Supporting Information

Visible Light-mediated Synthesis of Quinazolinones and Benzothiadiazine-1,1-dioxides Utilizing Aliphatic Alcohols

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1. Reaction Setup

The reaction setup is depicted in Fig S1. All the experiments were carried out using a commercially available blue LED bulb of 35 W (brand: FU WANG, model no.: SP37-54W) and in a borosil test tube. The reaction setup was equipped with fan to maintain the room temperature condition. The distance between the light source and reaction tube was 3 cm.

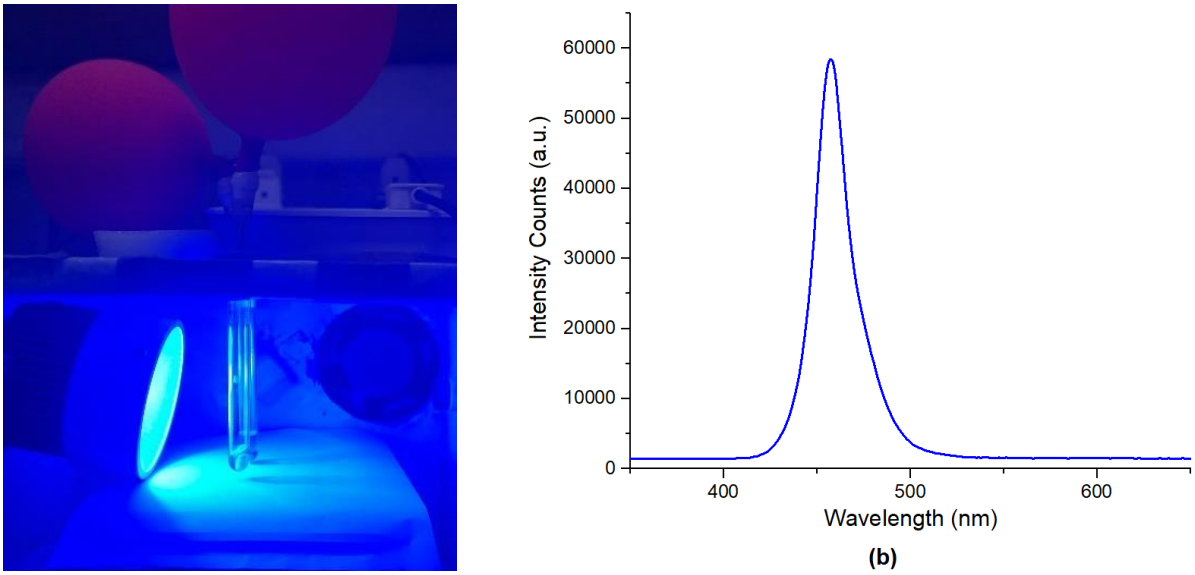
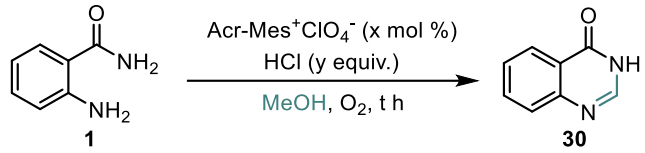


Fig S1: (a) Blue LED photoreactor setup with magnetic stirring plate. **(b)** Emission profile of the LED.

2. Optimization of Reaction Parameters

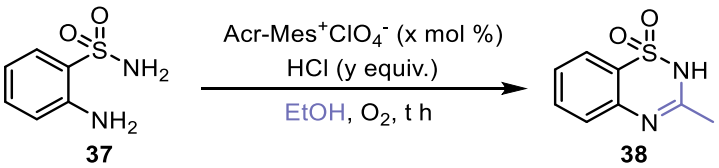
2.1 Table S1: Optimization of quinazolin-4(3H)-one using methanol[a]



Entry	Catalyst (x mol%)	Additive (y equiv.)	Time	Yield (%)[b]
1	Acr-Mes$^+$ClO$_4^-$ (0.25)	HCl (0.05)	12 h	16
2	Acr-Mes$^+$ClO$_4^-$ (2.5)	HCl (0.5)	12 h	42
3	Acr-Mes$^+$ClO$_4^-$ (6)	HCl (1)	12 h	85
4	-	HCl (1)	12 h	0

[a]Reaction conditions: 0.1 mmol 2-aminobenzamide, photocatalyst (x mol%), HCl (y equiv.), 0.05 M methanol, under O_2, 12 h. [b]NMR yields with 1,3,5-trimethoxybenzene as an internal standard.

2.2 Table S2: Optimization of benzothiadiazine-1,1-dioxide[a]



Entry	Catalyst (x mol%)	Additive (y equiv.)	Time	Yield (%)[b]
1	Acr-Mes$^+$ClO$_4^-$ (0.25)	HCl (0.05)	12 h	49
2	Acr-Mes$^+$ClO$_4^-$ (1)	HCl (0.25)	12 h	72
3	Acr-Mes$^+$ClO$_4^-$ (2)	HCl (0.25)	12 h	85
4	Acr-Mes$^+$ClO$_4^-$ (2)	HCl (0.5)	12 h	99
5	-	HCl (0.5)	12 h	0

[a]Reaction conditions: 0.1 mmol 2-aminobenzenesulphonamide, photocatalyst (x mol%), HCl (y equiv.), 0.05 M ethanol, under O_2, 12 h. [b]NMR yields with 1,3,5-trimethoxybenzene as an internal standard.

3. Time Dependent Product Distribution Study

2-aminobenzamide (0.1 mmol, 13.6 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg) and ethanol (2 mL, 0.05 M) along with aq. HCl (5 mol%., 0.005 mmol, 0.4 µL) and magnetic stirring bead were taken in oven dried test tube and was sealed using septum. The test tube was then purged with oxygen gas followed by incorporation of oxygen balloon. The mixture was irradiated using a 35 W blue LED setup with a fan installed to maintain the room temperature. The progress of the reactions was monitored by ^{1}H NMR using 1,3,5-trimethoxybenzene as an internal standard. All the reactions were repeated three times and the average data were plotted as yield (%) vs time (h) (Fig. S2).

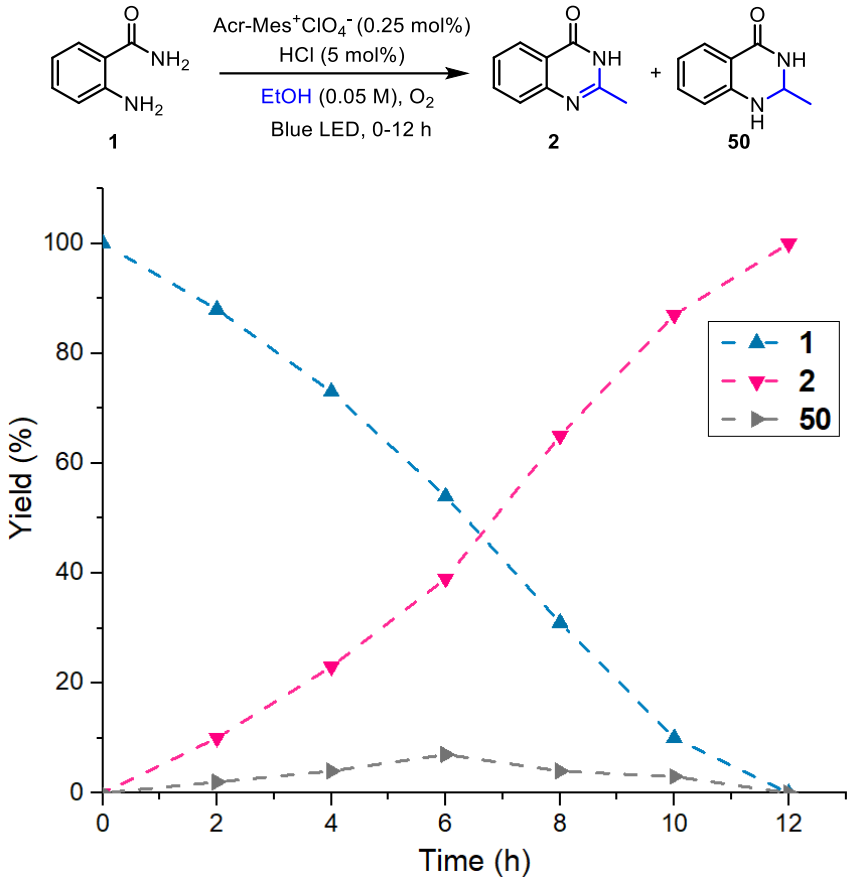


Fig. S2: Time dependent product distribution plot.

4. Switch On-Off Experiment

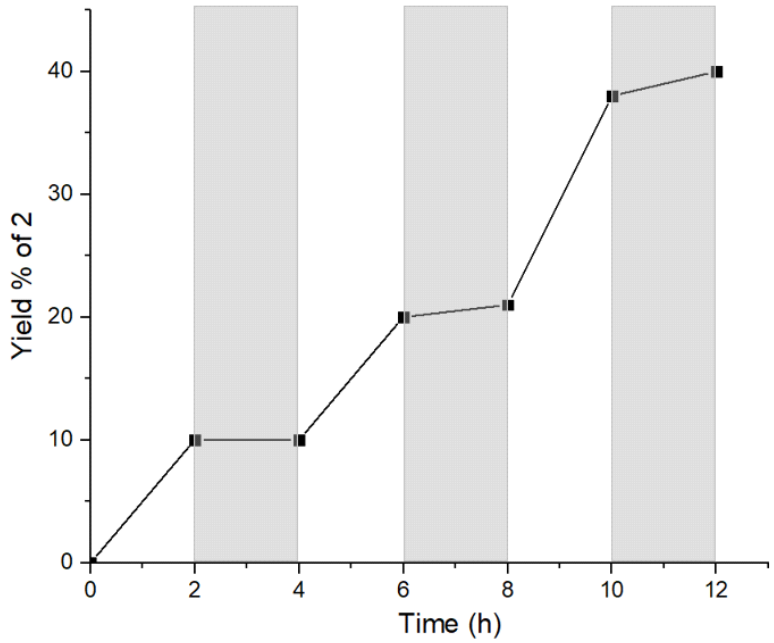


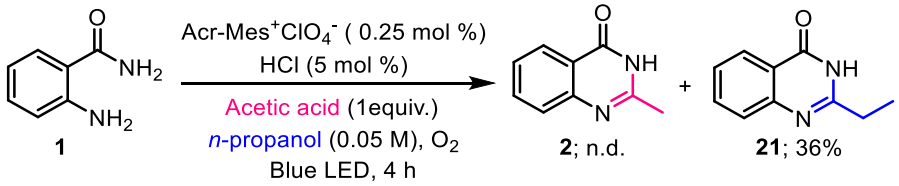
Fig. S3: Switch on-off plot.

5. Control Experiments

5.1 Determination of Intermediates

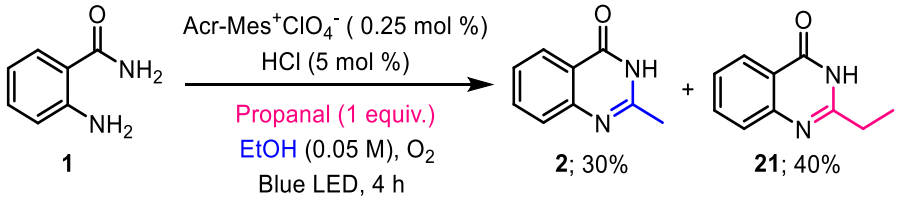
5.1.1 Reaction with Propanal

2-aminobenzamide (0.1 mmol, 13.6 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg), propanal (1 equiv., 0.1 mmol, 7.2 µL), ethanol (2 mL, 0.05 M) along with aq. HCl (5 mol%, 0.005 mmol, 0.41 µL) and a magnetic bead was taken in an oven dried test tube and was sealed using a septum. The test tube was then purged with oxygen gas followed by incorporation of oxygen balloon. The mixture was irradiated using a 35 W blue LED setup with a fan installed to maintain the room temperature. After 4 h, the solvent was removed under reduced pressure and was analysed using ^{1}H-NMR spectroscopy using 1,3,5-trimethoxybenzene as an internal standard to get the NMR yield.



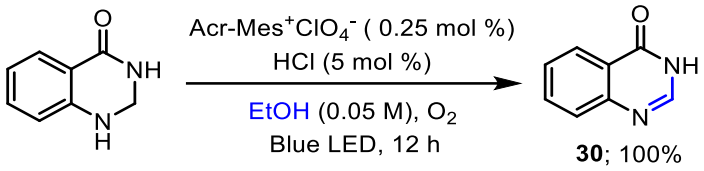
5.1.2 Reaction with Acetic Acid

2-aminobenzamide (0.1 mmol, 13.6 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg), acetic acid (1 equiv., 0.1 mmol, 5.7 µL), *n*-propanol (2 mL, 0.05 M) along with aq. HCl (5 mol%, 0.005 mmol, 0.41 µL) and a magnetic bead was taken in an oven dried test tube and was sealed using a septum. The test tube was then purged with oxygen gas followed by incorporation of oxygen balloon. The mixture was irradiated using a 35 W blue LED setup with a fan installed to maintain the room temperature. After 4 h, the solvent was removed under reduced pressure and was analysed using ^{1}H-NMR spectroscopy using 1,3,5-trimethoxybenzene as an internal standard to get the NMR yield.



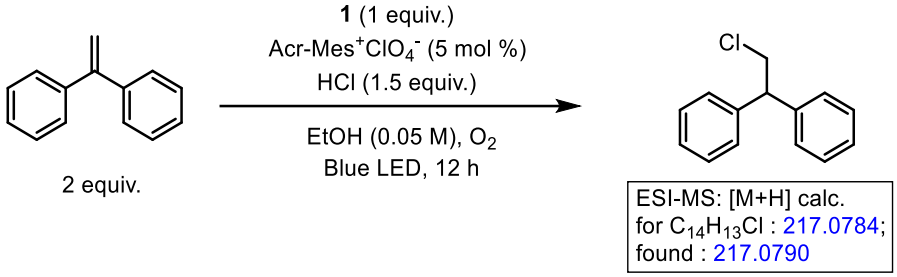
5.1.3 Reaction with 2,3-Dihydroquinazolinone

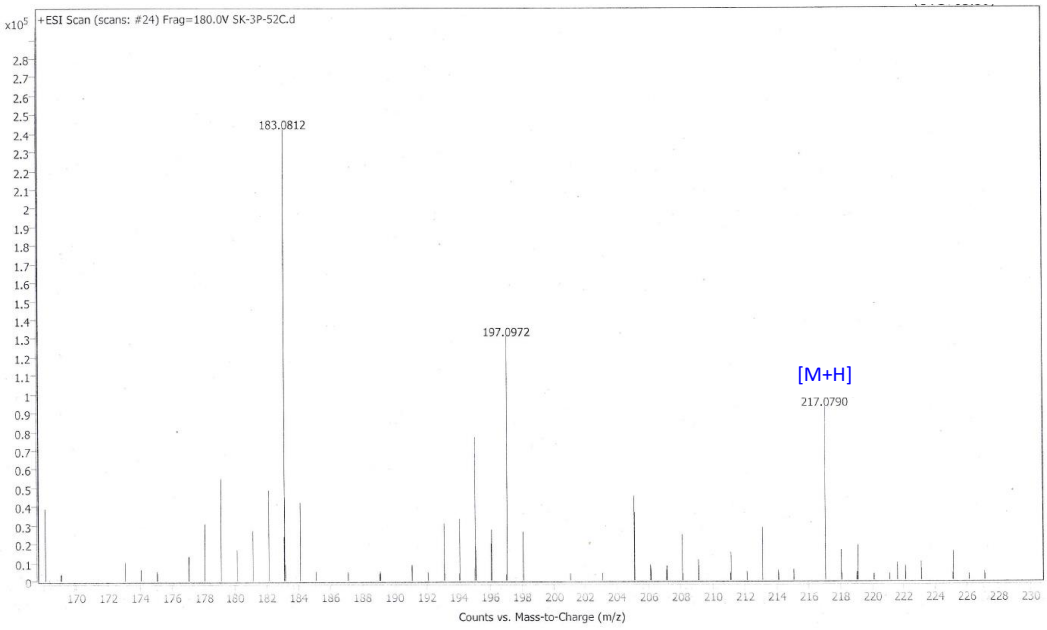
2,3-dihydroquinazolinone (0.1 mmol, 14.8 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg), ethanol (2 mL, 0.05 M) along with aq. HCl (5 mol%, 0.005 mmol, 0.41 µL) and a magnetic bead was taken in an oven dried test tube and was sealed using a septum. The test tube was then purged with oxygen gas followed by incorporation of oxygen balloon. The mixture was irradiated using a 35 W blue LED setup with a fan installed to maintain the room temperature. After 12 h, the solvent was removed under reduced pressure and was analysed using ^{1}H-NMR spectroscopy using 1,3,5-trimethoxybenzene as an internal standard to get the NMR yield.



