SUPPORTING INFORMATION

Palladium-Catalyzed Copper(I)-Mediated Cross-Coupling of Arylboronic Acids and 2(1H)-Pyrazinones Facilitated by Microwave Irradiation with Simultaneous Cooling

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General Remarks:

^{1}H NMR spectra were recorded on a Bruker Avance 300 MHz and 400 MHz instruments, using $CDCl_3$. The ^{1}H and ^{13}C chemical shifts are reported in ppm relative to tetramethylsilane, using the residual solvent signal as an internal reference. Mass spectra were recorded by using a Kratos MS50TC and a Kratos Mach III data system. The ion source temperature was 150-250 °C as required. High resolution EI-mass spectra were performed with a resolution of 10000. The low resolution spectra were obtained with a HP5989A MS instrument. For thin layer chromatography, analytical TLC plates (Alugram SIL G/UV_{254} and 70-230 mesh silicagel (E.M.Merck)) were used. The $Pd(PPh_3)_4$, CuTC and boronic acids were purchased from Acros Organics (Janssen Pharmaceutical, Geel) and were used without further purification. All starting pyrazinones were prepared according to a known litrature procedure.[12] All the compounds were fully characterised by comparison of their spectral data and melting points. Melting points of the compounds are uncorrected.

Microwave Irradiation Experiments:

All microwave irradiation experiments were carried out in a dedicated CEM-Discover-Coolmate™ monomode microwave apparatus (CEM Corporation P.O. Box 200 Matthews, NC 28106), operating at a frequency of 2.45 GHz with continuous irradiation power from 0 to 300 W. The reactions were carried out in an open 10 mL double walled glass vial which was cooled to 0 °C - 35 °C using a microwave transparent cooling liquid.[11(b)] The temperature of the cooling liquid was between 10 °C and 15 °C. Irradiation and cooling were started simultaneously, starting with the reaction mixture at rt. The temperature was measured with a fiber-optic device inserted into the reaction vessel (a schematic representation of the set-up can be found at http://cemsynthesis.com/.

General Procedure of Liebeskind cross-Couping of Pyrazinone 1 with Boronic Acids :

A) **Liebeskind Condition:** To a suspension of pyrazinone **1** (0.076 g, 0.21 mmol) in THF (3 mL) were added boronic acid (0.63 mmol, 3 equiv), $Pd(PPh_3)_4$ (5 mol %) and CuTC (2.0 equiv). The reaction mixture was heated at 65 °C for various time interval (Table 1). After completion of the reaction, the solvent was removed under reduced pressure and the residue was purified by column chromatography [silica gel, *n*-hexane-CH_2Cl_2 (95:5)] to furnish the products 3{1}-3{5}.

B) **Upon microwave irradiation with simultaneous cooling at 0 °C :** To a suspension of pyrazinone **1** (0.076 g, 0.21 mmol) in THF (3 mL) were added boronic acid (0.63 mmol, 3 equiv), $Pd(PPh_3)_4$ (5 mol %) and CuTC (2.0 equiv). The mixture was irradiated at 35 °C continuously at the maximum power of 300 W for 1 h. After completion of the reaction, the solvent was removed under reduced pressure. The crude product was then absorbed on silica gel and

the residue was purified by column chromatography over silica gel using n-Hexane/ CH_2Cl_2 (95:5) as the eluent, to give the C-3-arylated pyrazinones 3{1}-3{34}.

5-chloro-3-(3-ethoxyphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{1}). It was obtained as a yellow oil in 88 % yield. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.99-7.95 (m, 2H), 7.34-7.25 (m, 3H), 7.14(s, 1H), 7.00-6.98 (m, 1H), 6.89-6.87 (d, 2H, *J*=8.36 Hz), 5.03 (s, 2H), 4.11-4.06 (q, 2H, *J* = 6.9 Hz), 3.76 (s, 3H), 1.42-139 (t, 3H, *J* = 6.9 Hz). ^{13}C NMR (100 MHz, $CDCl_3$): 160.13, 158.78, 154.50, 151.9, 136.19, 130.46, 129.18, 126.41, 125.32, 121.93, 117.70, 114.81, 114.72, 63.66, 55.44, 52.45, 14.92. HRMS (EI): calcd for $C_{20}H_{19}O_3N_2Cl$: 370.1084, found: 370.1071.

5-chloro-3-(3-trifluoromethylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{2}). It was obtained as a yellow solid m.p. 107-108 °C in 83 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.72 (s, 1H), 8.63-8.60 (m, 1H), 7.71-7.68 (m, 1H), 7.58-7.53 (m, 1H), 7.32-7.30 (d, 2H, *J* = 8.2Hz), 7.23 (s, 1H), 6.93-6.90 (d, 2H, *J* = 9.1 Hz), 5.08 (s, 2H), 3.8 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): 160.18, 154.25, 150.18, 135.50, 132.40, 121.97, 130.35, 129.03, 128.55, 126.98, 126.46, 126.08, 114.72, 114.23, 55.31, 52.49. HRMS (EI): calcd for $C_{19}H_{14}O_2N_2F_3Cl$: 394.0696, found: 394.0684.

5-chloro-3-(4-phenoxyphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{3}). It was obtained as a yellow oil in 80 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.43-8.40 (s, 1H), 7.39-7.25 (m, 4H), 7.17-7.01 (m, 6H),), 6.92-6.89 (d, 2H, *J* = 9.12 Hz), 5.06 (s, 2H), 3.81 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.19, 159.86, 156.45, 154.59, 151.60, 131.41, 130.49, 129.88, 129.21, 126.66, 126.50, 124.61, 124.07, 119.74, 117.88, 114.78, 55.50, 52.51. HRMS (EI): calcd for $C_{24}H_{19}O_3N_2Cl$: 418.1084, found: 418.1081.

5-chloro-3-(4-methoxyphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{4}). It was obtained as a yellow solid m.p. 96-97 °C in 90 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.44-8.41 (d, 2H, *J* = 9.1 Hz), 7.30-7.27 (d, 2H, *J* = 8.2 Hz), 7.1 (s, 1H), 6.95-6.88 (m, 4H), 5.03 (s, 2H), 3.84 (s, 3H), 3.79 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 161.78, 160.01, 154.53, 151.60, 131.26, 130.37, 127.75, 126.53, 124.07, 114.62, 113.56, 55.41, 52.36. HRMS (EI): calcd for $C_{19}H_{17}O_3N_2Cl$: 356.0928, found: 356.0938

5-chloro-3-phenyl-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{5}). It was obtained as a yellow oil in 90 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.36-8.33 (m, 2H), 7.44-7.42 (m, 3H), 7.31-7.28 (d, 2H, *J* = 8.2Hz), 7.16 (s, 1H), 6.91-6.88 (d, 2H, *J* = 9.12 Hz), 5.04 (s, 2H), 3.79 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.10, 154.50, 152.24, 134.94, 130.74, 130.46, 129.37, 128.21, 126.56, 126.35, 125.22, 114.68, 55.41, 52.48. HRMS (EI): calcd for $C_{18}H_{15}O_2N_2Cl$: 326.0822, found: 326.0817

5-chloro-3-(2-fluorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{6}). It was obtained as a yellow solid m.p. 69-70 °C in 75 % yield. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.64-7.59 (m, 1H), 7.46-7.39 (m, 1H), 7.33-7.31(d, 2H, *J* = 8.22 Hz) 7.23-7.21(m, 2H) 7.18-7.11(m, 1H) 6.92-6.90 (d, 2H, *J* = 8.22 Hz), 5.05 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): 161.89, 160.17, 159.38, 153.91, 152.25, 131.83, 131.75, 131.25, 131.22, 130.64, 130.52, 126.24, 126.17, 126.11, 123.99,

123.96, 123.64, 123.55, 116.15, 115.93, 114.70, 55.33, 52.52. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2ClF$: 344.0728, found: 344.0734.

5-chloro-3-(3-fluorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{7}). It was obtained as a dark yellow solid 107-108 °C in 76 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.23-8.14 (m, 2H), 7.43-7.36 (m, 1H), 7.33-7.30 (d, 2H, *J* = 8.22 Hz) 7.20-7.14 (m, 2H) 6.92-6.90 (d, 2H, *J* = 8.22 Hz), 5.07 (s, 2H), 3.81 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 164.25, 161.02, 160.22, 154.38, 150.57, 130.55, 129.64, 126.53, 126.17, 125.89, 125.13, 117.82, 117.55, 116.45, 116.15, 114.81, 114.38, 55.50, 52.63. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2ClF$: 344.0728, found: 344.0732.

5-chloro-3-(2,4-difluorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{8}). It was obtained as a yellow solid m.p. 105-106 °C in 80 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.66-7.62 (m, 1H), 7.32-7.25 (m, 3H), 6.92-6.89 (m, 4H), 5.04 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.22, 154.28, 153.80, 153.61, 151.66, 151.51, 150.42, 150.23, 148.29, 148.22, 131.83, 130.49, 126.47, 126.05, 125.89, 118.80, 118.52, 114.78, 114.35, 55.44, 52.66. HRMS (EI): calcd for $C_{18}H_{13}O_2N_2ClF_2$: 362.0634, found: 362.0630.

5-chloro-3-(3,4-difluorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{9}). It was obtained as a yellow solid m.p. 80-81 °C in 75 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.40-8.33 (m, 1H), 8.26 (bs, 1H), 7.31-7.28 d, 2H, *J* = 8.22 Hz), 7.21-7.17 (m, 2H) 6.92-6.89 (d, 2H, *J* = 8.22 Hz), 5.06 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.22, 154.28, 153.80, 153.61, 151.66, 151.51, 150.42, 150.23, 148.29, 148.22, 131.83, 130.49, 126.47, 126.05, 125.89, 118.80, 118.52, 114.78, 114.35, 55.44, 52.66. HRMS (EI): calcd for $C_{18}H_{13}O_2N_2ClF_2$: 362.0634, found: 362.0629.

5-chloro-3-(2-chlorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{10}). It was obtained as a yellow solid m.p. 98-99 °C in 31 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.46-7.33 (m, 3H), 7.30-7.27 (m, 4H), 6.91-6.89 (m, 2H), 5.06 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.13, 154.74, 134.54, 133.69, 133.30, 130.95, 130.83, 130.58, 129.85, 126.81, 126.14, 114.71, 55.41, 52.57. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2Cl_2$: 360.0432, found: 360.0429.

5-chloro-3-(3-chlorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{11}). It was obtained as a yellow solid m.p. 124-125 °C in 81 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.39-8.36 (d, 2H, *J* = 8.22 Hz), 7.40-7.37 (d, 2H, *J* = 8.22 Hz), 7.30-7.27 (d, 2H, *J* = 8.22 Hz), 7.17 (s, 1H), 6.91-6.88 (d, 2H, *J* = 8.22 Hz), 5.04 (s, 2H), 3.79 (s, 3H) ^{13}C NMR (75 MHz, $CDCl_3$): 160.16, 154.38, 150.72, 136.95, 133.39, 130.77, 130.46, 128.42, 126.53, 126.20, 125.59, 114.74, 55.44, 52.57. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2Cl_2$: 360.0432, found: 360.0431.

5-chloro-3-(4-chlorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{12}). It was obtained as a yellow solid m.p. 128-129 °C in 73 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.41 (s, 1H), 8.32-8.29 (d, 1H, *J* = 8.22 Hz), 7.39-7.35 (m, 2H), 7.31-7.28 (d, 2H, *J* = 8.22 Hz), 7.20 (s, 1H), 6.91-6.88 (d, 2H, *J* = 8.22 Hz), 5.05 (s, 2H), 3.79 (s, 3H) ^{13}C NMR (75 MHz, $CDCl_3$): 160.16, 154.28, 150.35, 136.52, 134.24, 130.65, 130.46, 129.43, 129.21, 127.48, 126.47, 126.11, 125.99, 114.74, 55.44, 52.60. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2Cl_2$: 360.0432, found: 360.0428.

5-chloro-3-(3,4-dichlorophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{14}). It was obtained as a yellow solid m.p. 114-115 °C in 89 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.62-8.61 (m, 1H), 8.35-8.31 (m, 1H), 7.51-7.48 (d, 1H, *J* = 8.22 Hz), 7.32-7.29 (d, 2H, *J* = 8.22 Hz), 7.22 (s, 1H), 6.93-6.91 (d, 2H, *J* = 8.22 Hz), 5.07 (s, 2H), 3.81 (s, 3H) ^{13}C NMR (75 MHz, $CDCl_3$): 160.16, 154.28, 150.35, 136.52, 134.24, 130.65, 130.46, 129.43, 129.21, 127.48, 126.47, 126.11, 125.99, 114.74, 55.44, 52.60. HRMS (EI): calcd for $C_{19}H_{15}ON_2Cl_3$: 394.0043, found: 394.0028.

5-chloro-3-(3-bromophenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{16}). It was obtained as a dark yellow solid m.p. 109-110 °C in 84 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.55 (s, 1H), 8.37-8.34 (d, 1H, *J* = 8.22 Hz), 7.57-7.55 (d, 1H, *J* = 6.39 Hz), 7.31-7.28 (d, 2H, *J* = 8.22 Hz), 7.32-7.25 (m, 3H), 7.20 (s, 1H), 6.92-6.89 (d, 2H, *J* = 8.22 Hz), 5.05 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.19, 154.31, 150.32, 136.77, 133.60, 132.11, 130.49, 129.73, 127.97, 126.56, 126.11, 126.02, 122.39,114.78, 55.47, 52.66. HRMS (EI): calcd for $C_{18}H_{14}O_2N_2ClBr$: 403.9927, found: 403.9930.

5-chloro-3-(2-methylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{17}). It was obtained as a yellow solid m.p. 115-116 °C in 28 % yield. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.46-7.44 (d, 1H, *J* = 7.4 Hz), 7.31-7.29 (m, 3H), 7.25-7.23 (m, 3H), 6.91-6.89 (d, 2H, J = 8.7), 5.03 (s, 2H), 3.80 (s, 3H), 2.31 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): 161.27, 157.08, 155.46, 137.20, 134.95, 130.74, 130.55, 129.70, 126.50, 126.17, 125.61, 114.80, 55.46, 52.57, 20.11. HRMS (EI): calcd for $C_{19}H_{17}O_2N_2Cl$: 340.0978, found: 340.0979.

5-chloro-3-(3-methylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{18}). It was obtained as a yellow solid m.p. 151-152 °C in 81 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.17-8.15 (bs, 2H), 7.34-7.24 (m, 4H), 7.14 (s, 1H), 6.90-6.87 (m, 2H), 5.02 (s, 2H), 3.78 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.04, 154.47, 152.46, 137.77, 134.88, 131.56, 129.76, 128.06, 126.59, 126.41, 125.10, 114.62, 55.38, 52.39, 21.56. HRMS (EI): calcd for $C_{19}H_{17}O_2N_2Cl$: 340.0978, found: 340.0980.

5-chloro-3-(4-methylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{19}). It was obtained as a yellow solid m.p. 108-109 °C in 85 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.31-8.28 (d, 2H, *J* = 8.22 Hz), 7.31-7.28 (d, 2H, *J* = 9.12 Hz), 7.22-7.12 (d, 2H, *J* = 8.22 Hz), 7.13 (s, 1H), 6.91-6.88 (d, 2H, *J* = 9.15 Hz), 5.04 (s, 2H), 3.80 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.13, 154.59, 152.30, 141.22, 132.32, 130.46, 129.40, 129.0, 126.56, 124.71, 114.71, 55.47, 52.45, 21.65. HRMS (EI): calcd for $C_{19}H_{17}O_2N_2Cl$: 340.0978, found: 340.0979.

5-chloro-3-(3,4-dimethylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{20}). It was obtained as a yellow solid m.p. 179-180 °C in 80 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.96 (s, 2H), 7.32-7.29 (d, 2H, *J* = 9.15 Hz), 7.14 (s, 1H), 7.09 (s, 1H), 6.91-6.88 (d, 2H, J = 9.12 Hz), 5.05 (s, 2H), 3.80 (s, 3H), 2.36 (s, 6H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.10, 154.56, 152.82, 137.68, 134.88, 132.60, 130.43, 127.11, 126.50, 124.89, 114.71, 55.44, 52.42, 21.50. HRMS (EI): calcd for $C_{20}H_{19}O_2N_2Cl$: 354.1135, found: 354.1133.

5-chloro-3-(4-tert.butylphenyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{21}). It was obtained as a yellow solid m.p. 98-99 °C in 96 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.31-8.28 (d, 2H, *J* = 8.22 Hz), 7.46-7.43 (d, 2H, *J* = 9.13 Hz), 7.30-7.20 (d, 2H, *J* = 8.22 Hz), 7.13 (s, 1H), 6.90-6.87 (d, 2H, *J* = 9.15 Hz), 5.04 (s, 2H), 3.79 (s, 3H), 1.33 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.10, 154.56, 154.16, 152.40, 132.26, 130.43, 129.18, 126.56, 125.22, 124.74, 114.68, 55.44, 52.39, 34.97, 31.25. HRMS (EI): calcd for $C_{22}H_{23}O_2N_2Cl$: 382.1448, found: 382.1447.

5-chloro-3-(5-methylthiophene)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{23}). It was obtained as a yellow solid m.p. 104-105 °C in 64 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.19-8.17 (d, 1H, *J* = 3.66 Hz), 7.31-7.28 (d, 2H, *J* = 8.22 Hz) 7.03 (s, 1H), 6.92-6.89 (d, 2H, *J* = 8.22 Hz), 6.83-6.81 (d, 1H, *J* = 3.66), 5.07 (s, 2H), 3.81 (s, 3H), 2.54 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.07, 153.16, 147.89, 147.58, 135.98, 132.44, 130.46, 130.40, 126.81, 126.50, 122.69, 114.78, 55.44, 52.24, 15.74. HRMS (EI): calcd for $C_{17}H_{15}O_2N_2ClS$: 346.0542, found: 346.0540.

5-chloro-3-(1- naphthalene)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{26}). It was obtained as a yellow solid m.p. 173-174 °C in 90 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.93-7.84 (m, 3H), 7.79-7.76 (d, 1H, *J* = 7.29 Hz), 7.54-7.45 (m, 4H), 7.32-7.25 (m, 2H), 6.90-6.87 (d, 2H, *J* = 9.15), 5.01 (s, 2H), 3.78 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.19, 155.78, 154.89, 133.84, 132.44, 131.07, 130.65, 128.64, 128.39, 126.75, 126.32, 126.08, 125.95, 125.22, 124.98, 114.71, 55.44, 52.69. HRMS (EI): calcd for $C_{22}H_{17}O_2N_2Cl$: 376.0979, found: 376.0977.

5-chloro-3-(2-naphthalene)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{27}). It was obtained as a yellow solid m.p. 156-157 °C in 88 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.38-8.35 (m, 1H)7.97-7.95 (m, 1H), 7.87-7.81 (m, 3H), 7.52-7.48 (m, 2H), 7.33-7.30 (d, 2H, *J* = 8.22 Hz), 7.17 (s, 1H) 6.92-6.89 (d, 2H, *J* = 9.15 Hz), 5.08 (s, 2H), 3.79 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.16, 154.74, 151.66, 134.48, 133.02, 132.35, 130.68, 130.46, 129.67, 129.46, 127.81, 127.66, 126.75, 126.41, 126.32, 125.71, 125.10, 114.78, 55.47, 52.57. HRMS (EI): calcd for $C_{22}H_{17}O_2N_2Cl$: 376.0979, found: 376.0979.

5-chloro-3-(2-ethoxycarbonyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{28}). It was obtained as a yellow oil in 26 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.97-7.95 (d, 1H, *J* = 8.22 Hz), 7.617.52 (m, 3H), 7.32-7.29 (d, 2H *J* = 9.15 Hz), 6.90-6.87 (d, 2H, *J* = 9.12 Hz), 4.98 (s, 2H), 4.23-4.16 (q, 2H, *J* = 6.8 Hz), 3.79 (s, 3H), 1.43-1.38 (t, 3H, J = 7.29 Hz). ^{13}C NMR (75 MHz, $CDCl_3$): 166.32, 160.13, 154.38, 150.72, 138.84, 131.86, 130.46, 129.24, 126.56, 126.29, 126.11, 114.71,61.22, 55.41, 52.60, 14.40. HRMS (EI): calcd for $C_{21}H_{19}O_4N_2Cl$: 398.1033, found: 398.1028.

5-chloro-3-(4- ethoxycarbonyl)-1-(4-methoxybenzyl)-2(1*H*)-pyrazinone (3{29}). It was obtained as a yellow solid m.p. 117-118 °C in 90 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.48-8.45 (d, 2H, *J* = 8.22 Hz), 8.09-8.07 (d, 2H, *J* = 8.22 Hz), 7.32-7.29 (d, 3H, *J* = 9.15 Hz), 6.91-6.88 (d, 2H, *J* = 9.15 Hz), 5.06 (s, 2H), 4.42-4.35 (q, 2H, *J* = 6.8 Hz), 3.79 (s, 3H), 1.22-1.18 (t, 3H, *J* = 7.29 Hz). ^{13}C NMR (75 MHz, $CDCl_3$): 167.05, 160.04, 157.60, 154.80, 135.98, 133.57, 131.47, 130.49,

130.31, 129.73, 129.52, 126.47, 125.47, 114.59, 61.25, 55.41, 52.30, 14.13. HRMS (EI): calcd for $C_{21}H_{19}O_4N_2Cl$: 398.1033, found: 398.1028.

5-chloro-1-(cyclohexyl)-3-(3-ethoxyphenyl)-2(1*H*)-pyrazinone (3{30}). It was obtained as a yellow oil in 90 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.97 (s, 2H), 7.35-7.30 (t, 1H, *J*=8.22 Hz), 7.27(s, 1H), 7.00-6.97 (m, 1H), 4.84-4.81 (m, 1H), 4.13-4.06 (q, 2H, *J* = 7.32 Hz), 2.00-1.92 (m, 4H), 1.80-1.76 (m,1H), 1.54-1.39 (m, 7H), 1.27-1.20.(m, 1H) ^{13}C NMR (75 MHz, $CDCl_3$): 158.76, 154.04, 151.45, 136.43, 129.12, 126.75, 122.39, 121.93, 117.73, 114.78, 63.69, 55.38, 32.25, 25.74, 25.34, 14.95. HRMS (EI): calcd for $C_{18}H_{21}O_2N_2Cl$: 332.1292, found: 332.1300.

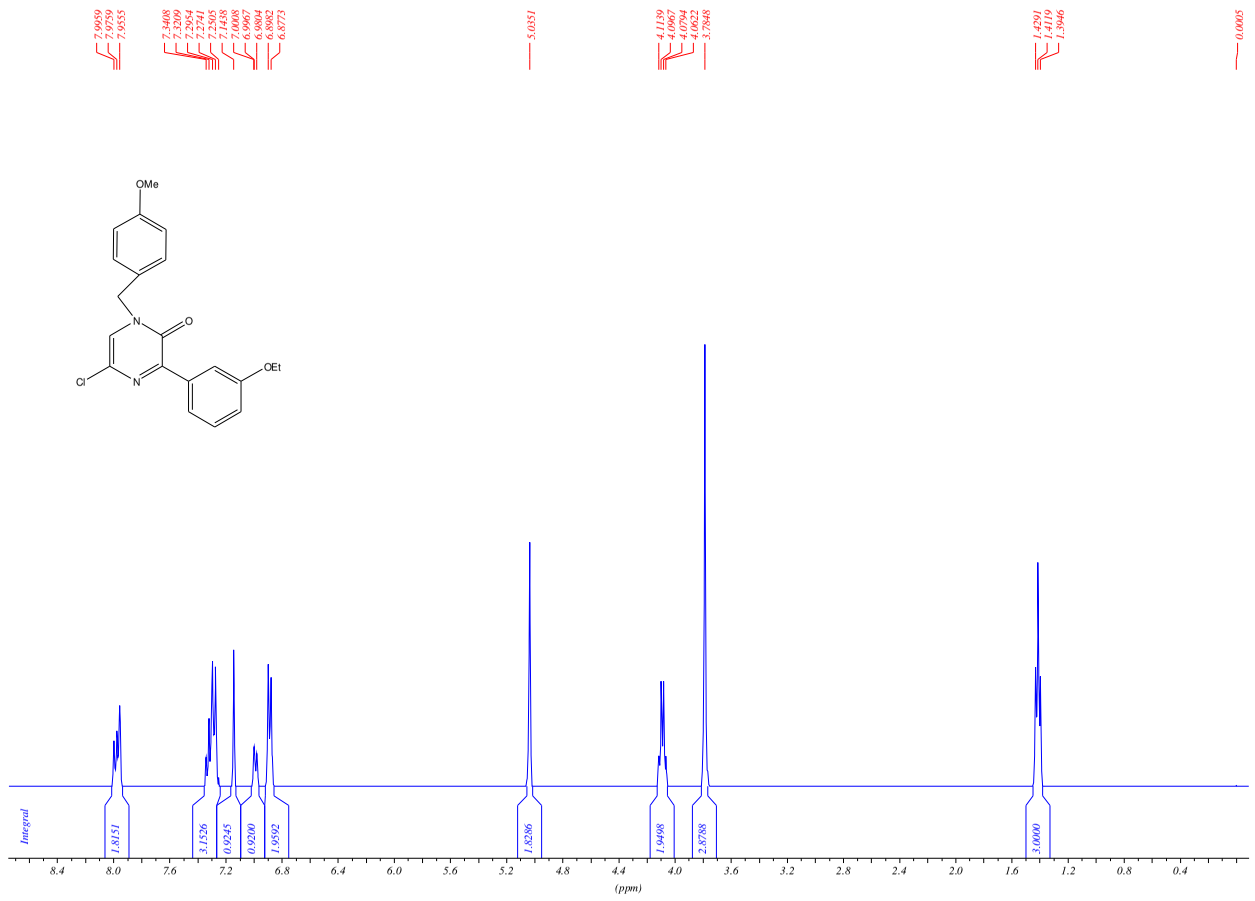
5-chloro-1-(cyclohexylmethyl)-3-(3-ethoxyphenyl)-2(1*H*)-pyrazinone (3{31}). It was obtained as a yellow solid m.p. 79-80 °C in 89 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.99-7.97 (m, 2H), 7.35-7.30 (t, 1H, *J* = 8.22 Hz), 7.27(s, 1H), 7.01-6.97 (m, 1H), 4.13-4.061 (q, 2H, *J* = 6.42 Hz), 3.78-3.76 (d, 2H, *J* = 7.32 Hz), 1.91-1.85 (m, 1H), 1.72-1.69 (m,6H), 1.44-1.39 (t, 4H, *J* = 7.29 Hz), 1.25-1.21.(m, 2H), 1.06-0.99.(m, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 158.76, 154.56, 151.76, 136.22, 129.12, 126.62, 125.95, 121.90, 117.70, 114.81, 63.66, 56.93, 36.76, 30.64, 26.19, 25.61, 14.92. HRMS (EI): calcd for $C_{19}H_{23}O_2N_2Cl$: 346.1448, found: 346.1450.

