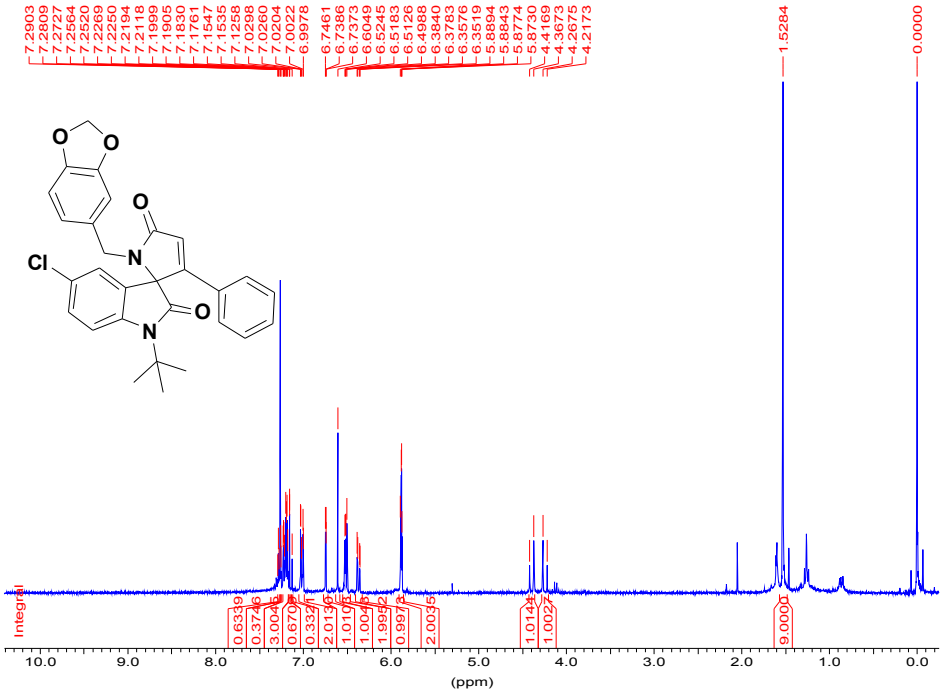


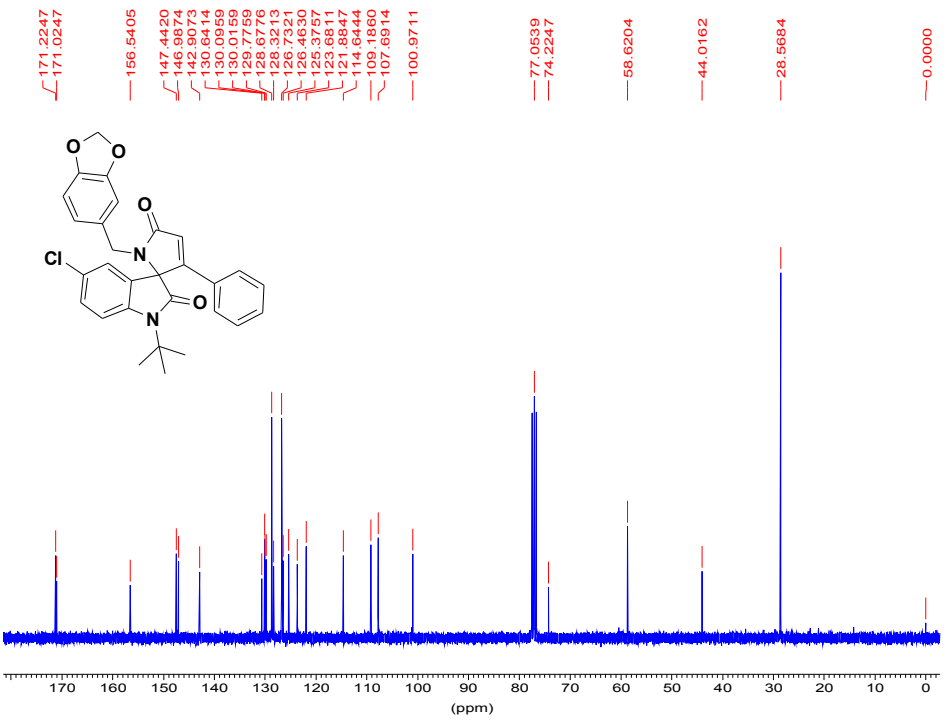
^{1}H and ^{13}C NMR spectra of compound 2f (300 MHz, $CDCl_3$)



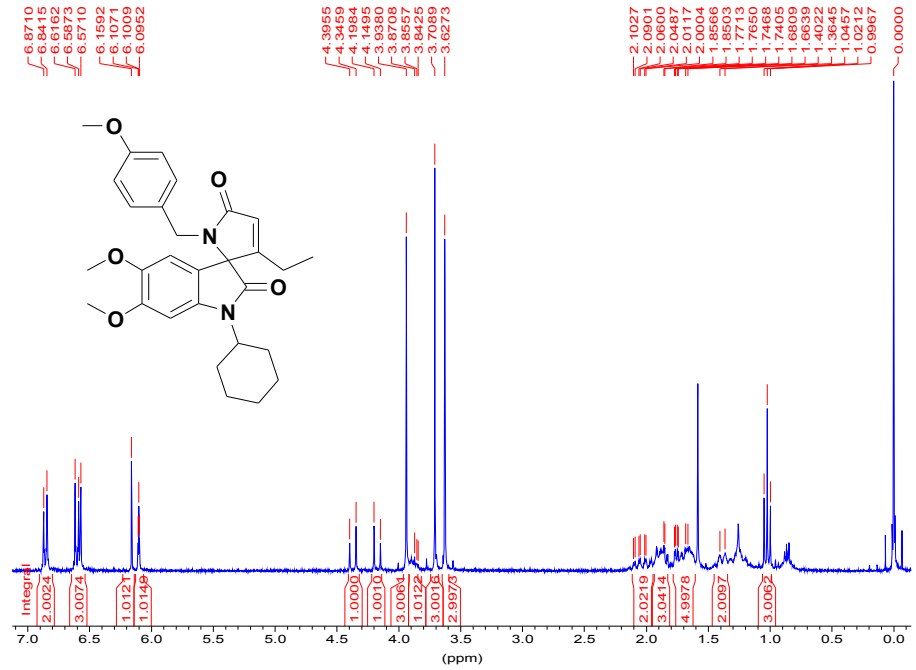


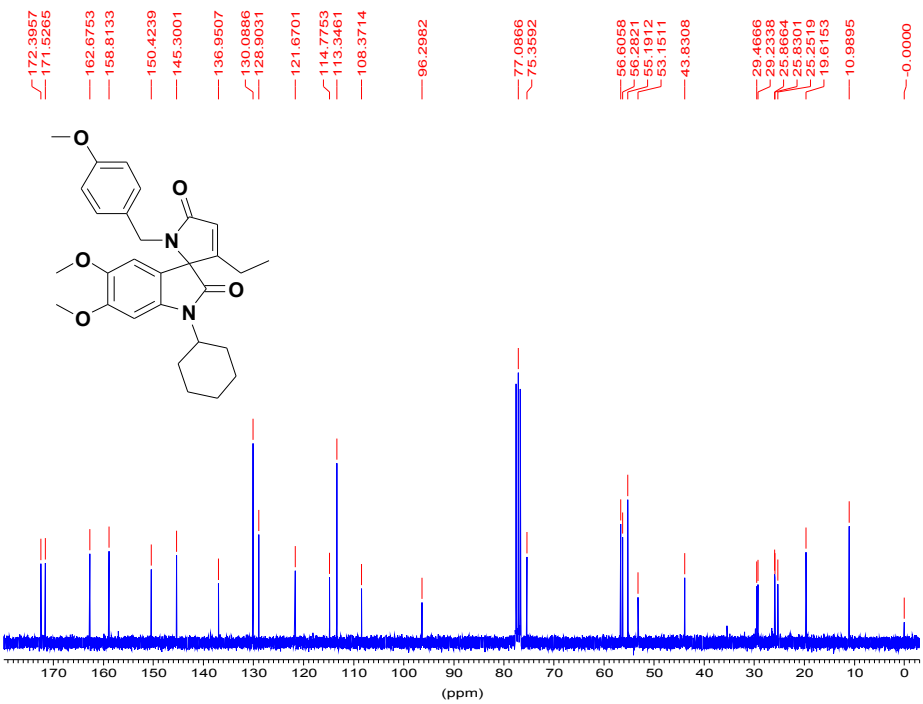
^{1}H and ^{13}C NMR spectra of compound 2g (300 MHz, $CDCl_3$)