5.2 Radical Clock Experiment

A 15 mL test tube was charged with Acr-Mes$^+$ClO$_4^-$ (5 mol%, 0.005 mmol, 2.0 mg) and 2-aminobenzamide (0.1 mmol, 13.6 mg), ethene-1,1-diyldibenzene (0.2 mmol, 36.0 mg, 35.3 µL) ethanol (2 mL, 0.05 M) along with a magnetic stirring bead. To this, HCl (1.5 equiv., 0.15 mmol, 12.45 µL) was then added, and the test tube was closed using a septum. The reaction mixture was purged by oxygen using oxygen cylinder and the test tube was sealed carefully using parafilm. O_2 balloon was incorporated into the sealed tube using a needle. The mixture was irradiated for 12 h using a 35W blue LED setup with a fan installed to maintain the room temperature. After the reaction, the reaction mixture was analysed using HRMS.

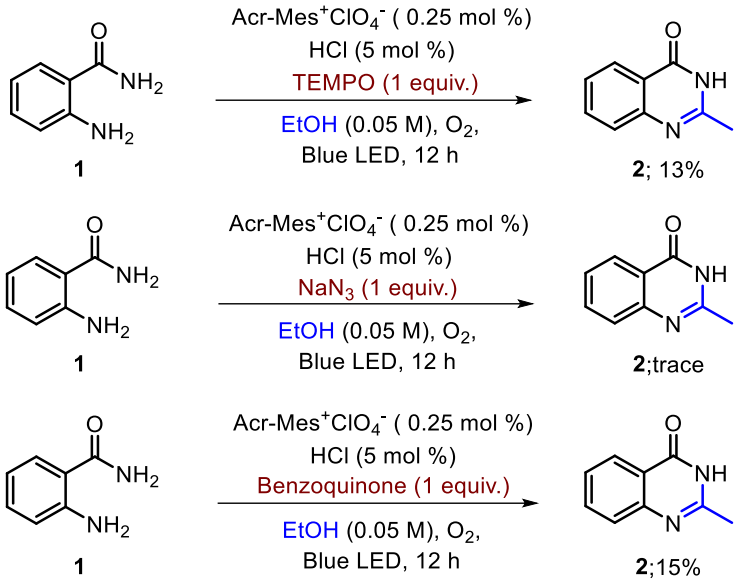




5.3 Radical Inhibiting Experiments

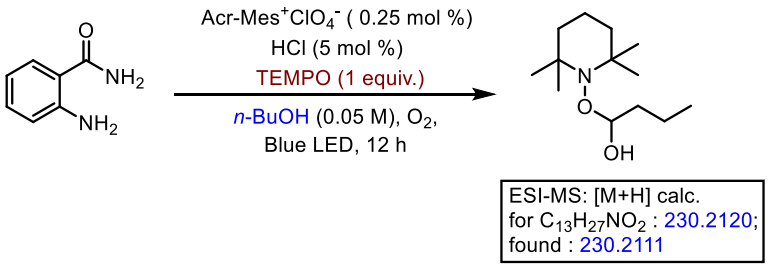
A 15 mL test tube was charged with 2-aminobenzamide (0.1 mmol, 13.6 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg) and ethanol (2 mL, 0.05 M), radical trapping agents [TEMPO (1 equiv., 0.1 mmol, 15.6 mg), sodium azide (1 equiv., 6.5 mg) and benzoquinone (1

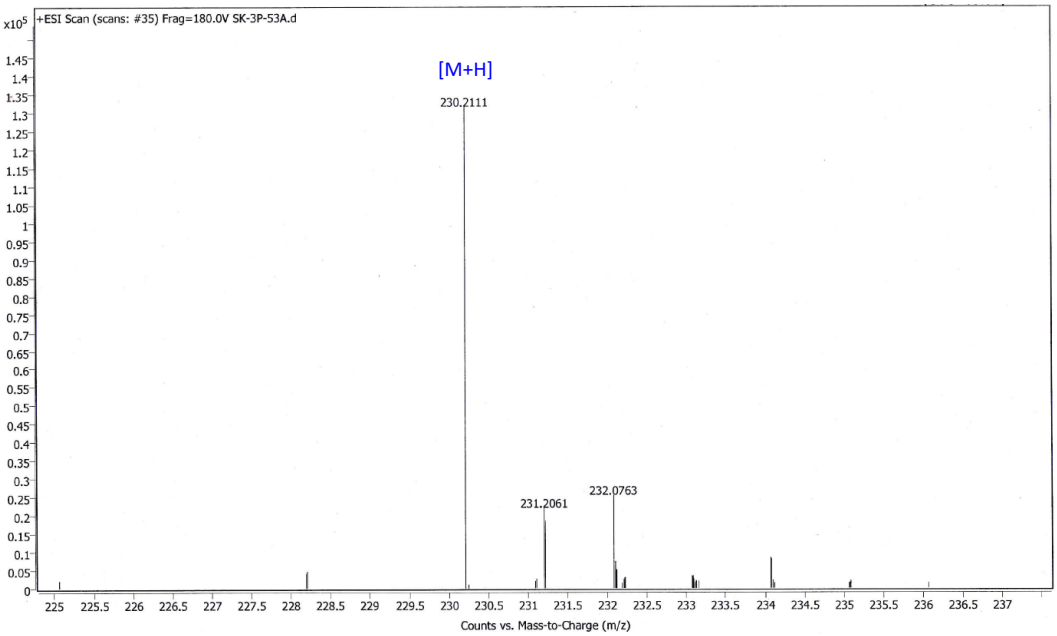
equiv., 0.1 mmol, 10.8 mg)] along with a magnetic stirring bead. To this, HCl (5 mol%, 0.005 mmol, 0.41 μL) was then added, and the test tube was closed using a septum. The reaction mixture was purged by oxygen and the test tube was sealed using parafilm. O_2 balloon was incorporated into the sealed tube using a needle. The mixture was irradiated for 12 h using a 35 W blue LED setup with a fan installed to maintain the room temperature. After completion of the reaction, the solvent was removed under reduced pressure and was analysed using ^{1}H-NMR spectroscopy using 1,3,5-trimethoxybenzene as an internal standard to get the NMR yield.



5.4 Radical Trapping Experiment

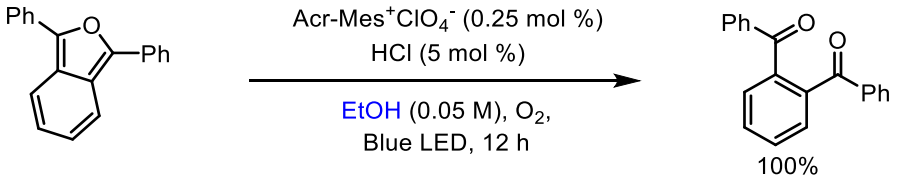
A 15 mL test tube was charged with Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg) and 2-aminobenzamide (0.1 mmol, 13.6 mg), TEMPO (1 equiv., 0.1 mmol, 15.6 mg), *n*-butanol (2 mL, 0.05 M) along with a magnetic stirring bead. To this, HCl (5 mol%, 0.005 mmol, 0.41 μL) was then added, and the test tube was closed using a septum. The reaction mixture was purged by oxygen using oxygen cylinder and the test tube was sealed carefully using parafilm. O_2 balloon was incorporated into the sealed tube using a needle. The mixture was irradiated for 12 h using a 35W blue LED setup with a fan installed to maintain the room temperature. After the reaction, the reaction mixture was analysed using HRMS.





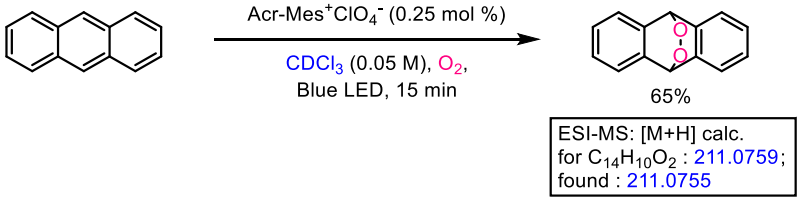
5.5 Reaction with 1,3-diphenylisobenzofuran as a Singlet Oxygen Probe

1,3-diphenylisobenzofuran (0.1 mmol, 27.0 mg), Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg), ethanol (2 mL, 0.05 M) along with aq. HCl (5 mol%, 0.005 mmol, 0.41 µL) and a magnetic bead was taken in an oven dried test tube and was sealed using a septum. The test tube was then purged with oxygen gas followed by incorporation of oxygen balloon. The mixture was irradiated using a 35 W blue LED setup with a fan installed to maintain the room temperature. After 12 h, the solvent was removed under reduced pressure and was analysed using ^{1}H-NMR spectroscopy.



5.6 Photooxygenation of Anthracene

A 15 mL test tube was charged with Acr-Mes$^+$ClO$_4^-$ (0.25 mol%, 0.00025 mmol, 0.1 mg) and anthracene (0.1 mmol, 17.8 mg), methyl phenyl sulphone (2 equiv., 0.2 mmol, 31.2 mg), $CDCl_3$ (2 mL, 0.05 M) along with a magnetic stirring bead. The test tube was closed using a septum and the reaction mixture was purged by oxygen using oxygen cylinder and the test tube was sealed carefully using parafilm. O_2 balloon was incorporated into the sealed tube using a needle. The mixture was irradiated for 15 min using a 35W blue LED setup with a fan installed to maintain the room temperature. After the reaction, the reaction mixture was bubbled with nitrogen and analysed using ^{1}H NMR (Fig S4) and also HRMS.[1]



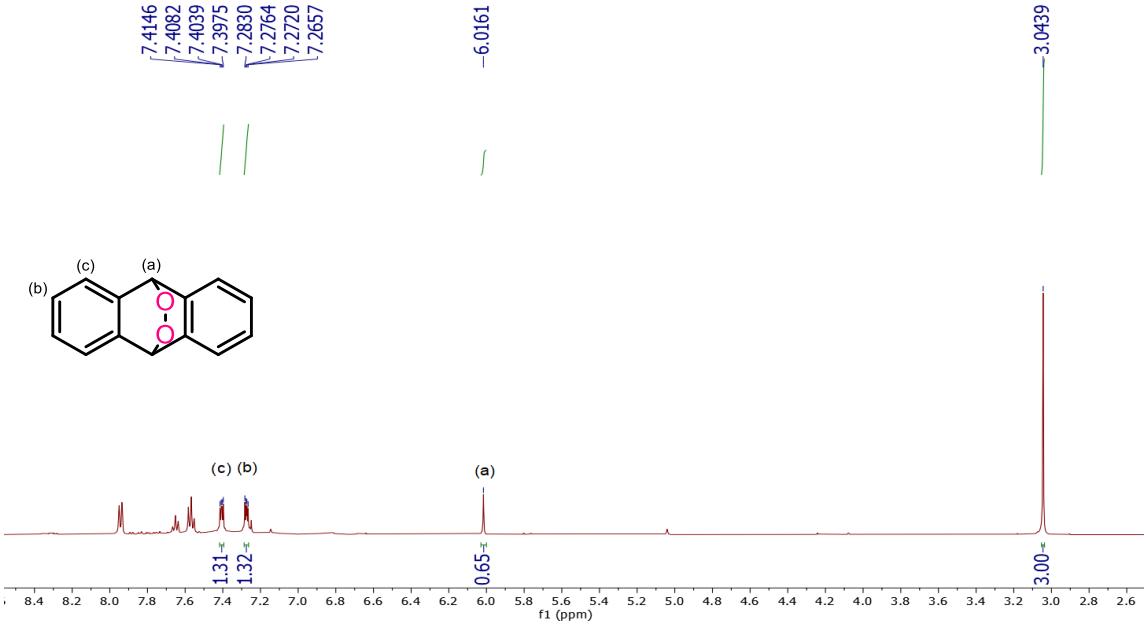
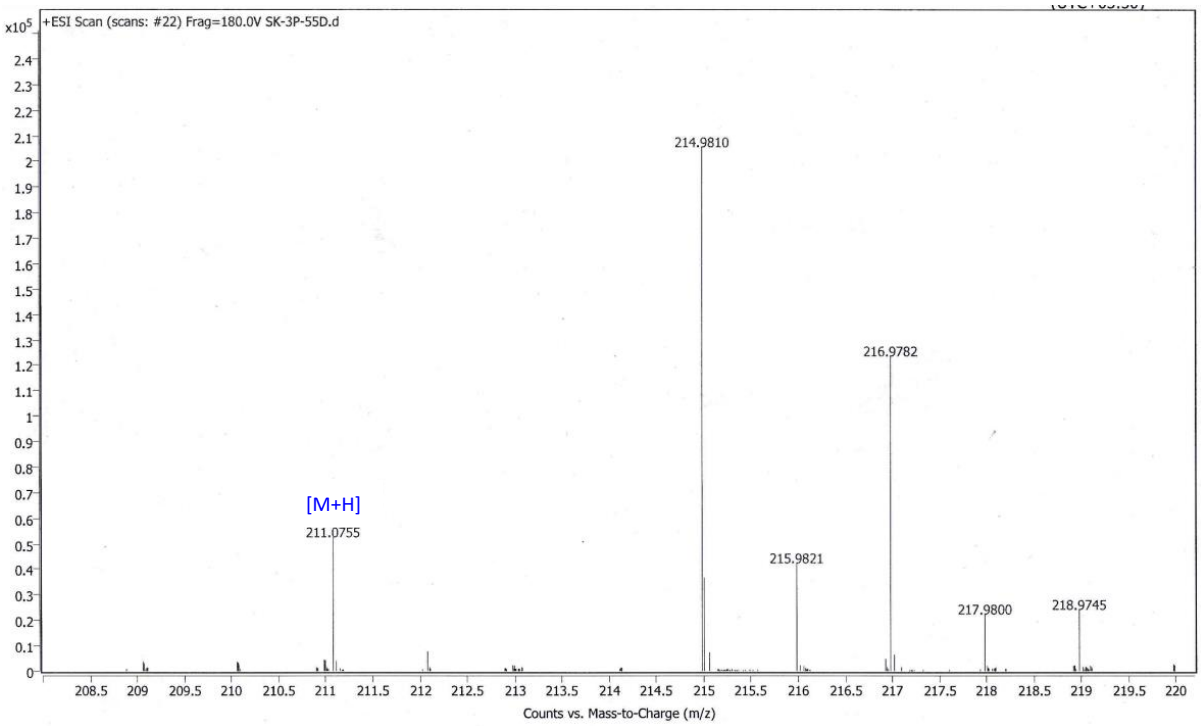


Fig S4: NMR spectra of reaction mixture of photooxygenation of anthracene.



5.7 Cyclic Voltammetry

5.7.1 Cyclic Voltammetric measurements of 3.6 mM of **1** in acetonitrile and 0.1 M TBAP as a supporting electrolyte, glassy carbon, Pt and Ag/AgCl as working, supporting and reference electrode, respectively.

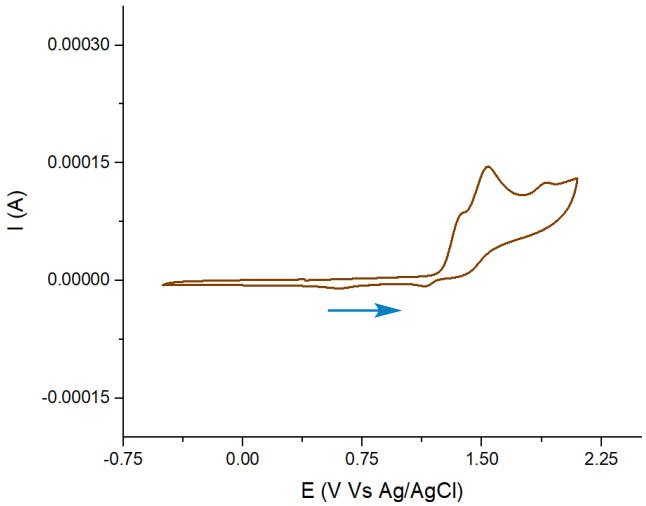


Fig S5: Cyclic voltammogram of **1**

5.7.2 Cyclic Voltammetric measurements of 3.6 mM of **37** in acetonitrile and 0.1 M TBAP as a supporting electrolyte, glassy carbon, Pt and Ag/AgCl as working, supporting and reference electrode, respectively.