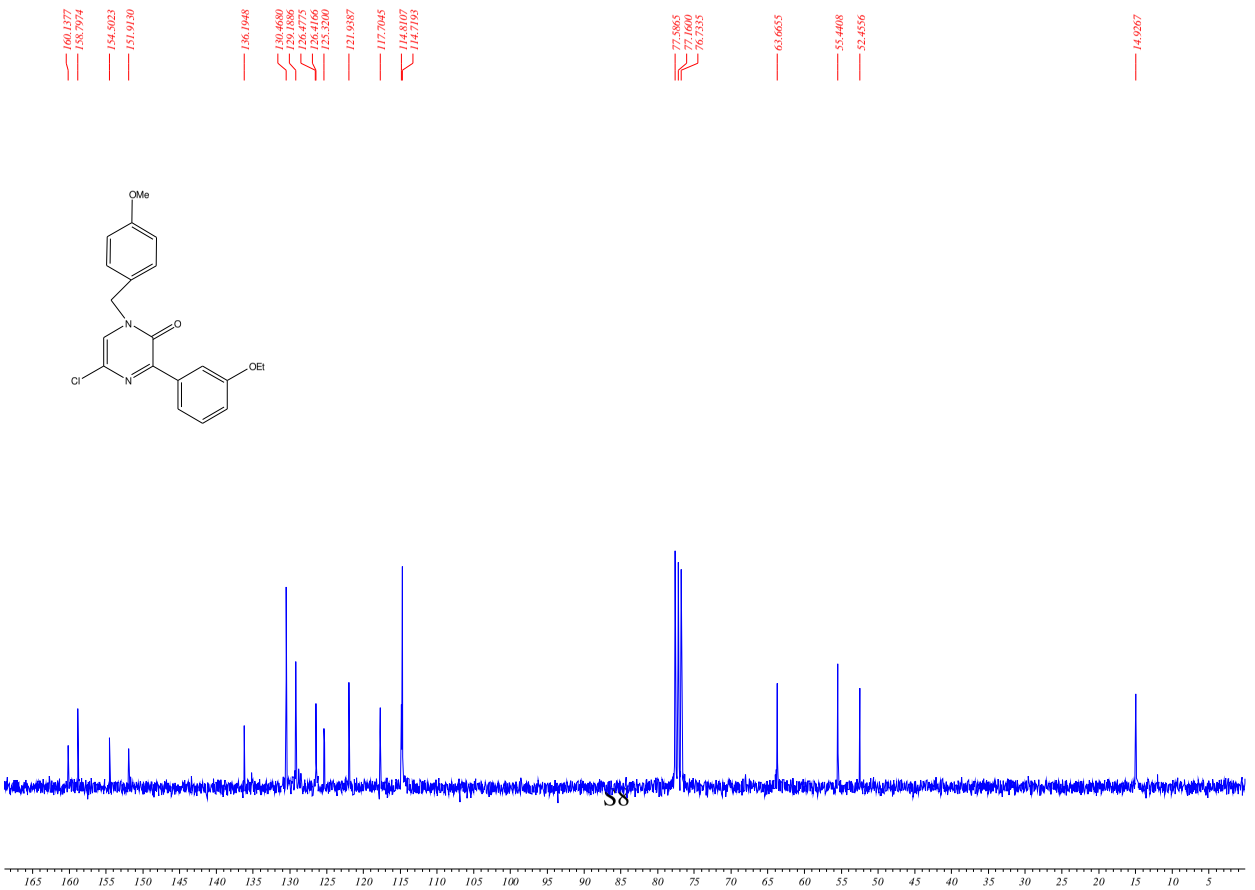
5-chloro-3-(3-ethoxyphenyl)-1-(3-phenylpropyl)-2(1*H*)-pyrazinone (3{32}). It was obtained as a yellow oil in 92 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.98-7.95 (m, 1H), 7.36-7.18 (m, 7H), 7.12(s, 1H), 7.02-6.98 (m, 1H), 4.14-4.07 (q, 2H, *J* = 7.32 Hz), 3.99-3.94 (t, 2H, *J* = 7.29 Hz), 2.76-2.71 (t, 4H, *J* = 7.29 Hz), 2.21-2.11 (p, 2H, *J* = 7.29 Hz) 1.45-1.40 (t, 2H, *J* = 7.29 Hz). ^{13}C NMR (75 MHz, $CDCl_3$): 158.76, 154.38, 151.69, 140.15, 136.13, 129.15, 128.73, 128.36, 126.50, 126.29, 126.05, 121.87, 117.73, 114.78, 63.66, 50.41, 32.88, 29.76, 14.92. HRMS (EI): calcd for $C_{21}H_{21}O_2N_2Cl$: 368.1291, found: 368.1288.

1-benzyl-5-chloro-3-(3-ethoxyphenyl)-6-methyl-2(*1H*)-pyrazinone (3{33}). It was obtained as a yellow solid m.p. 135-136 °C in 91 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.01-7.99 (m, 2H), 7.36-7.31 (m, 4H), 7.26-7.25(m, 2H), 6.99-6.97 (d, 1H, *J* = 7.29 Hz), 5.40 (s, 2H), 4.13-4.06 (q, 2H, *J* = 7.32 Hz), 2.44 (s, 3H), 1.44-1.39 (t, 2H, *J* = 7.29 Hz). ^{13}C NMR (75 MHz, $CDCl_3$): 158.82, 155.47, 148.34, 136.43, 135.09, 134.76, 129.18, 128.09, 126.81, 126.62, 121.66, 119.65, 117.24, 114.62, 63.66, 49.10, 17.21, 14.95. HRMS (EI): calcd for $C_{20}H_{19}O_2N_2Cl$: 354.1135, found: 354.1132.

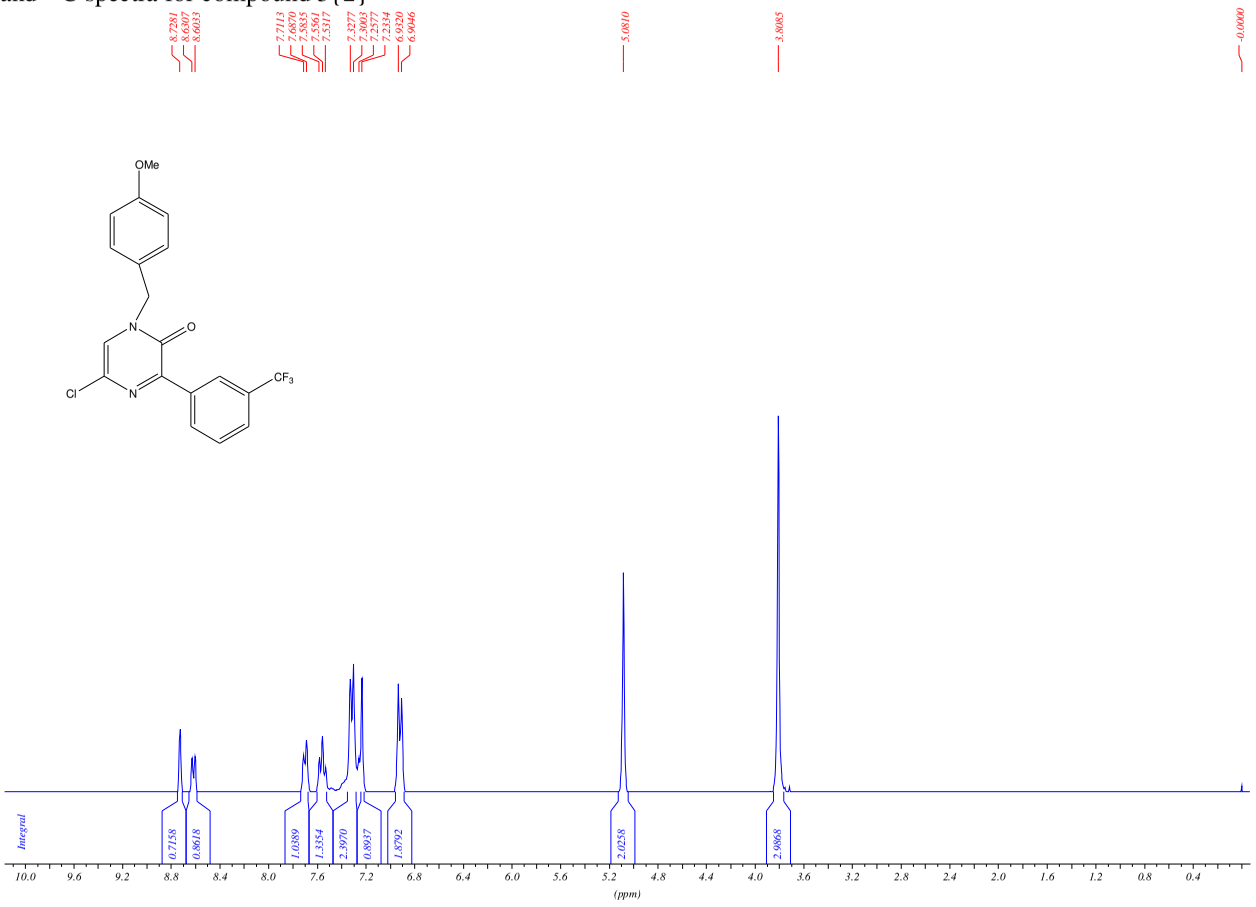
5-chloro-3-(3-ethoxyphenyl)-1,6-dimethyl-2(1*H*)-pyrazinone (3{34}). It was obtained as a yellow solid m.p. 155-156 °C in 94 % yield. ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.94 (s, 1H), 7.35-7.30 (t, 1H, *J* = 8.22 Hz), 7.26(s, 1H), 6.98-6.96 (d, 1H, *J* = 7.29 Hz), 4.14-4.07 (q, 2H, *J* = 7.32 Hz), 3.64 (s, 3H), 2.5 (s, 3H), 1.45-1.40 (t, 2H, *J* = 7.29 Hz). ^{13}C NMR (75 MHz, $CDCl_3$): 158.73, 155.32, 147.28, 136.43, 135.15, 129.06, 126.11, 121.48, 117.03, 114.41, 63.57, 32.86, 17.39, 14.92. HRMS (EI): calcd for $C_{14}H_{15}O_2N_2Cl$: 278.0822, found: 278.0824.

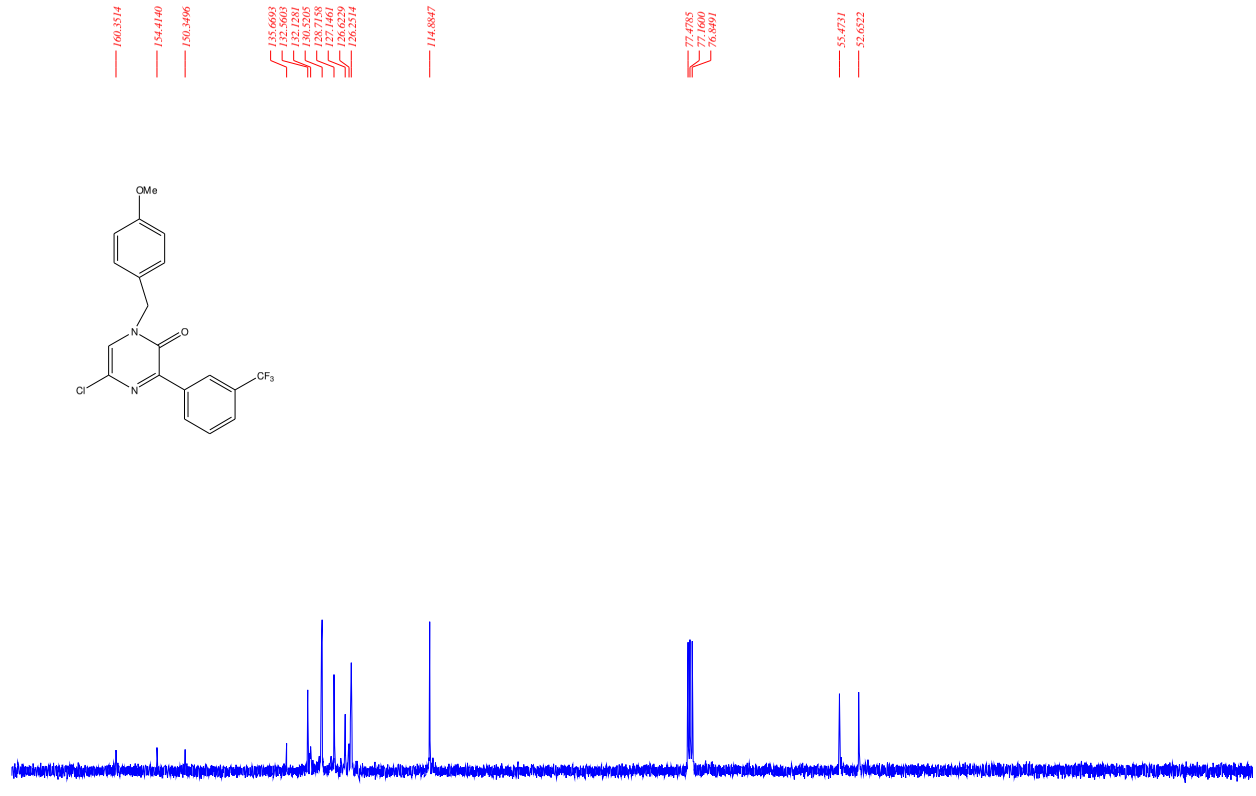
^{1}H and ^{13}C spectra for compound 3{1}



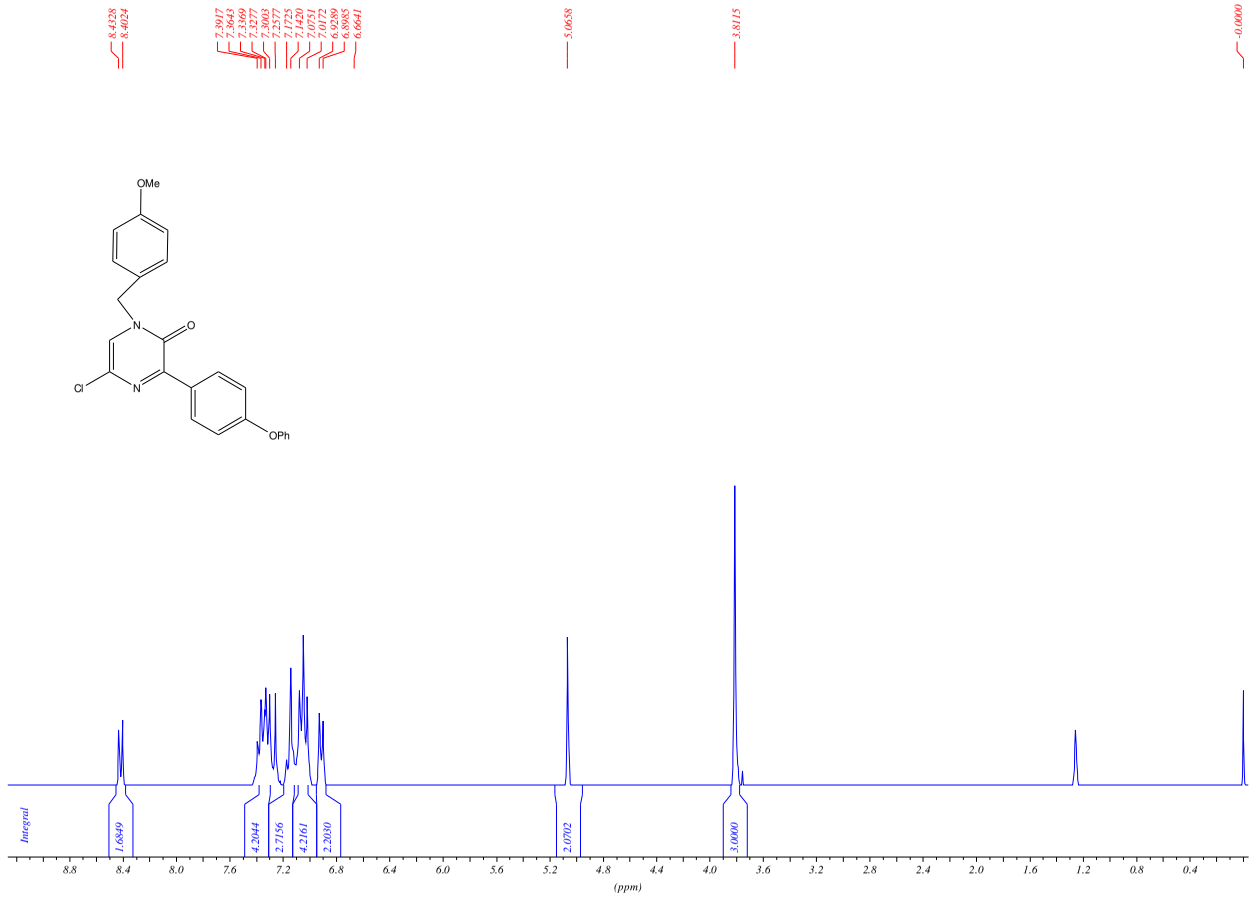


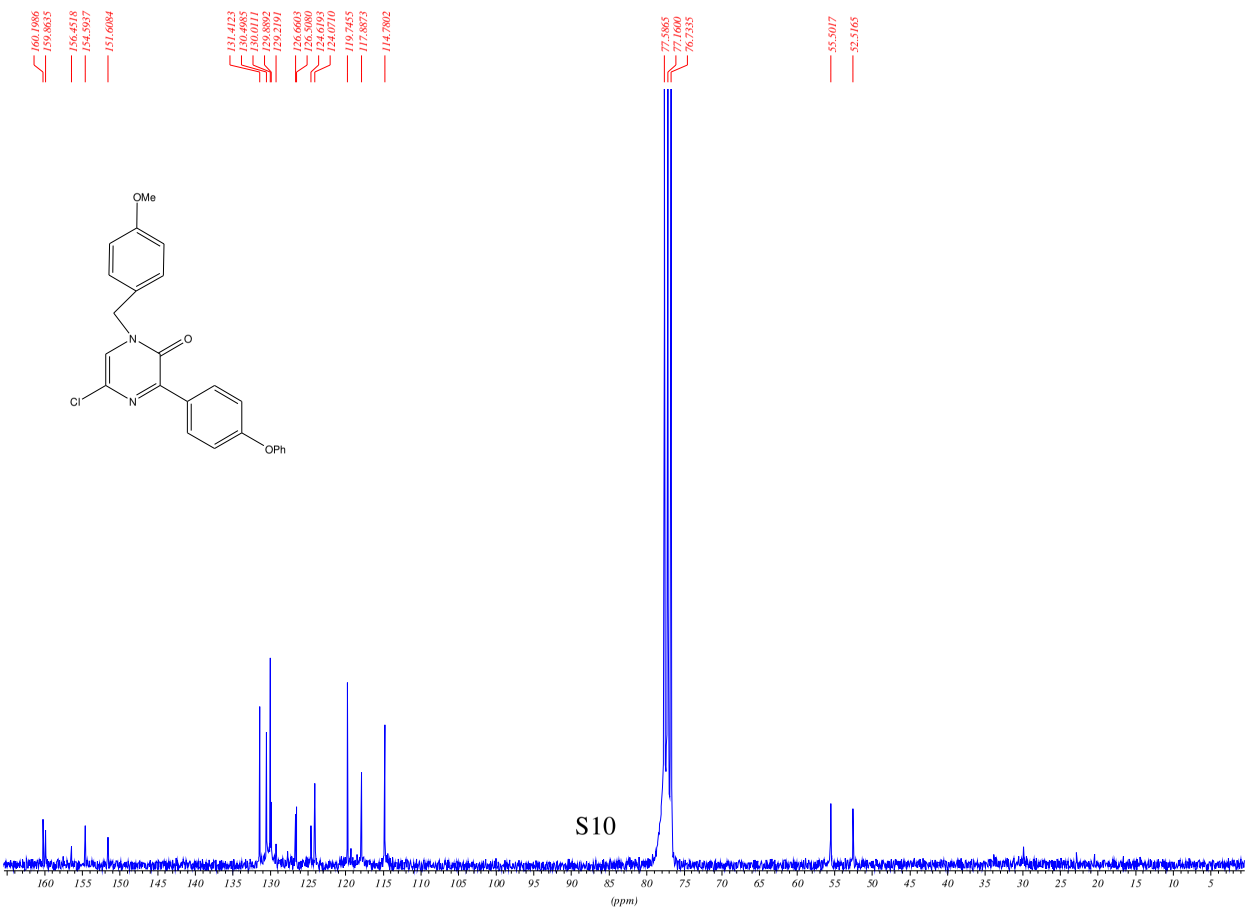
^{1}H and ^{13}C spectra for compound 3{2}



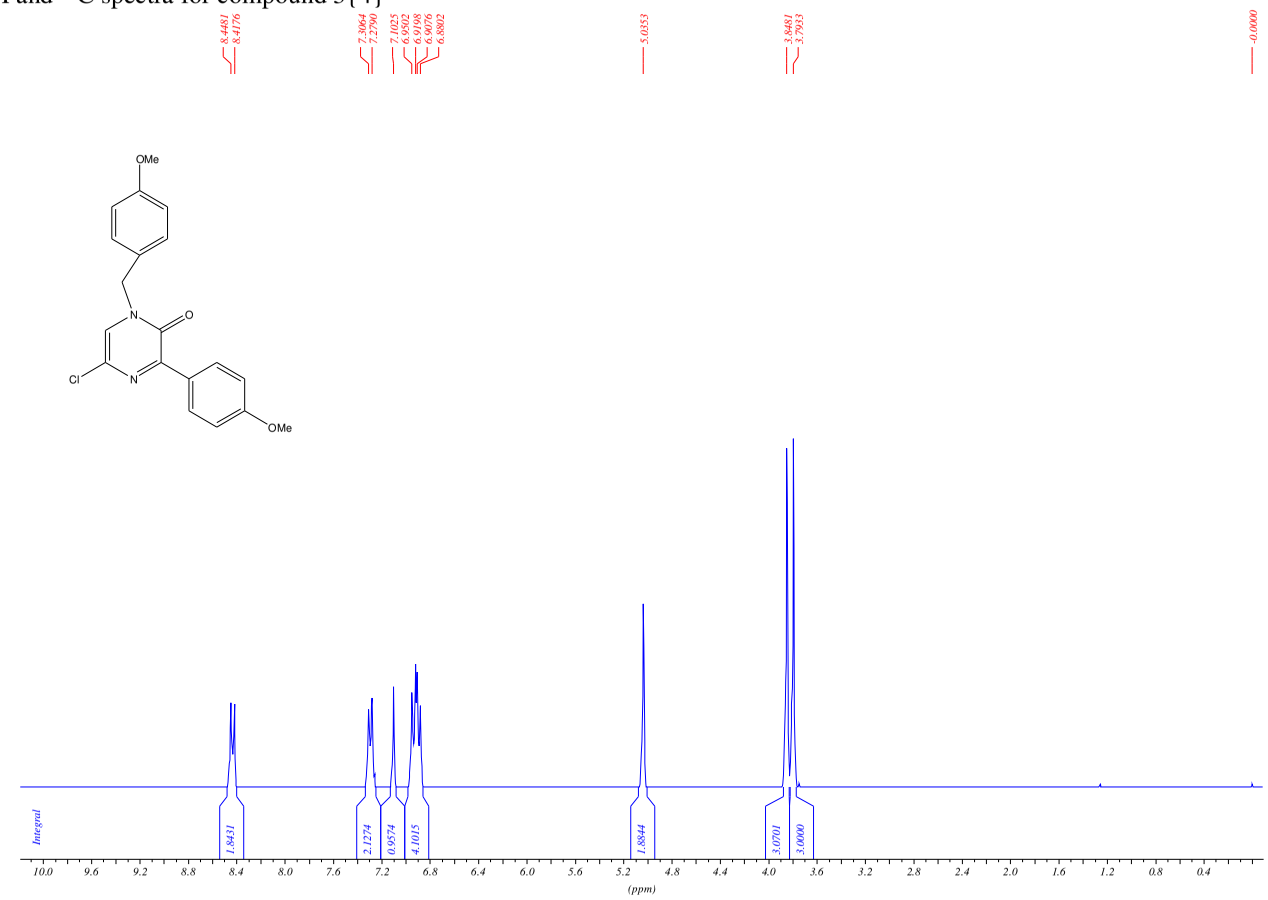


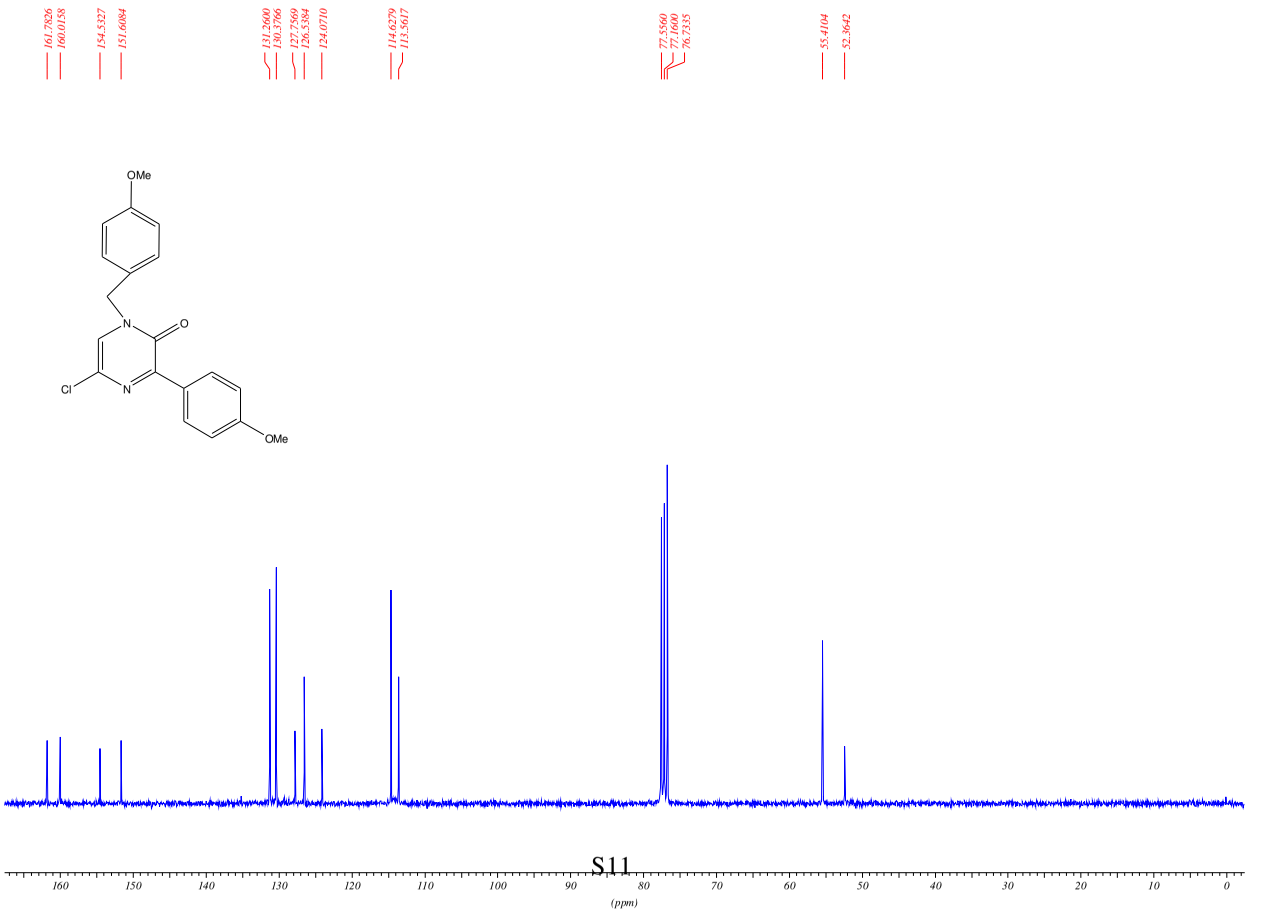
^{1}H and ^{13}C spectra for compound 3{3}