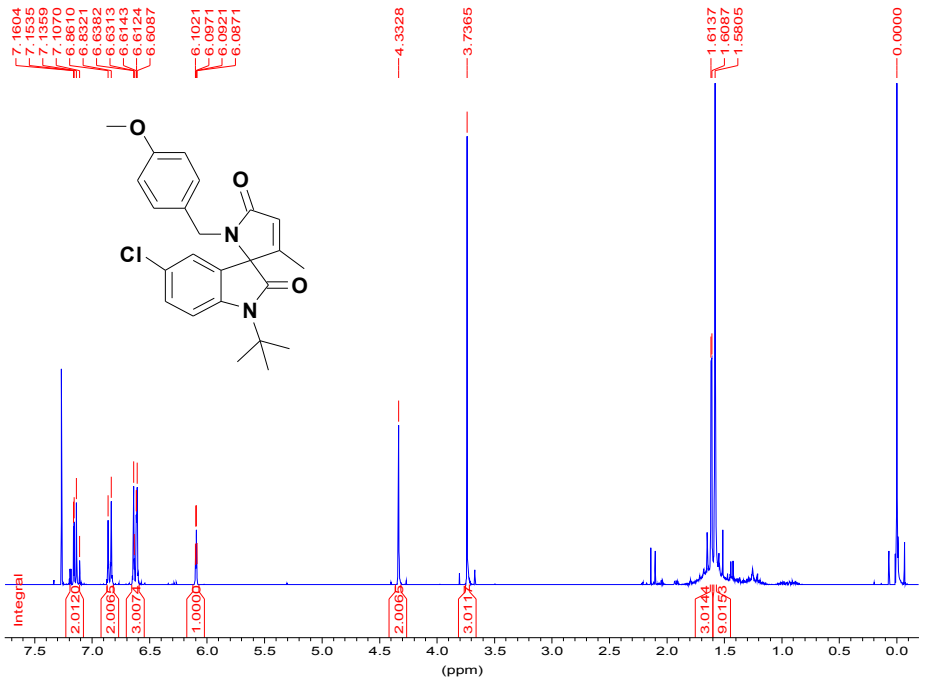


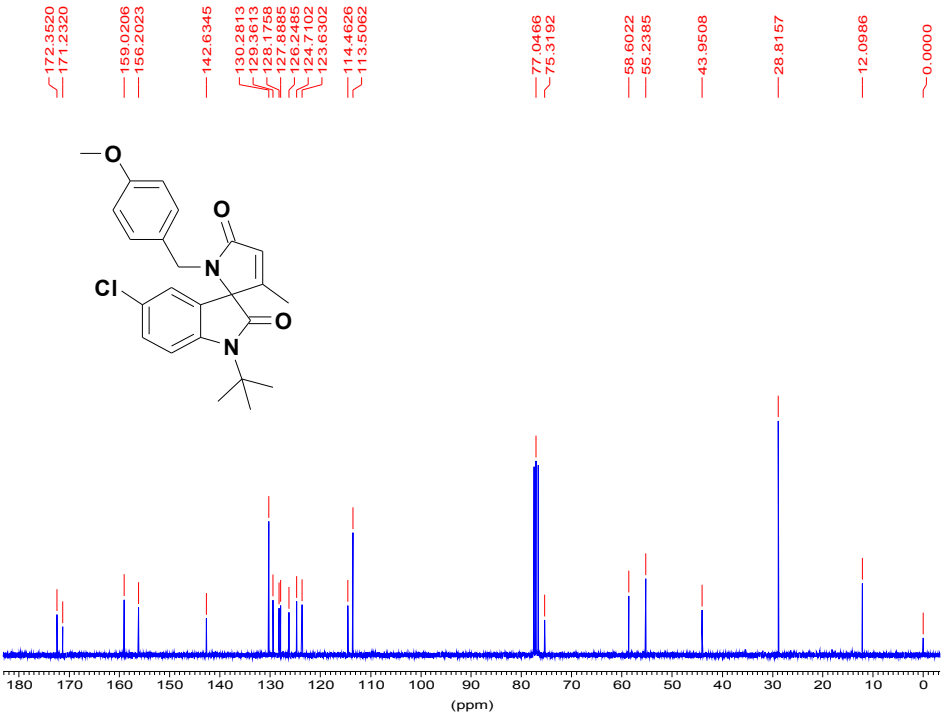
^{1}H and ^{13}C NMR spectra of compound 2h (300 MHz, $CDCl_3$)



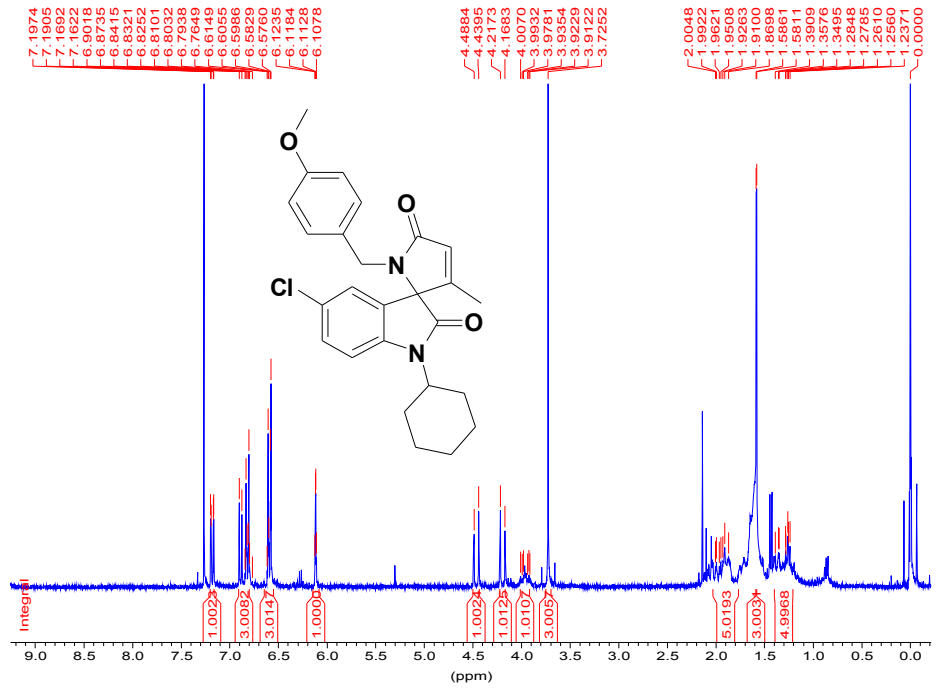


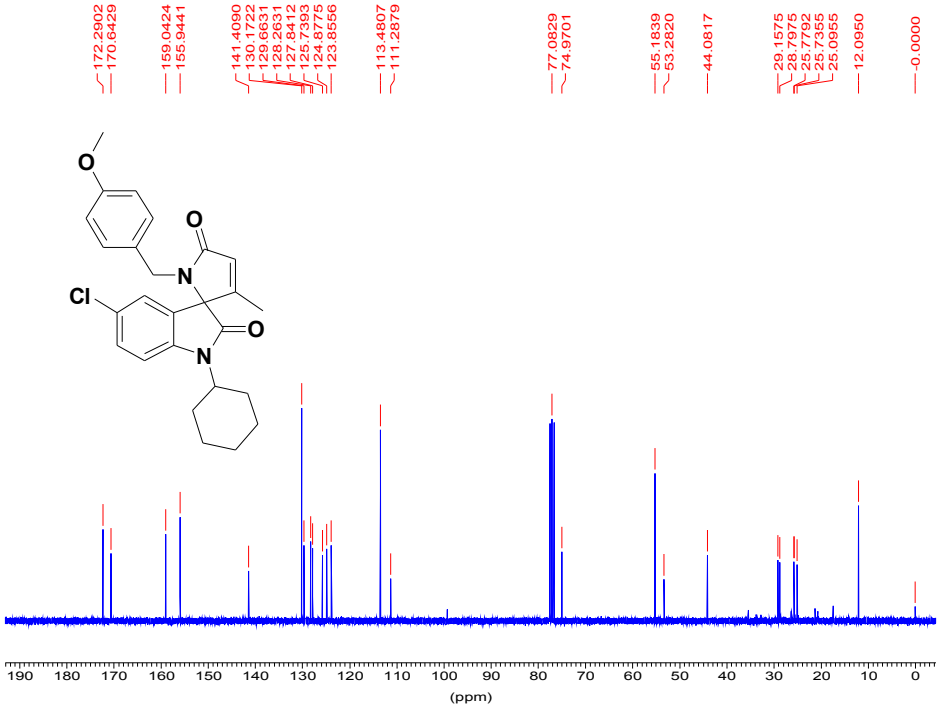
^{1}H and ^{13}C NMR spectra of compound 2i (300 MHz, $CDCl_3$)



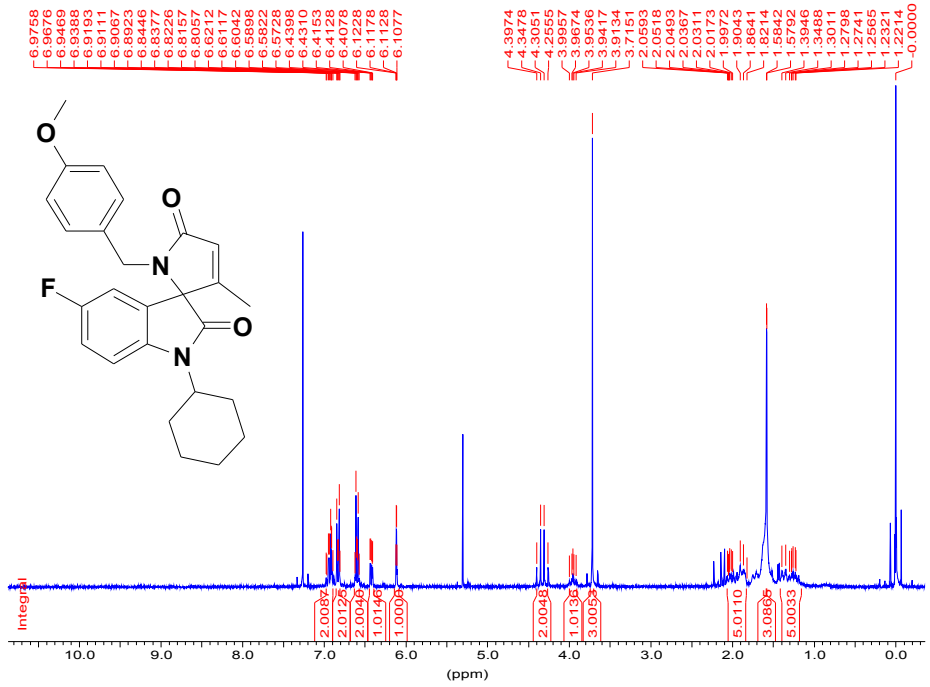


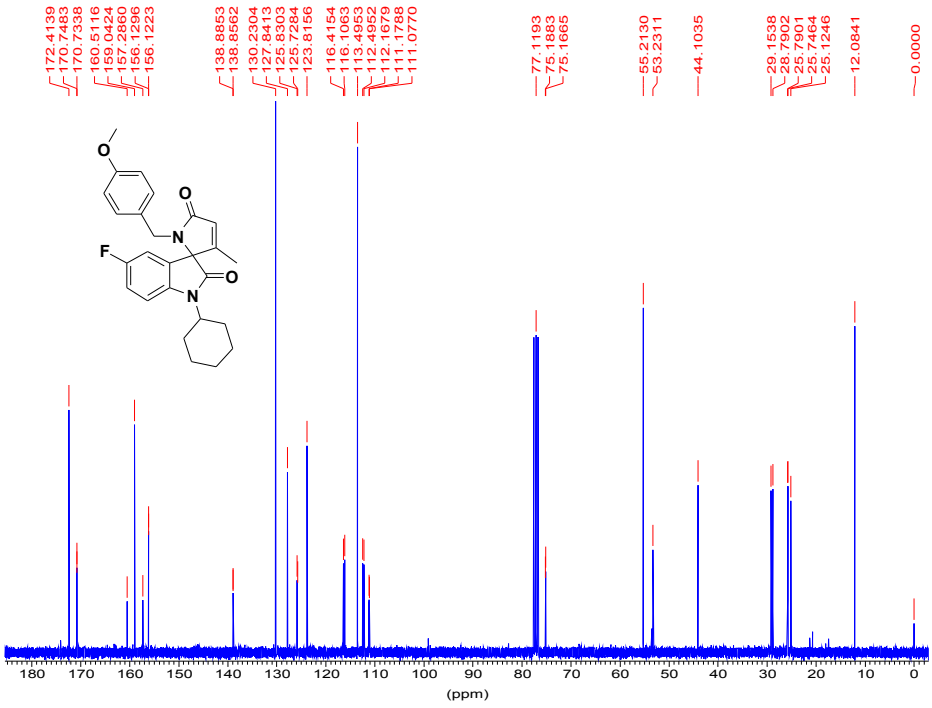
^{1}H and ^{13}C NMR spectra of compound 2j (300 MHz, $CDCl_3$)