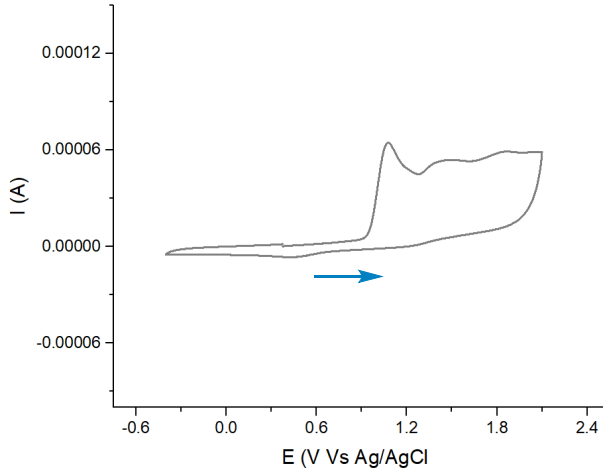


Fig S6: Cyclic voltammogram of **37**

5.8 Fluorescence Quenching Experiment

A 0.048 mM solution of 9-mesityl-10-methylacridinium perchlorate was prepared by diluting 1 mM stock solution in ethanol. The excitation and emission slit widths were fixed at 3 nm for data collection. Fluorescence emission spectra of the photocatalyst were collected from 430 nm to 650 nm with an excitation wavelength of 422 nm.

5.8.1 Fluorescence quenching study of 9-mesityl-10-methylacridinium perchlorate with HCl:

Initially, the fluorescence cuvette of 1 cm path length was charged with 2 mL of 0.048 mM Acr-Mes^{+}ClO$_4^{-}$, and fluorescence was recorded in the absence of quencher. λ_{max} was observed to be 501 nm. For each fluorescence quenching experiment, 2 μL of 0.5 M HCl in ethanol was

added to the cuvette and emission spectra were recorded for subsequent addition. Fig S7(a) show decrease in intensity in the emission of photocatalyst with the subsequent addition of HCl.

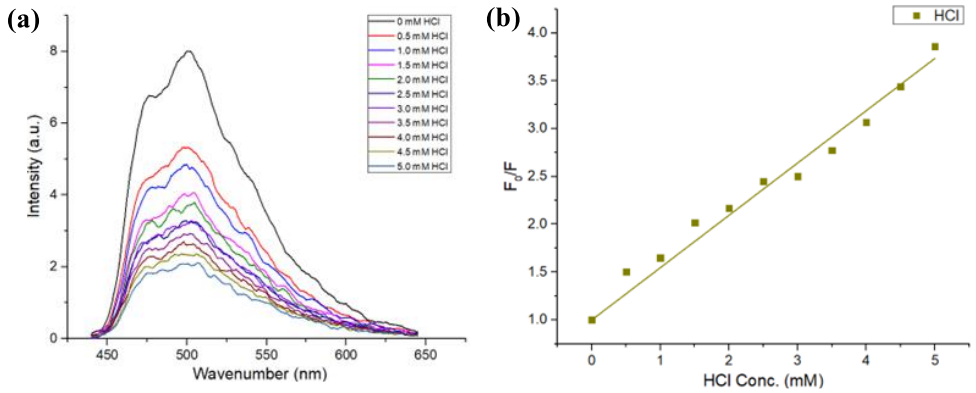


Fig S7: (a) Fluorescence-quenching spectra, **(b)** Stern-Volmer quenching plot of a 0.048 mM solution of Acr-Mes$^+$ClO$_4^-$ in ethanol with **HCl** as the quencher.

5.8.2 Fluorescence quenching study of 9-mesityl-10-methylacridinium perchlorate with 2-aminobenzamide:

Initially, the fluorescence cuvette of 1 cm path length was charged with 2 mL of 0.048 mM Acr-Mes$^+$ClO$_4^-$, and fluorescence was recorded without addition of any quencher. λ_{max} was observed to be 501 nm. For each fluorescence quenching experiment, 2 µL of 0.25 M 2-aminobenzamide (**1**) in ethanol was added to the cuvette and emission spectra were recorded for subsequent addition. Fig S8(a) shows slight decrease in intensity in the emission of photocatalyst with the addition of **1**.

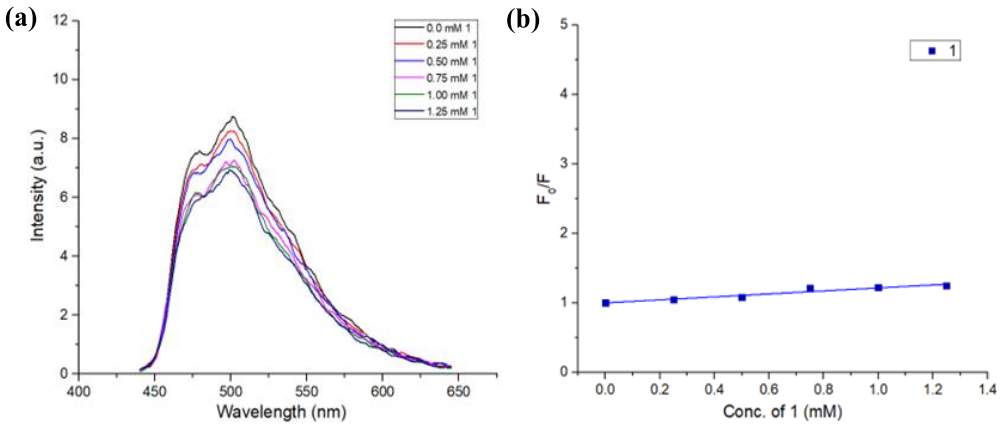


Fig S8: (a) Fluorescence-quenching spectra, **(b)** Stern-Volmer quenching plot of a 0.048 mM solution of Acr-Mes$^+$ClO$_4^-$ in ethanol with **1** as the quencher.

5.8.3 Fluorescence quenching study of 9-mesityl-10-methylacridinium perchlorate with 2-aminobenzenesulphonamide:

Initially, the fluorescence cuvette of 1 cm path length was charged with 2 mL of 0.048 mM Acr-Mes^{+}ClO$_4^{-}$, and fluorescence was recorded without addition of any quencher. λ_{max} was observed to be 501 nm. For each fluorescence quenching experiment, 2 µL of 0.25 M 2-aminobenzenesulphonamide (**37**) in ethanol was added to the cuvette and emission spectra were recorded for subsequent addition. Fig S9(a) show no decrease in intensity in the emission of photocatalyst with the addition of 2-aminobenzenesulphonamide.

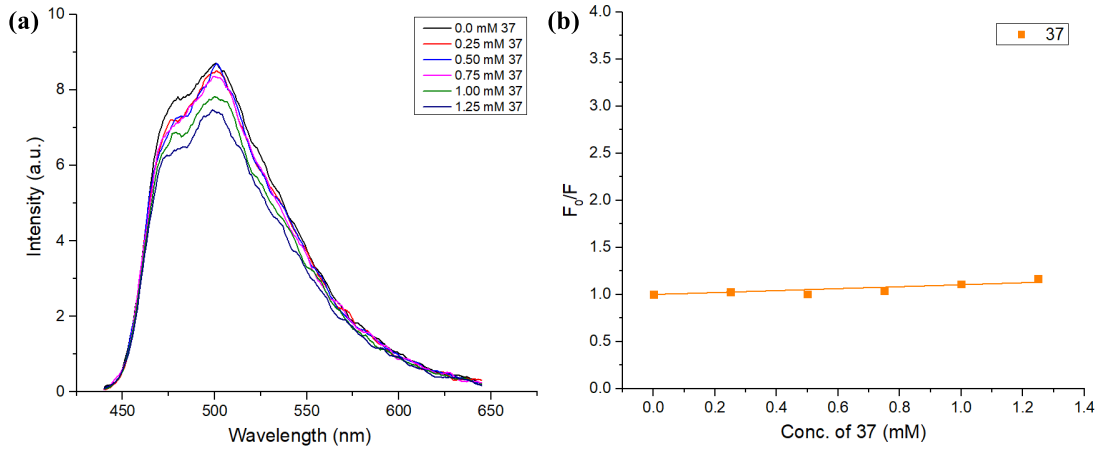
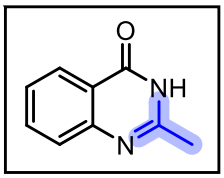


Fig S9: (a) Fluorescence-quenching spectra, **(b)** Stern-Volmer quenching plot of a 0.048 mM solution of Acr-Mes^{+}ClO$_4^{-}$ in ethanol with **35** as the quencher.

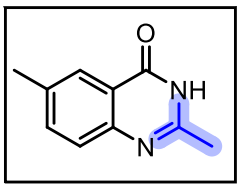
6. Characterization Data of Final Products

2-methylquinazolin-4(3H)-one (2):[2]



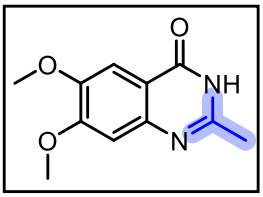
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 97% (31.1 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.18 (s, 1H), 8.06 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.75 (ddd, *J* = 8.4, 7.1, 1.6 Hz, 1H), 7.56 – 7.55 (m, 1H), 7.45 – 7.42 (m, 1H), 2.34 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 161.7, 154.3, 149.0, 134.3, 126.6, 125.8, 125.7, 120.6, 21.4.

2,6-dimethylquinazolin-4(3H)-one (3):[3]



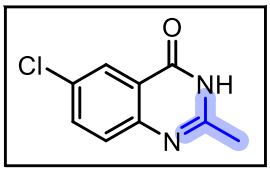
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 96% (33.4 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.08 (s, 1H), 7.83 (s, 1H), 7.53 (d, *J* = 10.0 Hz, 1H), 7.43 (d, *J* = 8.3 Hz, 1H), 2.38 (s, 3H), 2.31 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.8, 153.4, 146.9, 135.5, 135.4, 126.4, 125.1, 120.4, 21.4, 20.8.

6,7-dimethoxy-2-methylquinazolin-4(3H)-one (4):[4]



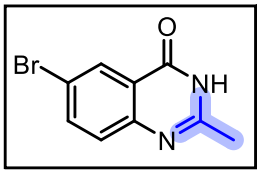
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 92% (40.5 mg).
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.02 (s, 1H), 7.37 (s, 1H), 7.02 (s, 1H), 3.85 (d, *J* = 11.5 Hz, 6H), 2.30 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.2, 154.5, 152.6, 148.0, 145.1, 113.4, 107.5, 104.8, 55.8, 55.6, 21.2.

6-chloro-2-methylquinazolin-4(3H)-one (5):[3]



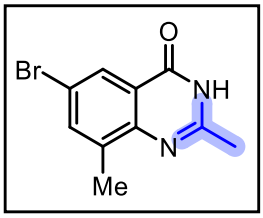
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 99% (38.5 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.33 (s, 1H), 7.93 (d, *J* = 2.7 Hz, 1H), 7.72 (dd, *J* = 8.8, 2.6 Hz, 1H), 7.52 (d, *J* = 8.6 Hz, 1H), 2.32 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 160.7, 154.9, 147.6, 134.3, 130.1, 128.8, 124.6, 121.8, 21.4.

6-bromo-2-methylquinazolin-4(3H)-one (6):[2]



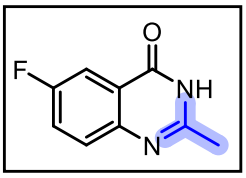
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 95% (45.4 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.33 (s, 1H), 8.09 (s, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.47 (d, *J* = 8.7 Hz, 1H), 2.32 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 160.3, 154.8, 147.7, 136.7, 128.7, 127.5, 122.0, 117.9, 21.3.

6-bromo-2,8-dimethylquinazolin-4(3H)-one (7):



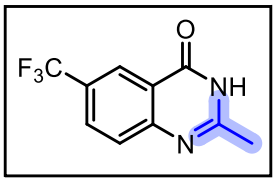
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 97% (49.1 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{10}H_9BrN_2O$: 252.9977; found: 252.9985.
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.30 (s, 1H), 7.87 (s, 1H), 7.82 (s, 1H), 2.37 (s, 3H), 2.35 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.2, 154.5, 144.2, 138.6, 136.6, 125.1, 121.8, 120.9, 21.7, 20.3.

6-fluoro-2-methylquinazolin-4(3H)-one (8):[5]



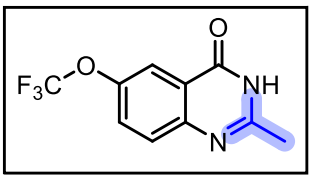
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 93% (33.1 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.25 (s, 1H), 7.66 – 7.63 (m, 1H), 7.56 (dd, *J* = 7.3, 2.6 Hz, 2H), 2.28 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 160.1 (d, J_{C-F}= 243.4), 153.7, 145.8, 129.3, 122.6 (d, J_{C-F}= 24.5), 121.8 (d, J_{C-F}= 7.0), 110.2 (d, J_{C-F}= 24.0), 21.3.

2-methyl-6-(trifluoromethyl)quinazolin-4(3H)-one (9):[6]



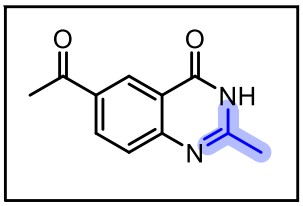
Purified by column chromatography on silica gel (ethyl acetate/hexane); off-white solid; 95% (43.3 mg).
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.32 (s, 1H), 8.27 (s, 1H), 7.96 (d, *J* = 8.6 Hz, 1H), 7.68 (d, *J* = 8.5 Hz, 1H), 2.38 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 160.8, 156.9, 151.2, 129.8, 127.8, 127.7, 127.5, 126.0 (q, J_{C-F}= 32.7), 124.8 (q, J_{C-F}= 272.4), 122.9, 120.5, 21.3.

2-methyl-6-(trifluoromethoxy)quinazolin-4(3H)-one (10):



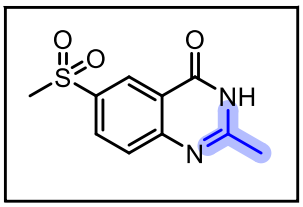
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale white solid; 94% (46.0 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{10}H_7F_3N_2O_2$: 245.0538; found: 245.0539.
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.35 (s, 1H), 7.81 – 7.76 (m, 1H), 7.62 (d, *J* = 8.9 Hz, 1H), 7.58 (d, *J* = 8.9 Hz, 1H), 2.29 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 161.0, 155.2, 147.8, 145.5, 129.2, 127.4, 121.5, 120.1 (q, J_{C-F}= 257.4), 116.7, 21.4.

6-acetyl-2-methylquinazolin-4(3H)-one (11):



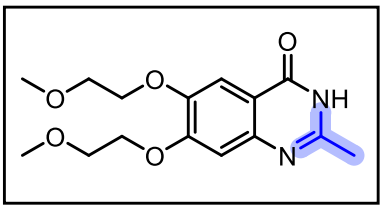
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale white solid; 96% (38.8 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{10}H_{11}N_2O_2$: 203.0821; found: 203.0816.
^{1}H NMR (400 MHz, DMSO-*D*$_6$) δ 12.43 (s, 1H), 8.58 (s, 1H), 8.22 (d, *J* = 8.9 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 1H), 2.64 (s, 3H), 2.37 (s, 3H).
^{13}C NMR (101 MHz, DMSO-*D*$_6$) δ 196.66, 161.56, 156.94, 152.09, 133.75, 132.89, 127.04, 126.91, 120.29, 26.72, 21.68.

2-methyl-6-(methylsulfonyl)quinazolin-4(3H)-one (12):



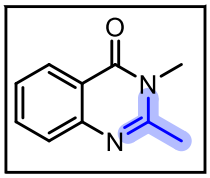
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale white solid; 93% (44.3 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{10}H_{10}N_2O_3S$: 239.0490; found: 239.0484.
^{1}H NMR (400 MHz, DMSO-*D*$_6$) δ 12.52 (s, 1H), 8.27 (d, *J* = 8.3 Hz, 1H), 8.04 (d, *J* = 1.8 Hz, 1H), 7.90 (dd, *J* = 8.3, 1.8 Hz, 1H), 3.33 (s, 3H), 2.38 (s, 3H).
^{13}C NMR (101 MHz, DMSO-*D*$_6$) δ 160.98, 156.50, 149.04, 145.76, 127.58, 125.52, 124.03, 122.84, 43.09, 21.61.