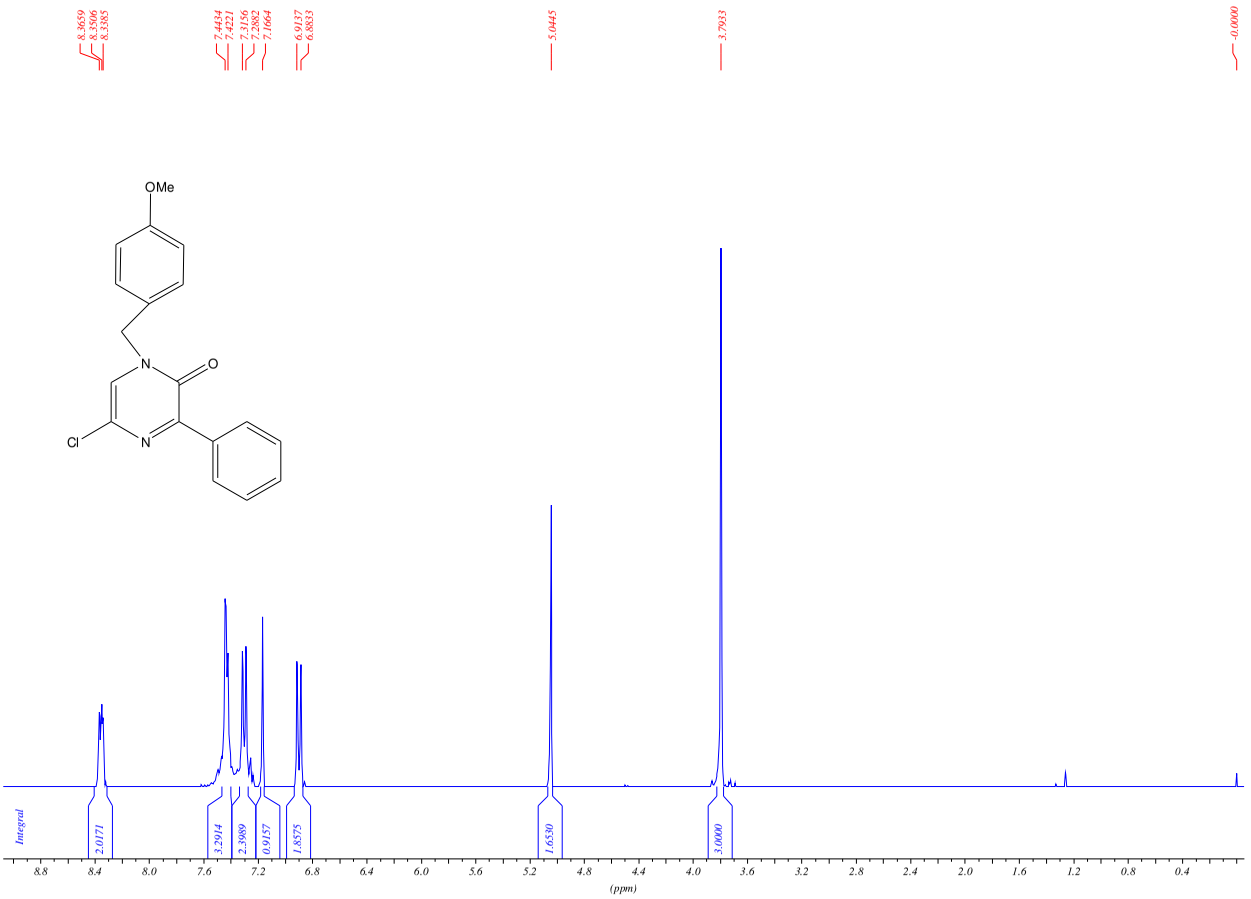


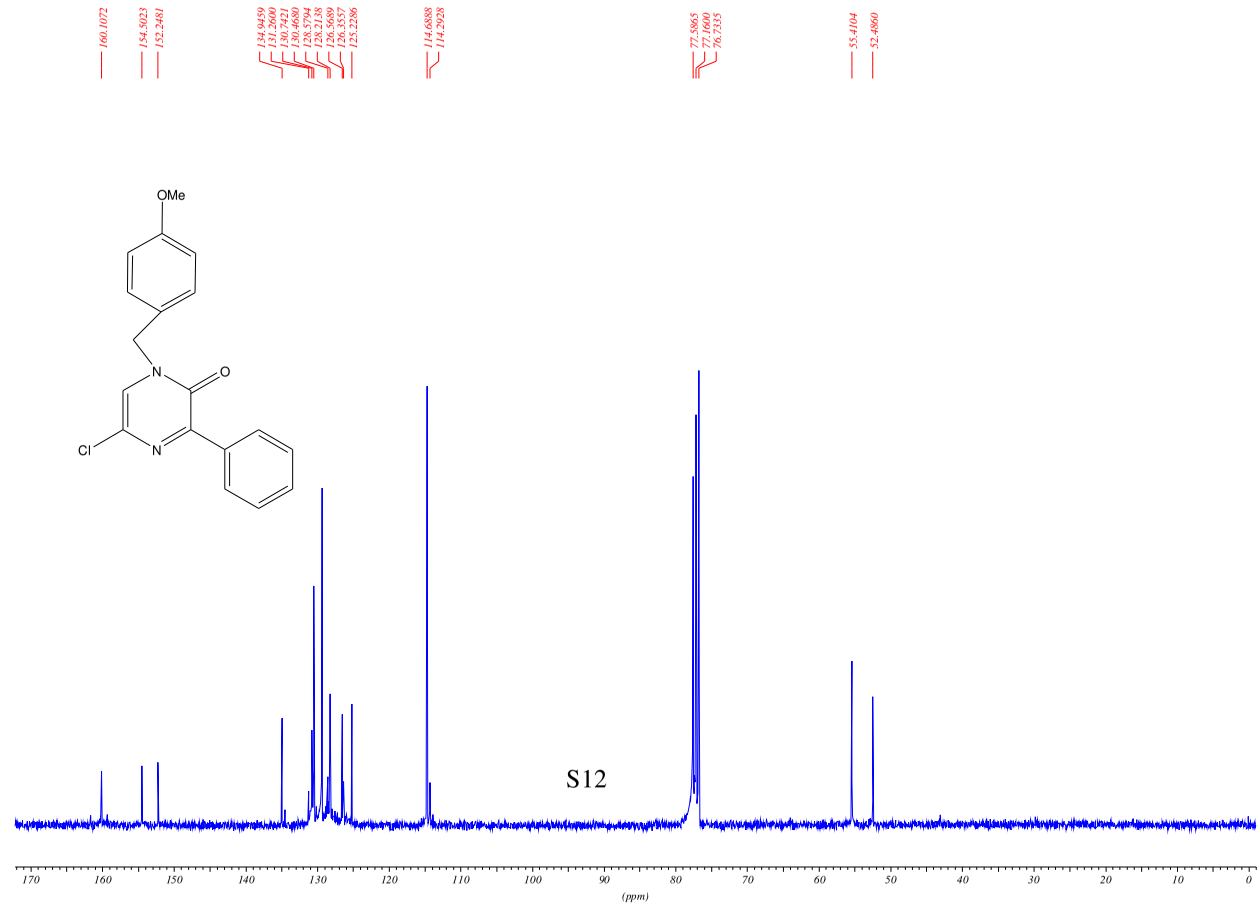
^{1}H and ^{13}C spectra for compound 3{4}



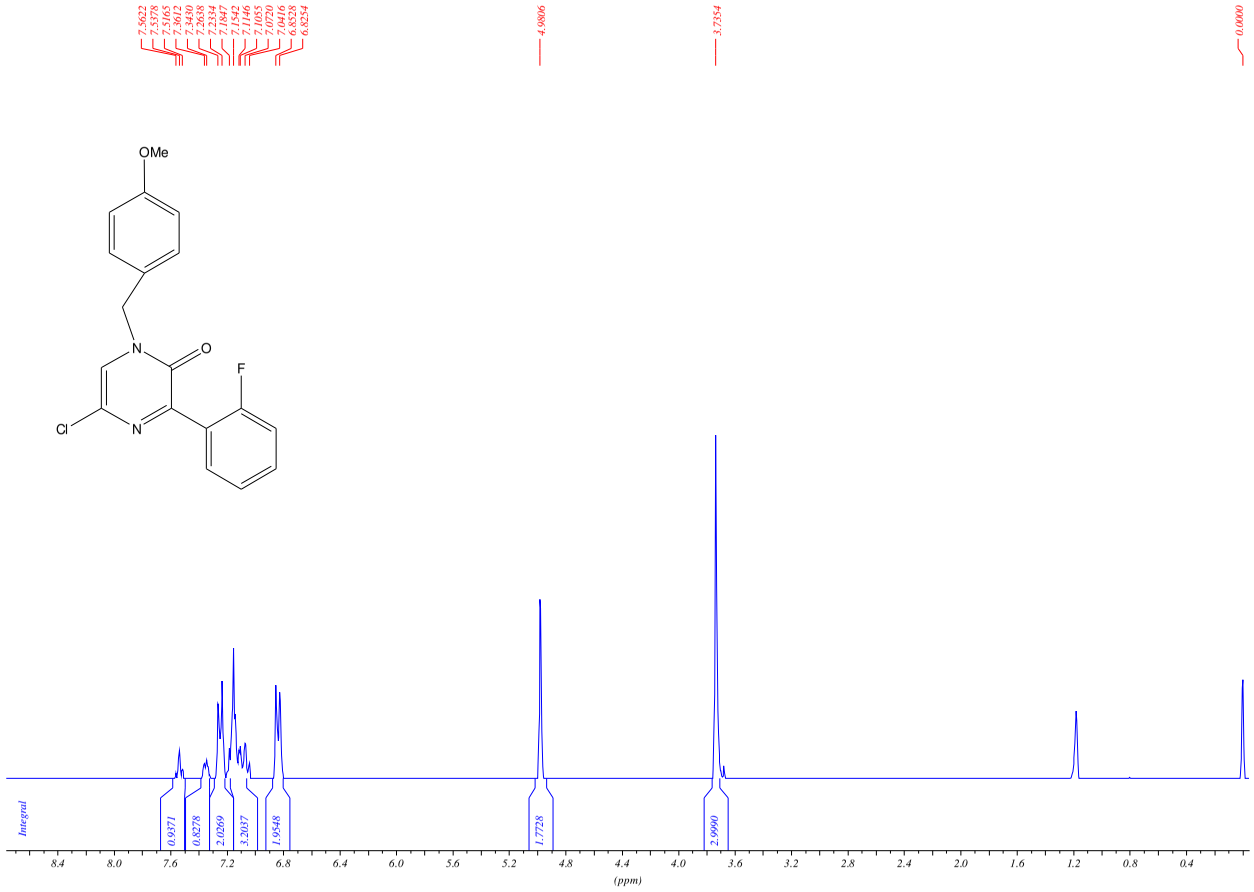


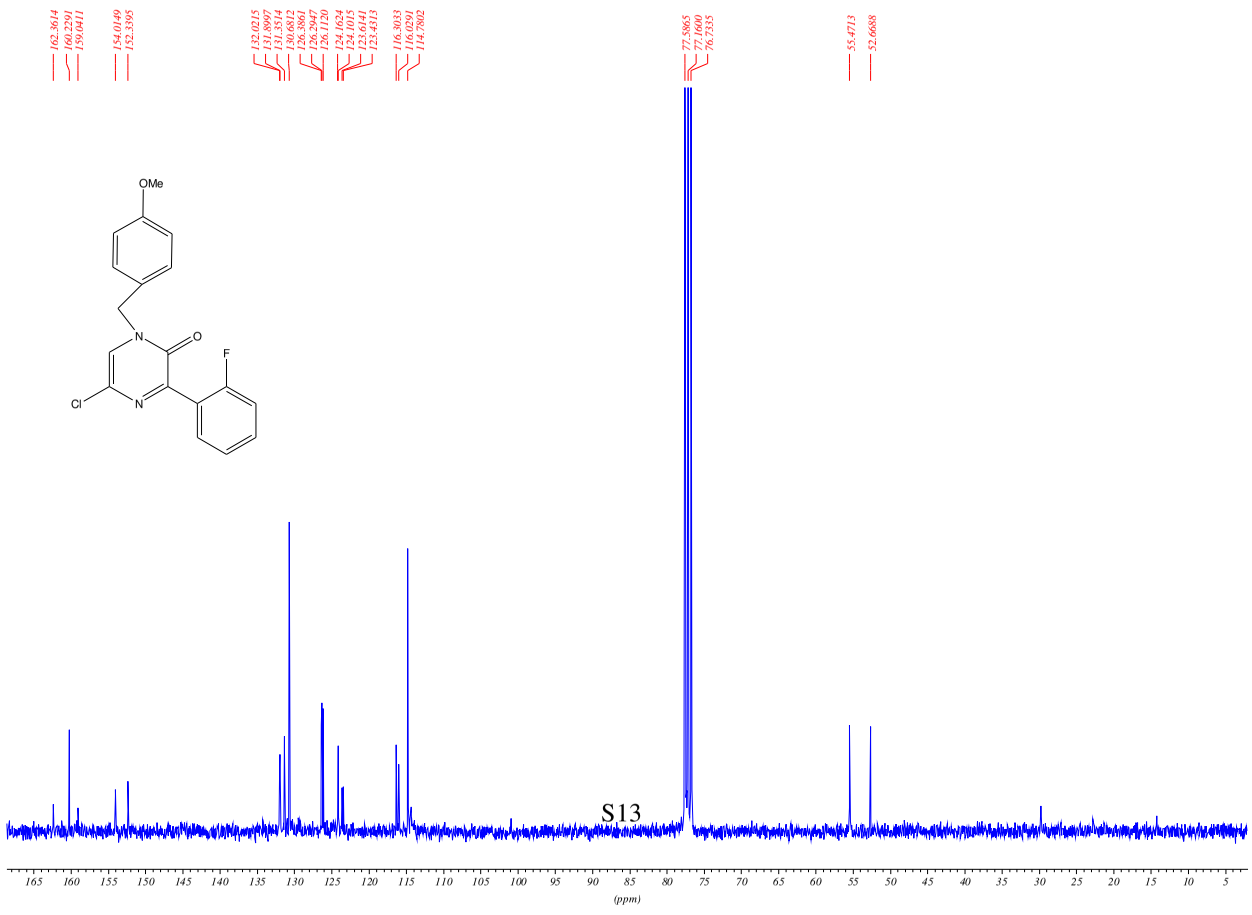
^{1}H and ^{13}C spectra for compound 3{5}



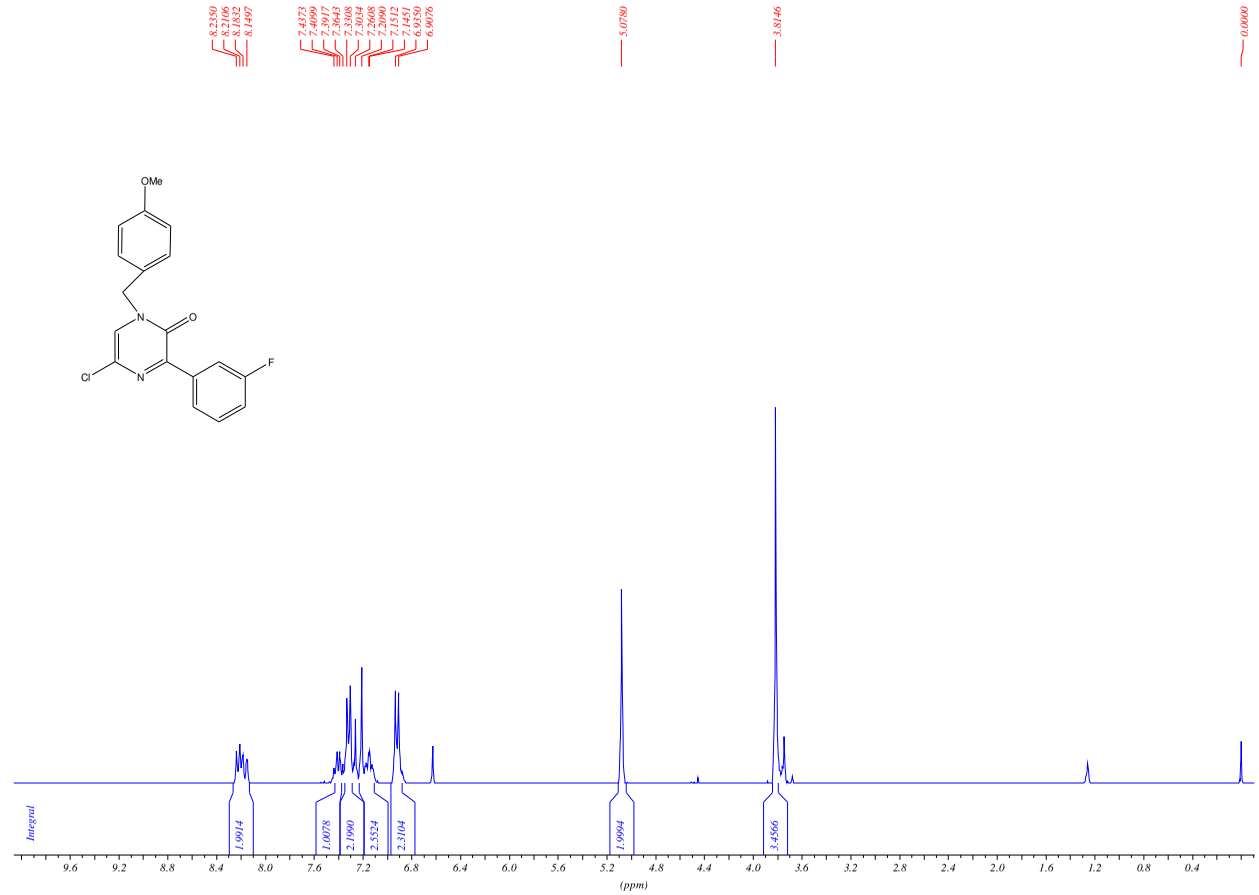


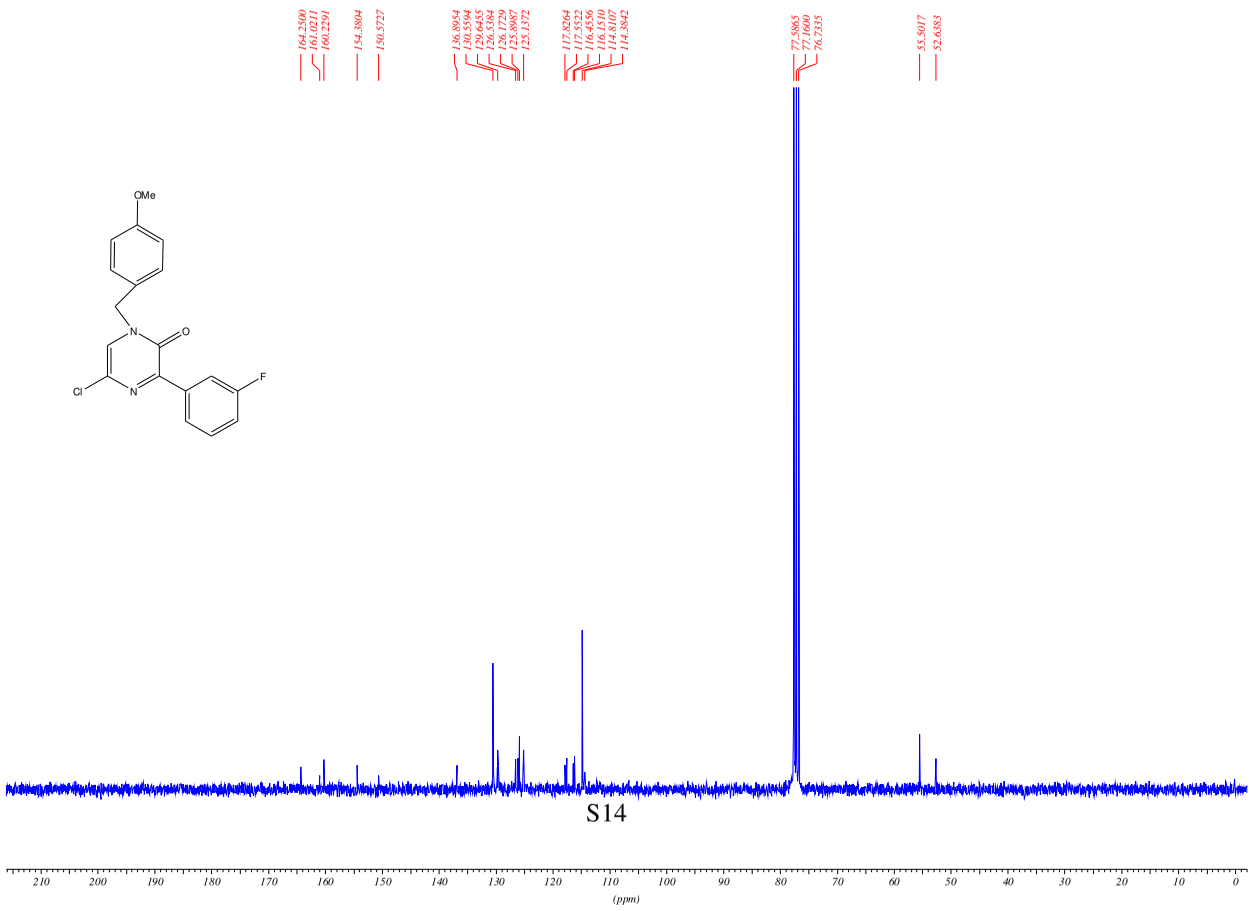
^{1}H and ^{13}C spectra for compound 3{6}



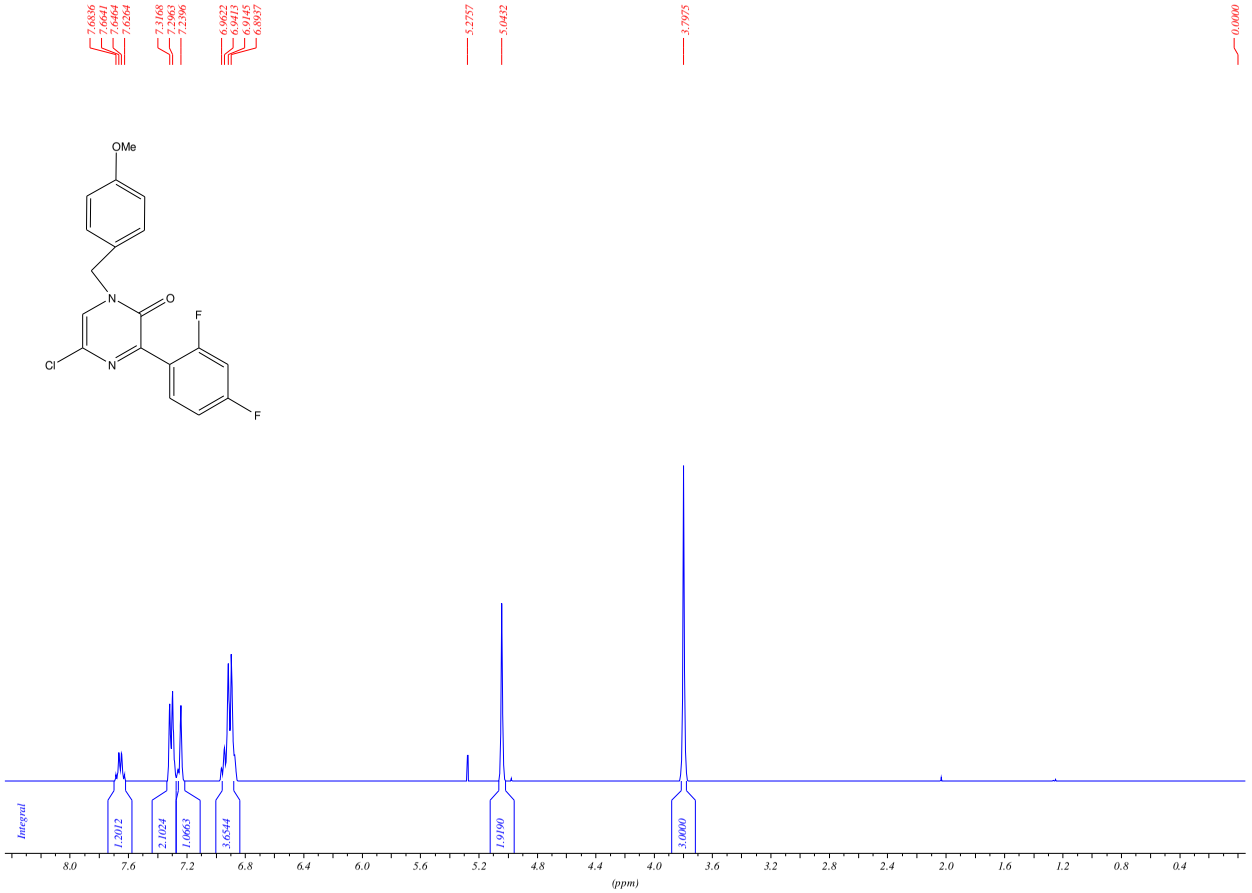


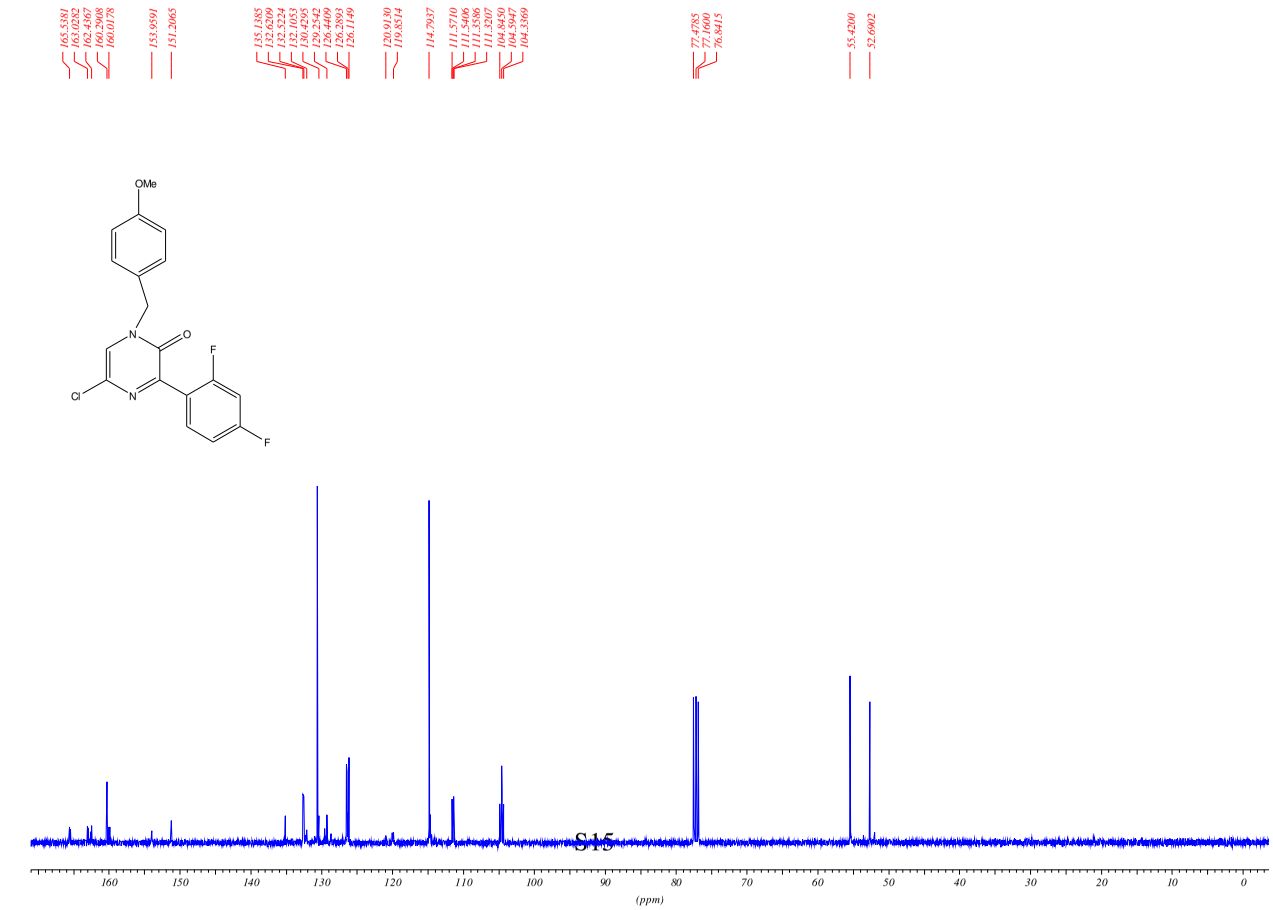
^{1}H and ^{13}C spectra for compound 3{7}