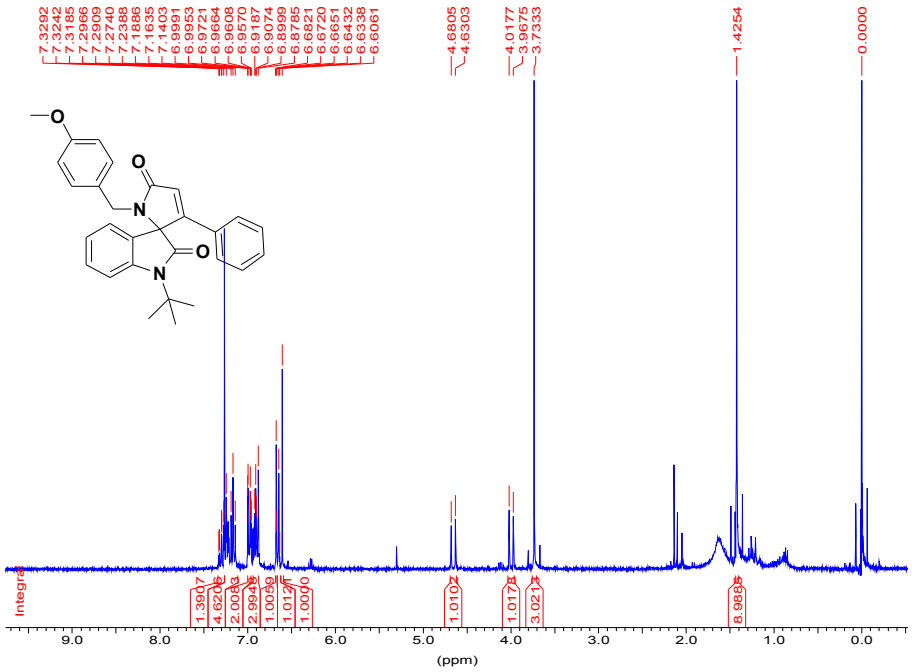


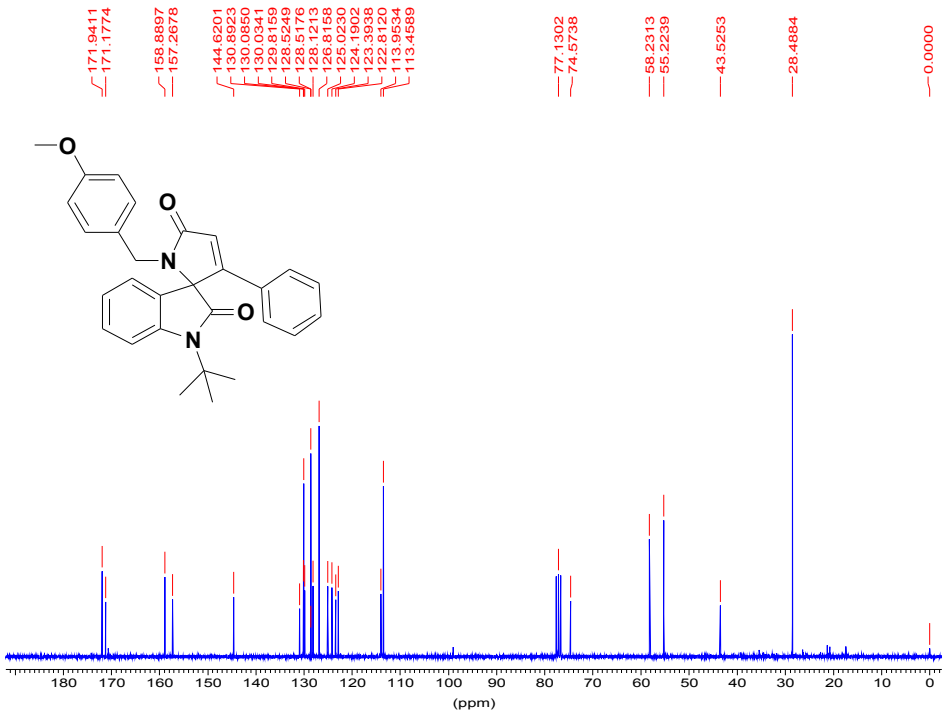
^{1}H and ^{13}C NMR spectra of compound 2k (300 MHz, $CDCl_3$)



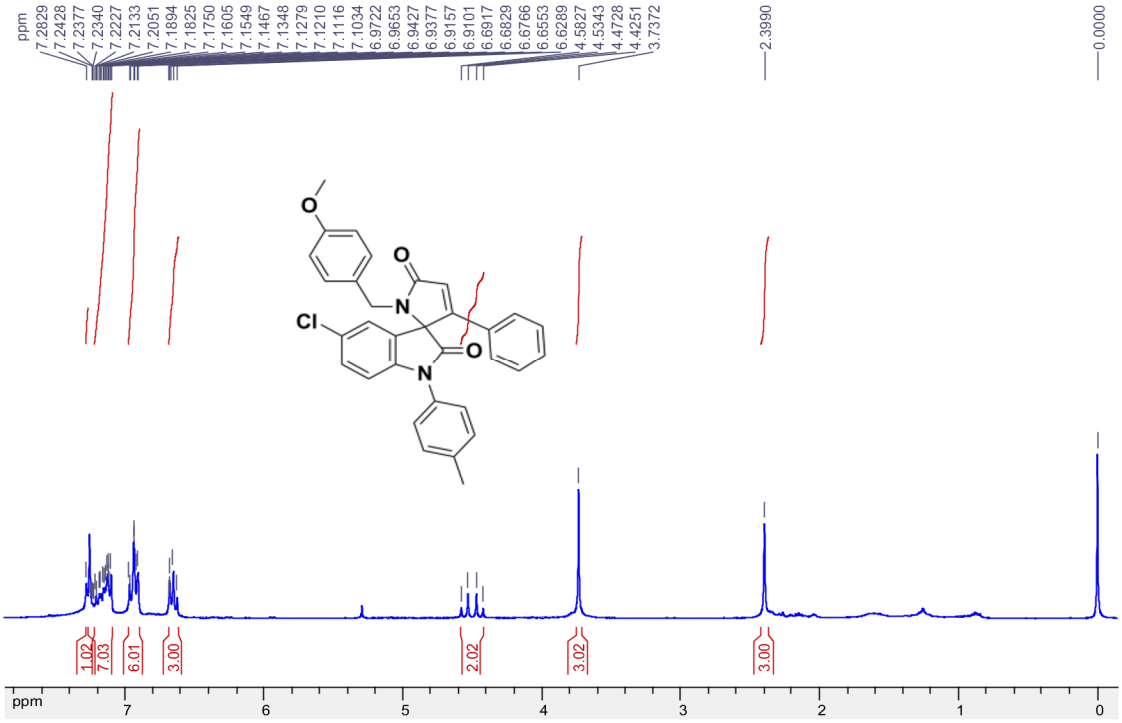


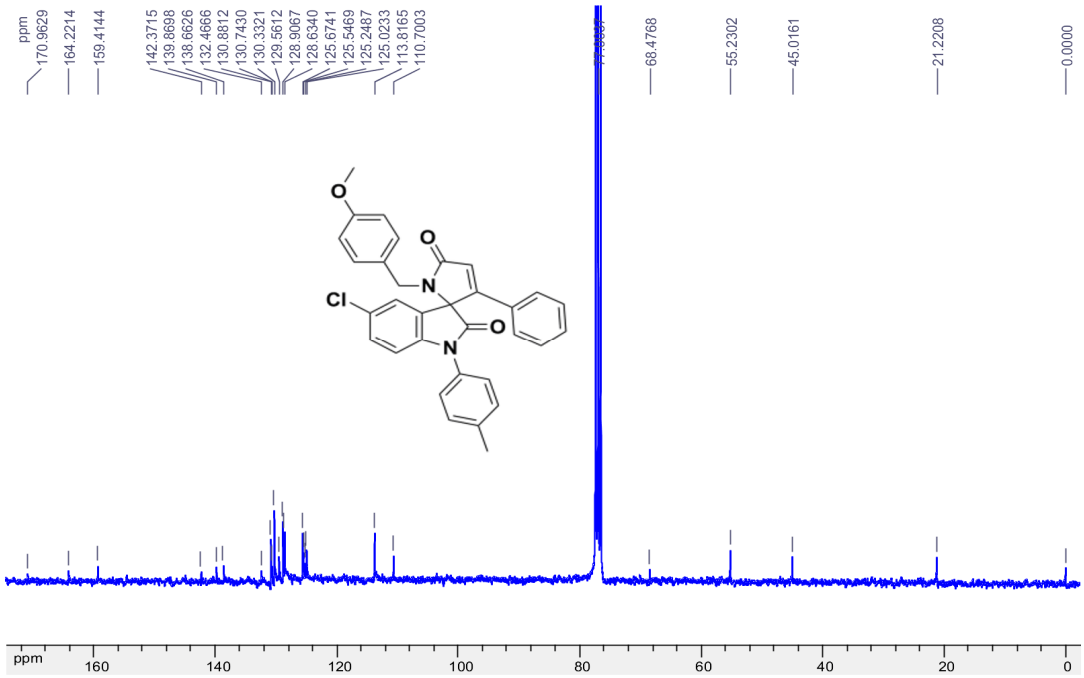
^{1}H and ^{13}C NMR spectra of compound 2l (300 MHz, $CDCl_3$)