6,7-bis(2-methoxyethoxy)-2-methylquinazolin-4(3H)-one (13):



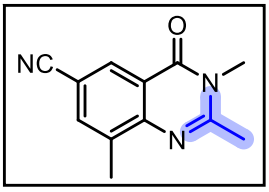
Purified by column chromatography on silica gel (ethyl acetate/hexane); yellow liquid; 82% (50.5 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{15}H_{20}N_2O_5$: 309.1450; found: 309.1459.
^{1}H NMR (500 MHz, CDCl$_3$) δ 7.53 (s, 1H), 7.03 (s, 1H), 4.23 (q, *J* = 4.6 Hz, 4H), 3.83 – 3.80 (m, 4H), 3.45 (d, *J* = 3.1 Hz, 6H), 2.54 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 163.6, 155.2, 152.3, 148.2, 145.9, 113.4, 108.5, 106.9, 70.8, 70.6, 68.7, 68.5, 59.4, 59.3, 21.8.

2,3-dimethylquinazolin-4(3H)-one (14):[7]



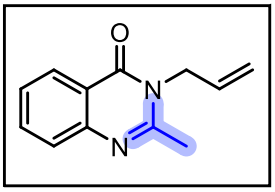
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 86% (30.0 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 8.07 (d, *J* = 7.9 Hz, 1H), 7.77 – 7.73 (m, 1H), 7.55 (d, *J* = 8.2 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 3.51 (s, 3H), 2.55 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 161.2, 155.5, 147.0, 134.0, 126.4, 126.1, 126.0, 119.7, 30.5, 23.1.

2,3,8-trimethyl-4-oxo-3,4-dihydroquinazoline-6-carbonitrile (15):



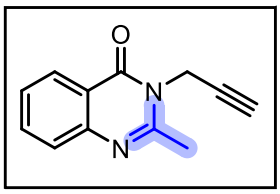
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 86% (36.6 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{12}H_{11}N_3O$: 214.0980; found: 214.0983.
^{1}H NMR (500 MHz, CDCl$_3$) δ 8.29 (s, 1H), 7.63 (s, 1H), 3.59 (s, 3H), 2.63 (s, 4H), 2.52 (s, 4H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 161.2, 156.4, 148.6, 137.4, 135.7, 129.8, 120.3, 118.4, 108.8, 31.2, 24.0, 17.1, 1.0.

3-allyl-2-methylquinazolin-4(3H)-one (16):[8]



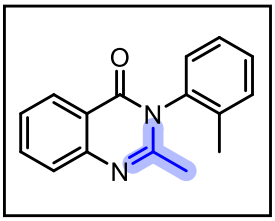
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 86% (34.4 mg).
^{1}H NMR (500 MHz, CDCl$_3$) δ 8.18 (d, *J* = 7.9 Hz, 1H), 7.68 – 7.62 (m, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.39 – 7.33 (m, 1H), 5.89 (ddt, *J* = 17.2, 10.2, 5.0 Hz, 1H), 5.20 – 5.14 (m, 1H), 5.05 (d, *J* = 16.4 Hz, 1H), 4.71 – 4.68 (m, 2H), 2.55 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 161.9, 154.4, 147.4, 134.3, 131.7, 127.0, 126.74, 126.5, 120.4, 117.2, 46.2, 23.0.

2-methyl-3-(prop-2-yn-1-yl)quinazolin-4(3H)-one (17):[9]



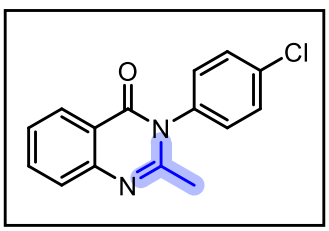
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 83% (33.0 mg).
^{1}H NMR (500 MHz, CDCl$_3$) δ 8.12 (d, *J* = 7.5 Hz, 1H), 7.61 (t, *J* = 7.6 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.32 (t, *J* = 7.5 Hz, 1H), 4.81 (s, 2H), 2.64 (s, 3H), 2.28 (t, *J* = 2.5 Hz, 1H)
^{13}C{^{1}H} NMR (101 MHz, CDCl$_3$) δ 161.1, 153.6, 147.0, 134.4, 126.8, 126.6, 126.5, 120.1, 77.4, 72.6, 33.0, 22.8.

2-methyl-3-(o-tolyl)quinazolin-4(3H)-one (18):[10]



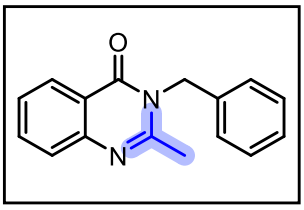
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 80% (40.0 mg).
^{1}H NMR (500 MHz, CDCl$_3$) δ 8.28 (d, *J* = 7.9 Hz, 1H), 7.79 – 7.75 (m, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.41 – 7.39 (m, 2H), 7.36 (q, *J* = 4.9, 4.3 Hz, 1H), 7.15 (d, *J* = 7.6 Hz, 1H), 2.18 (s, 3H), 2.12 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 161.7, 154.4, 147.7, 136.8, 135.4, 134.7, 131.6, 129.7, 128.0, 127.7, 127.2, 126.8, 126.7, 120.8, 24.0, 17.5.

3-(4-chlorophenyl)-2-methylquinazolin-4(3H)-one (19):[11]



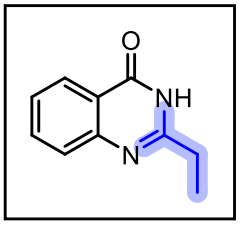
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 67% (36.3 mg).
^{1}H NMR (500 MHz, CDCl$_3$) δ 8.28 – 8.22 (m, 1H), 7.81 – 7.73 (m, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.2 Hz, 2H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.21 (d, *J* = 8.5 Hz, 2H), 2.25 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 162.3, 153.8, 147.5, 136.3, 135., 134.9, 130.4, 129.6, 127.1, 126.9, 120.7, 24.5.

3-benzyl-2-methylquinazolin-4(3H)-one (20):[11]



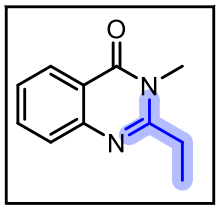
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 85% (42.5 mg).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 – 8.21 (m, 1H), 7.66 – 7.62 (m, 1H), 7.59 – 7.54 (m, 1H), 7.36 (td, *J* = 7.8, 3.6 Hz, 1H), 7.22 (dd, *J* = 8.0, 3.0 Hz, 2H), 7.17 (s, 1H), 7.15 – 7.10 (m, 2H), 5.29 (s, 2H), 2.44 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) δ 162.1, 154.5, 147.2, 135.8, 134.2, 128.8, 127.5, 126.9, 126.6, 126.4, 126.4, 120.2, 46.9, 23.2.

2-ethylquinazolin-4(3H)-one (21):[4]



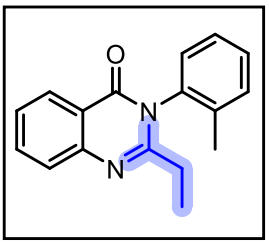
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 95% (33.1 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.15 (s, 1H), 8.07 (d, *J* = 7.9 Hz, 1H), 7.73 (dd, *J* = 8.2, 6.5 Hz, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 2.60 (q, *J* = 7.4 Hz, 2H), 1.23 (t, *J* = 7.6 Hz, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 161.8, 158.3, 149.0, 134.2, 126.8, 125.8, 125.7, 120.8, 27.8, 11.2.

2-ethyl-3-methylquinazolin-4(3H)-one (22):



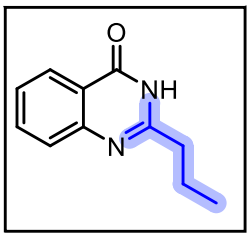
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 85% (32.0 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{11}H_{12}N_2O$: 189.1028; found: 189.1022.
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 (d, *J* = 7.9 Hz, 1H), 7.75 (t, *J* = 7.7 Hz, 1H), 7.58 (d, *J* = 8.1 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 3.51 (s, 3H), 2.84 (q, *J* = 7.2 Hz, 2H), 1.26 (t, *J* = 7.4 Hz, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-d_6) δ 161.4, 158.4, 146.9, 134.0, 126.7, 126.1, 119.7, 29.68, 27.6, 10.4.

2-ethyl-3-(o-tolyl)quinazolin-4(3H)-one (23):[12]



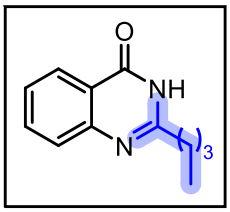
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 89% (47.1 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 8.13 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.84 (ddd, *J* = 8.4, 7.1, 1.6 Hz, 1H), 7.71 (dd, *J* = 8.2, 1.1 Hz, 1H), 7.52 (ddd, *J* = 8.1, 7.1, 1.2 Hz, 1H), 7.46 – 7.41 (m, 2H), 7.40 – 7.34 (m, 2H), 2.37 – 2.30 (m, 1H), 2.22 – 2.15 (m, 1H), 2.01 (s, 3H), 1.13 (t, *J* = 7.3 Hz, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 160.7, 157.4, 147.3, 136.3, 135.2, 134.6, 131.0, 129.2, 128.6, 127.3, 126.9, 126.5, 126.3, 120.3, 28.2, 16.8, 10.4.

2-propylquinazolin-4(3H)-one (24):[2]



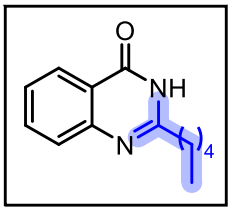
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 96% (36.1 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.21 (s, 1H), 8.13 (t, *J* = 7.7 Hz, 1H), 7.80 (q, *J* = 7.4 Hz, 1H), 7.64 (t, *J* = 7.7 Hz, 1H), 7.50 (t, *J* = 7.5 Hz, 1H), 3.45 (d, *J* = 7.8 Hz, 2H), 2.62 (q, *J* = 7.5 Hz, 2H), 1.84 – 1.75 (m, 2H), 1.02 – 0.93 (m, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 161.8, 157.3, 148.9, 134.2, 126.8, 125.8, 125.6, 120.8, 36.3, 20.2, 13.4.

2-butylquinazolin-4(3H)-one (25):[13]



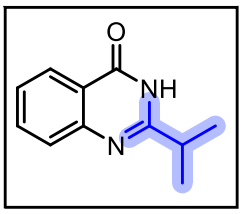
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale liquid; 95% (38.4 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.14 (s, 1H), 8.07 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.73 (ddd, *J* = 8.5, 7.1, 1.6 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.42 (ddd, *J* = 8.1, 7.1, 1.2 Hz, 1H), 2.60 – 2.56 (m, 2H), 1.71 – 1.65 (m, 2H), 1.32 (h, *J* = 7.4 Hz, 2H), 0.87 (t, *J* = 7.4 Hz, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 161.8, 157.5, 149.0, 134.2, 126.8, 125.8, 125.6, 120.8, 34.2, 28.9, 21.7, 13.6.

2-pentylquinazolin-4(3H)-one (26):[2]



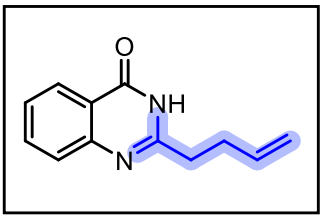
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale yellow; 92% (39.8 mg).
^{1}H NMR (500 MHz, CDCl$_3$) δ 12.34 (s, 1H), 8.27 (d, *J* = 7.9 Hz, 1H), 7.75 (t, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 2.84 – 2.76 (t, 2H), 1.90 (p, *J* = 7.6 Hz, 2H), 1.42 (dq, *J* = 22.0, 7.8 Hz, 4H), 0.91 (t, *J* = 7.0 Hz, 3H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 164.7, 157.3, 149.6, 134.8, 127.3, 126.3, 126.2, 120.5, 36.0, 31.5, 27.3, 22.4, 14.0.

2-isopropylquinazolin-4(3H)-one (27):[14]



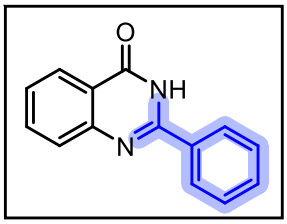
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 95% (35.8 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 8.05 (d, *J* = 8.0 Hz, 1H), 7.75 (t, *J* = 7.7 Hz, 1H), 7.60 (d, *J* = 8.2 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 2.86 (p, *J* = 6.9 Hz, 1H), 1.23 (d, *J* = 6.9 Hz, 6H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 161.7, 161.3, 148.7, 133.7, 126.6, 125.4, 125.4, 120.7, 33.0, 20.0.

2-(but-3-en-1-yl)quinazolin-4(3H)-one (28):[15]



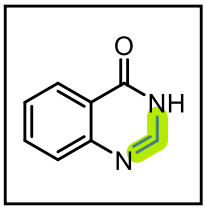
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 87% (34.8 mg).
^{1}H NMR (400 MHz, CDCl$_3$) δ 11.37 (s, 1H), 8.28 (d, *J* = 7.9 Hz, 1H), 7.77 (t, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.48 (d, *J* = 7.5 Hz, 1H), 5.94 (ddt, *J* = 17.0, 10.3, 6.6 Hz, 1H), 5.16 (d, *J* = 17.0 Hz, 1H), 5.06 (d, *J* = 9.9 Hz, 1H), 2.89 (t, *J* = 7.7 Hz, 2H), 2.66 (t, *J* = 7.4 Hz, 2H).
^{13}C{^{1}H} NMR (126 MHz, CDCl$_3$) δ 156.2, 149.5, 136.5, 134.9, 127.3, 126.5, 126.37, 116.3, 35.2, 31.4.

2-phenylquinazolin-4(3H)-one (29):[16]



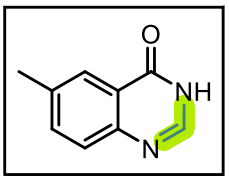
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 90% (40.0 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.53 (s, 1H), 8.19 (m, 3H), 7.82 (t, *J* = 7.6 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.55 (td, *J* = 14.3, 13.8, 7.1 Hz, 4H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 162.3, 152.3, 148.7, 134.6, 132.7, 131.4, 128.63, 127.7, 127.5, 126.6, 125.8, 121.0.

quinazolin-4(3H)-one (30):[4]



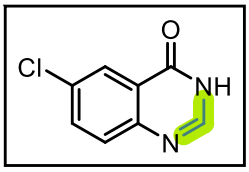
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 80% (23.4 mg).
^{1}H NMR (500 MHz, DMSO-d_6) δ 12.23 (s, 1H), 8.12 (dd, *J* = 7.9, 1.6 Hz, 1H), 8.09 (s, 1H), 7.80 (ddd, *J* = 8.6, 7.1, 1.6 Hz, 1H), 7.68 – 7.64 (m, 1H), 7.51 (ddd, *J* = 8.2, 7.1, 1.2 Hz, 1H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-d_6) δ 160.7, 148.8, 145.4, 134.3, 127.2, 126.7, 125.8, 122.6.

6-methylquinazolin-4(3H)-one (31):[4]



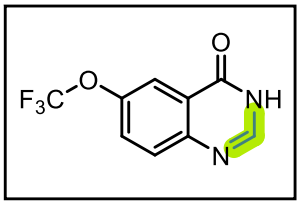
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 74% (23.7 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.14 (s, 1H), 8.02 (s, 1H), 7.90 (s, 1H), 7.60 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.54 (d, *J* = 8.3 Hz, 1H), 2.41 (s, 3H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 160.7, 146.8, 144.5, 136.4, 135.5, 127.1, 125.2, 122.4, 20.8.

6-chloroquinazolin-4(3H)-one (32):[4]



Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 72% (26.0 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.41 (s, 1H), 8.11 (s, 1H), 8.04 (d, *J* = 2.5 Hz, 1H), 7.82 (dd, *J* = 8.7, 2.5 Hz, 1H), 7.68 (d, *J* = 8.6 Hz, 1H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 159.8, 147.5, 145.9, 134.4, 131.0, 129.5, 124.8, 123.9.

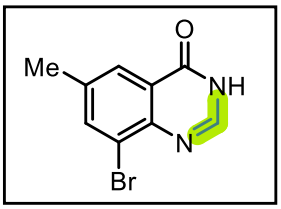
6-(trifluoromethoxy)quinazolin-4(3H)-one (33):



Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 60% (27.6 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_9H_5F_3N_2O_2$: 231.0381; found: 231.0374.