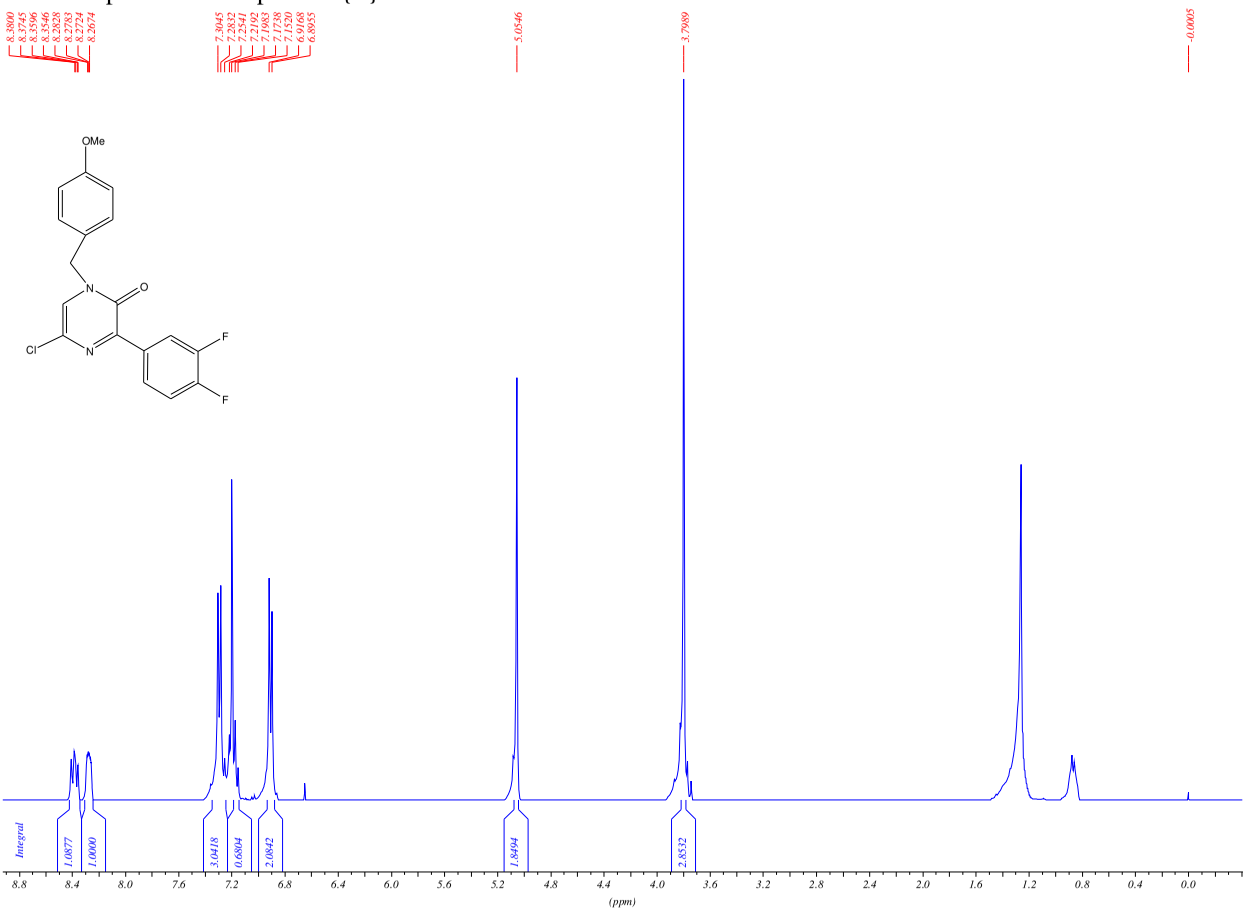


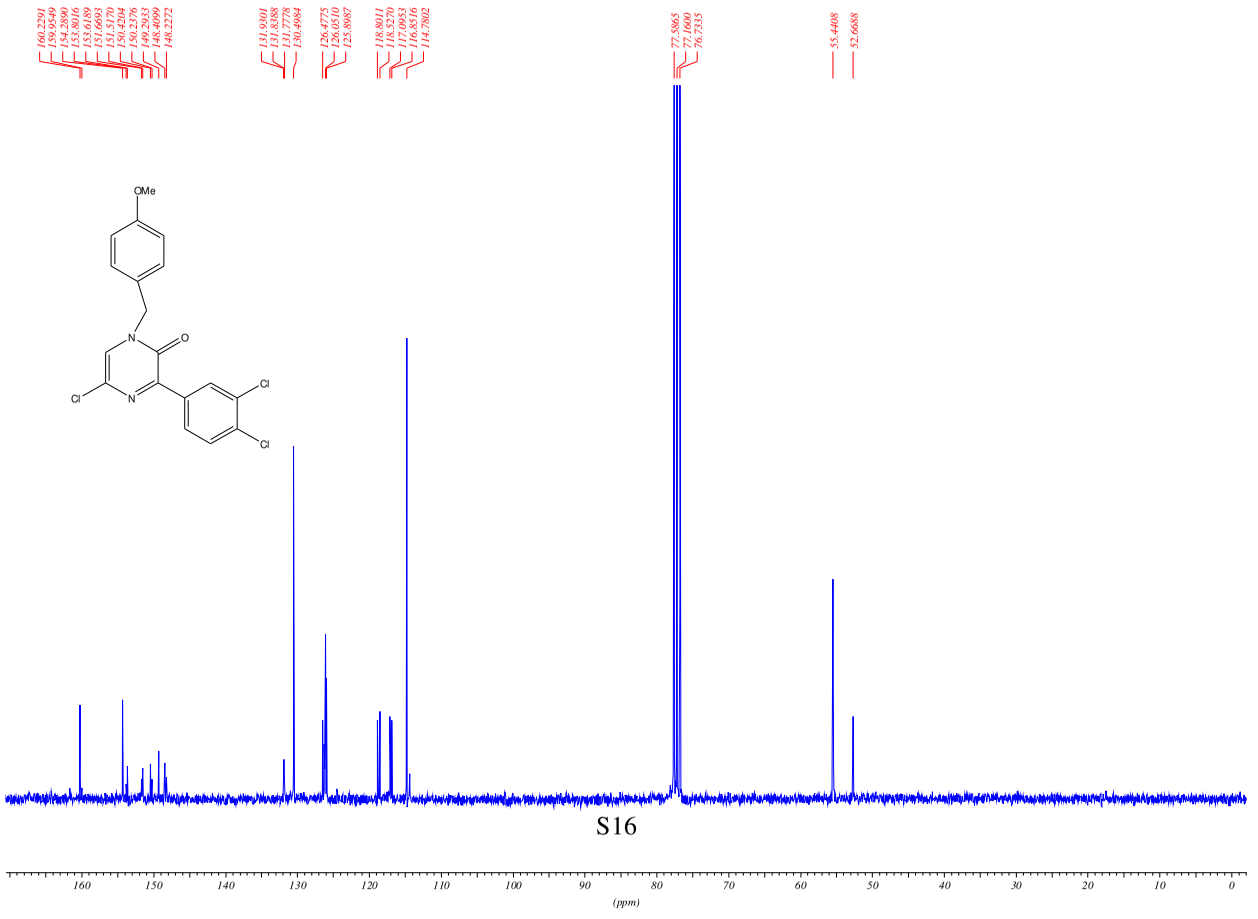
^{1}H and ^{13}C spectra for compound 3{8}



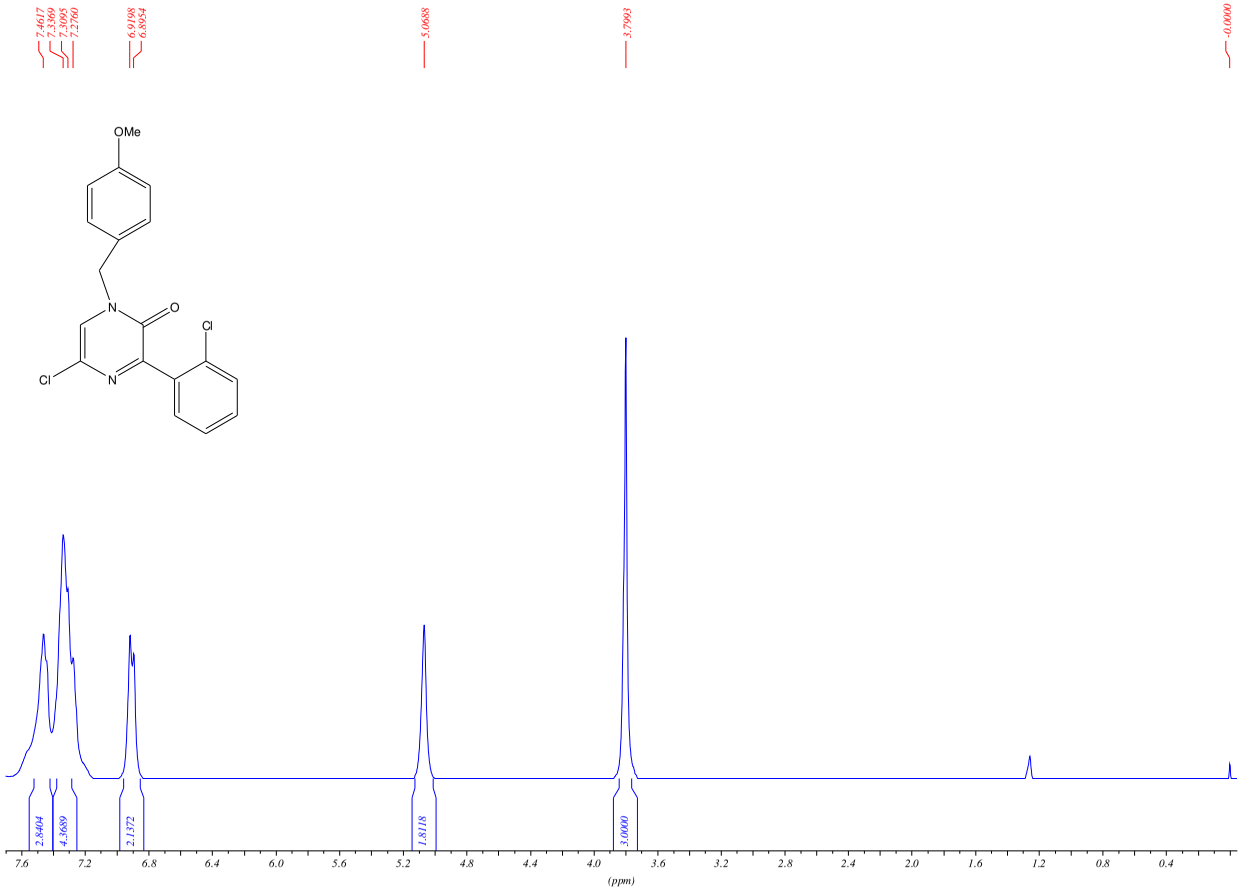


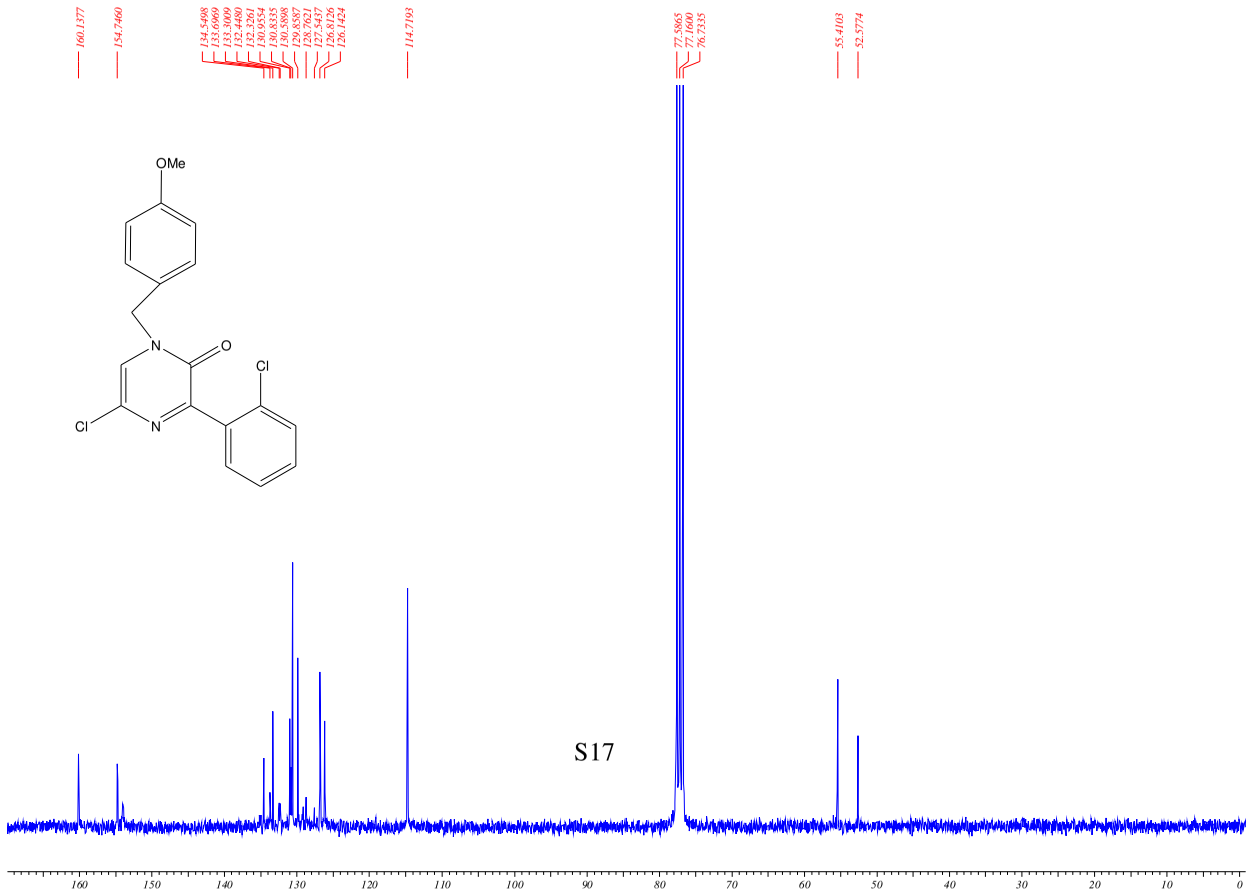
^{1}H and ^{13}C spectra for compound 3{9}