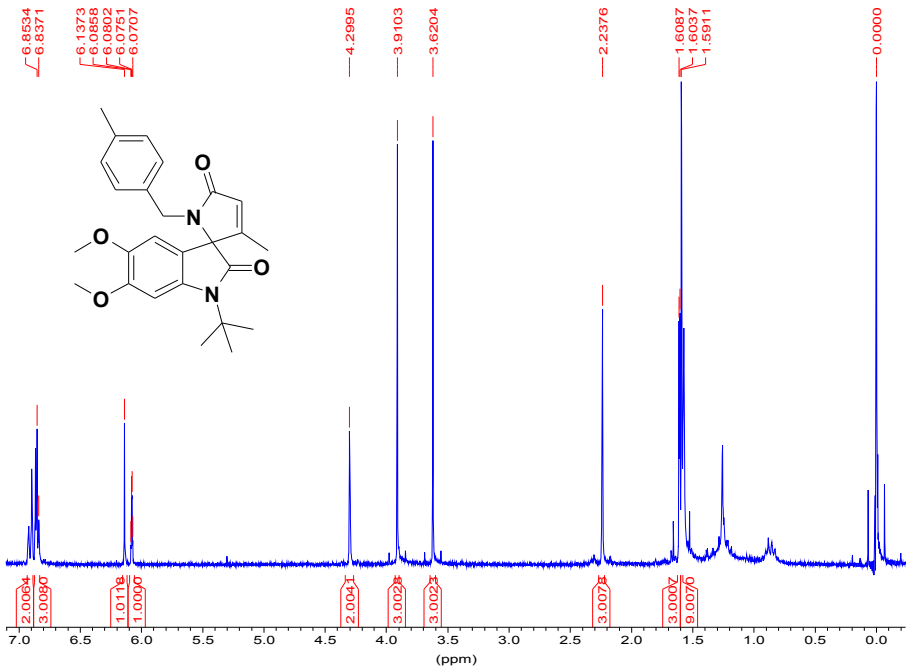


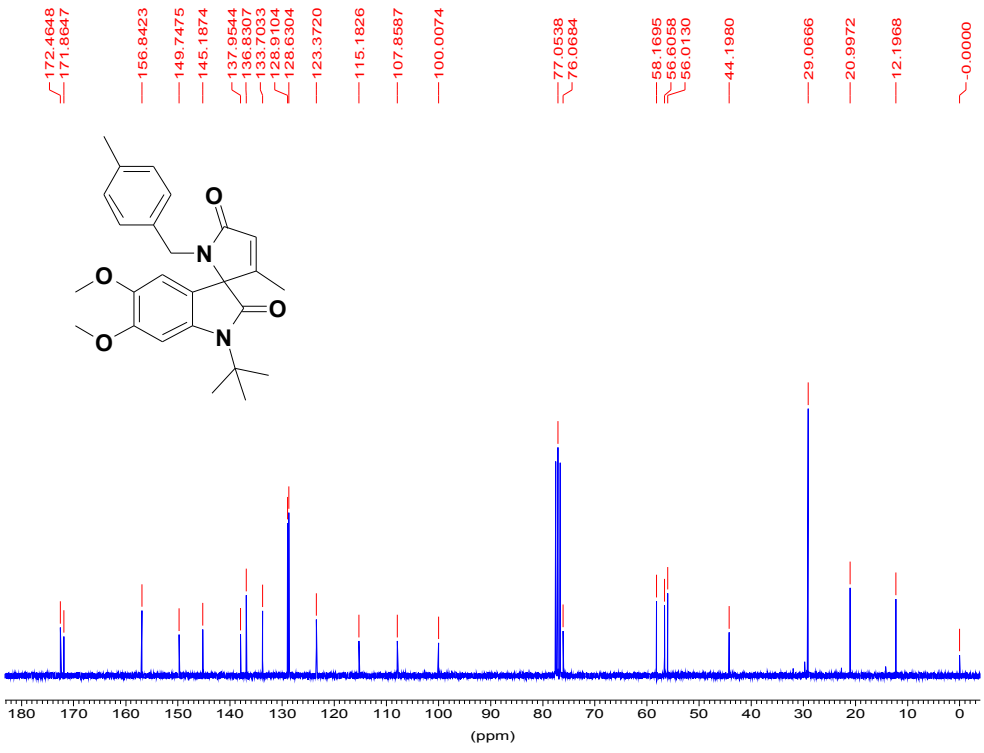
^{1}H and ^{13}C NMR spectra of compound 2m (300 MHz, $CDCl_3$)



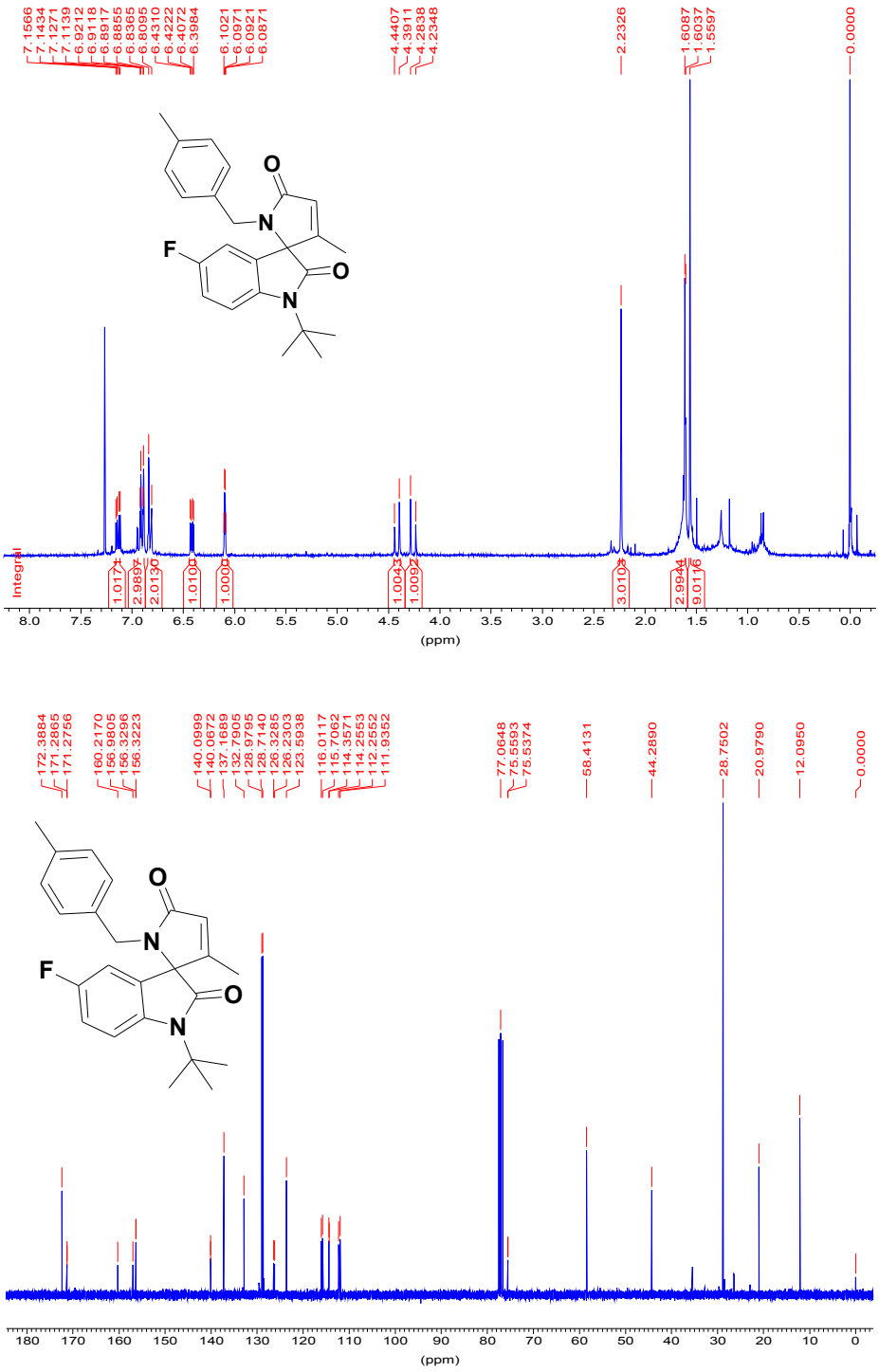


^{1}H and ^{13}C NMR spectra of compound 2n (300 MHz, $CDCl_3$)

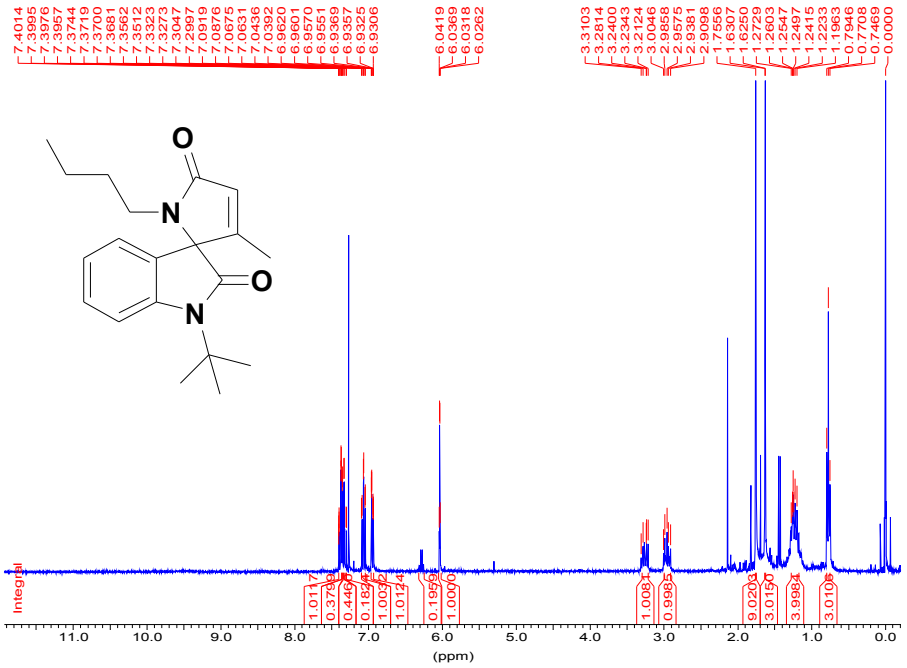


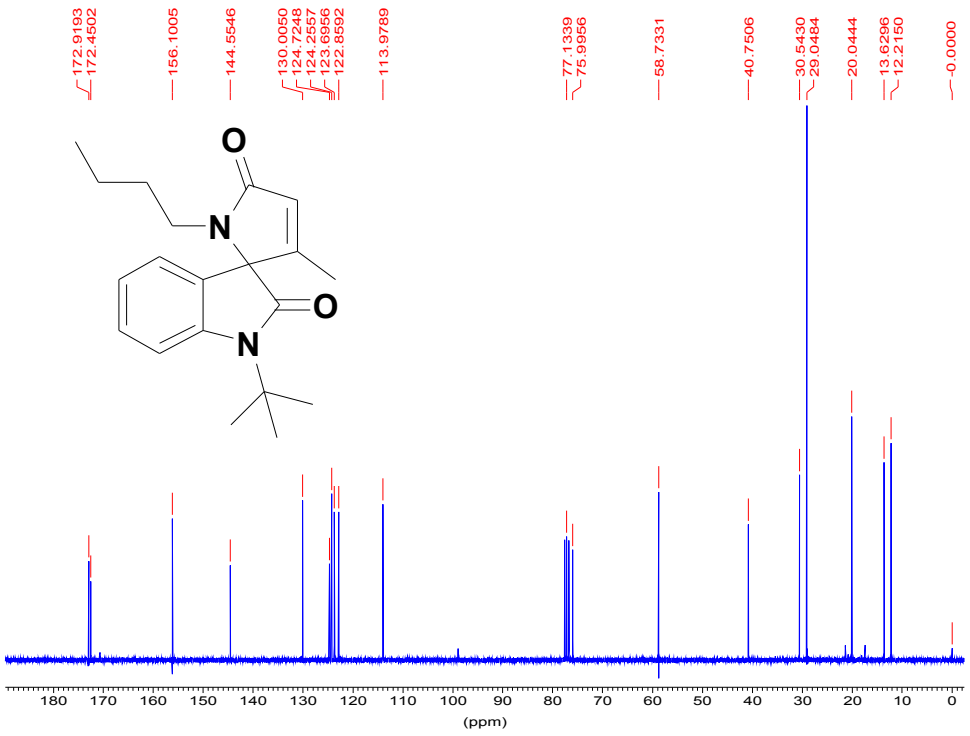


^{1}H and ^{13}C NMR spectra of compound 2o (300 MHz, $CDCl_3$)