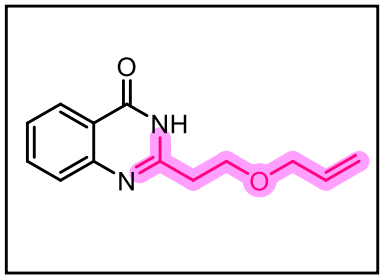
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.49 (s, 1H), 8.16 (s, 1H), 7.95 (s, 1H), 7.82 – 7.79 (m, 2H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 160.0, 147.6, 146.1, 130.0, 127.7, 123.6, 123.1, 121.1, 119.0, 116.9.

8-bromo-6-methylquinazolin-4(3H)-one (34):[2]



Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 72% (34.4 mg).
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 8.14 (s, 1H), 7.95 (s, 1H), 7.89 (s, 1H), 2.41 (s, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 160.1, 145.5, 144.1, 138.7, 137.7, 125.2, 123.82, 121.5, 20.4.

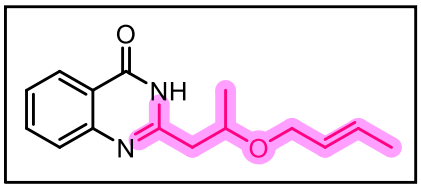
2-(2-(allyloxy)ethyl)quinazolin-4(3H)-one (35):



Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 95% (43.8 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{13}H_{14}N_2O_2$: 231.1134; found: 231.1138.

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.18 (s, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.76 (t, *J* = 7.6 Hz, 1H), 7.59 (d, *J* = 8.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 5.84 (ddt, *J* = 16.1, 10.5, 5.4 Hz, 1H), 5.21 (d, *J* = 17.1 Hz, 1H), 5.10 (d, *J* = 10.6 Hz, 1H), 3.95 (d, *J* = 5.5 Hz, 2H), 3.81 (t, *J* = 6.7 Hz, 2H), 2.87 (t, *J* = 6.6 Hz, 2H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.7, 155.3, 148.8, 135.1, 134.3, 126.7, 126.0, 125.7, 120.8, 116.3, 70.8, 66.8, 35.0.

(E)-2-(2-(but-2-en-1-yloxy)propyl)quinazolin-4(3H)-one (36):

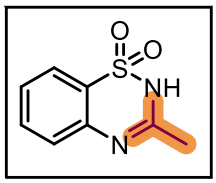


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 92% (47.5 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{13}H_{14}N_2O_2$: 259.1447; found: 259.1458.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.74 (ddd, *J* = 8.4, 7.0, 1.5 Hz, 1H), 7.67 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.46 (ddd, *J* = 8.2, 7.0, 1.2 Hz, 1H), 5.75 (dqt, *J* = 15.3, 6.4, 1.2 Hz, 1H), 5.65 – 5.50 (m, 1H), 4.14 – 4.08 (m, 1H), 4.02 – 3.95 (m, 1H), 3.91 (ddt, *J* = 11.6, 6.6, 1.1 Hz, 1H), 2.95 (dd, *J* = 14.9, 3.3 Hz, 1H), 2.86 (dd, *J* = 15.0, 7.6 Hz, 1H), 1.70 (dd, *J* = 6.5, 1.4 Hz, 3H), 1.28 (d, *J* = 6.2 Hz, 3H).

^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) δ 161.8, 154.9, 134.7, 130.8, 126.9, 126.9, 126.7, 126.6, 121.3, 72.6, 69.6, 42.2, 29.8, 19.3, 17.9.

3-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (38):[2]

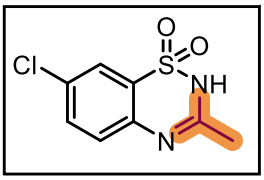


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 92% (36.1 mg).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 7.78 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 7.8 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.29 (d, *J* = 8.3 Hz, 1H), 2.30 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 157.2, 135.1, 133.0, 126.2, 123.4, 121.0, 117.2, 22.6.

7-chloro-3-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (39):

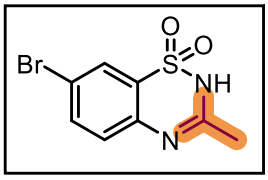


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 89% (41.0 mg). HRMS (ESI): m/z: $[M+Na]^+$ calcd. for $C_8H_7ClN_2O_2S$: 252.9814; found: 252.9808.

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 7.80 (s, 1H), 7.69 (d, *J* = 8.6 Hz, 1H), 7.31 (d, *J* = 9.0 Hz, 1H), 2.29 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 157.7, 134.2, 133.3, 129.7, 122.8, 122.1, 119.7, 22.7.

7-chloro-3-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (40):

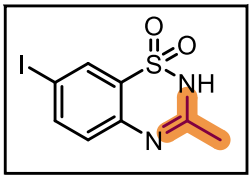


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 92% (50.6 mg). HRMS (ESI): m/z: $[M+Na]^+$ calcd. for $C_8H_7BrN_2O_2S$: 296.9309; found: 296.9304.

^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.18 (s, 1H), 7.91 (d, *J* = 2.3 Hz, 1H), 7.80 (dd, *J* = 8.8, 2.2 Hz, 1H), 7.25 (d, *J* = 8.8 Hz, 1H), 2.29 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 157.5, 135.9, 134.4, 125.6, 122.3, 119.8, 117.1, 22.7.

7-iodo-3-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (41):

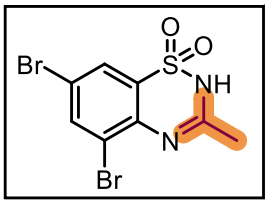


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 87% (56.0 mg). HRMS (ESI): m/z: $[M-H]^+$ calcd. for $C_8H_7IN_2O_2S$: 320.9195; found: 320.9213.

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.13 (s, 1H), 8.01 (d, *J* = 2.0 Hz, 1H), 7.98 – 7.94 (m, 1H), 7.10 (d, *J* = 8.6 Hz, 1H), 2.29 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 157.4, 141.4, 134.7, 131.1, 122.5, 119.6, 89.0, 22.7.

5,7-dibromo-3-methyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (42):



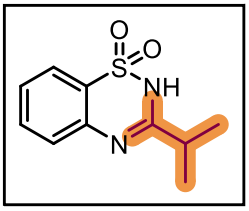
Purified by column chromatography on silica gel (ethyl acetate/hexane); pale white solid; 72% (50.9 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_8H_6Br_2N_2O_2S$: 354.8575; found: 354.8564.

^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 10.97 (s, 1H), 8.25 (d, *J* = 2.1 Hz, 1H), 7.98 (d, *J* = 2.2 Hz, 1H), 2.43 (s, 3H).

^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 159.5, 139.0, 133.0, 125.6, 123.6, 117.8, 111.6, 23.5.

3-isopropyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (43):

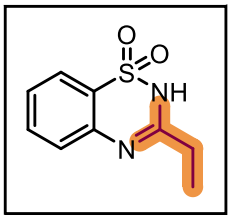
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 67% (30.0 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_{10}H_{12}N_2O_2S$: 225.0698; found: 225.0696.



^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 11.90 (s, 1H), 7.77 (d, *J* = 7.8 Hz, 1H), 7.66 (t, *J* = 7.7 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 1H), 7.35 (d, *J* = 8.3 Hz, 1H), 2.81 (p, *J* = 6.7 Hz, 1H), 1.21 (d, *J* = 6.9 Hz, 6H).

^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 164.5, 135.3, 133.1, 126.3, 121.4, 117.5, 34.4, 19.9.

3-ethyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (44):

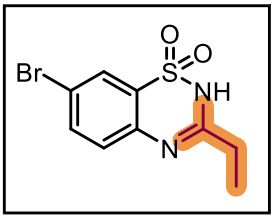


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 78% (32.8 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_9H_{10}N_2O_2S$: 211.0541; found: 211.0530.

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.95 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 7.7 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 2.57 (q, *J* = 7.5 Hz, 2H), 1.19 (t, *J* = 7.4 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 135.2, 133.0, 126.2, 123.5, 121.2, 117.3, 28.75, 10.4.

7-bromo-3-ethyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (45):

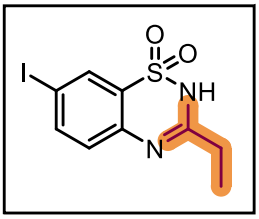


Purified by column chromatography on silica gel (ethyl acetate/hexane); off-white solid; 87% (50.3 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_9H_9BrN_2O_2S$: 288.9646; found: 288.9632.

^{1}H NMR (400 MHz, DMSO-*d*) δ 12.13 (s, 1H), 7.91 (s, 1H), 7.82 (dd, *J* = 9.1, 2.3 Hz, 1H), 7.27 (d, *J* = 8.9 Hz, 1H), 2.57 (q, *J* = 7.5 Hz, 2H), 1.17 (t, *J* = 7.5 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 161.4, 136.0, 134.5, 125.6, 122.5, 119.9, 117.1, 28.8, 10.3.

7-iodo-3-ethyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (46):

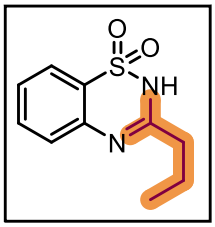


Purified by column chromatography on silica gel (ethyl acetate/hexane); pale white solid; 87% (58.5 mg). HRMS (ESI): m/z: $[M+H]^+$ calcd. for $C_9H_9IN_2O_2S$: 336.9508; found: 336.9499.

^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.07 (s, 1H), 8.01 (d, *J* = 2.0 Hz, 1H), 7.96 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.12 (d, *J* = 8.6 Hz, 1H), 2.56 (q, *J* = 7.5 Hz, 2H), 1.17 (t, *J* = 7.5 Hz, 3H).

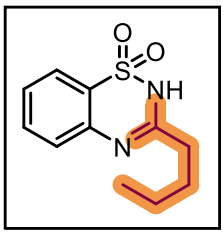
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 161.4, 141.5, 134.8, 131.2, 122.7, 119.7, 88.9, 28.8, 10.4.

3-propyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (47):[17]



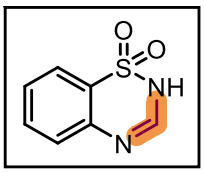
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 72% (32.3 mg).
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.91 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.61 (t, *J* = 7.7 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.27 (d, *J* = 8.2 Hz, 1H), 2.46 (t, *J* = 7.3 Hz, 2H), 1.65 (q, *J* = 7.4 Hz, 2H), 0.89 (t, *J* = 7.4 Hz, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 160.2, 135.1, 133.0, 126.2, 123.4, 121.2, 117.3, 37.0, 19.5, 13.1.

3-butyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (48):[18]



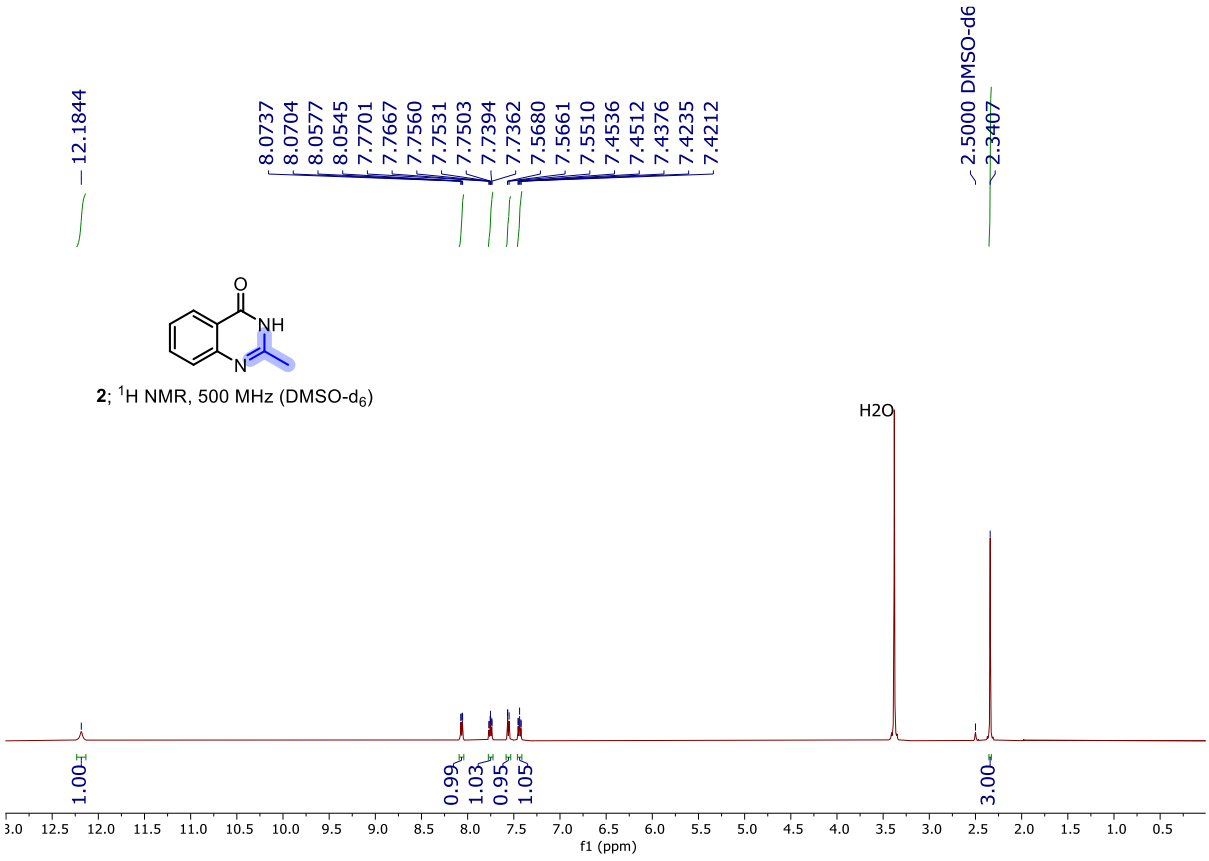
Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 70% (33.4 mg).
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.94 (s, 1H), 7.72 (d, *J* = 8.1 Hz, 1H), 7.60 (t, *J* = 7.8 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.26 (d, *J* = 8.3 Hz, 1H), 2.47 (t, *J* = 7.8 Hz, 2H), 1.64 – 1.56 (m, 2H), 1.29 (q, *J* = 7.6 Hz, 2H), 0.84 (t, *J* = 7.5 Hz, 3H).
^{13}C{^{1}H} NMR (101 MHz, DMSO-*d*$_6$) δ 160.5, 135.2, 133.1, 126.3, 123.5, 121.2, 117.4, 35.10, 28.2, 21.5, 13.7.

2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (49):[19]

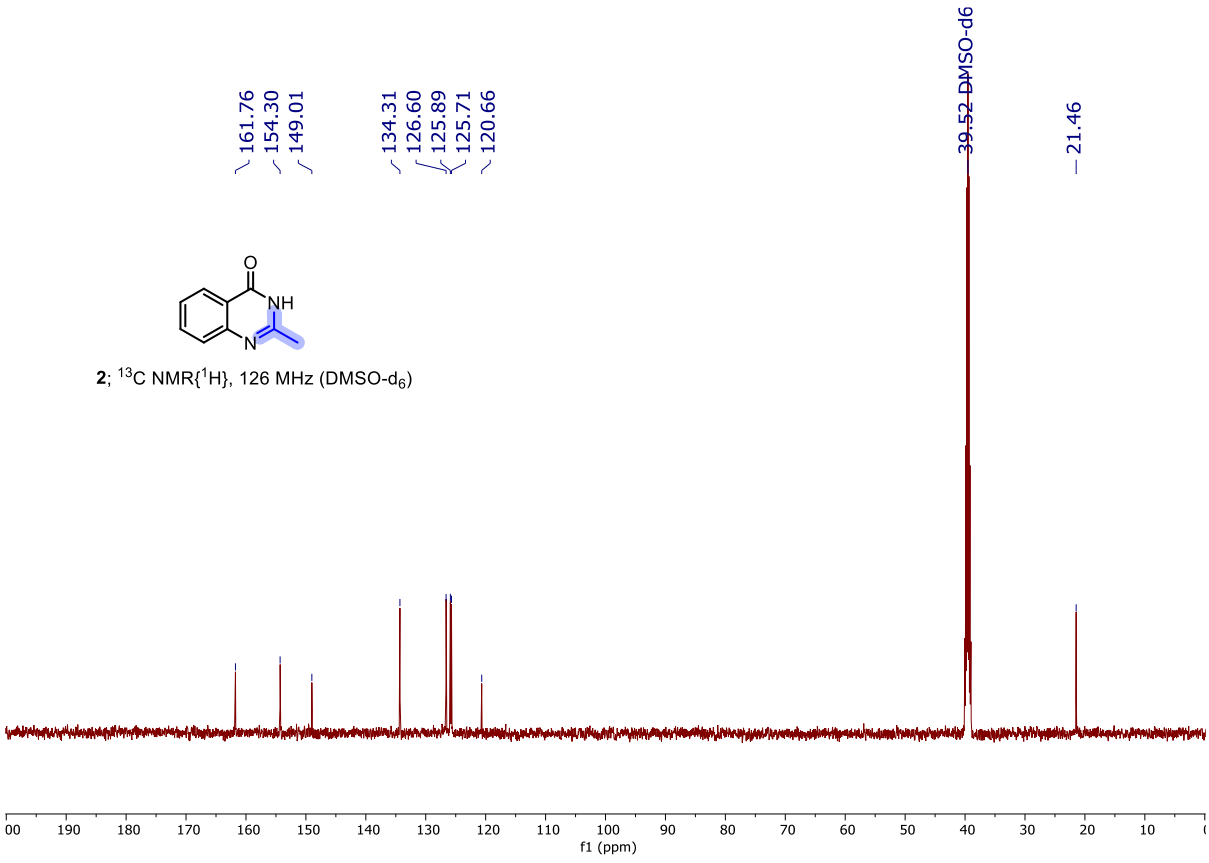


Purified by column chromatography on silica gel (ethyl acetate/hexane); white solid; 60% (21.9 mg).
^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 12.24 (s, 1H), 8.13 (s, 1H), 8.08 (s, 1H), 7.84 – 7.79 (m, 1H), 7.67 (d, *J* = 8.2 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H).
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) δ 160.8, 148.8, 145.4, 134.5, 127.3, 126.9, 125.9.