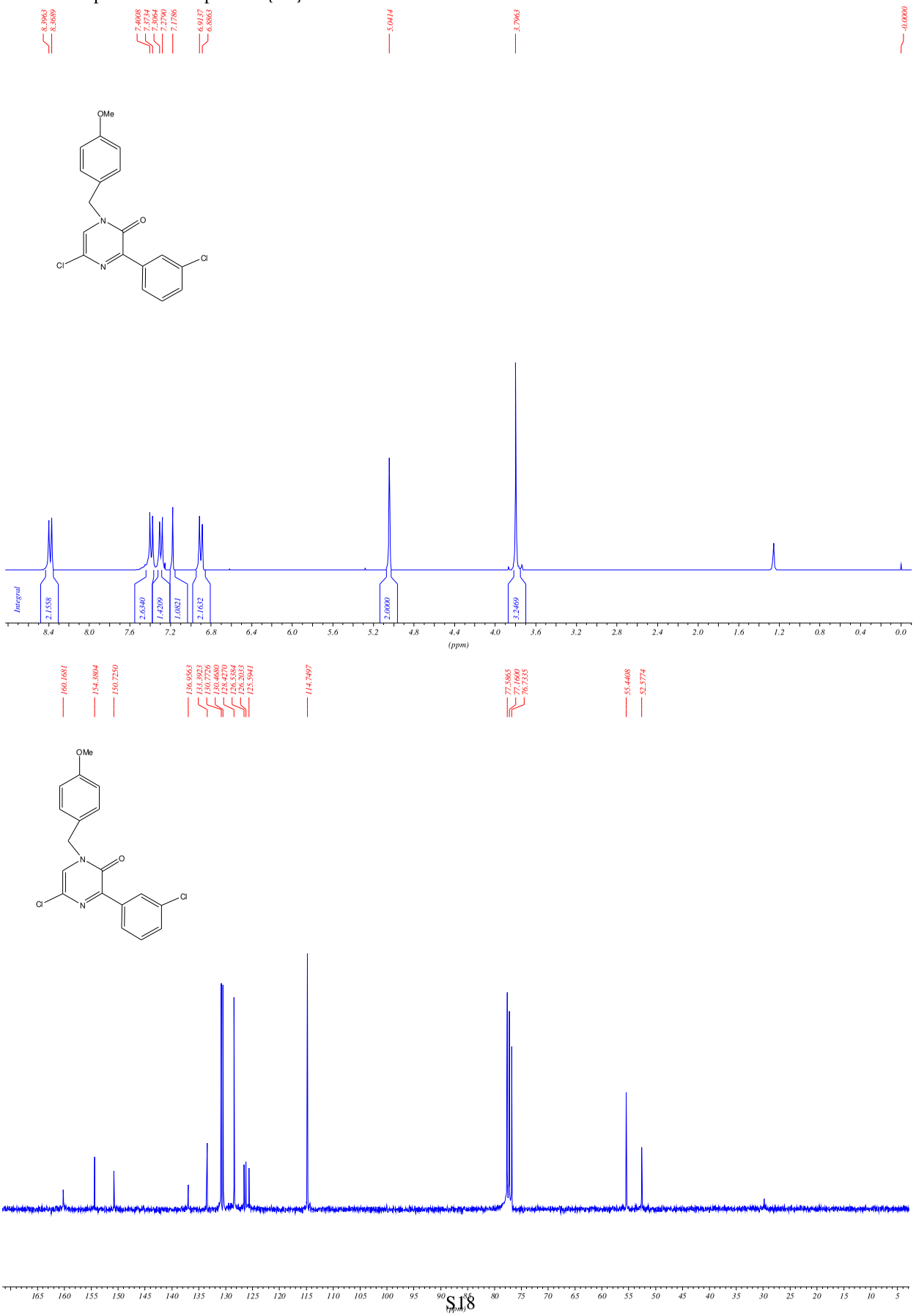


^{1}H and ^{13}C spectra for compound 3{10}

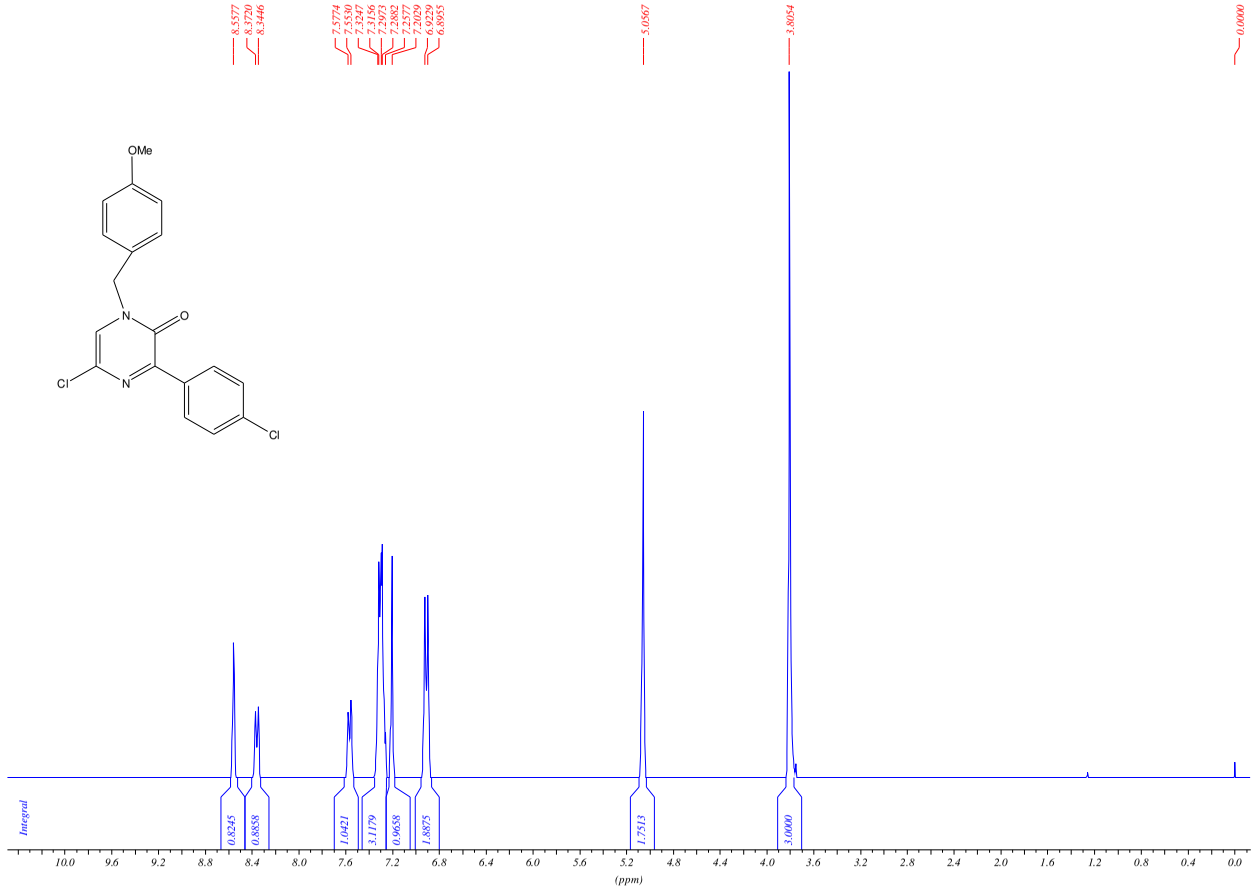


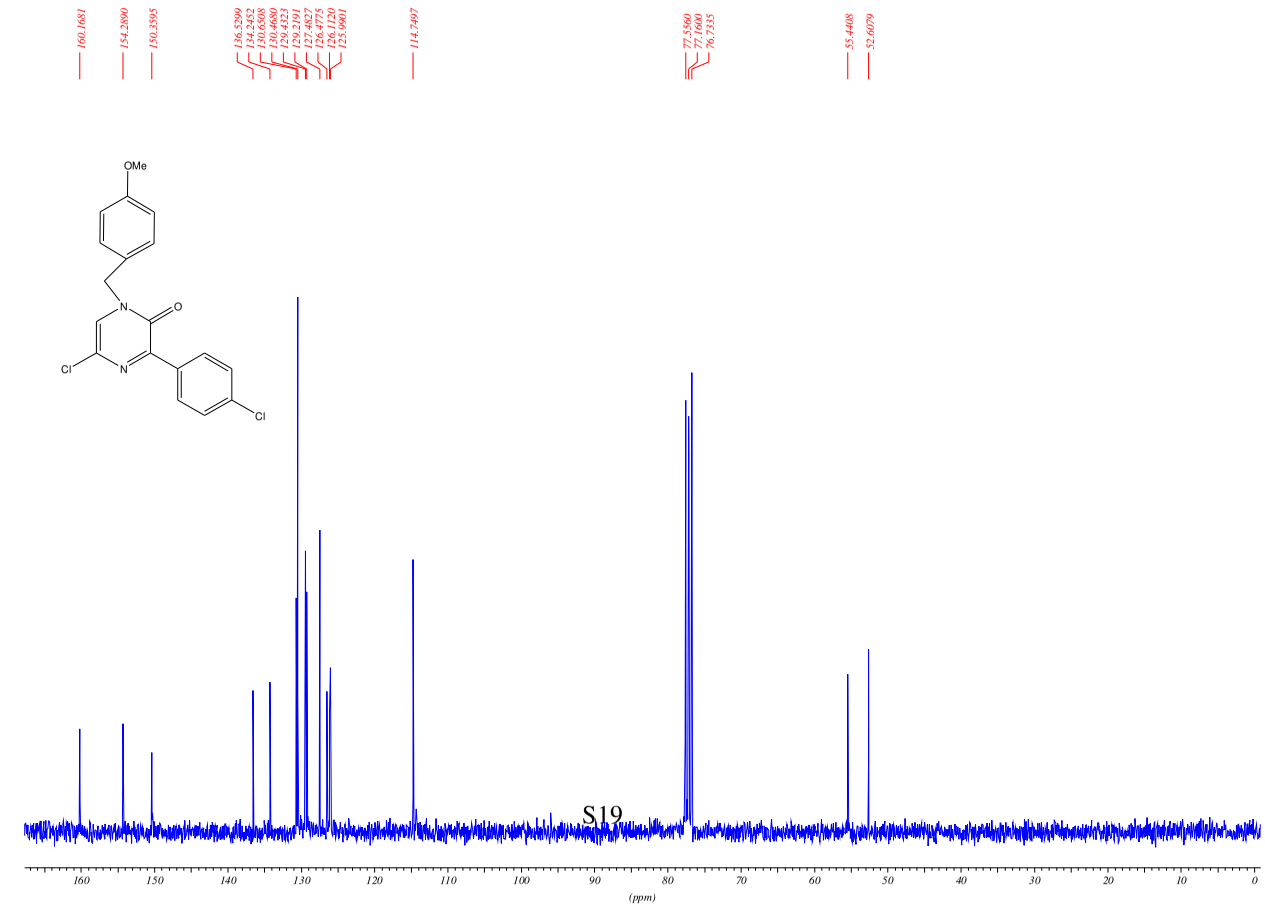


^{1}H and ^{13}C spectra for compound 3{11}

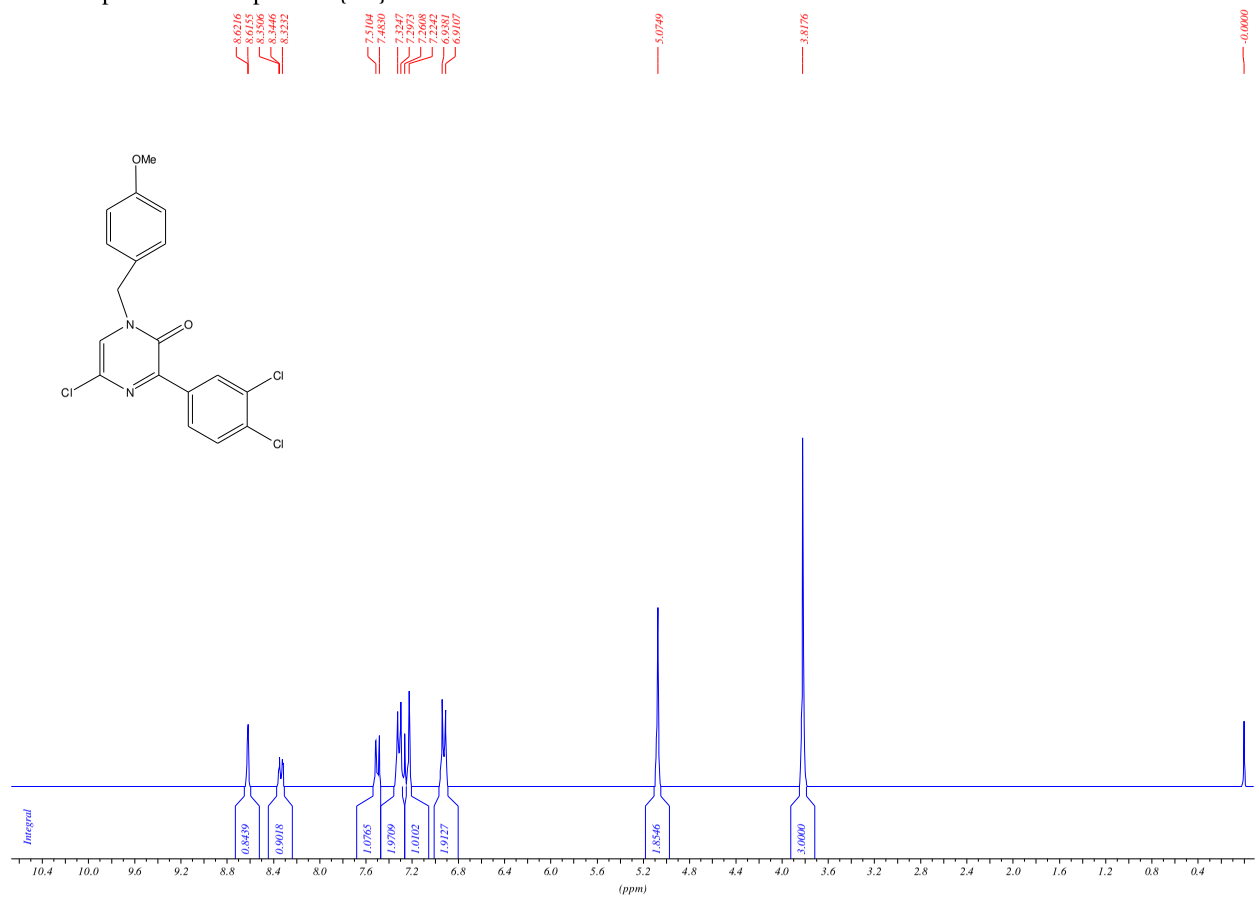


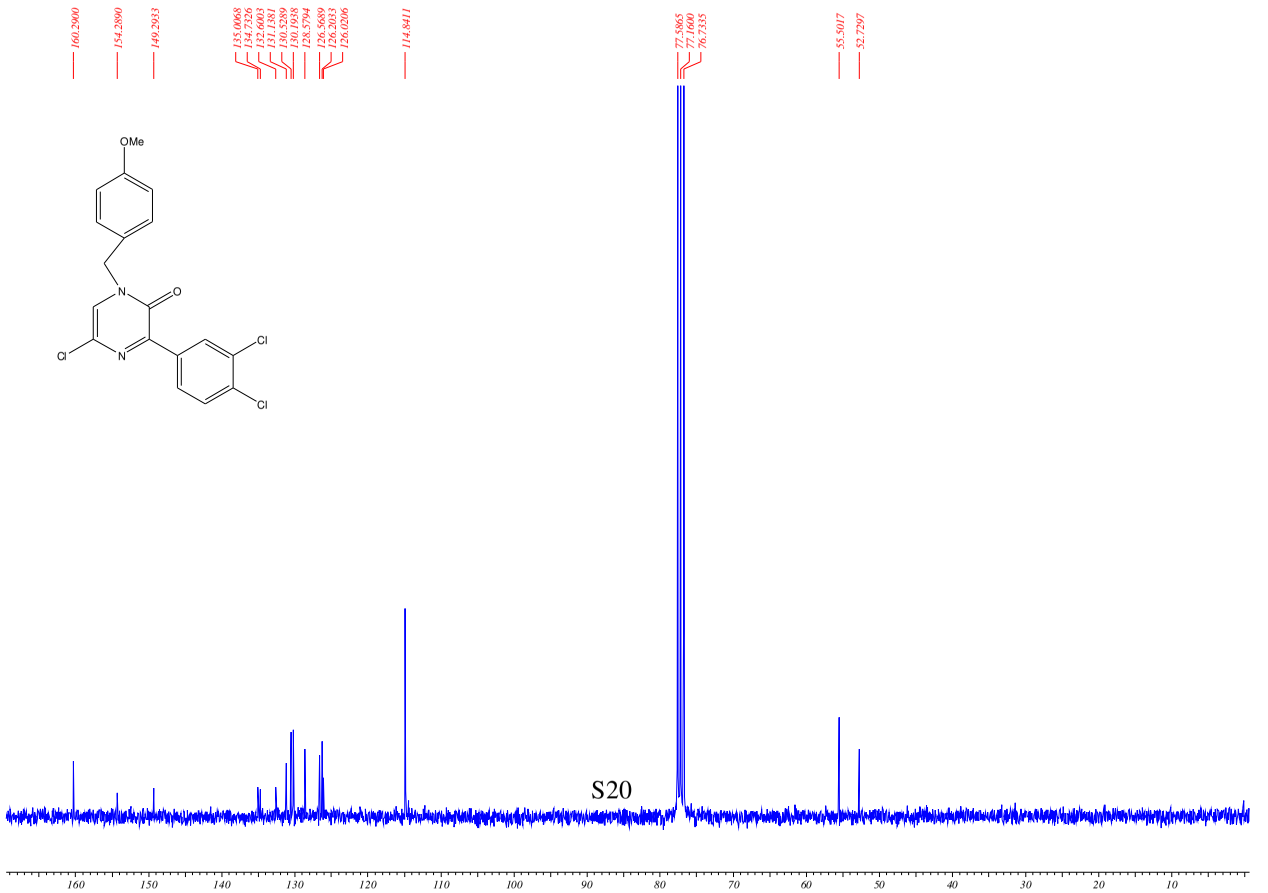
^{1}H and ^{13}C spectra for compound 3{12}



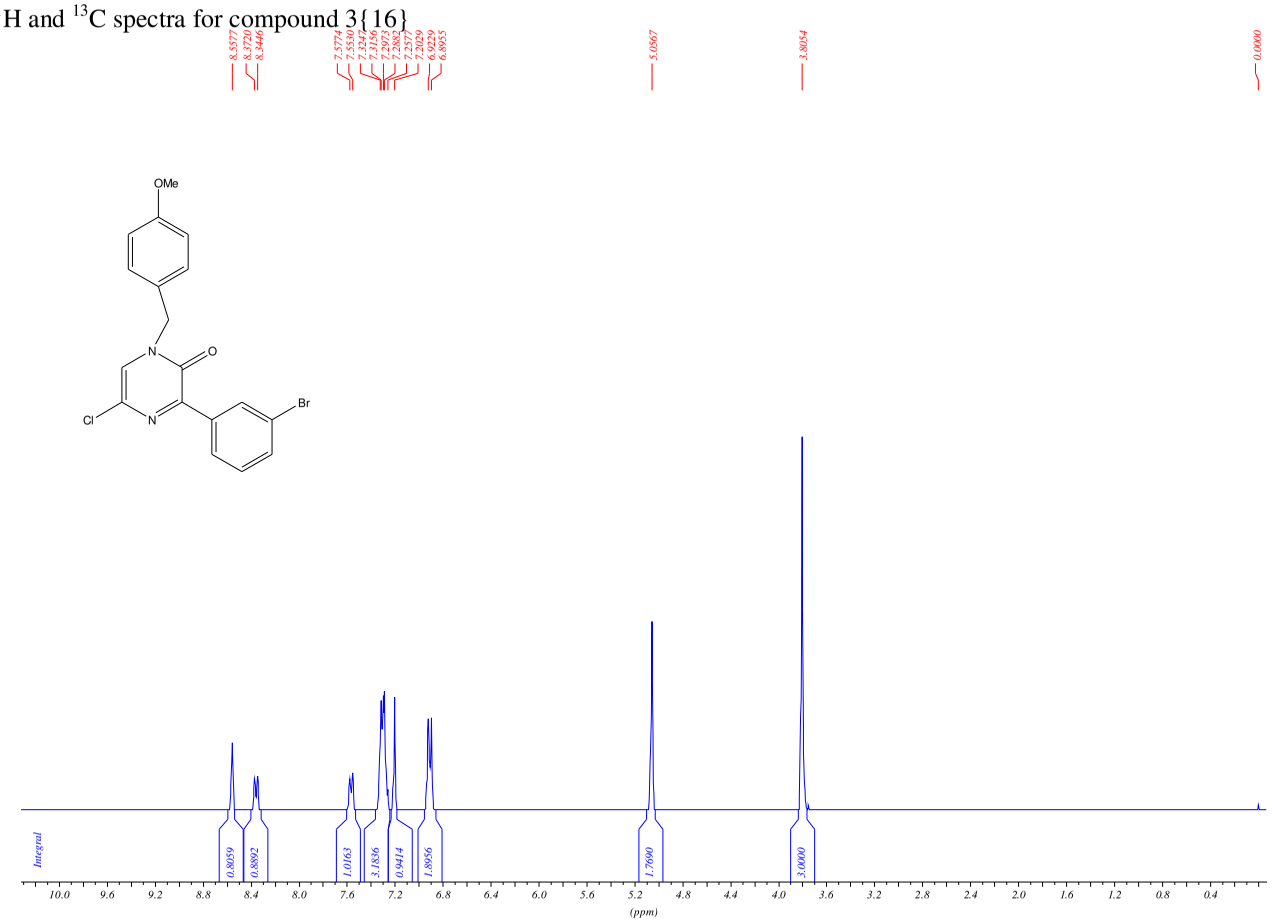


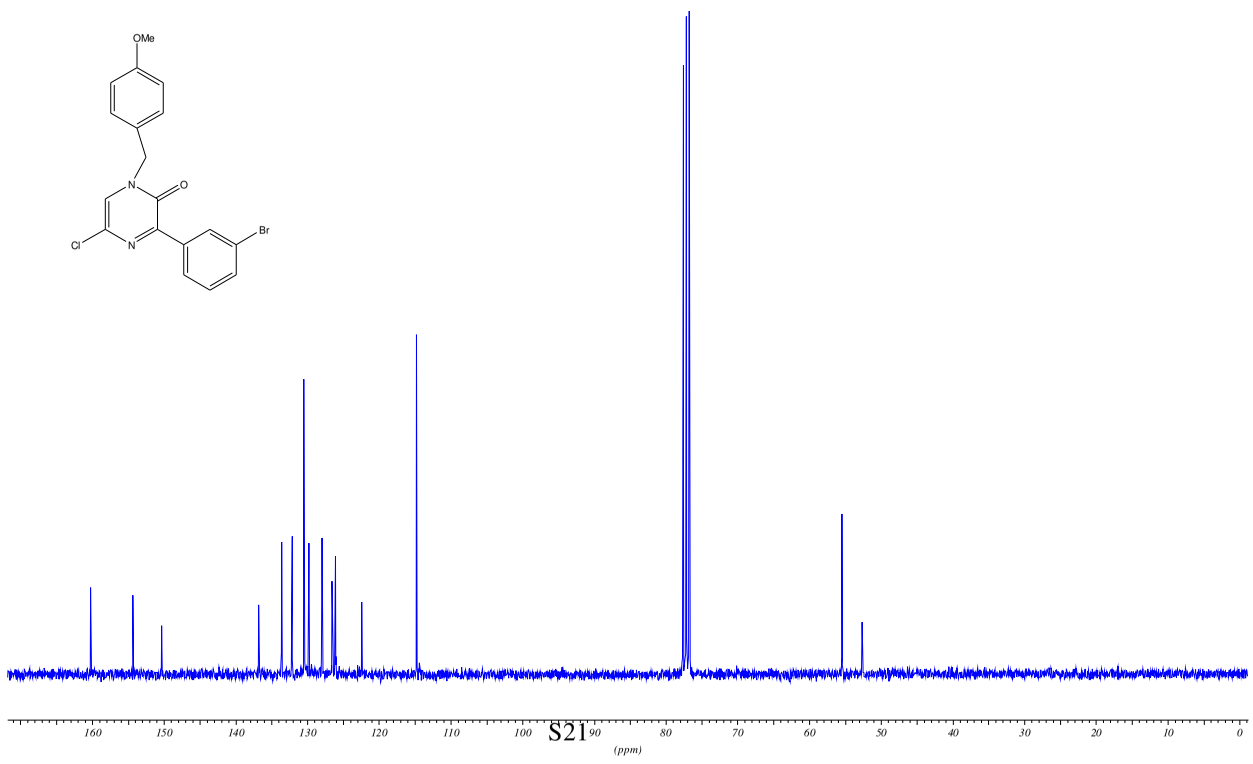
^{1}H and ^{13}C spectra for compound 3{14}



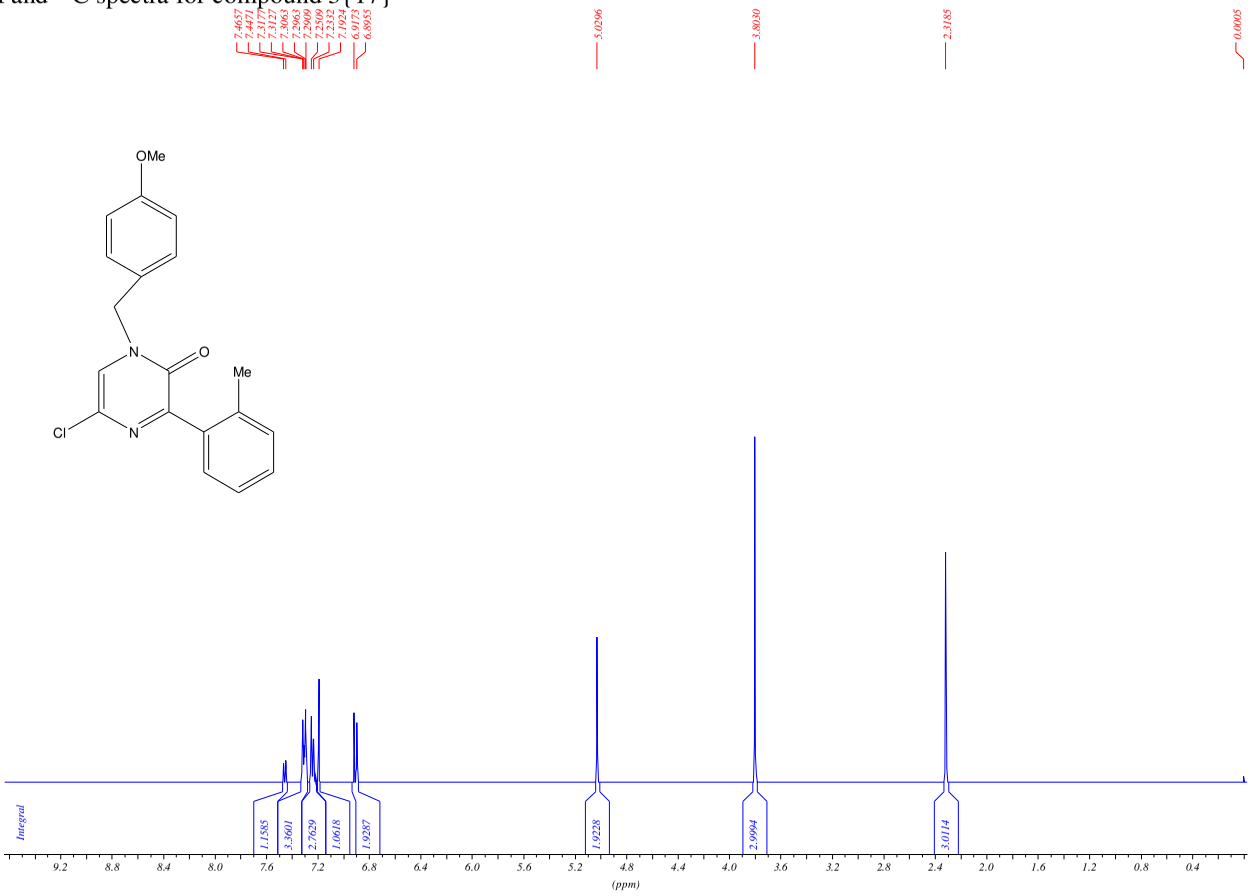


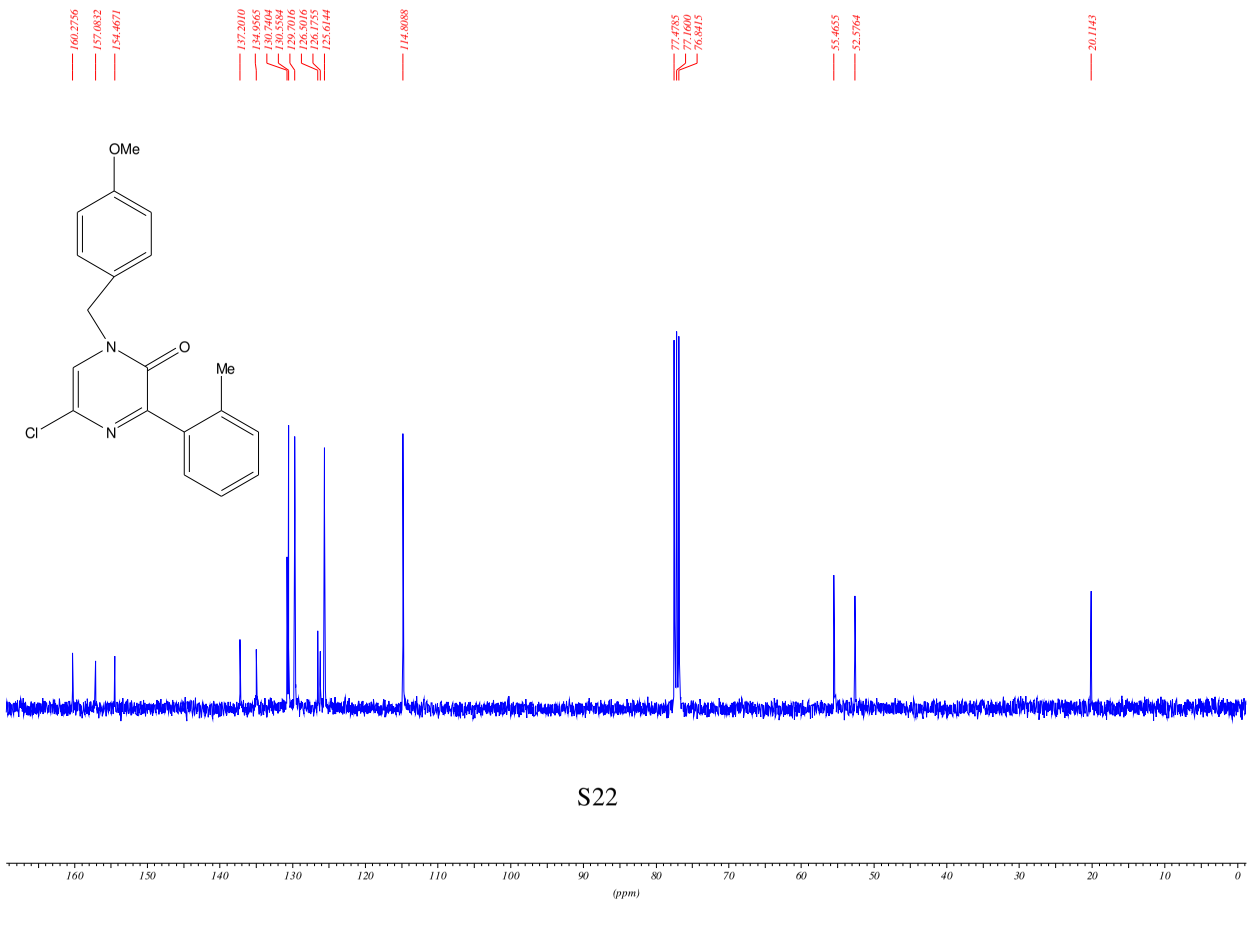
^{1}H and ^{13}C spectra for compound 3{16}



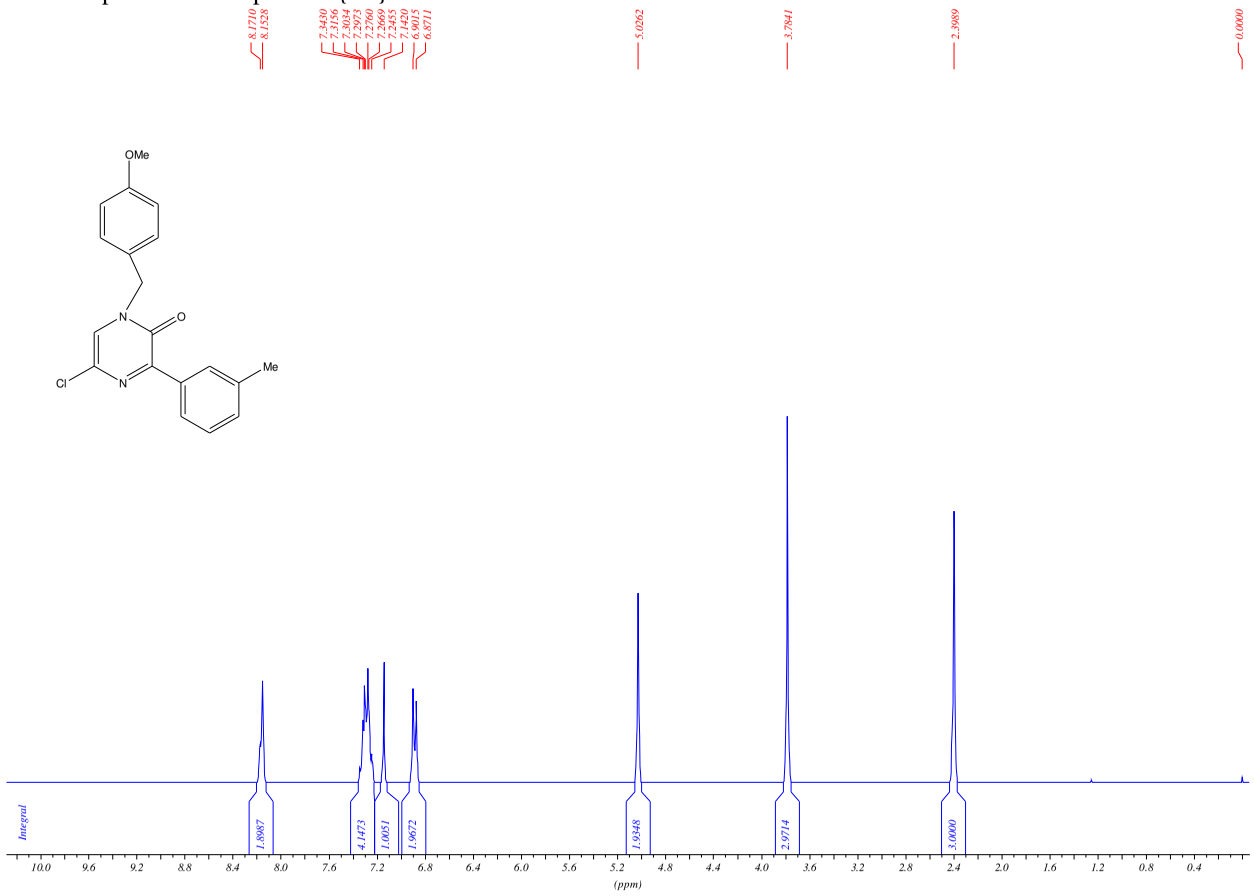


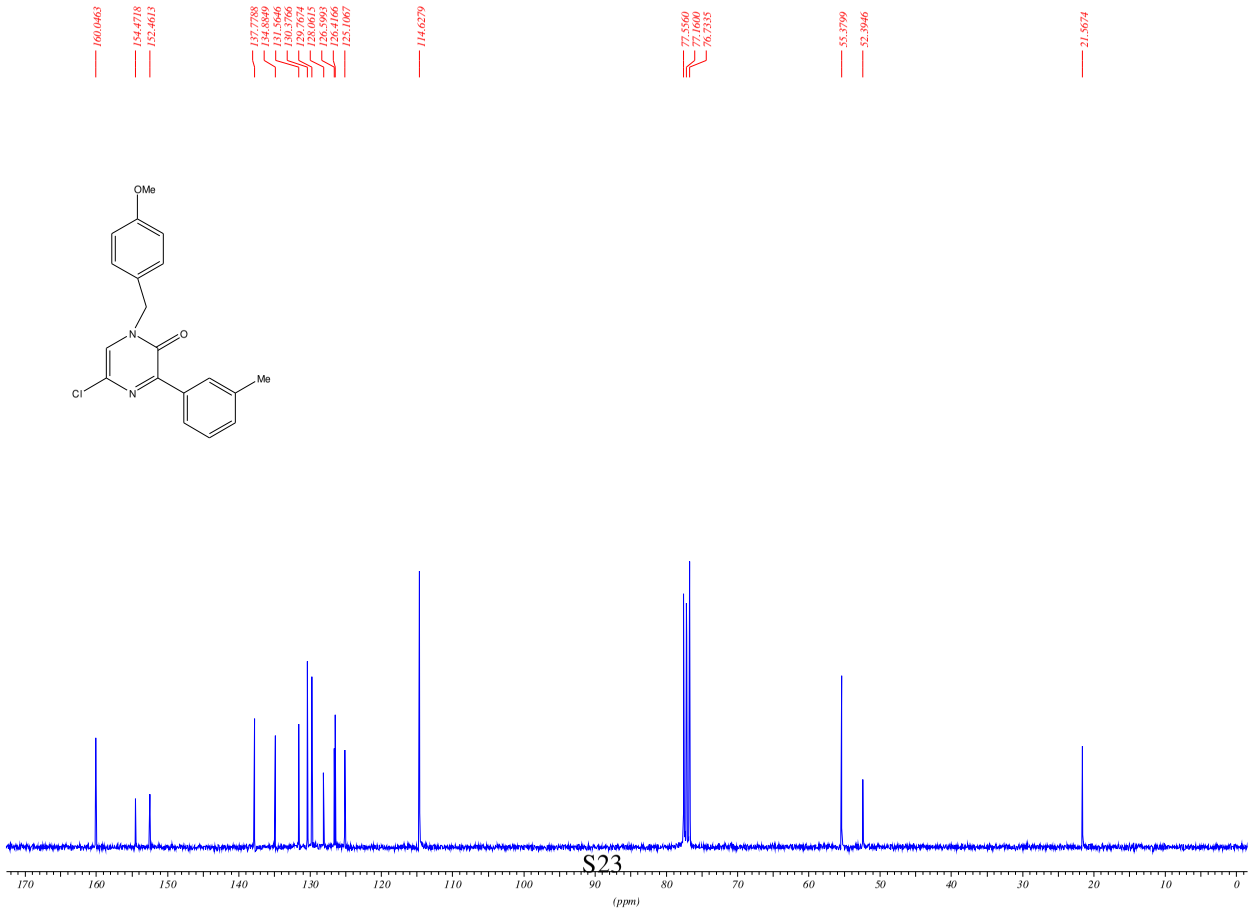
^{1}H and ^{13}C spectra for compound 3{17}