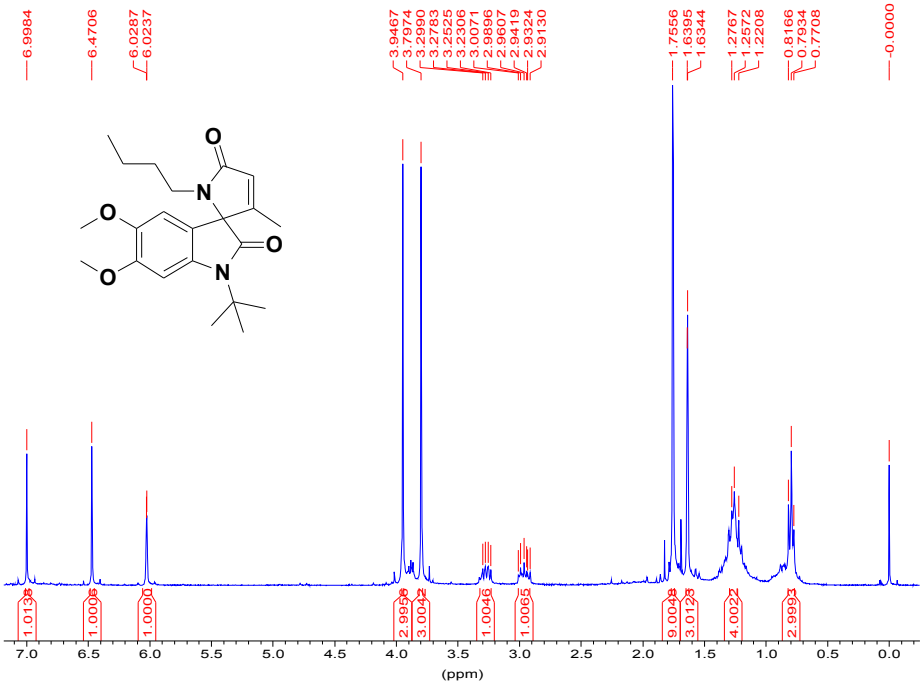


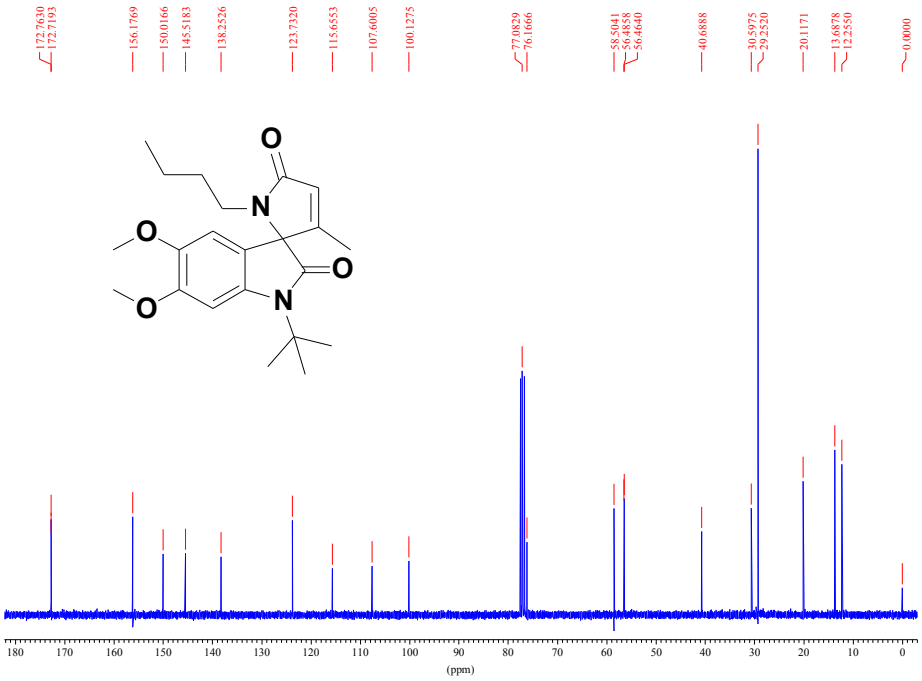
^{1}H and ^{13}C NMR spectra of compound 2p (300 MHz, $CDCl_3$)



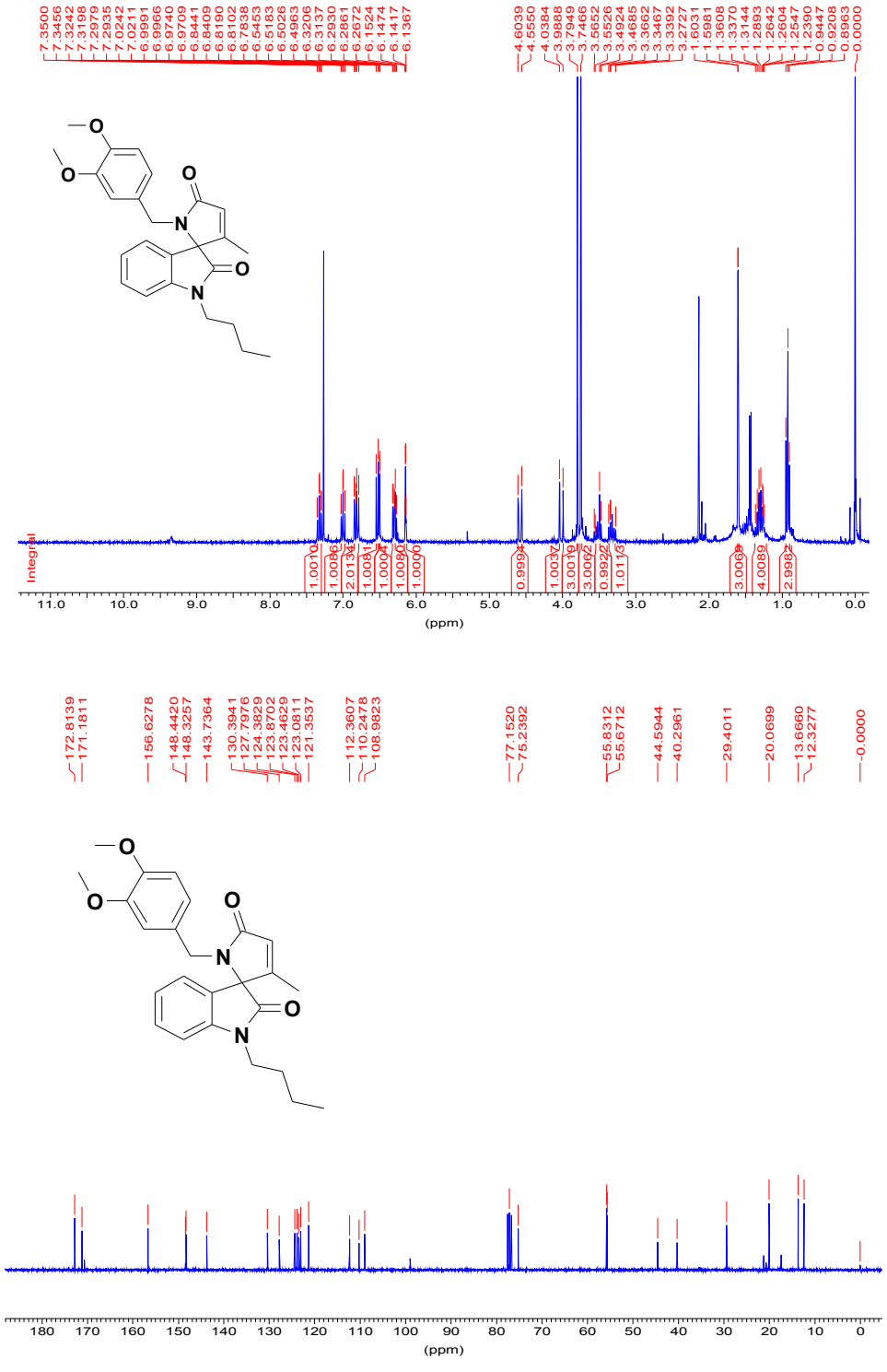


^{1}H and ^{13}C NMR spectra of compound 2q (300 MHz, $CDCl_3$)