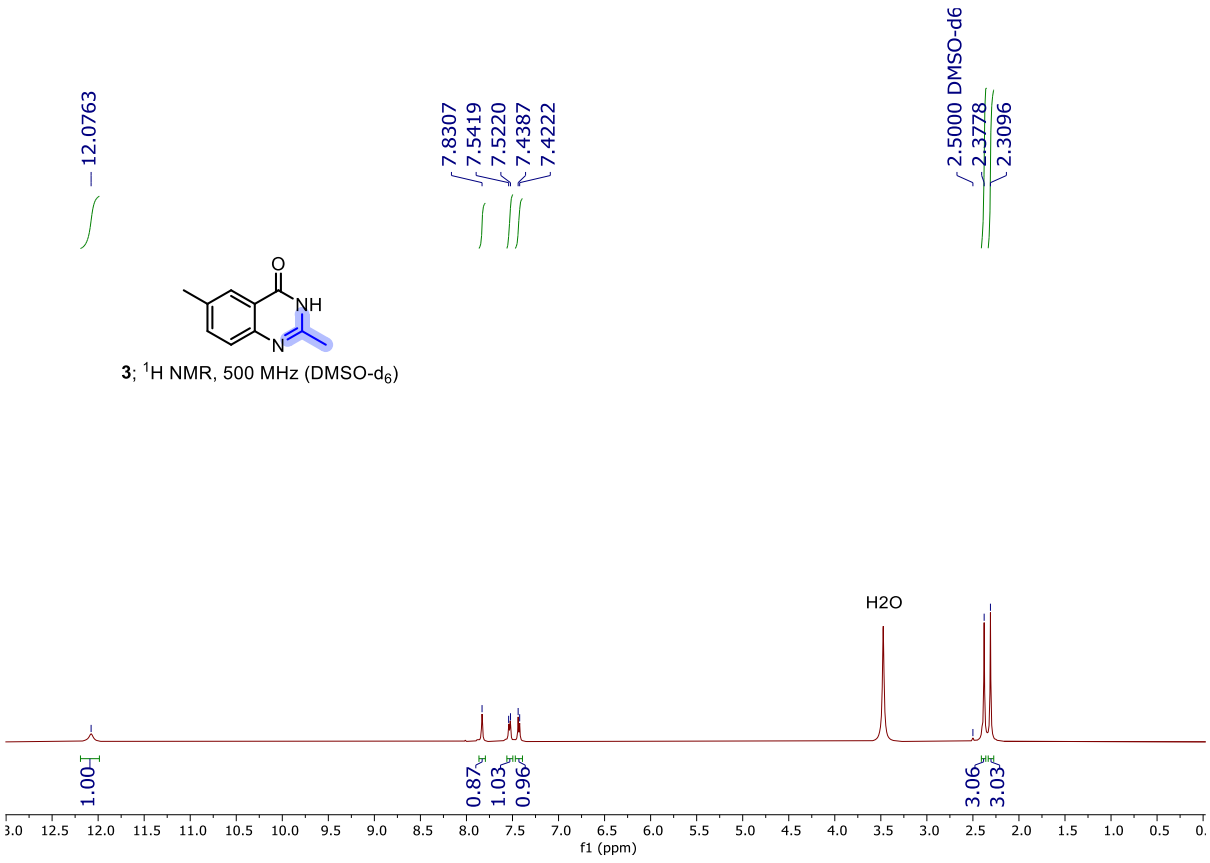
7. Copies of ^{1}H and $^{13}C\{^{1}H\}$ NMR:



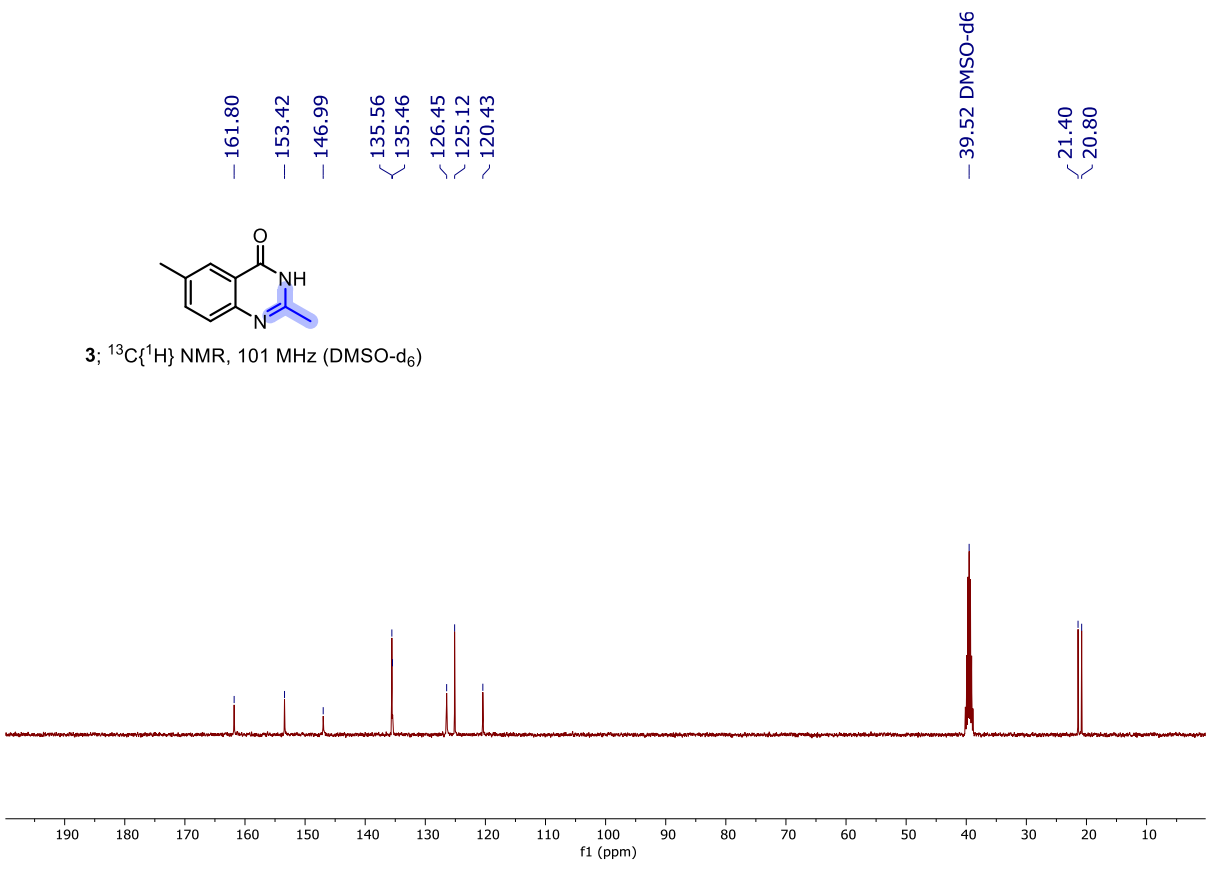
2; ^{1}H NMR, 500 MHz (DMSO-d_6)



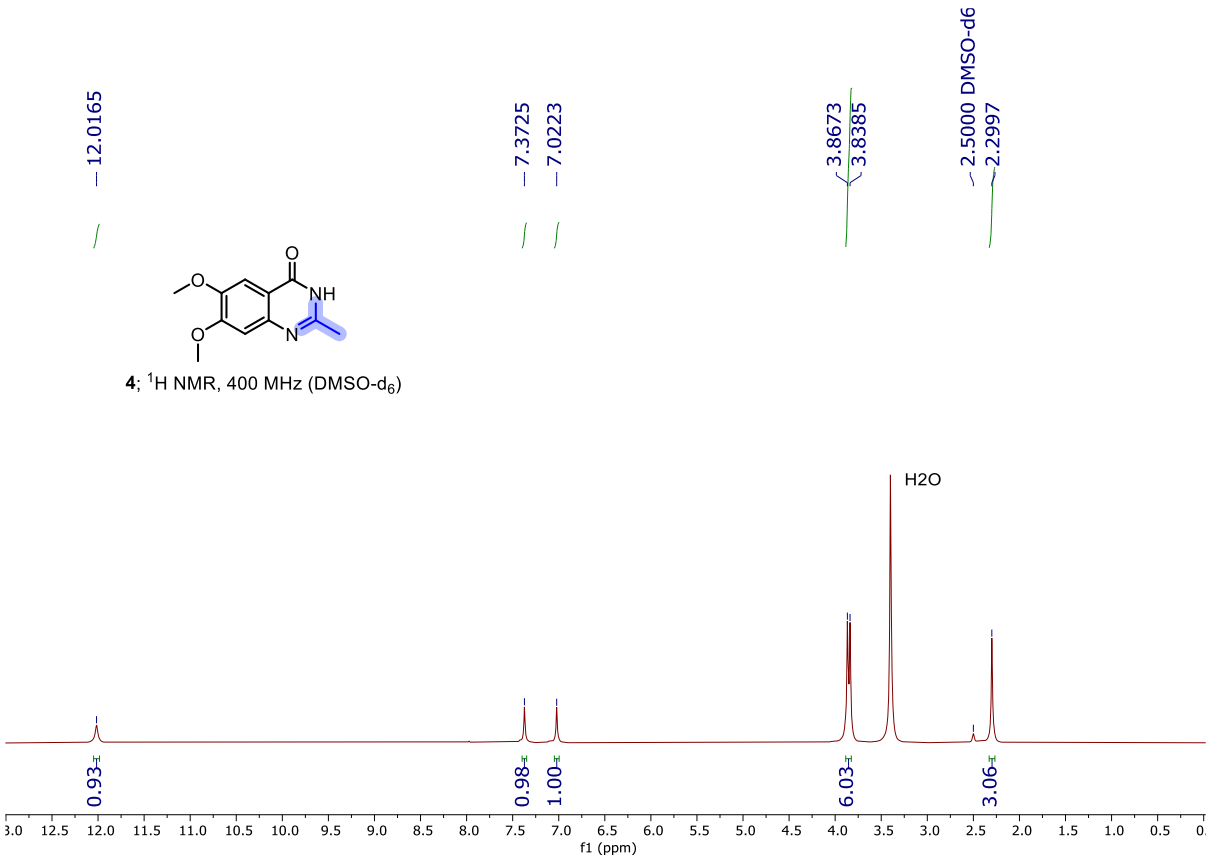
2; ^{13}C NMR$\{^{1}H\}$, 126 MHz (DMSO-d_6)



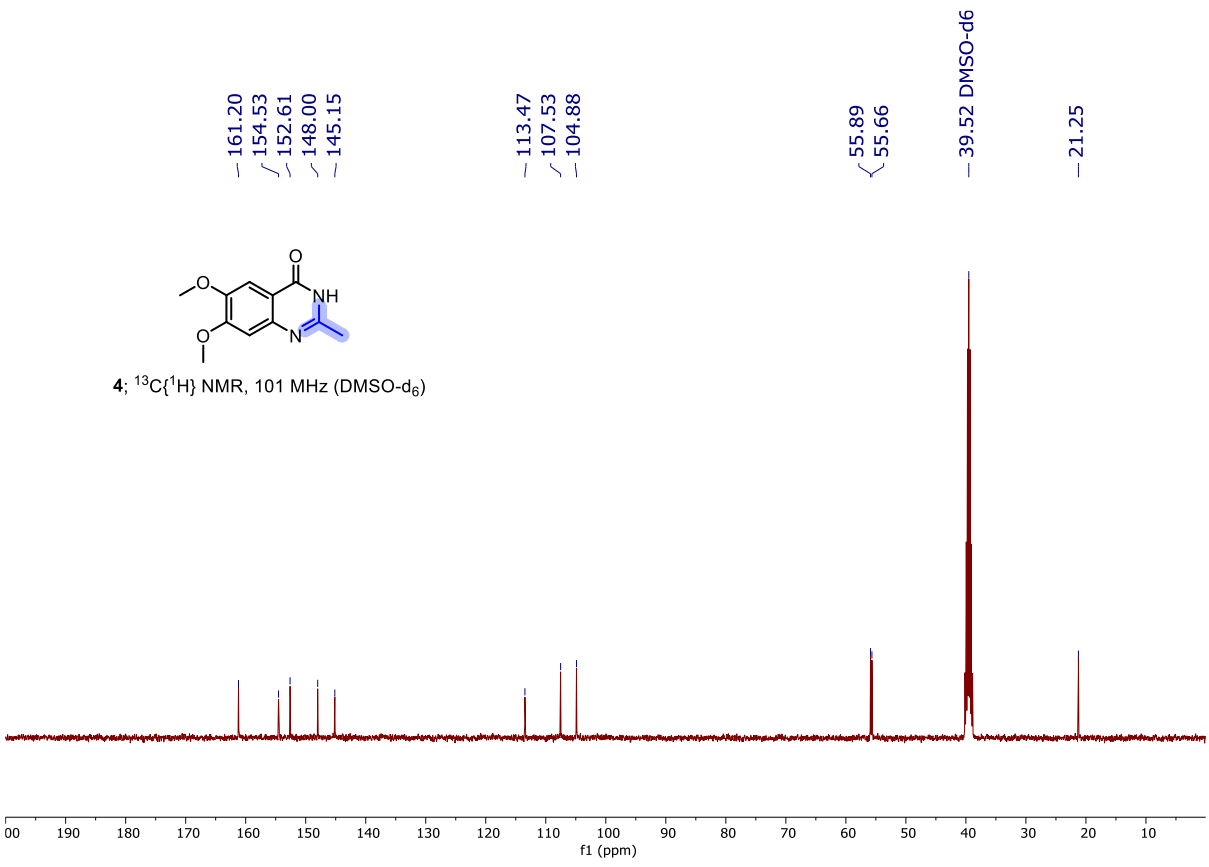
3; ^{1}H NMR, 500 MHz (DMSO-d_6)