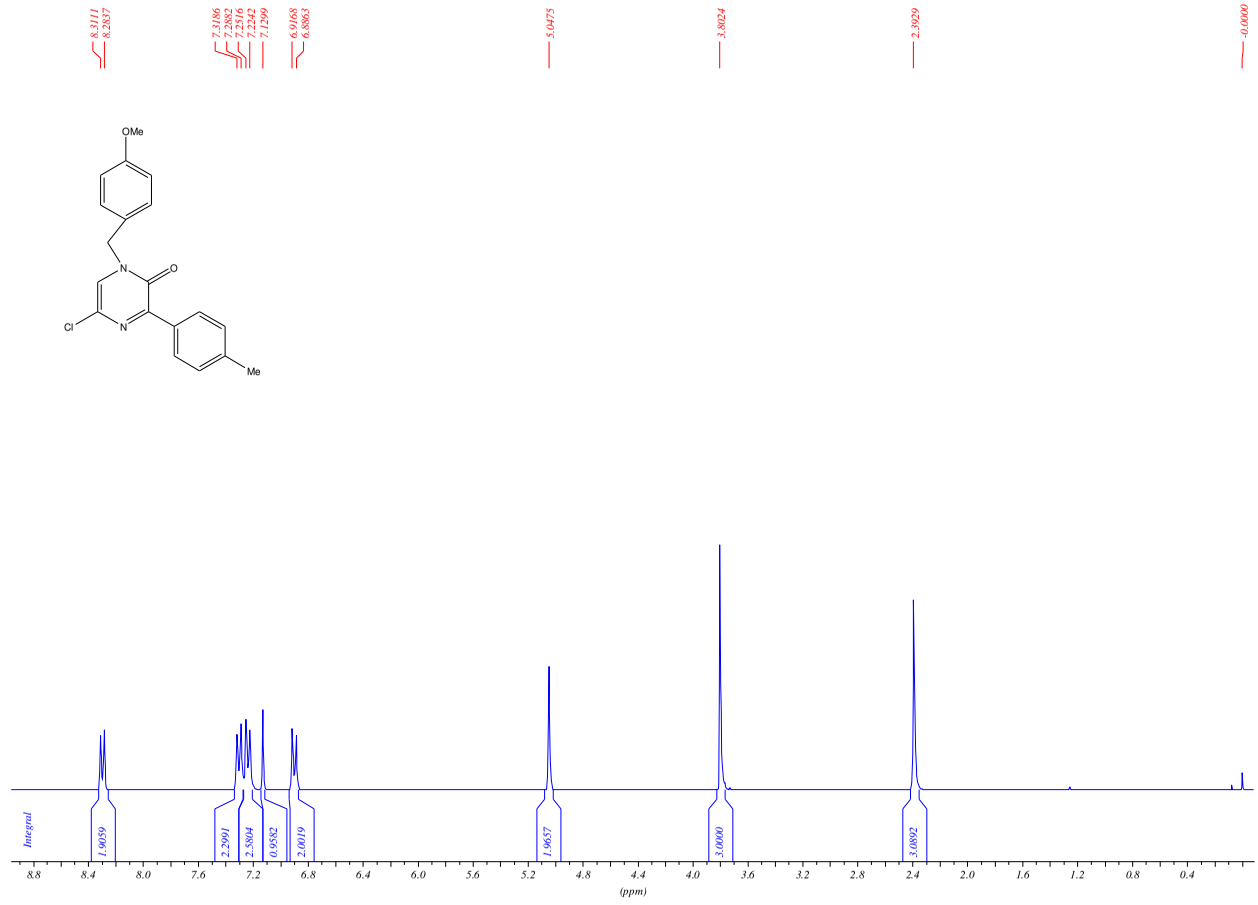


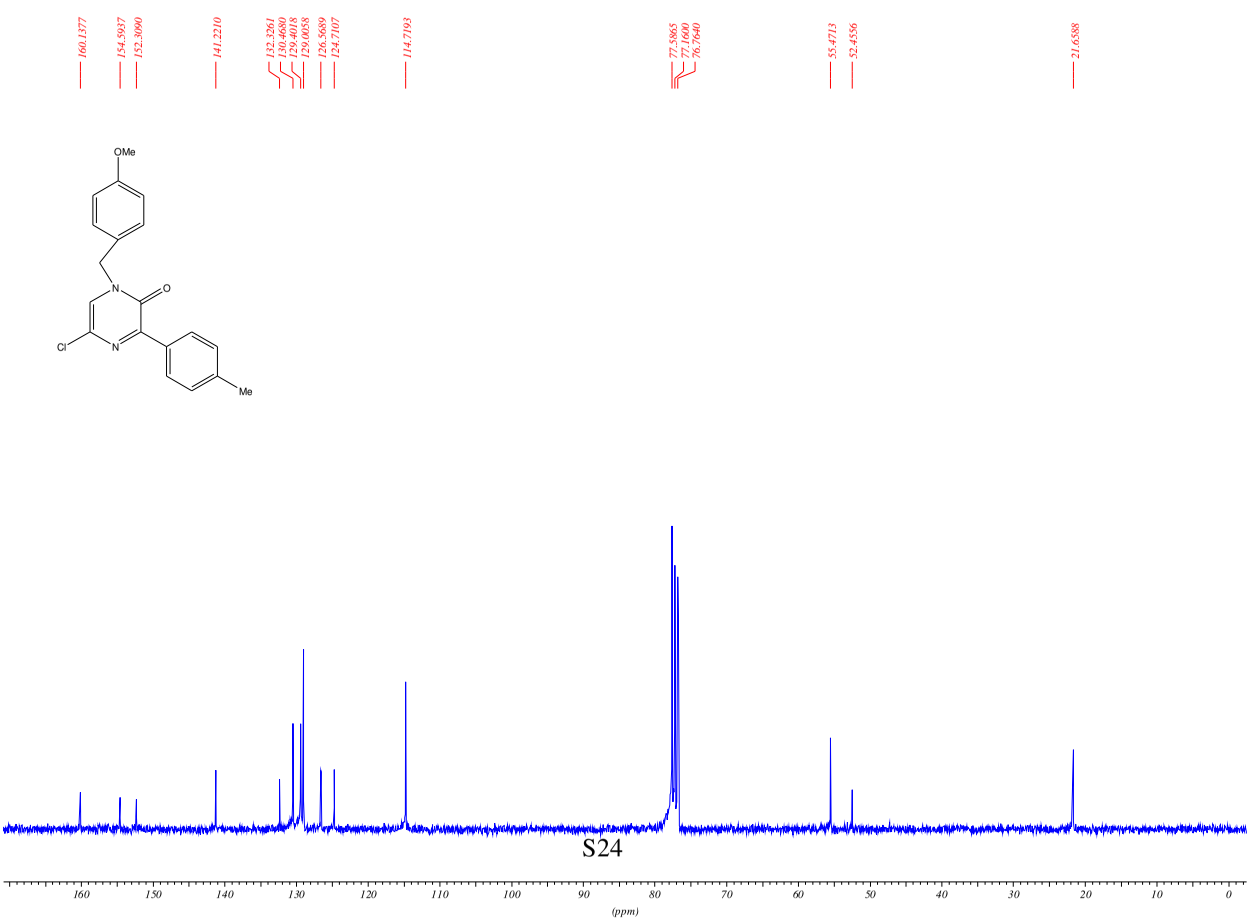
^{1}H and ^{13}C spectra for compound 3{18}



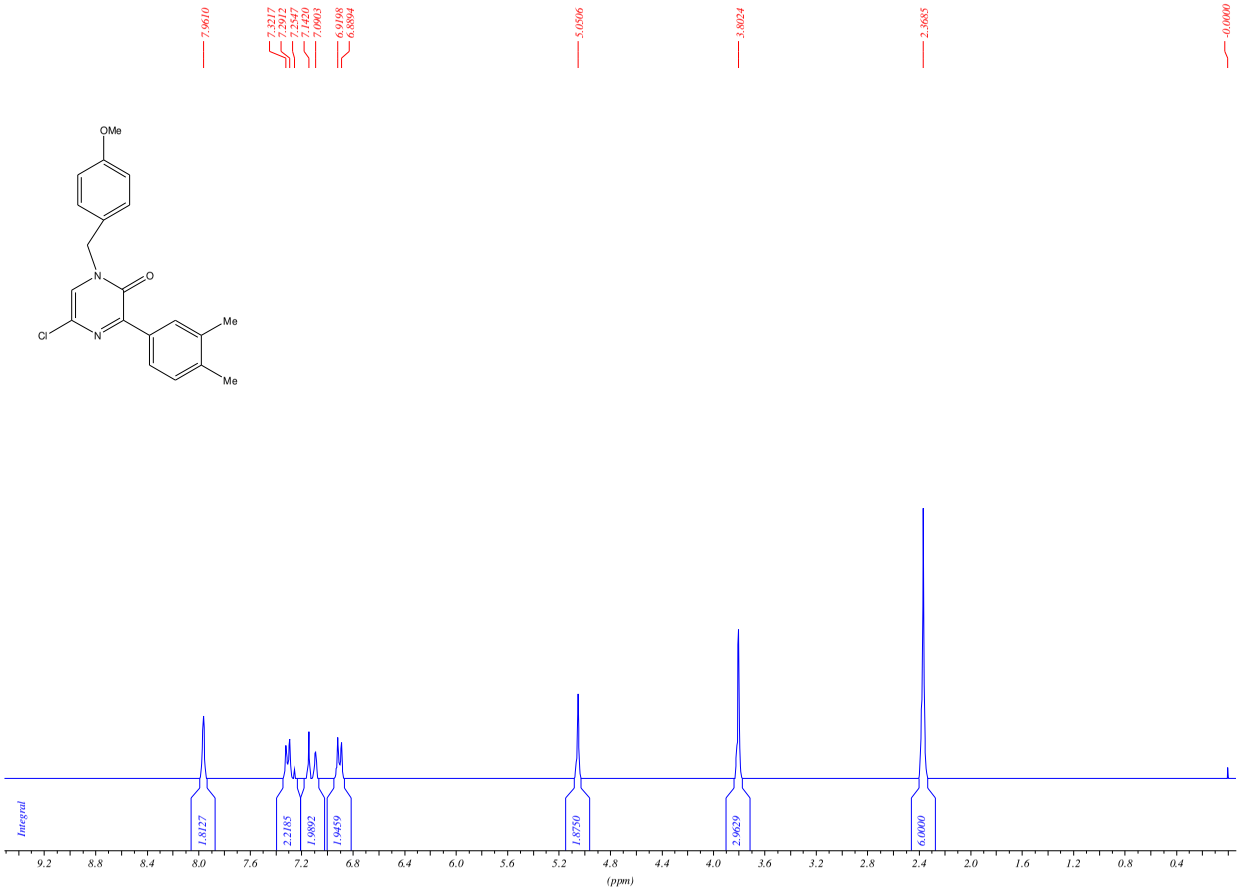


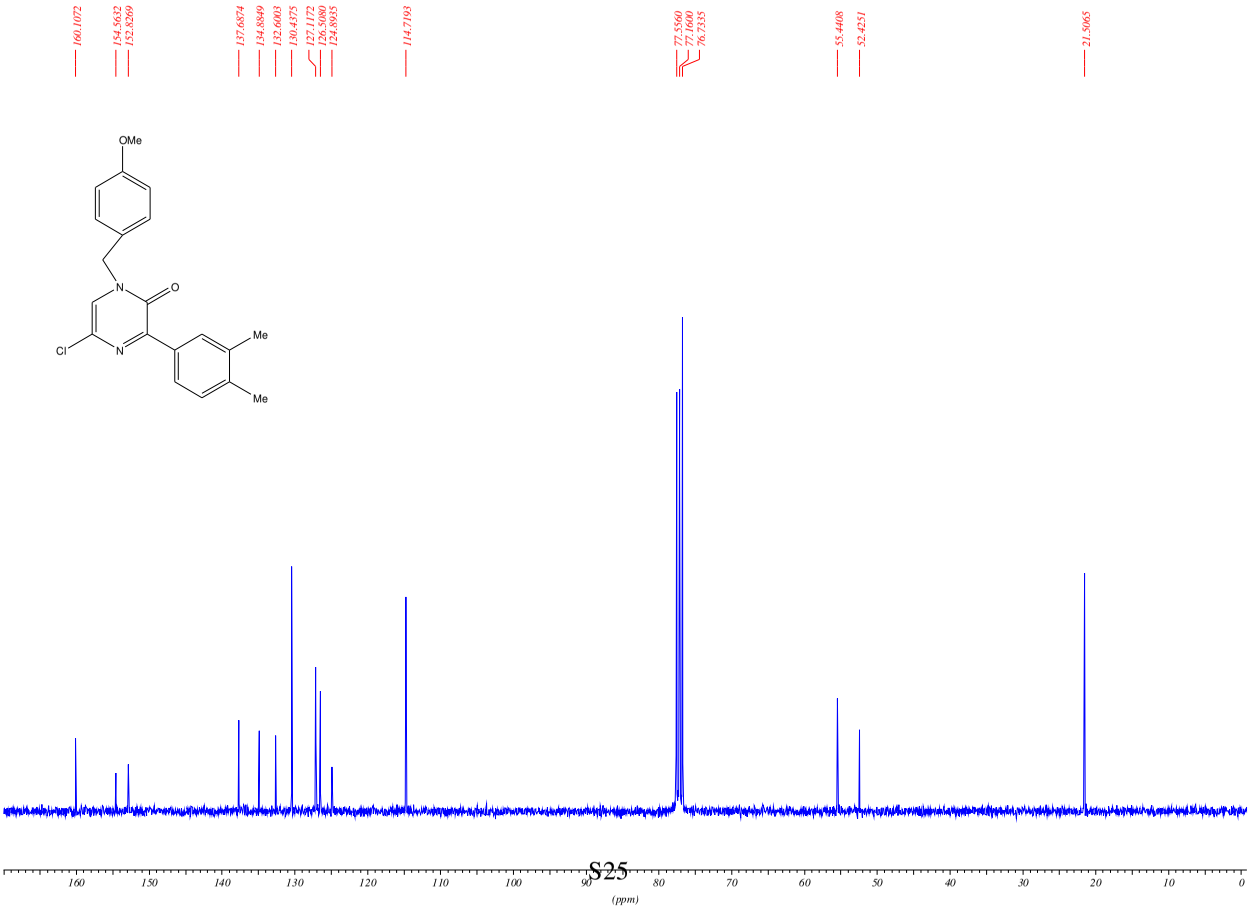
^{1}H and ^{13}C spectra for compound 3{19}



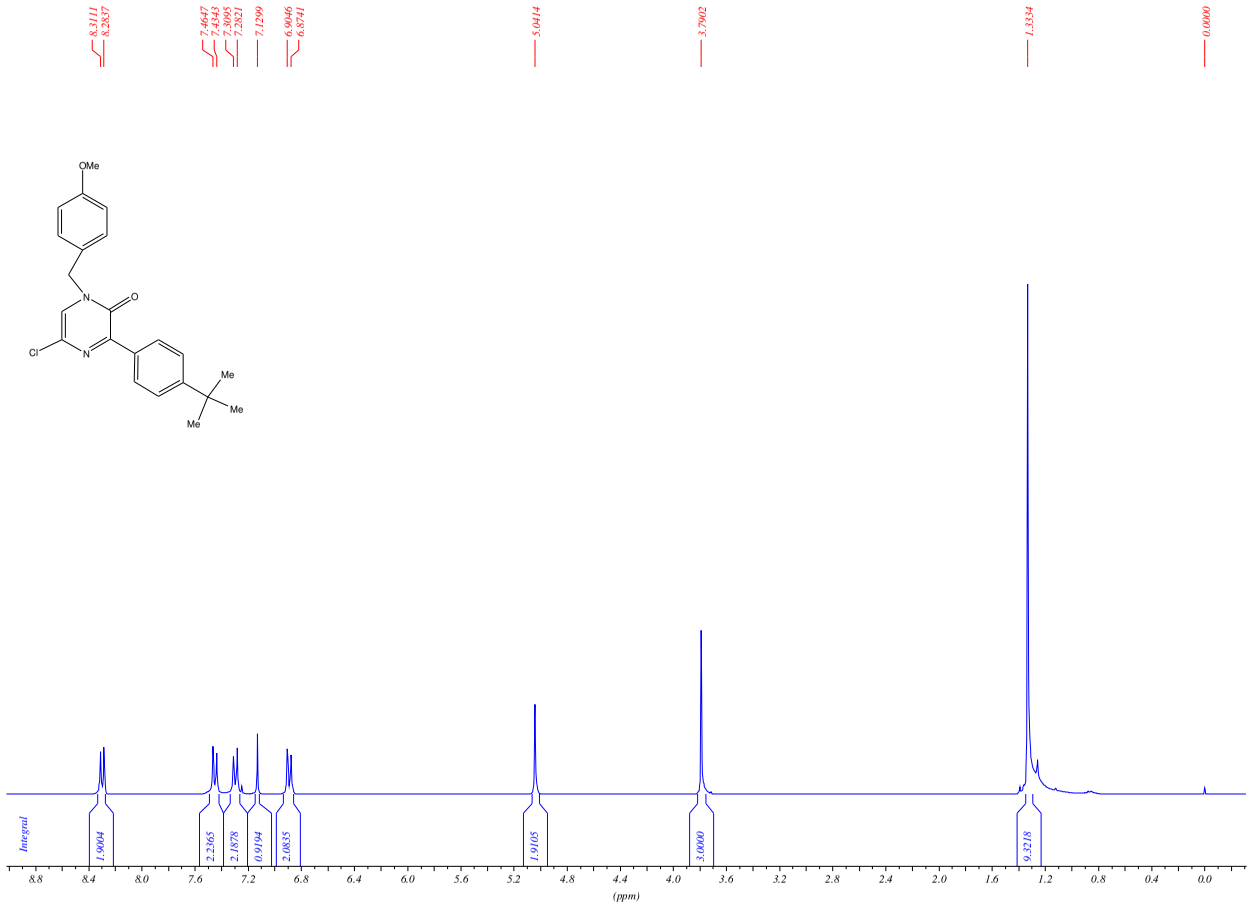


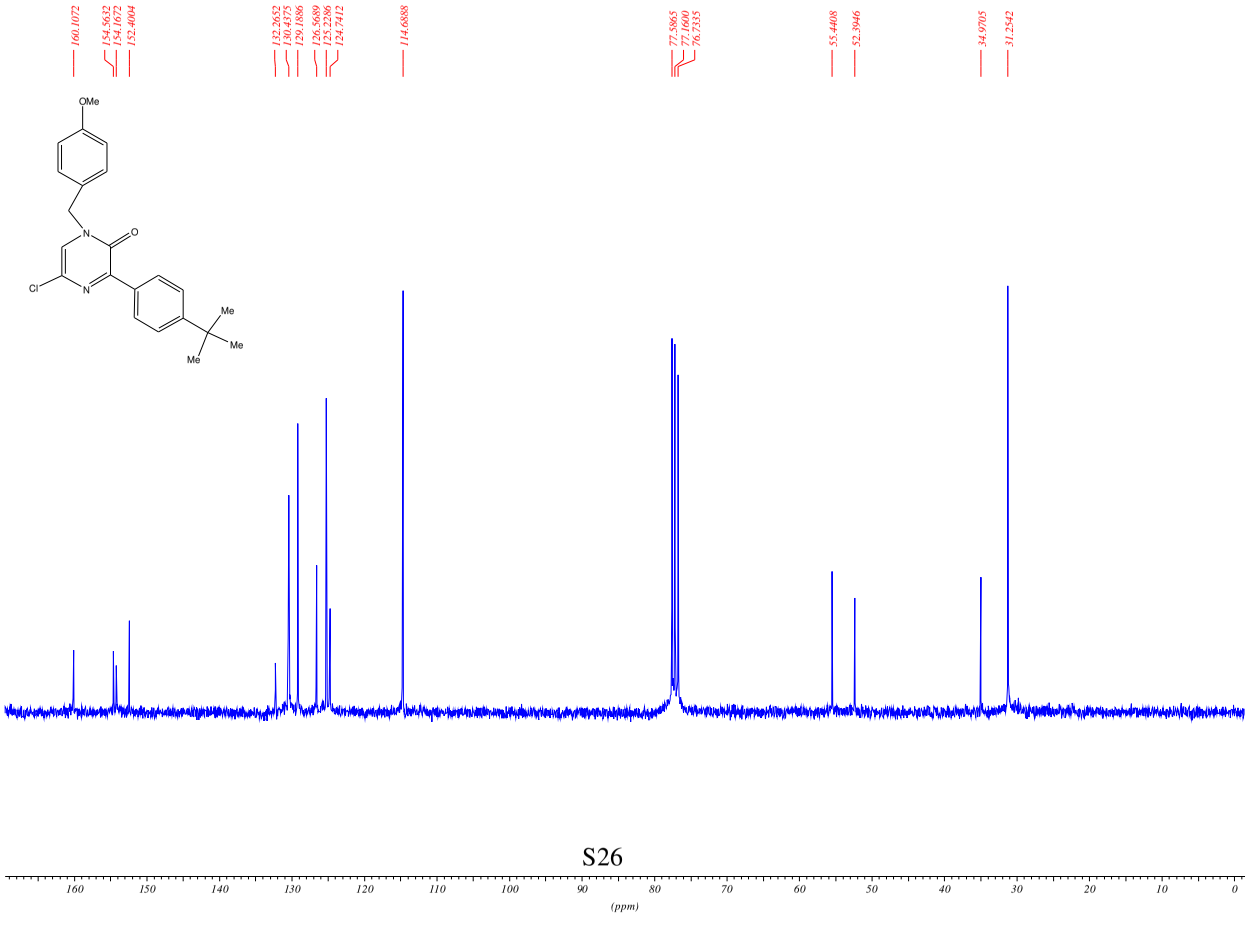
^{1}H and ^{13}C spectra for compound 3{20}



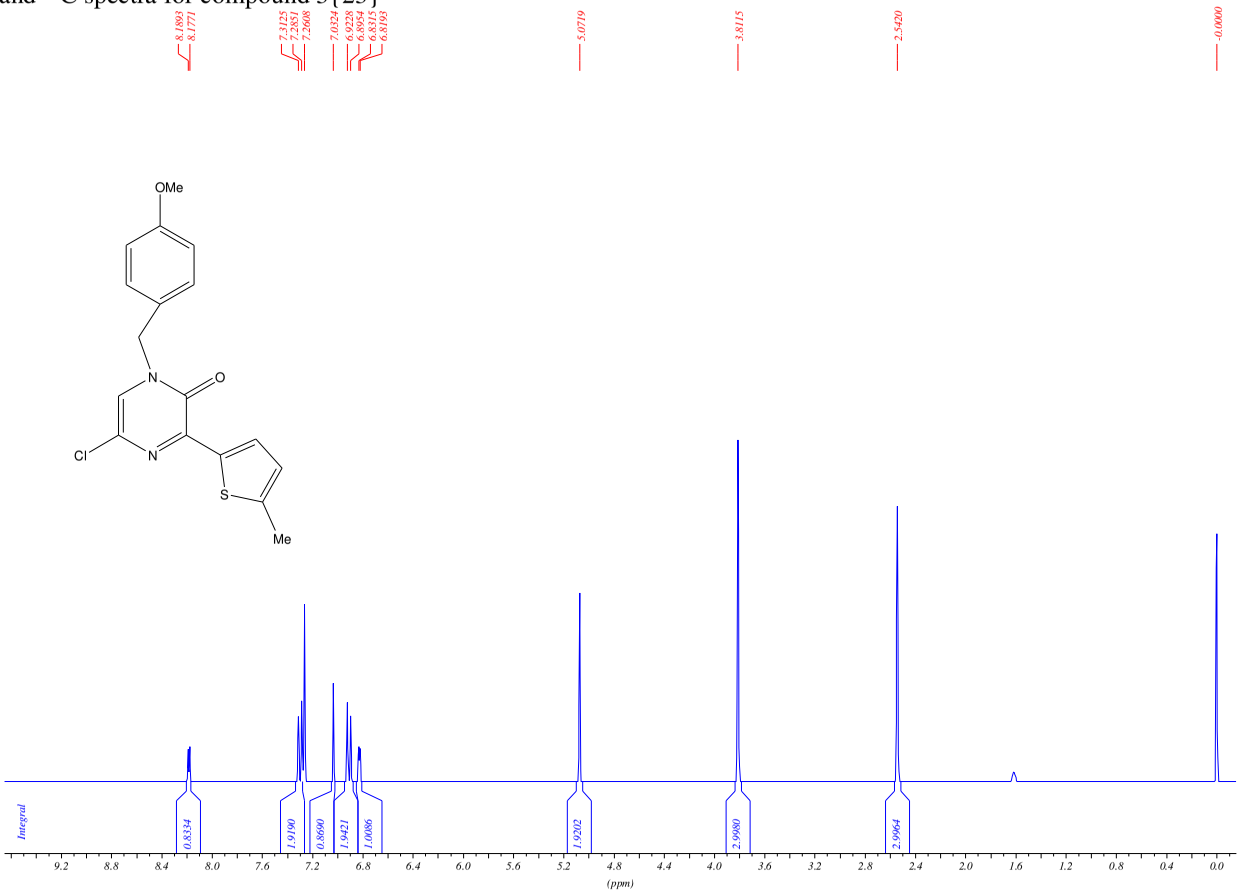


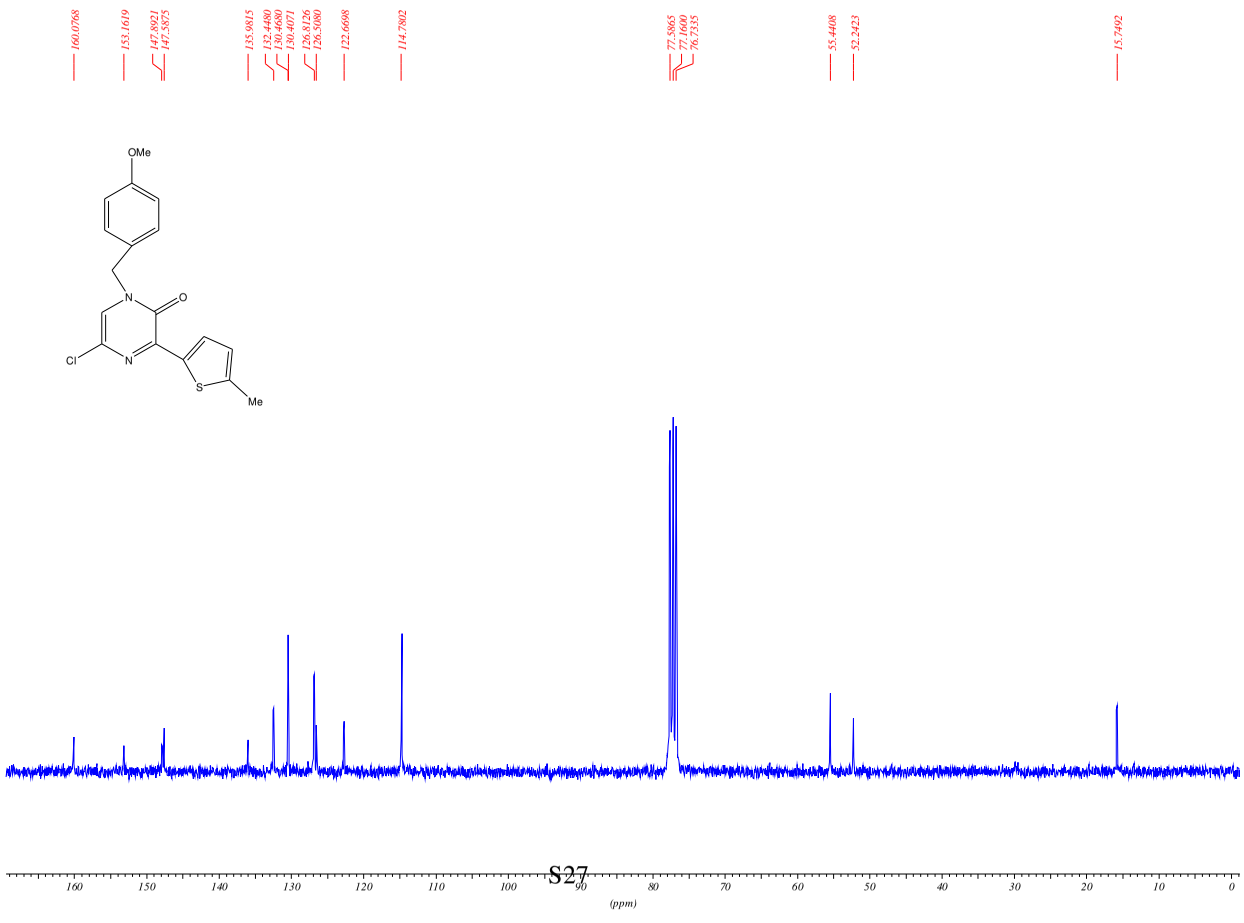
^{1}H and ^{13}C spectra for compound 3{21}