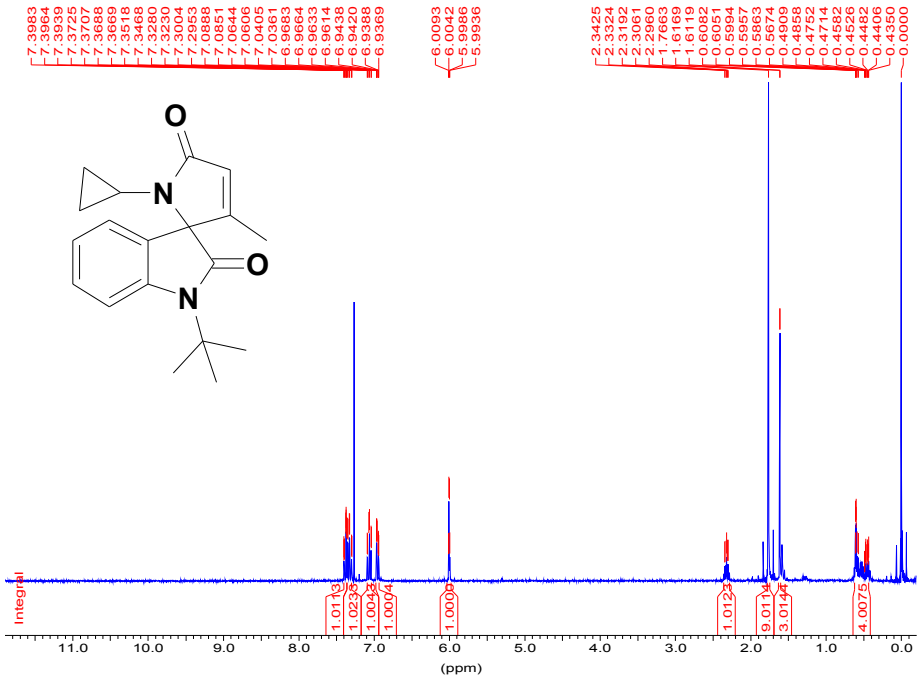


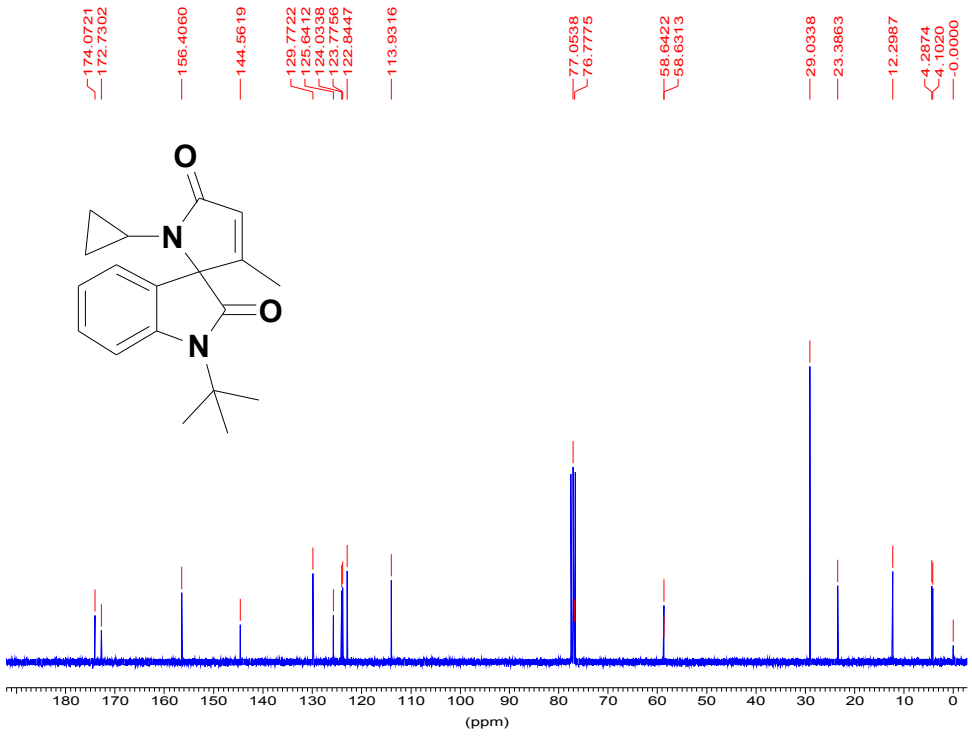


^{1}H and ^{13}C NMR spectra of compound 2r (300 MHz, $CDCl_3$)

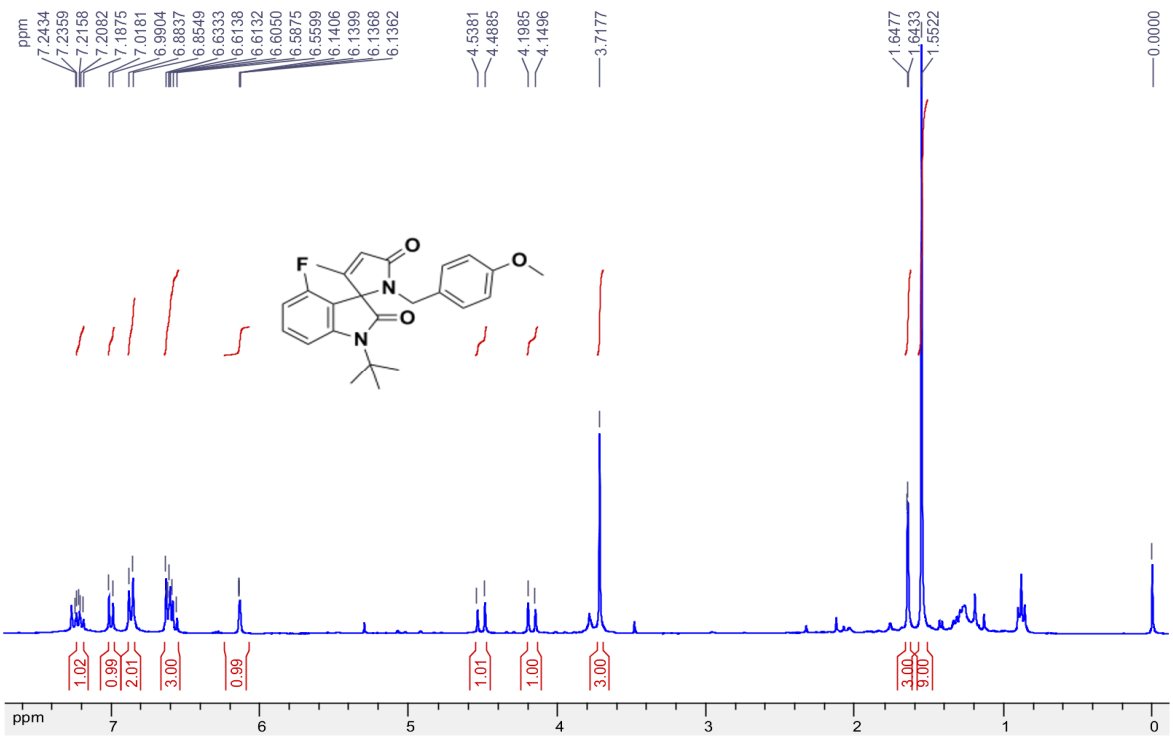


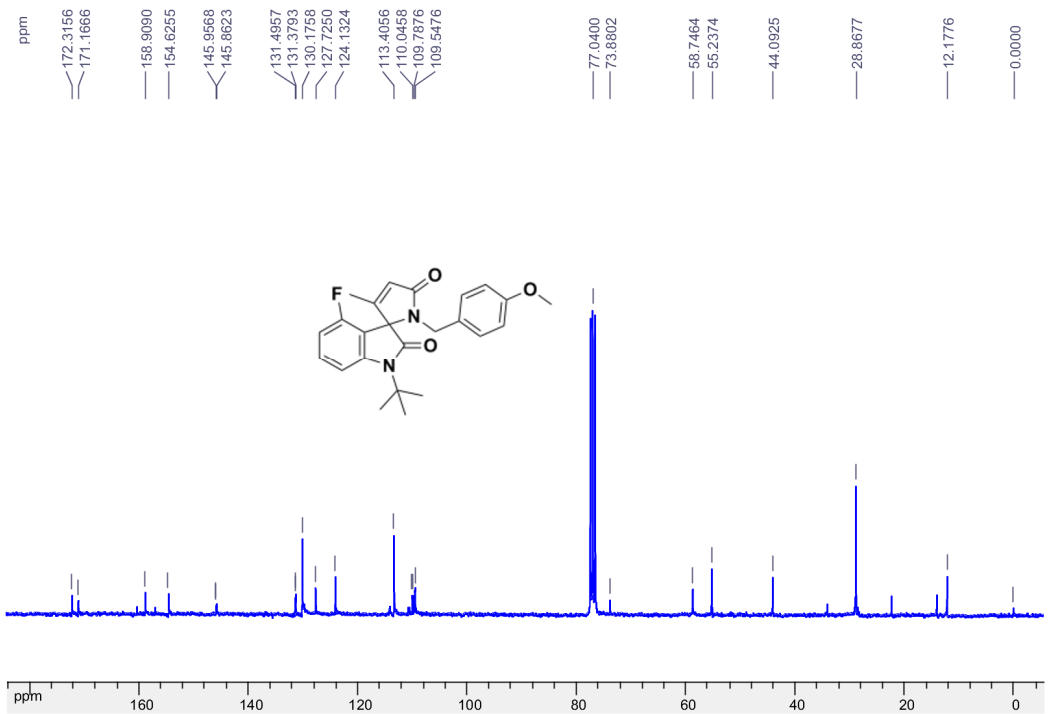
^{1}H and ^{13}C NMR spectra of compound 2s (300 MHz, $CDCl_3$)