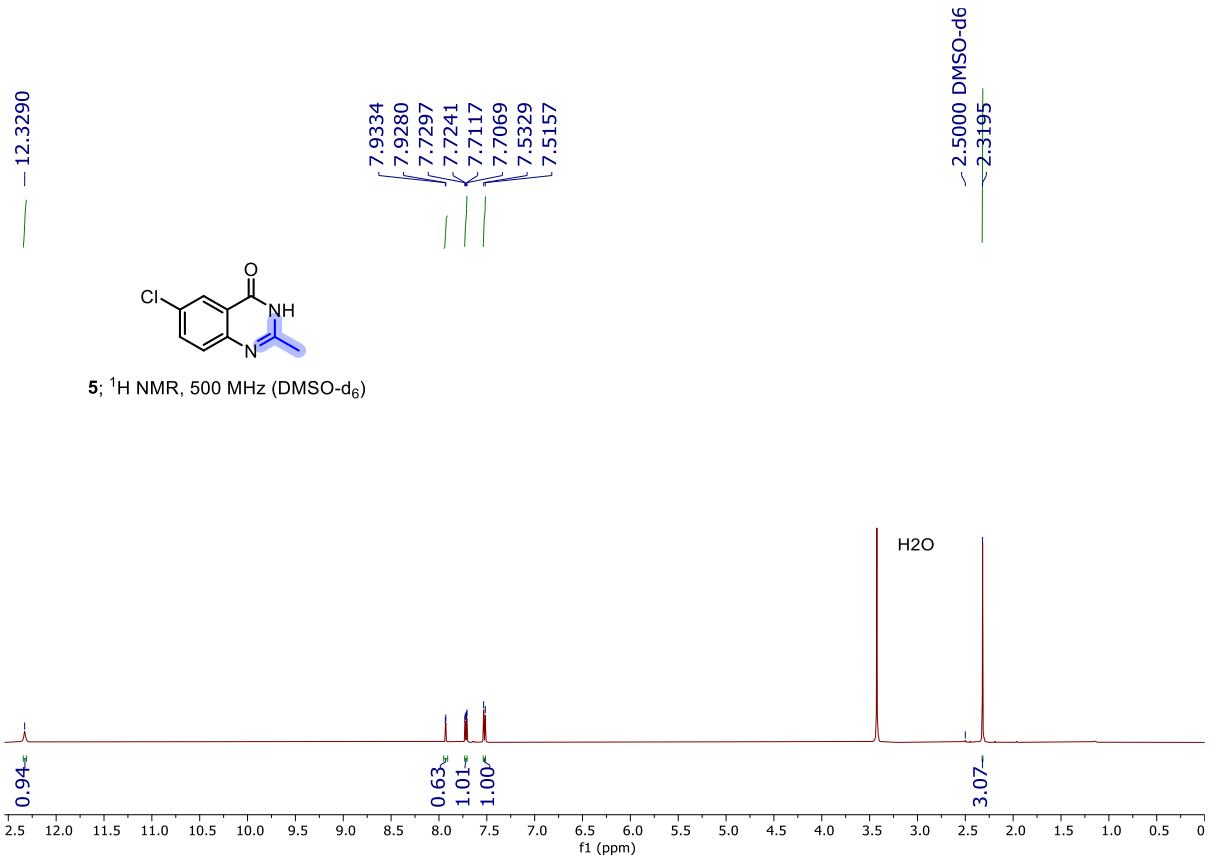
3; $^{13}C\{^{1}H\}$ NMR, 101 MHz (DMSO-d_6)



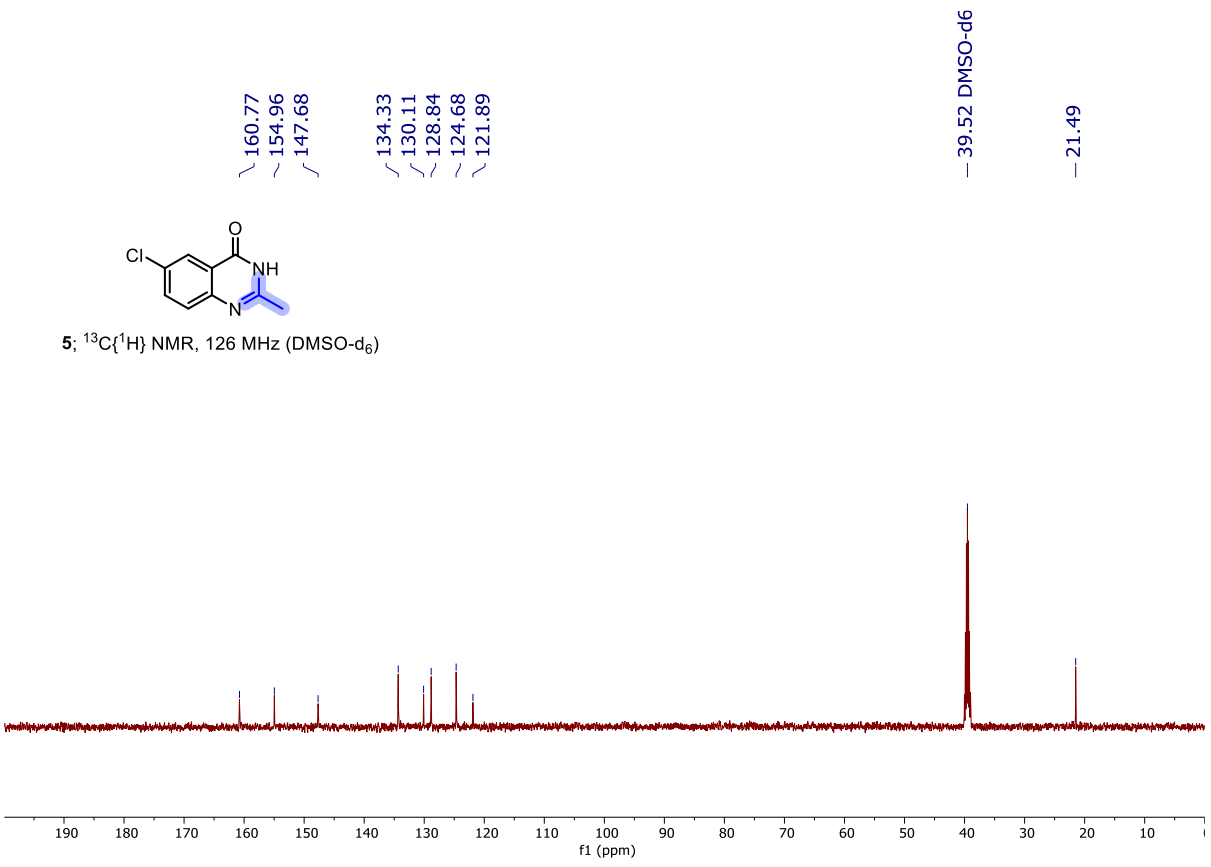
4; ^{1}H NMR, 400 MHz (DMSO-d_6)



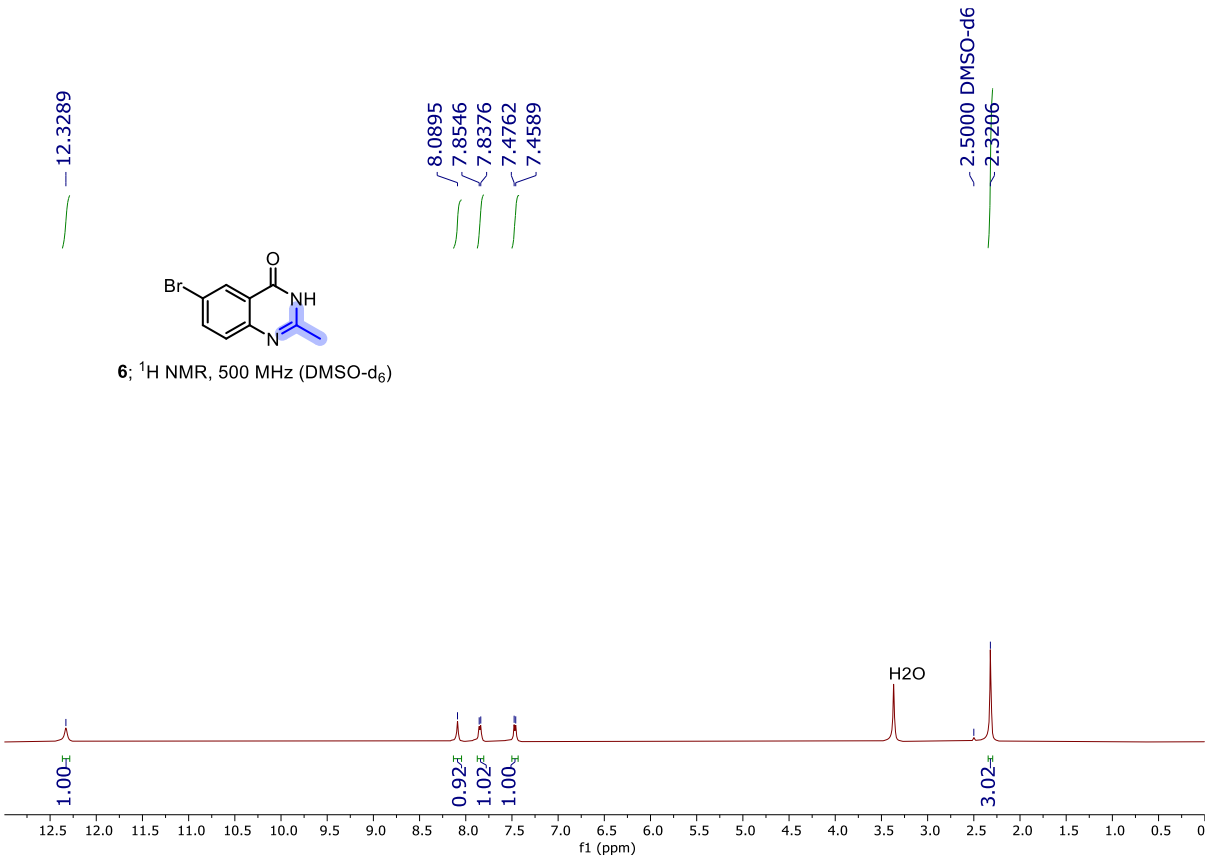
4; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



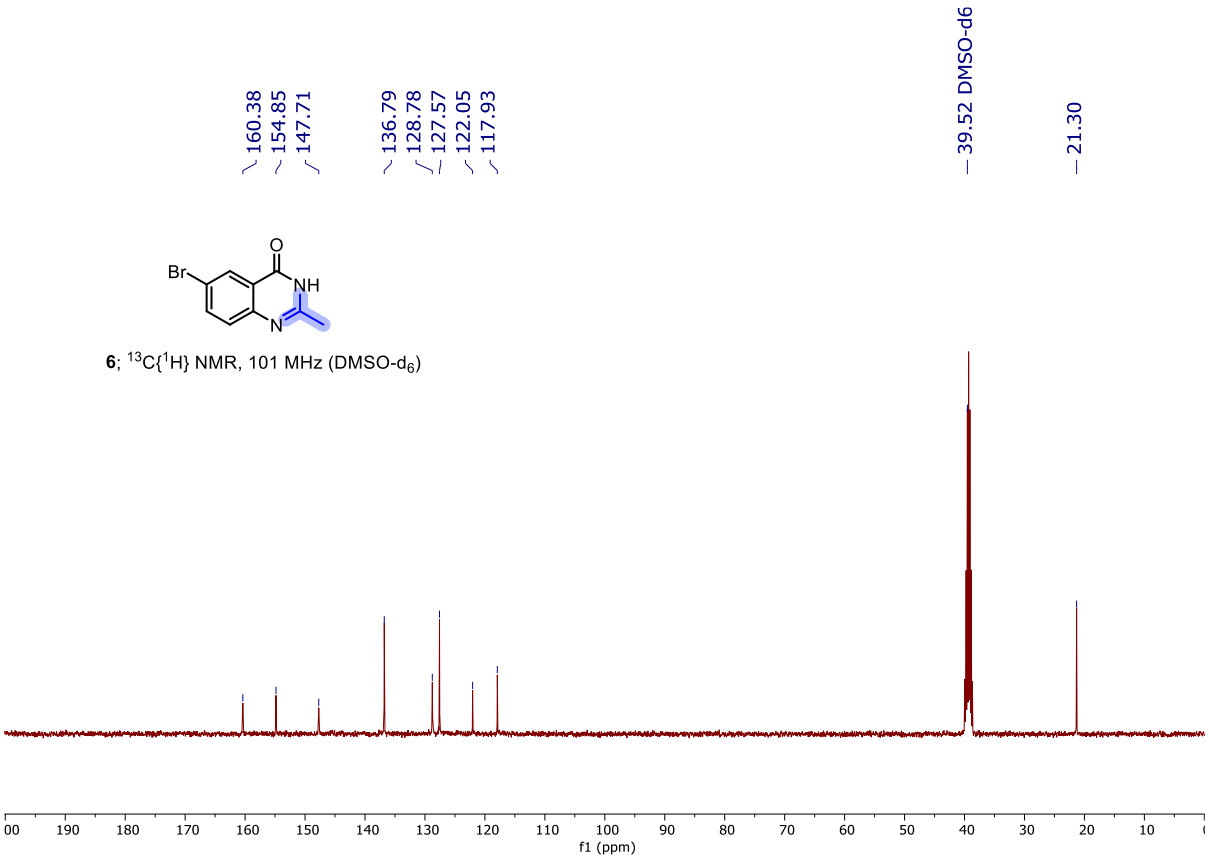
5; ^{1}H NMR, 500 MHz (DMSO-d_6)



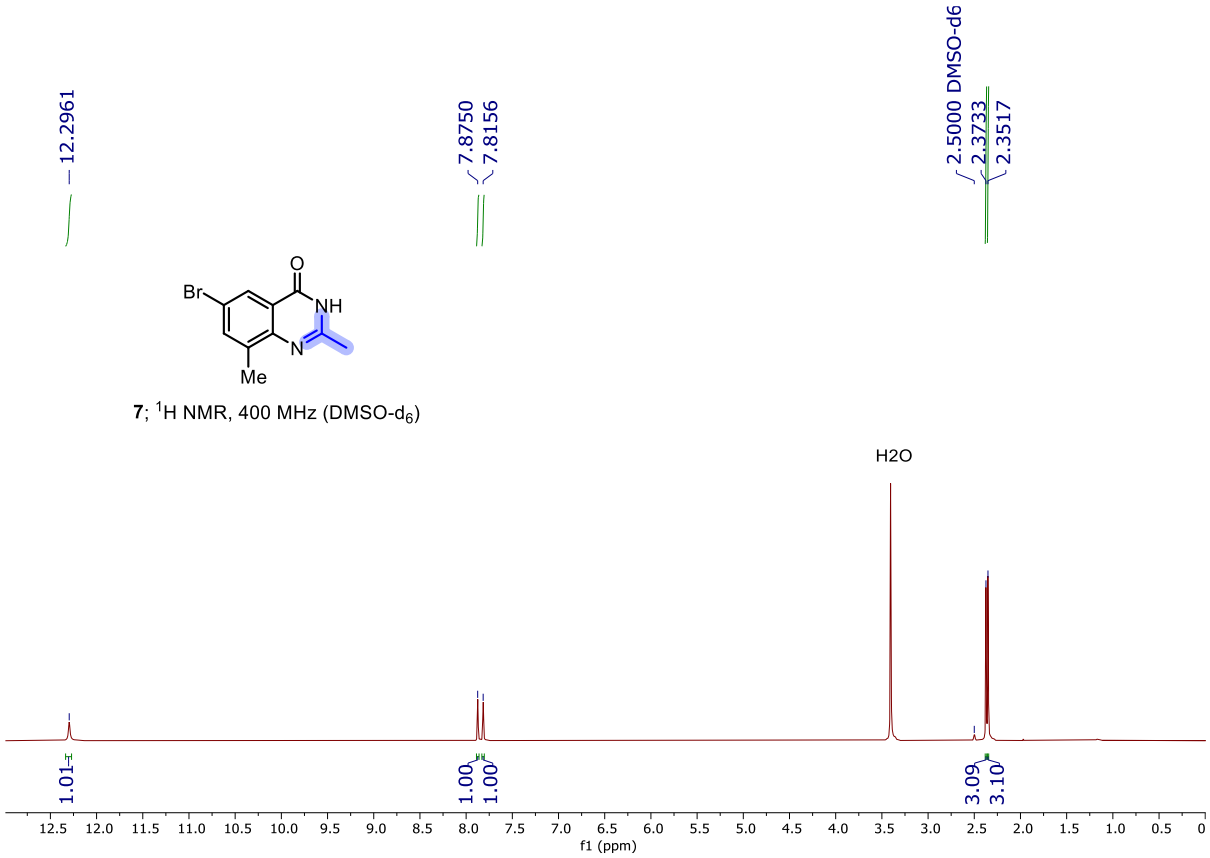
5; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