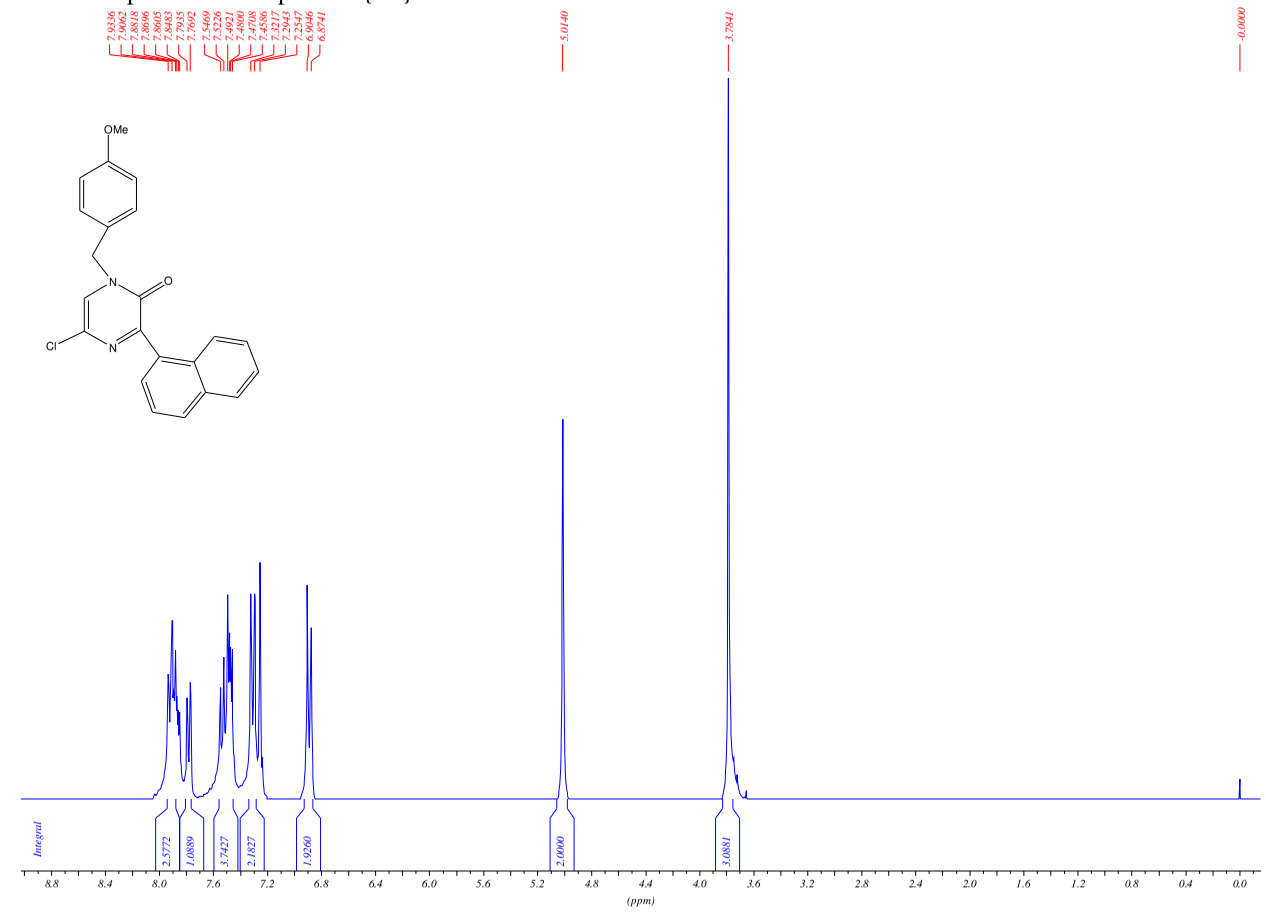


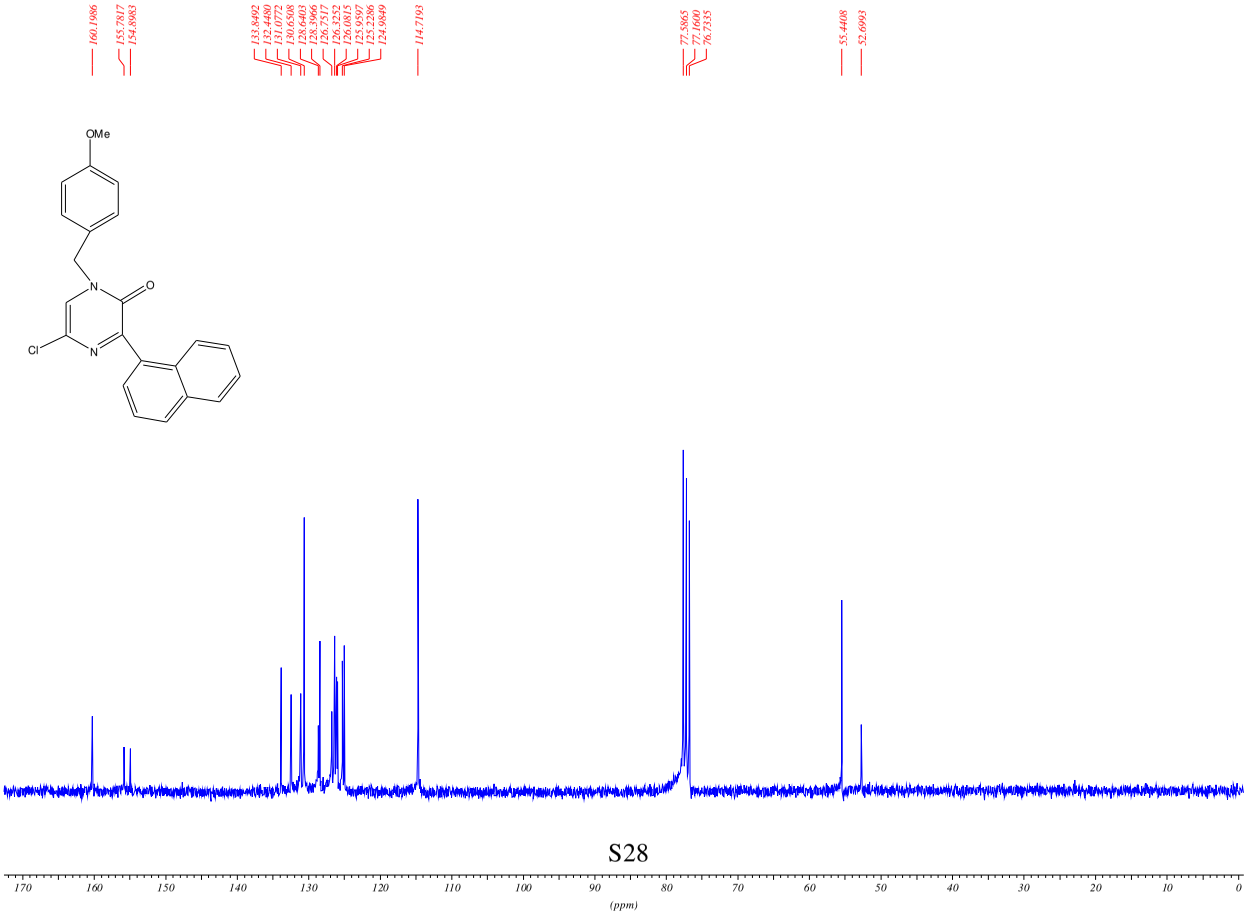
^{1}H and ^{13}C spectra for compound 3{23}



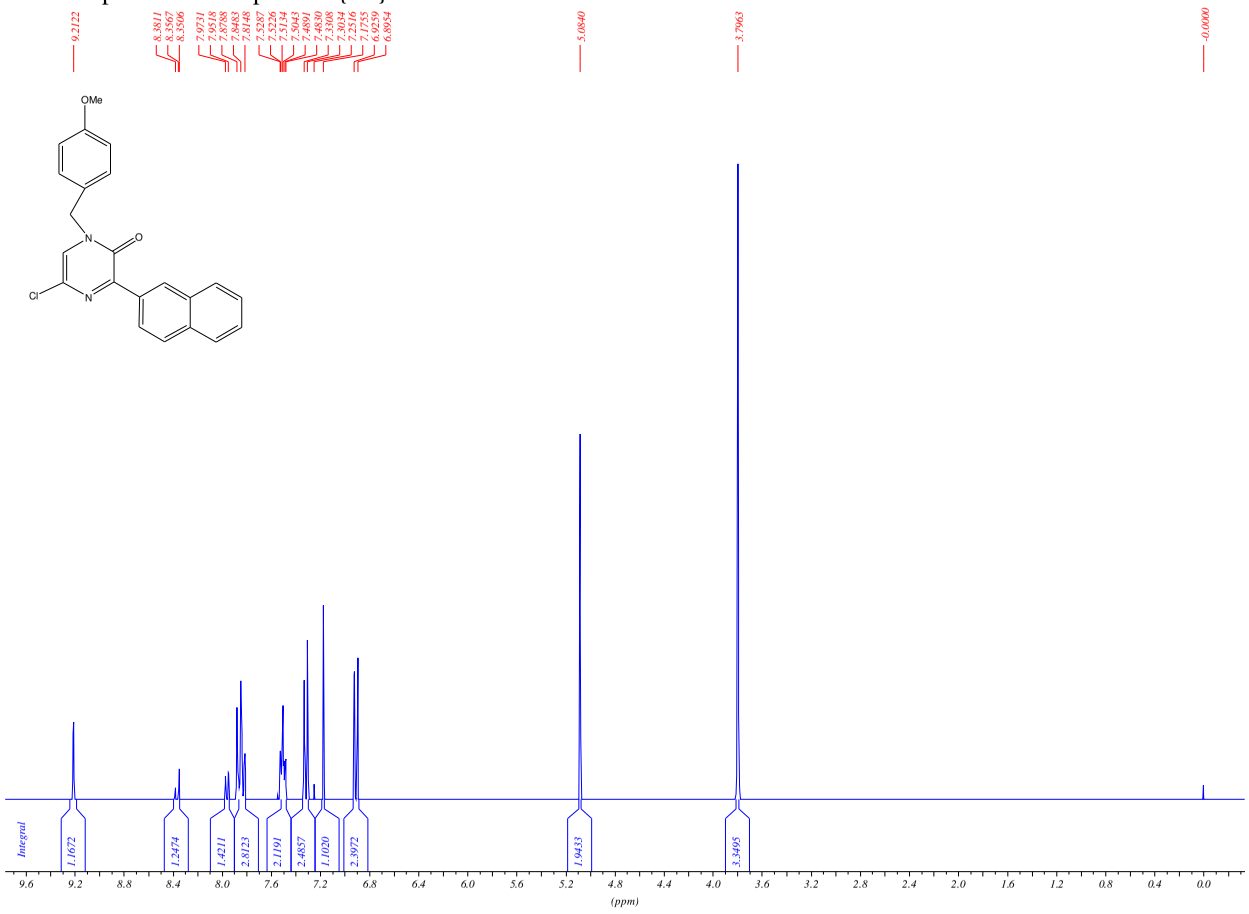


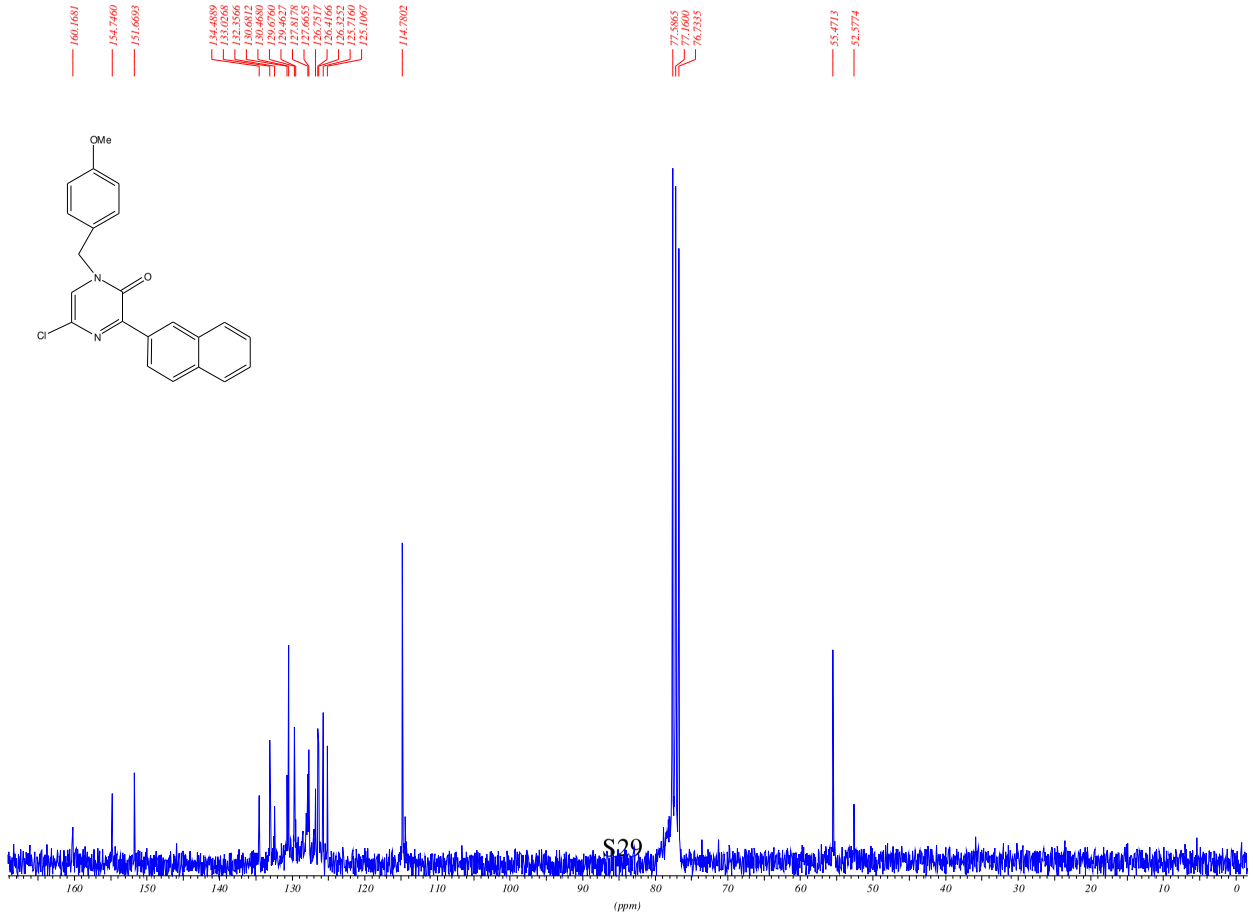
^{1}H and ^{13}C spectra for compound 3{26}



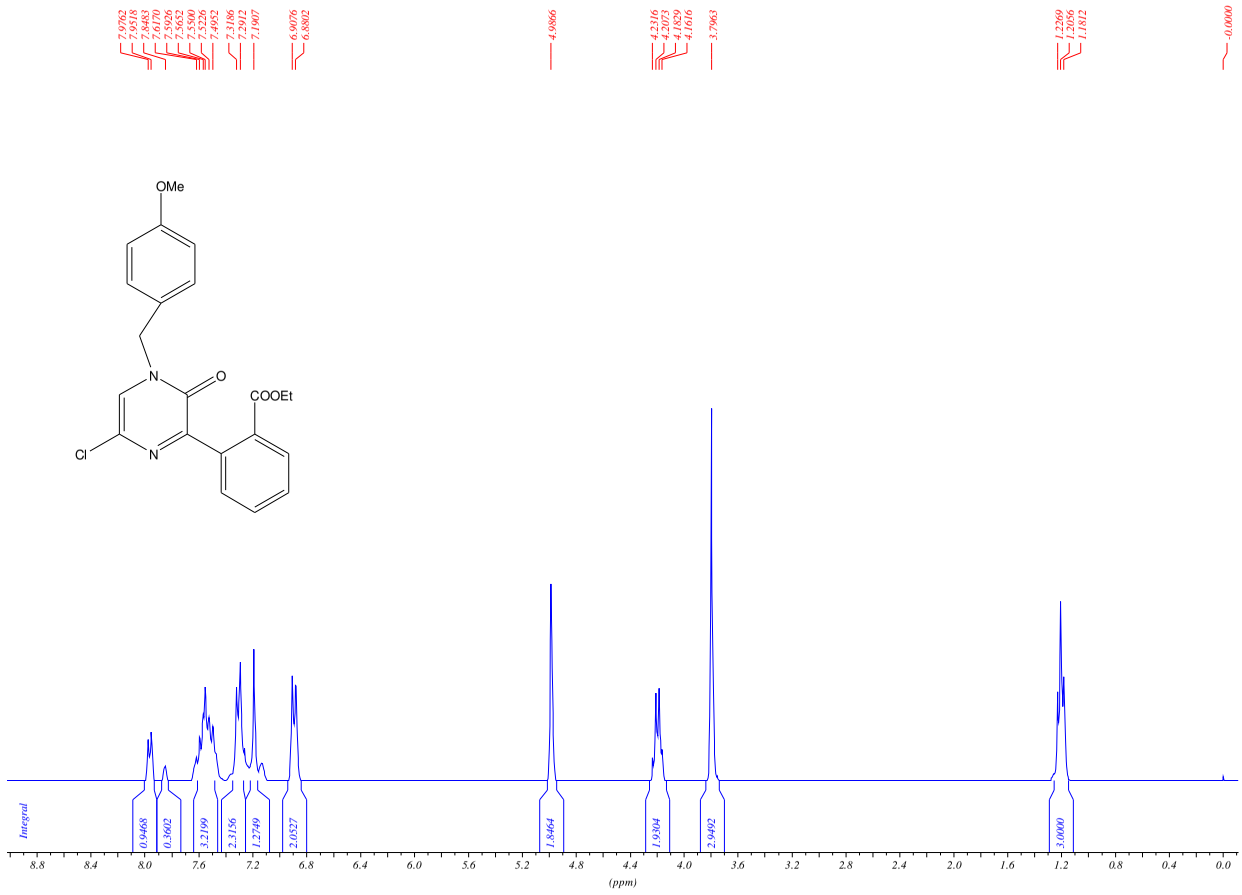


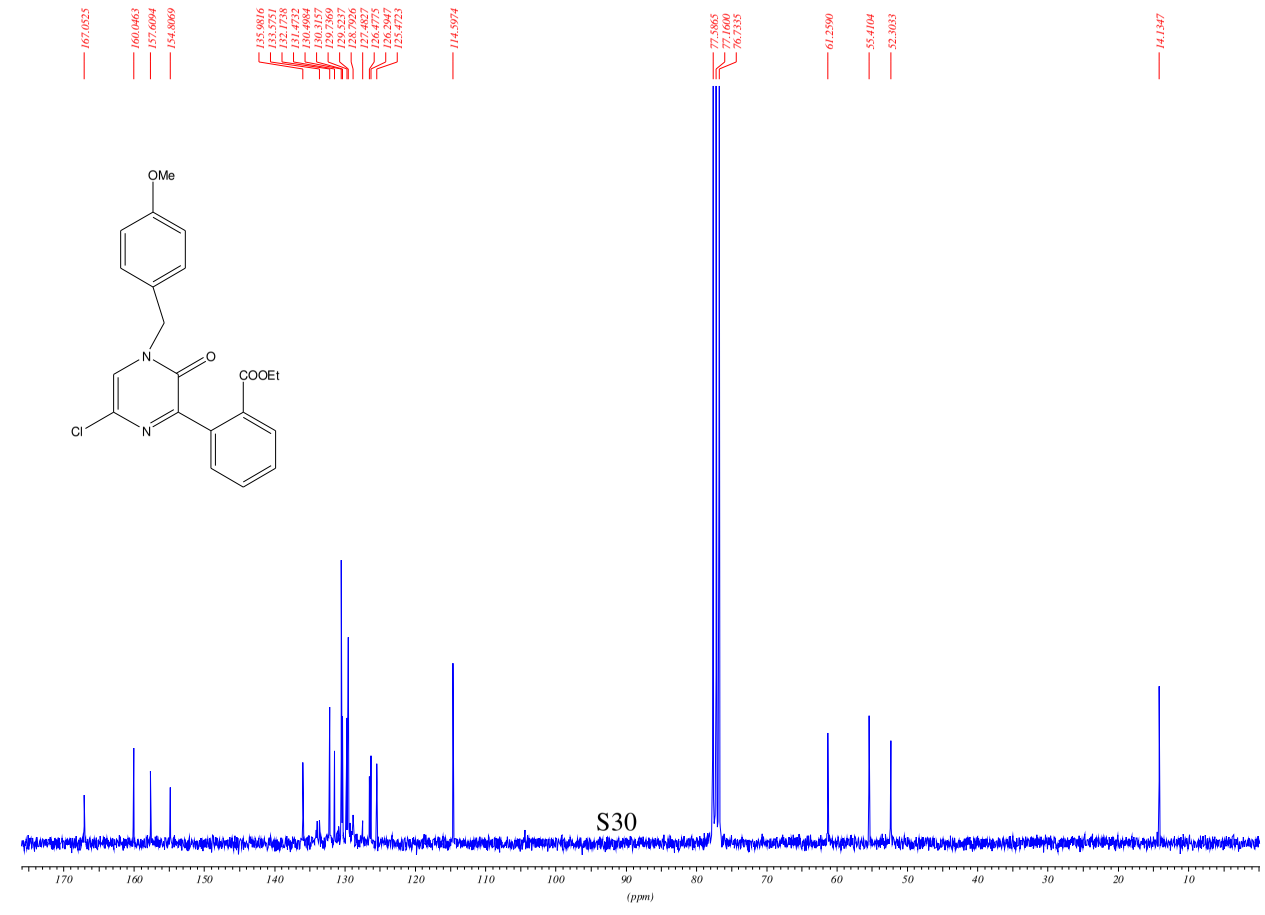
^{1}H and ^{13}C spectra for compound 3{27}



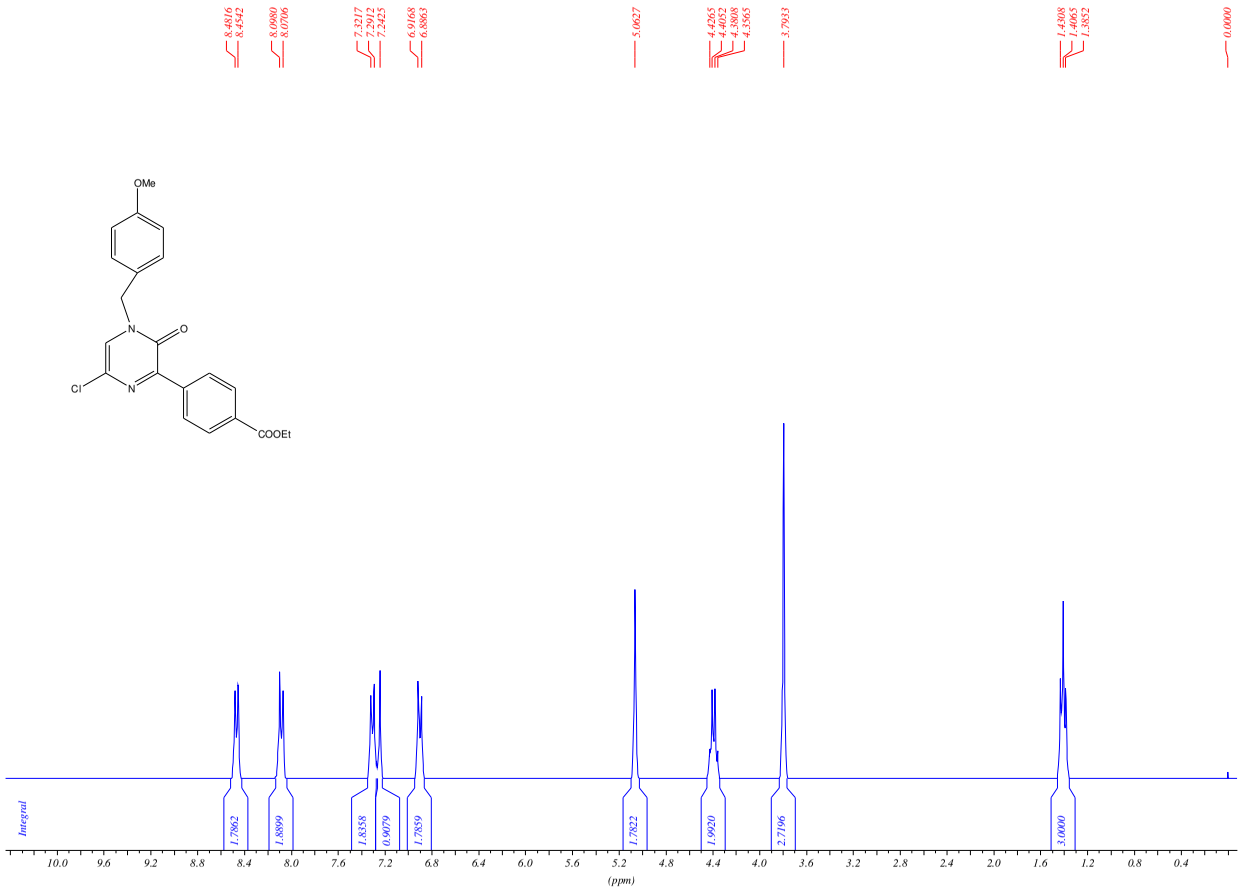


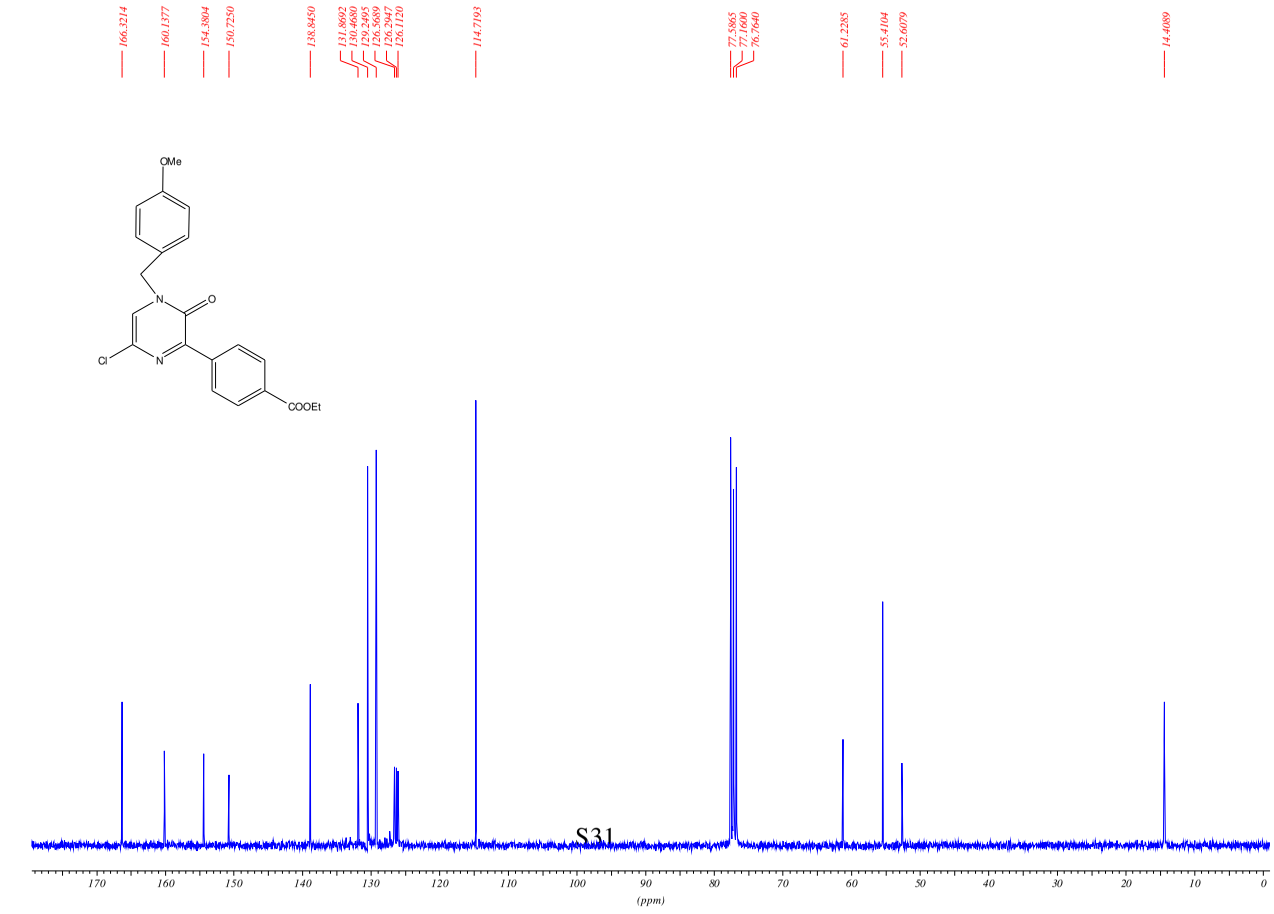
^{1}H and ^{13}C spectra for compound 3{28}