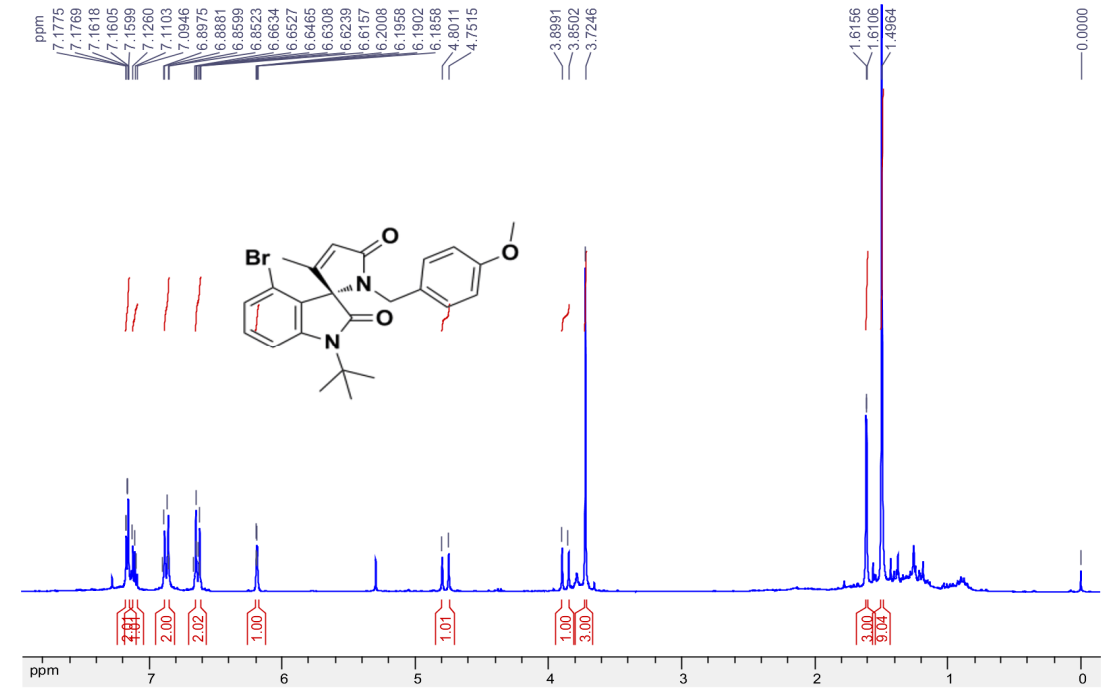


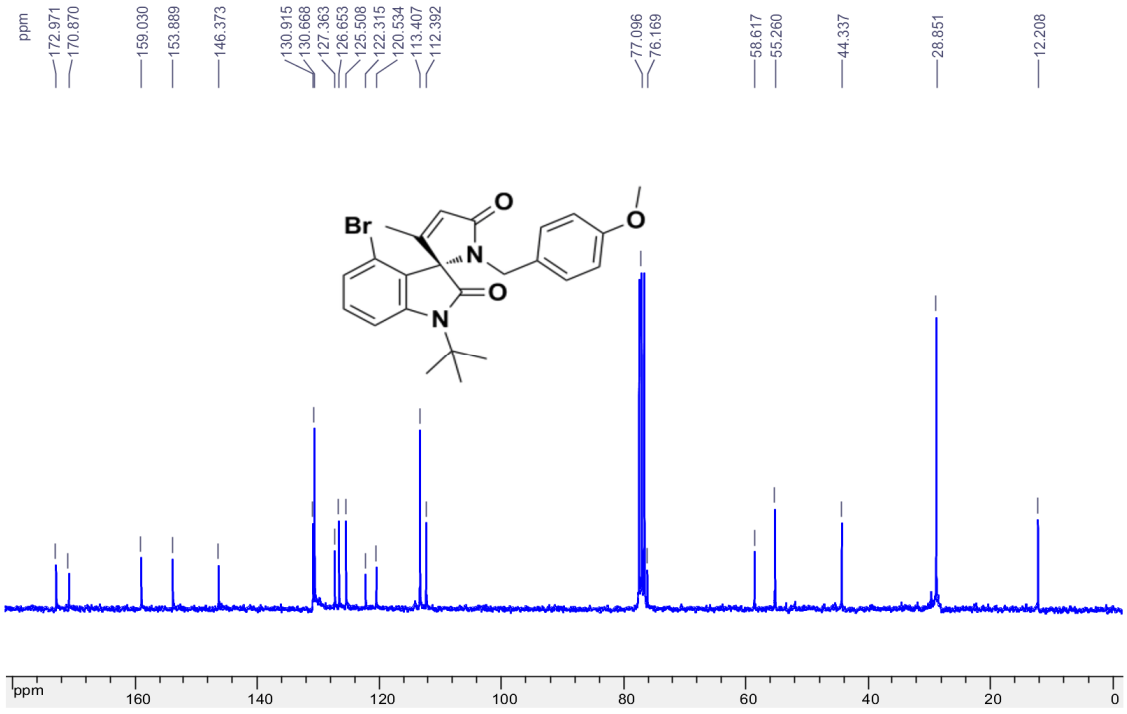
^{1}H and ^{13}C NMR spectra of compound 2t (300 MHz, $CDCl_3$)



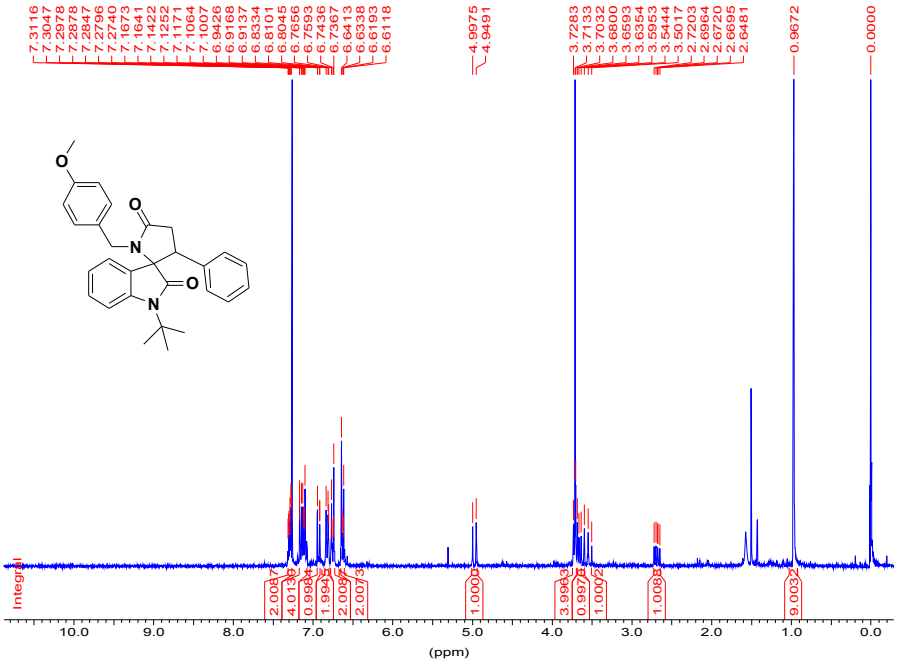


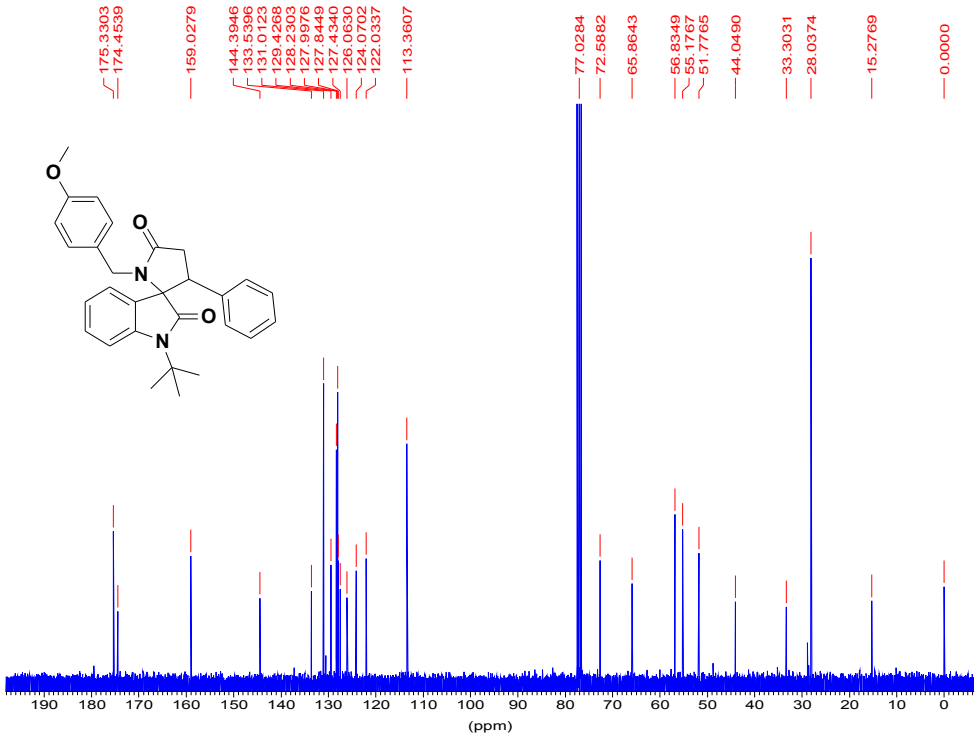
^{1}H and ^{13}C NMR spectra of compound 2u (300 MHz, $CDCl_3$)



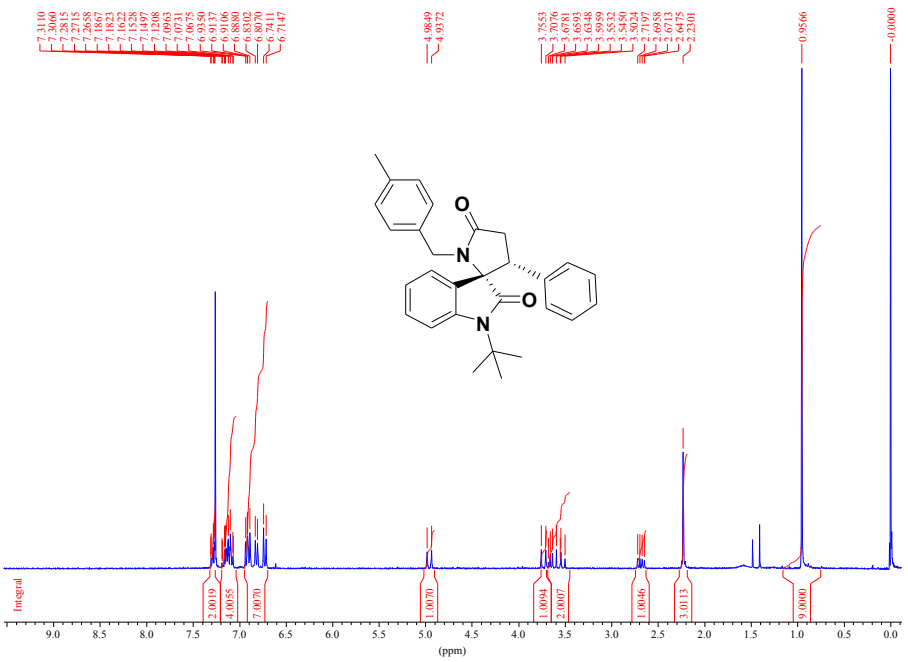


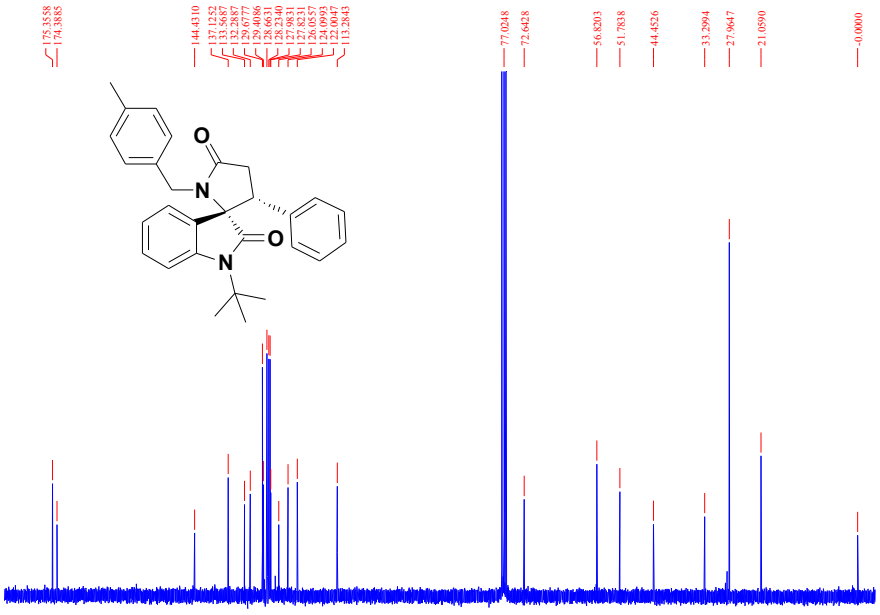
^{1}H and ^{13}C NMR spectra of compound 3b (300 MHz, $CDCl_3$)