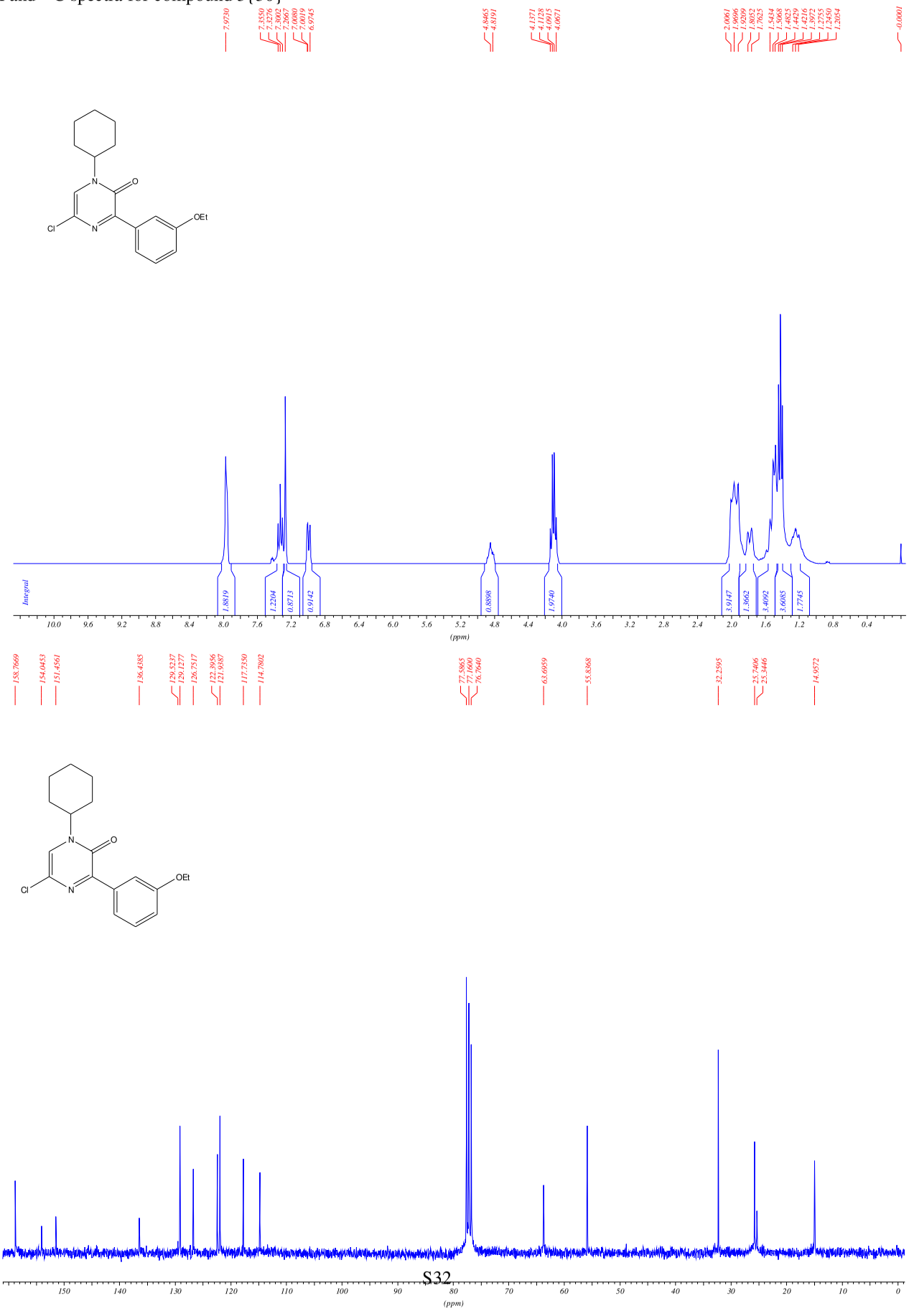


^{1}H and ^{13}C spectra for compound 3{29}

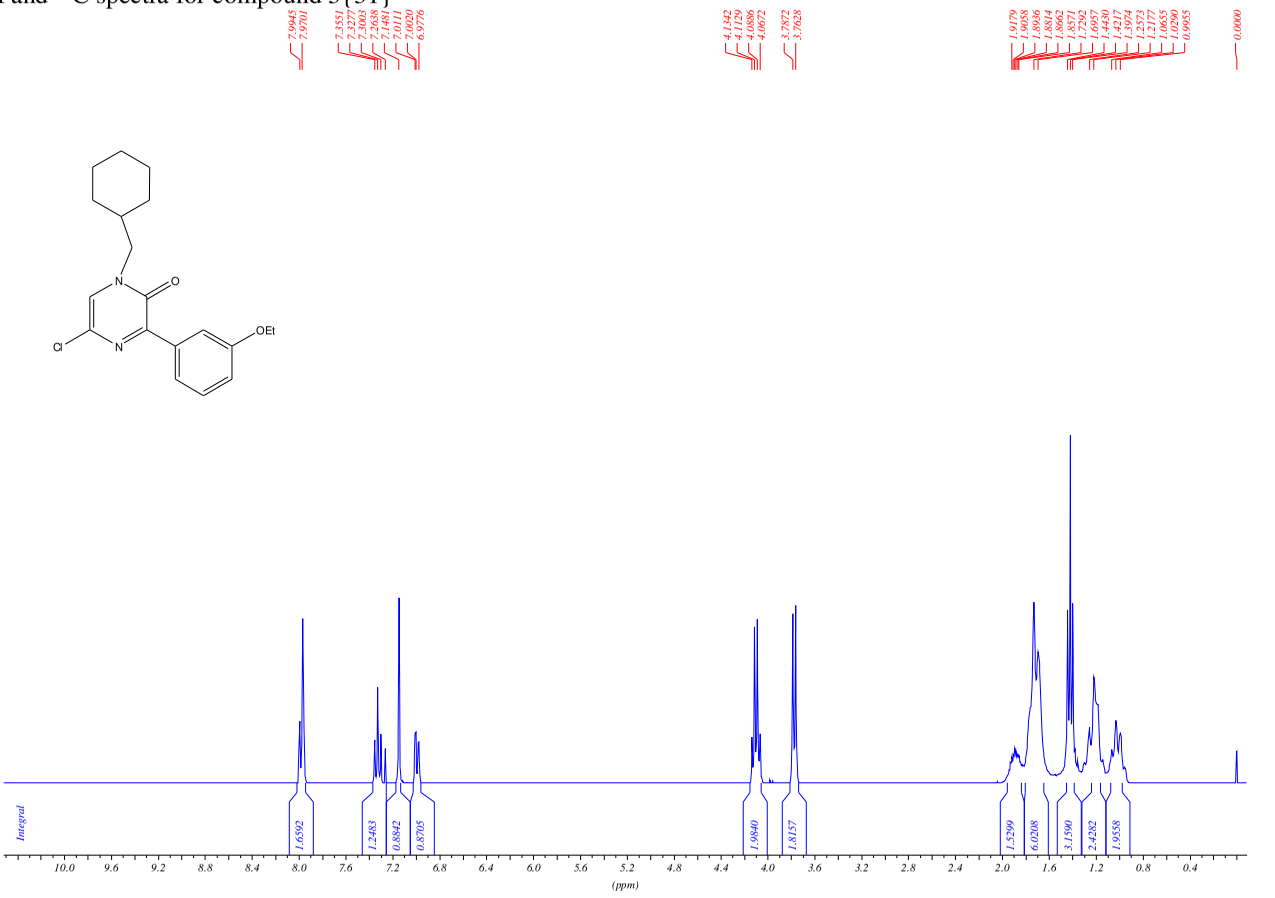


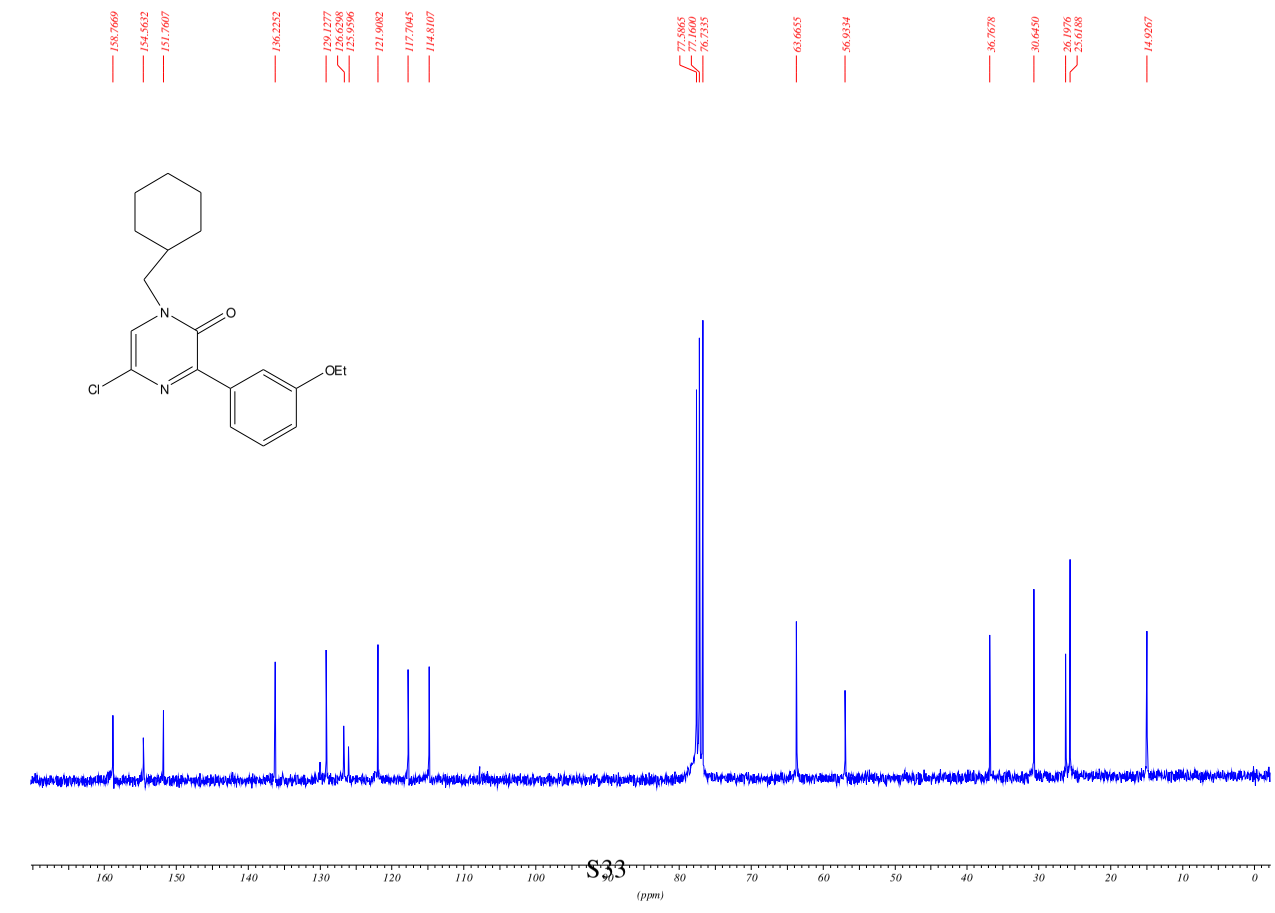


^{1}H and ^{13}C spectra for compound 3{30}

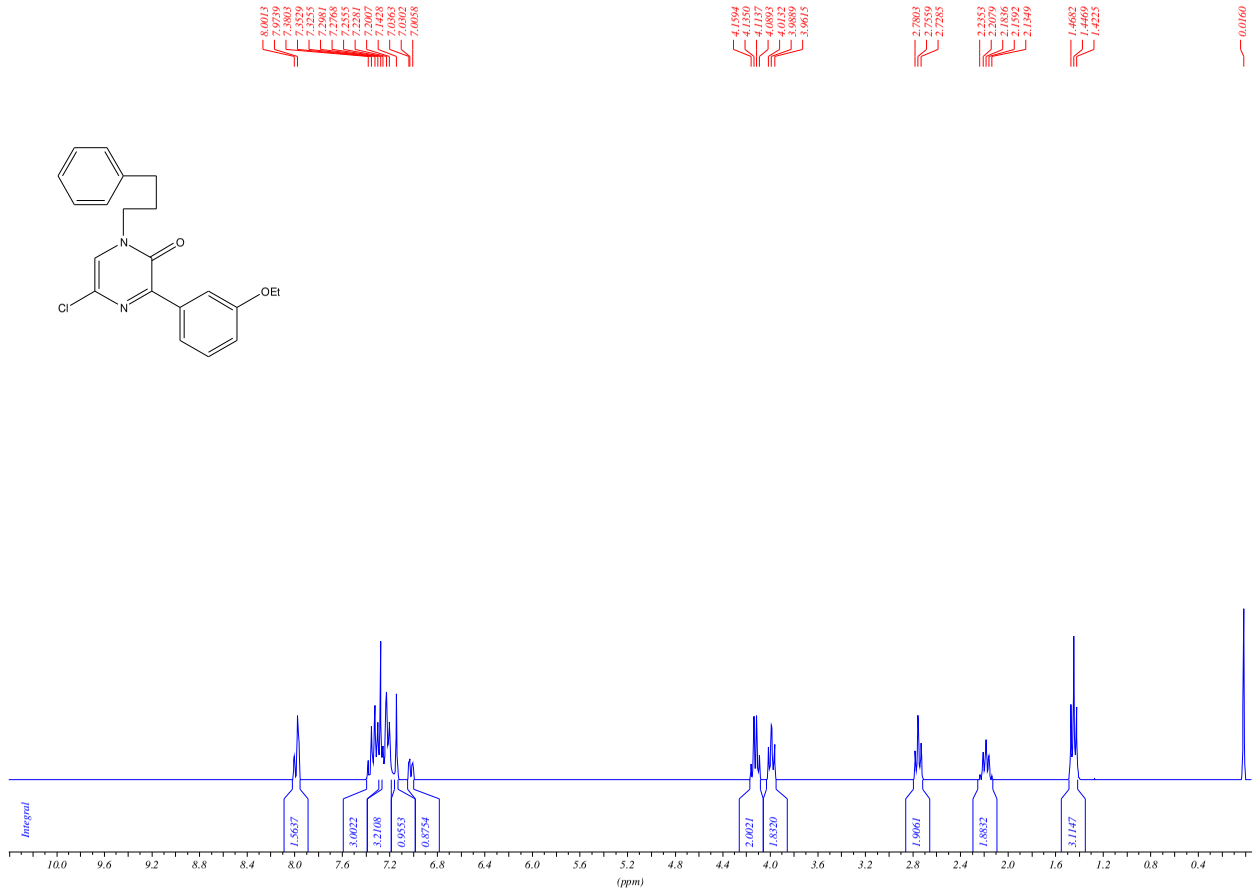


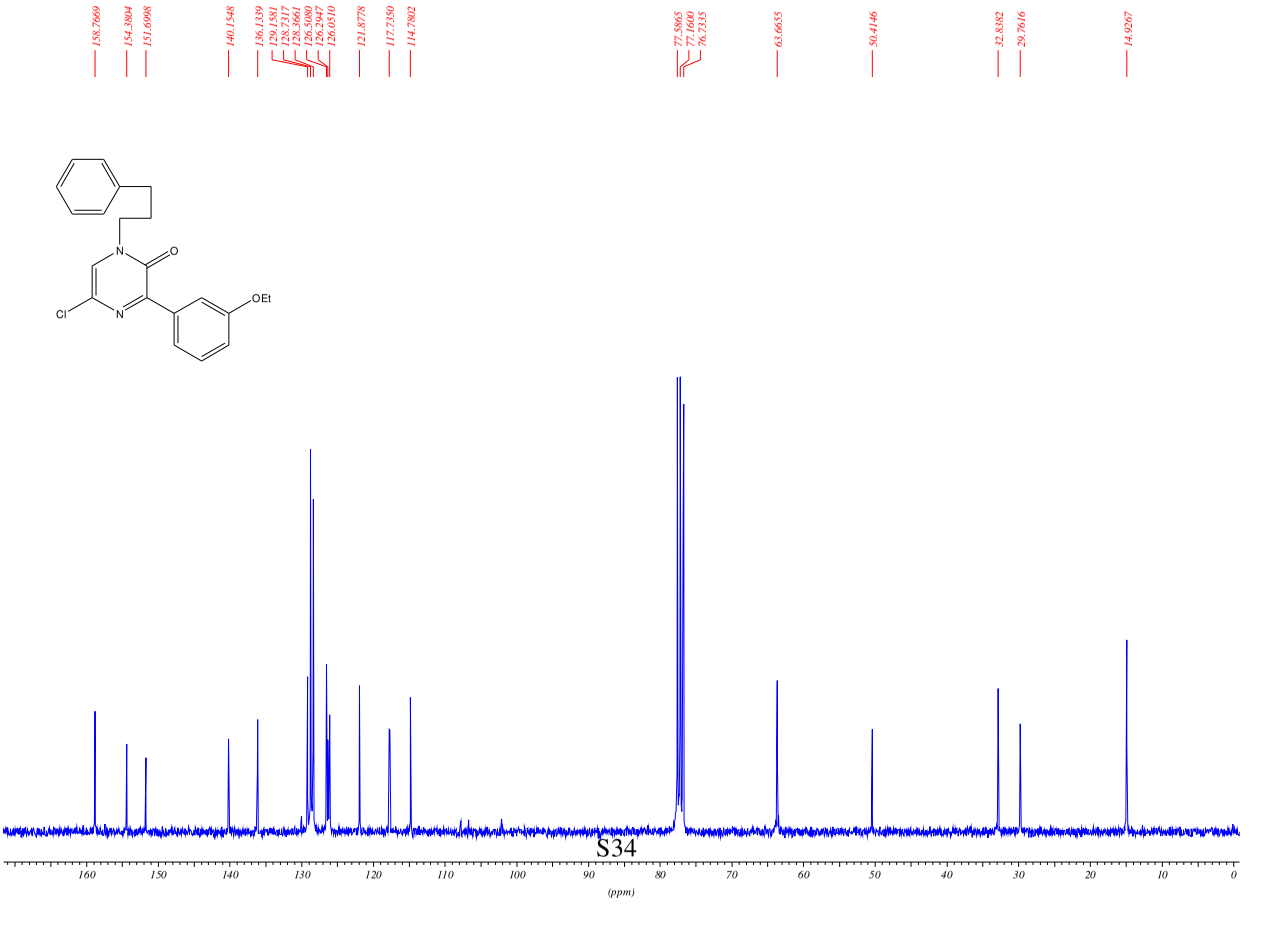
^{1}H and ^{13}C spectra for compound 3{31}



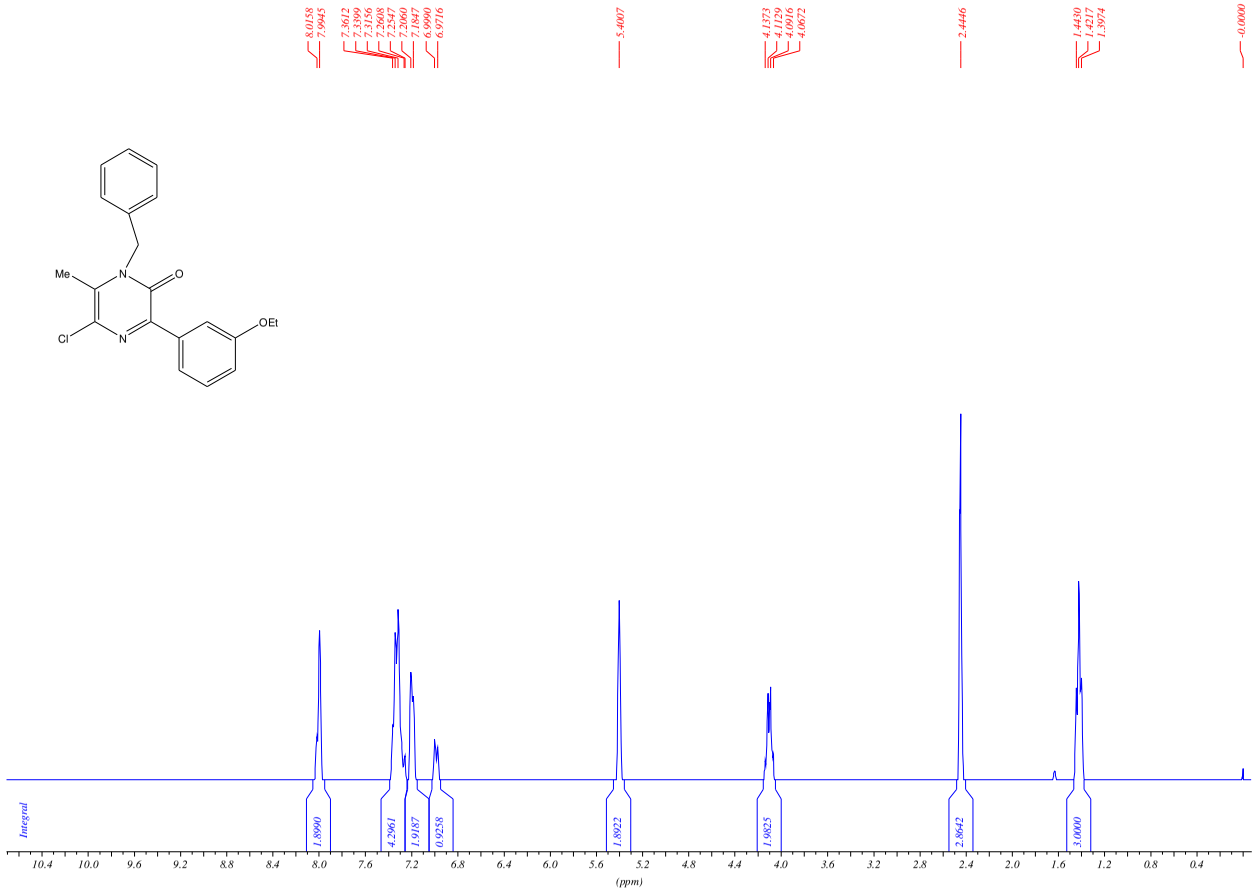


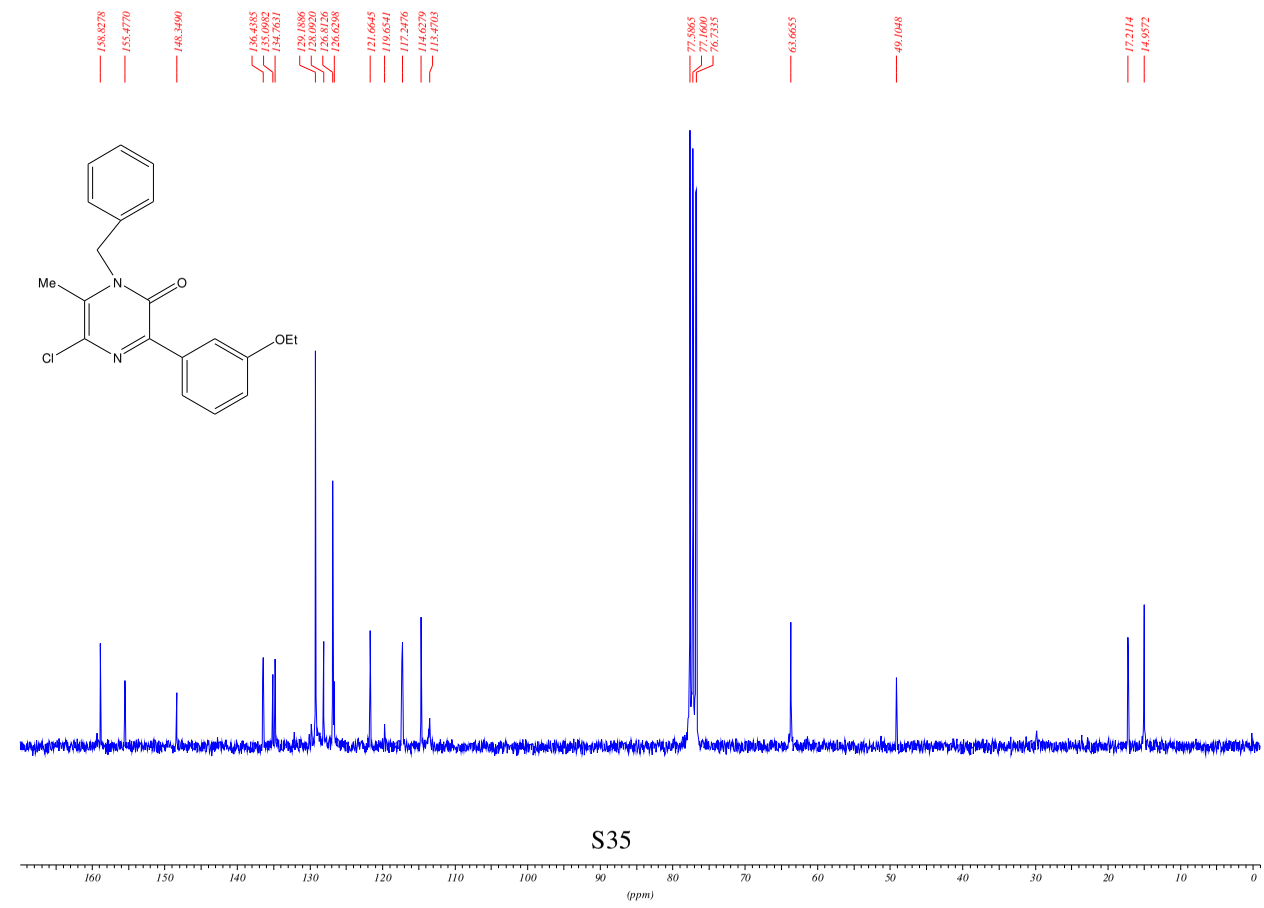
^{1}H and ^{13}C spectra for compound 3{32}





^{1}H and ^{13}C spectra for compound 3{33}





^{1}H and ^{13}C spectra for compound 3{34}