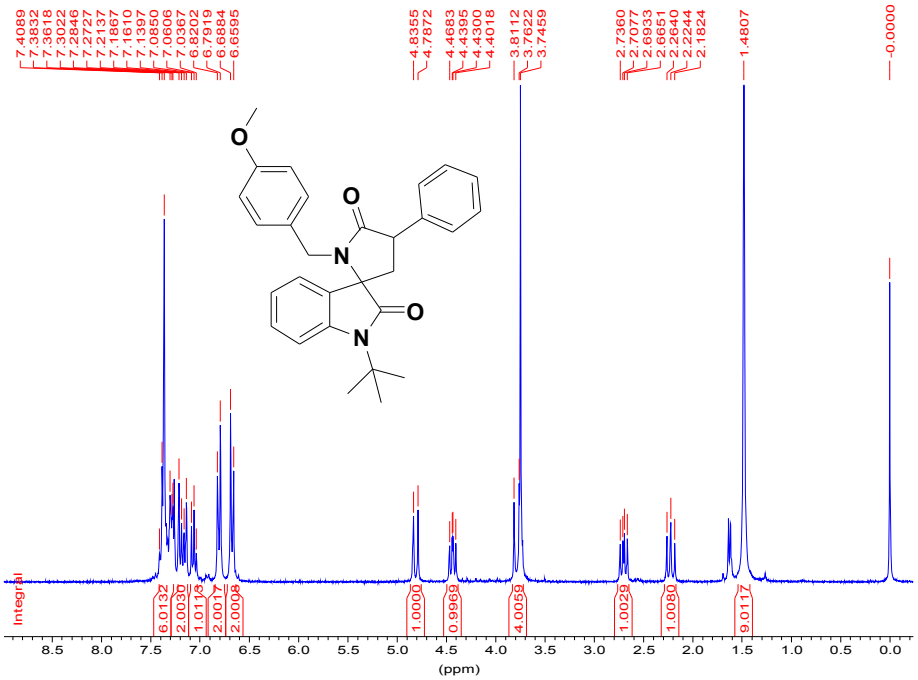


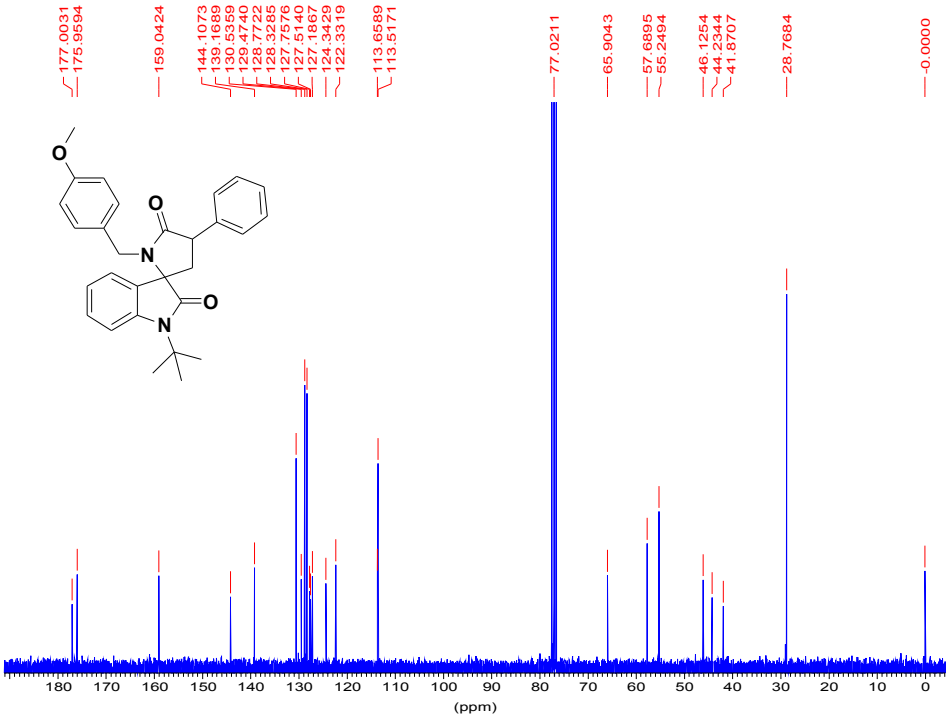
^{1}H and ^{13}C NMR spectra of compound 3c (300 MHz, $CDCl_3$)



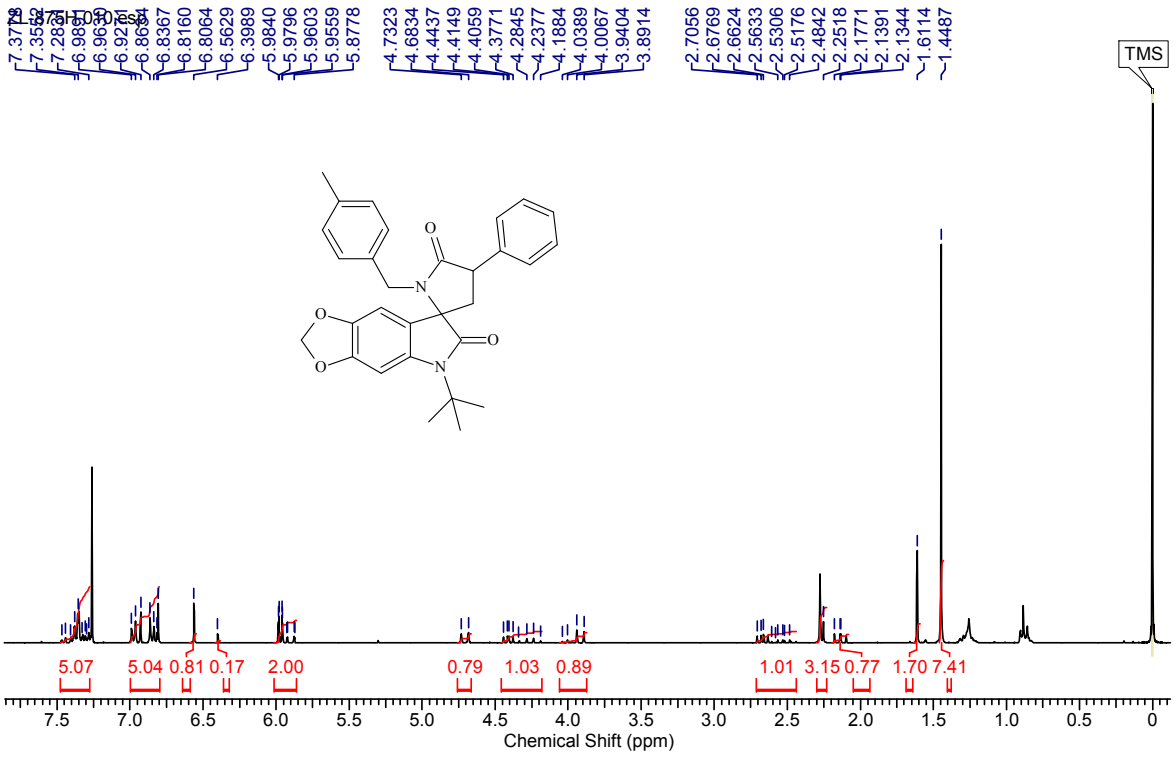


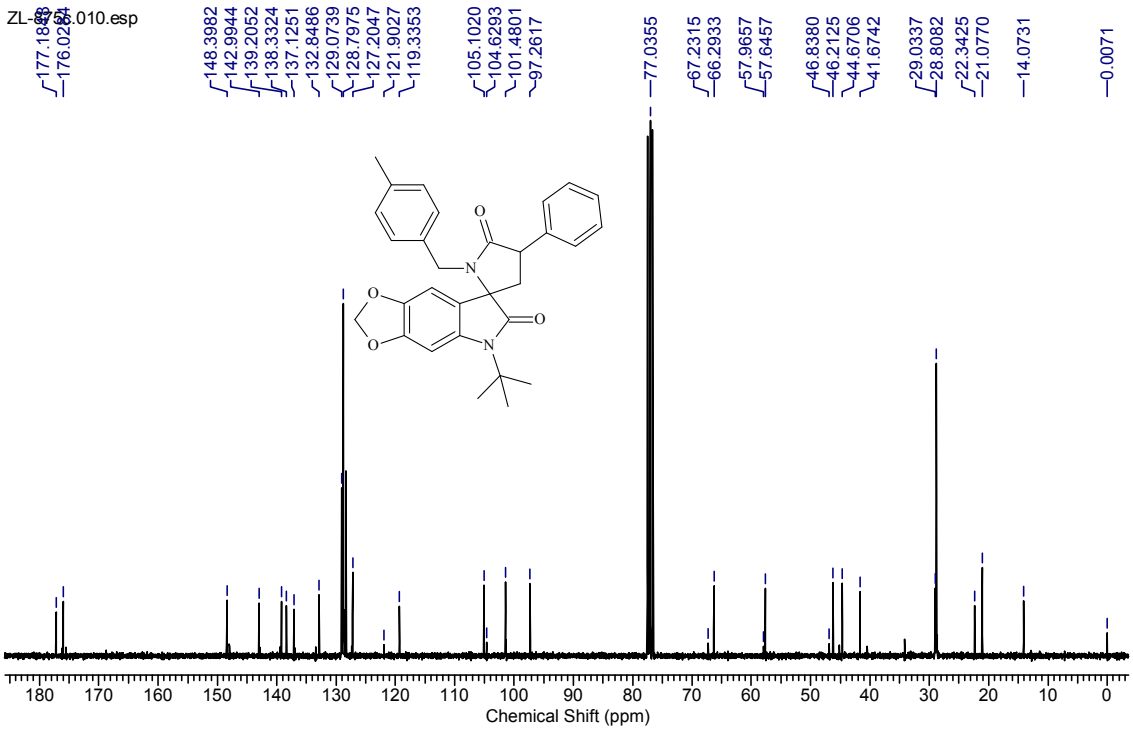
^{1}H and ^{13}C NMR spectra of compound 3d (300 MHz, $CDCl_3$)





^{1}H and ^{13}C NMR spectra of compound 3e (300 MHz, $CDCl_3$)





^{1}H and ^{13}C NMR spectra of compound (300 MHz, $CDCl_3$)