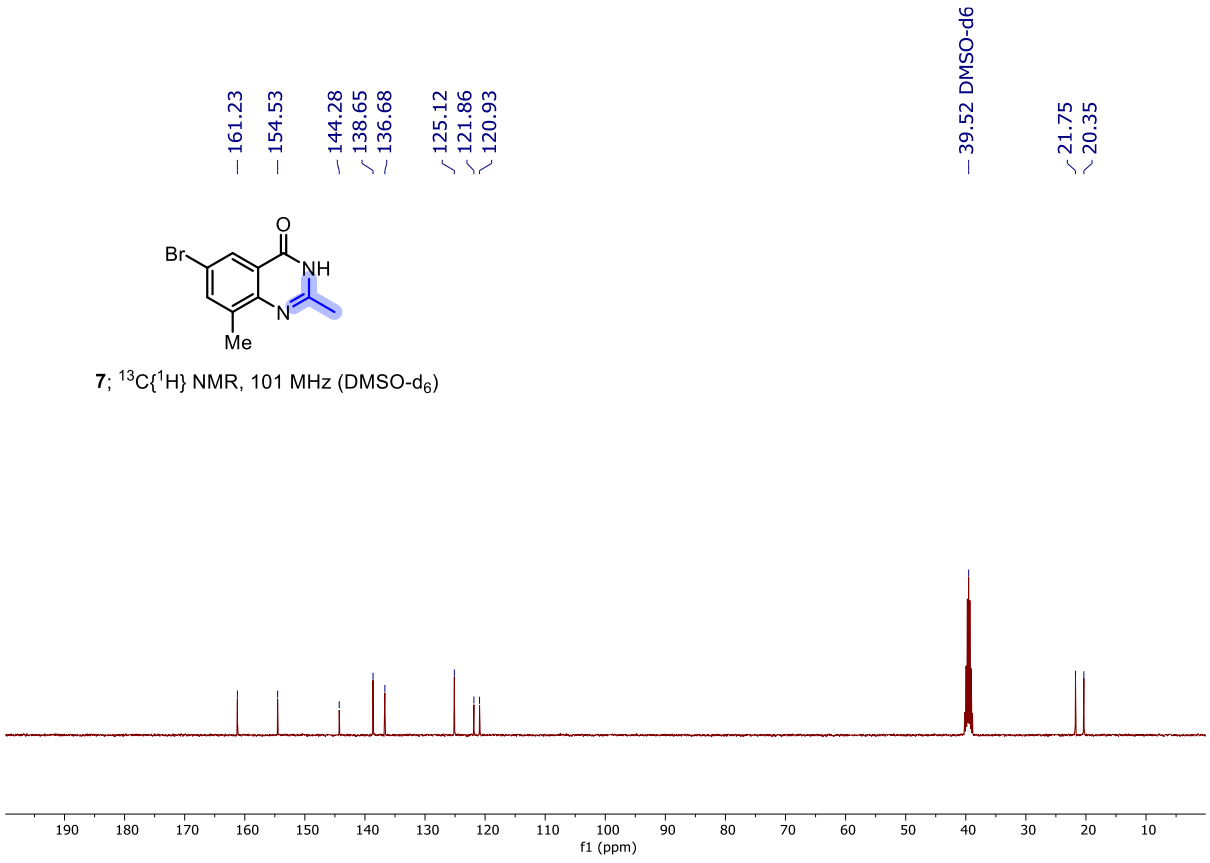
6; ^{1}H NMR, 500 MHz (DMSO-d_6)



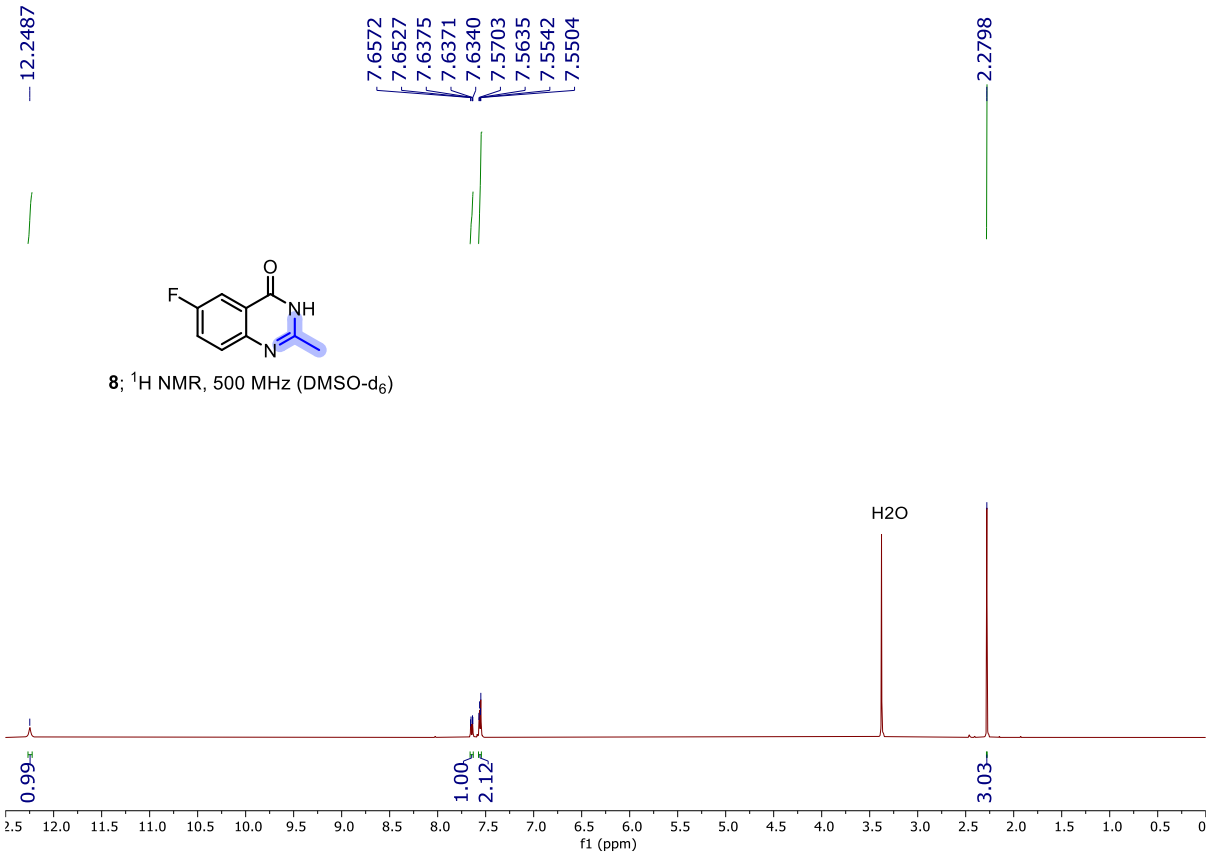
6; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



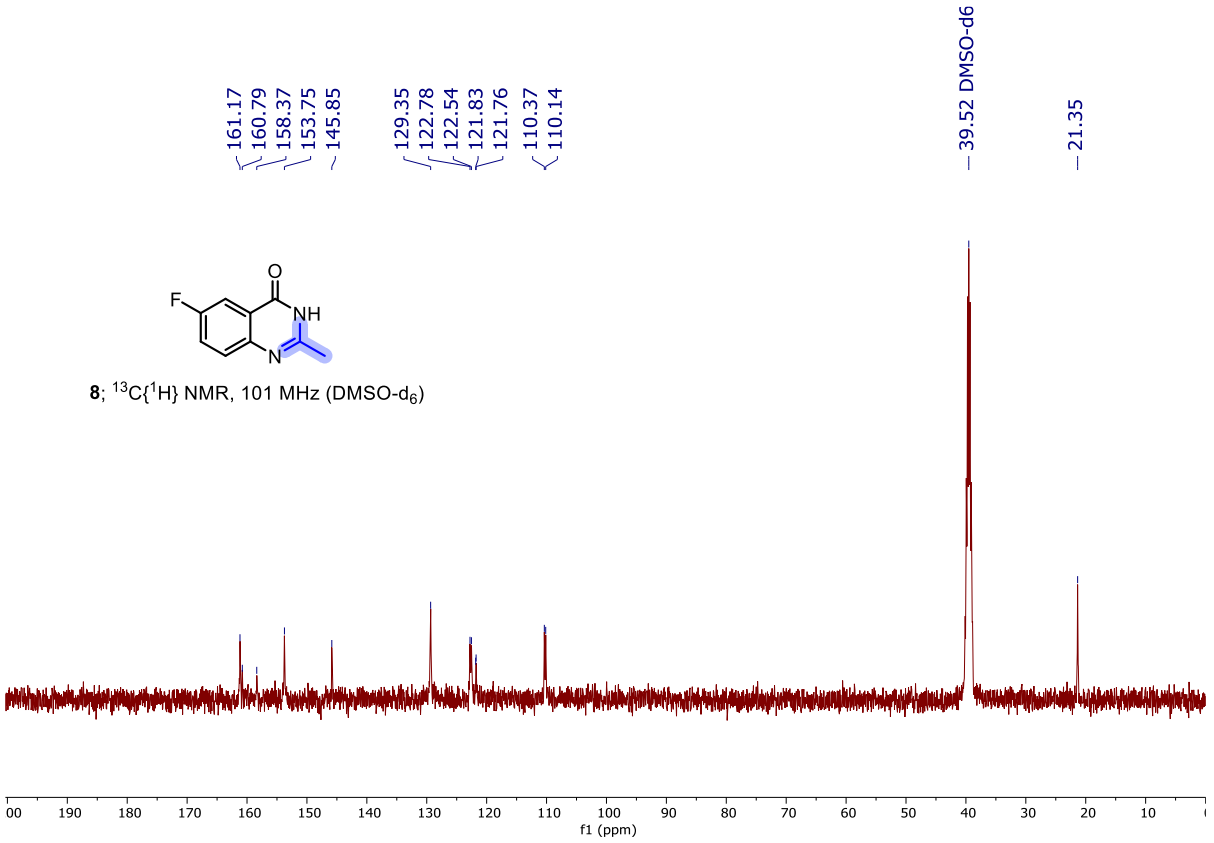
7; ^{1}H NMR, 400 MHz (DMSO-d_6)



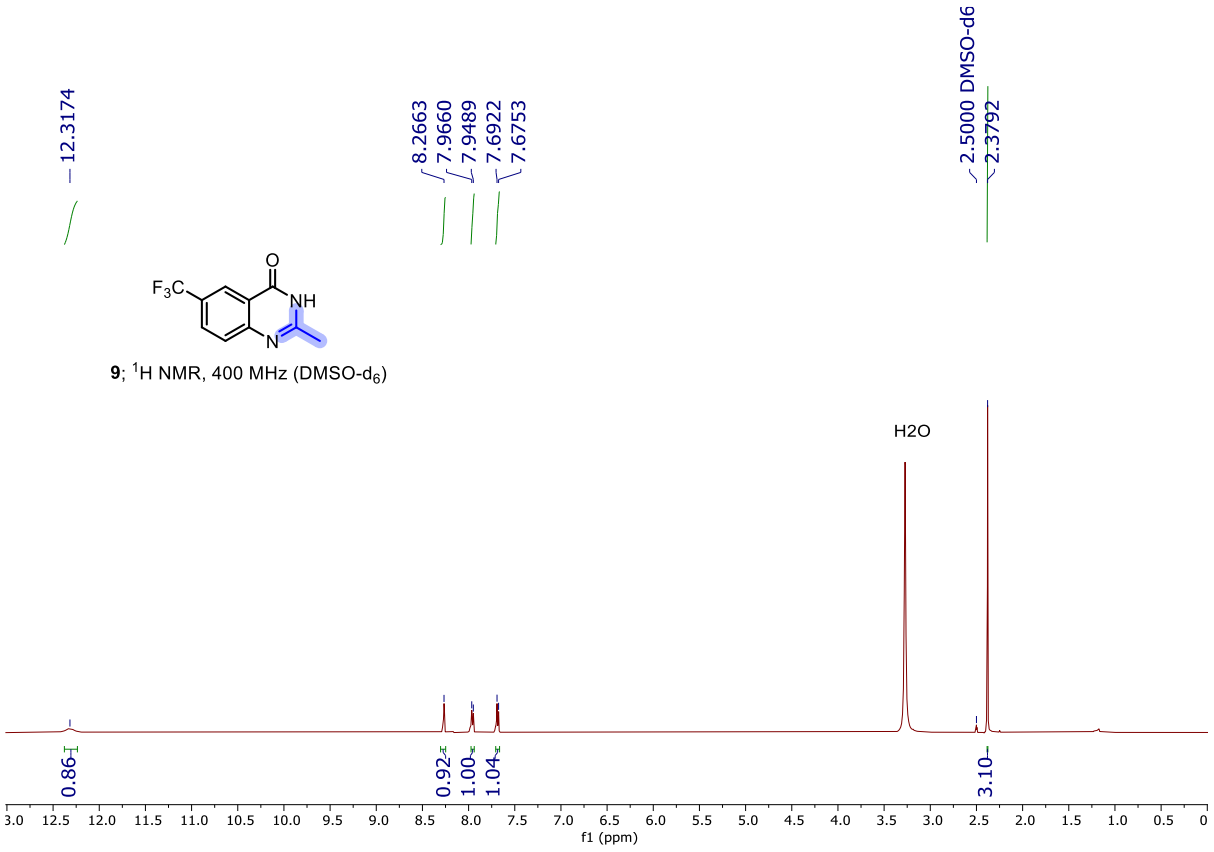
7; $^{13}C\{^{1}H\}$ NMR, 101 MHz (DMSO-d_6)



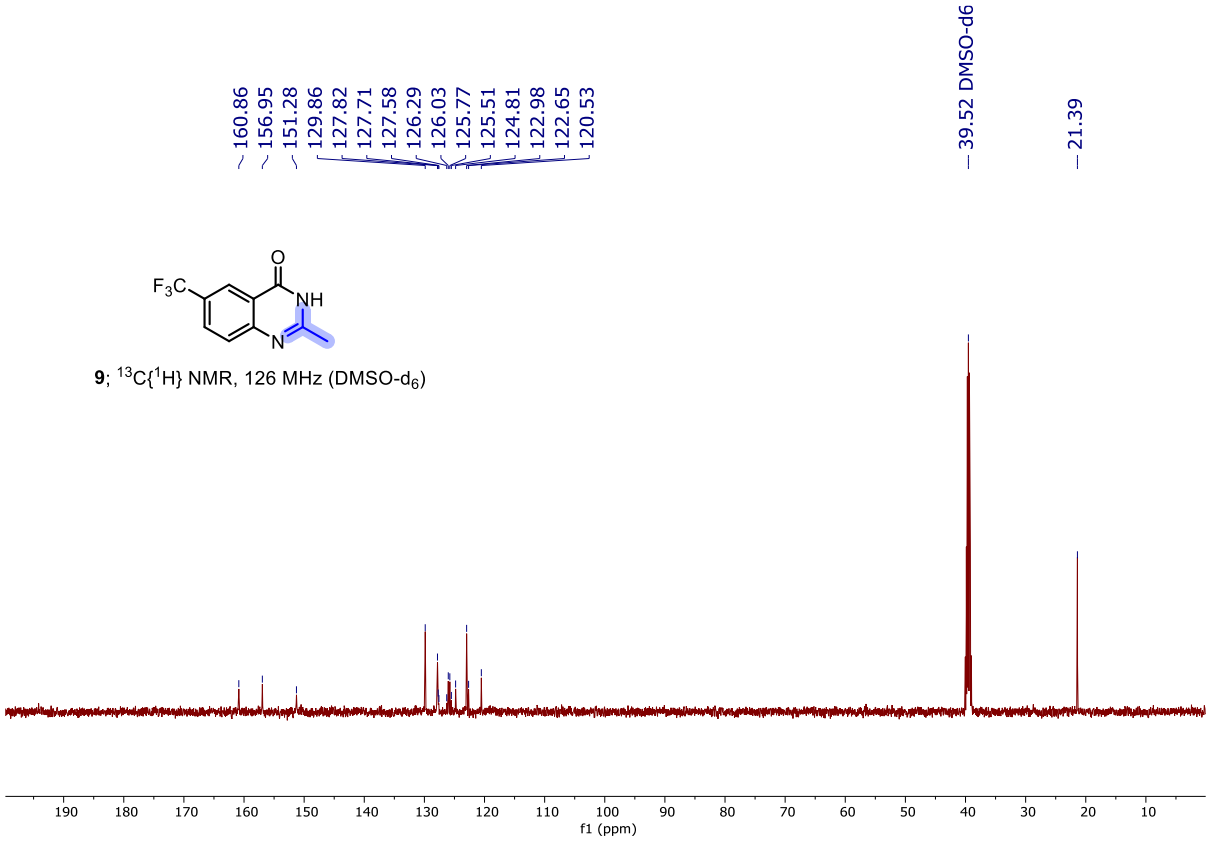
8; ^{1}H NMR, 500 MHz (DMSO-d_6)



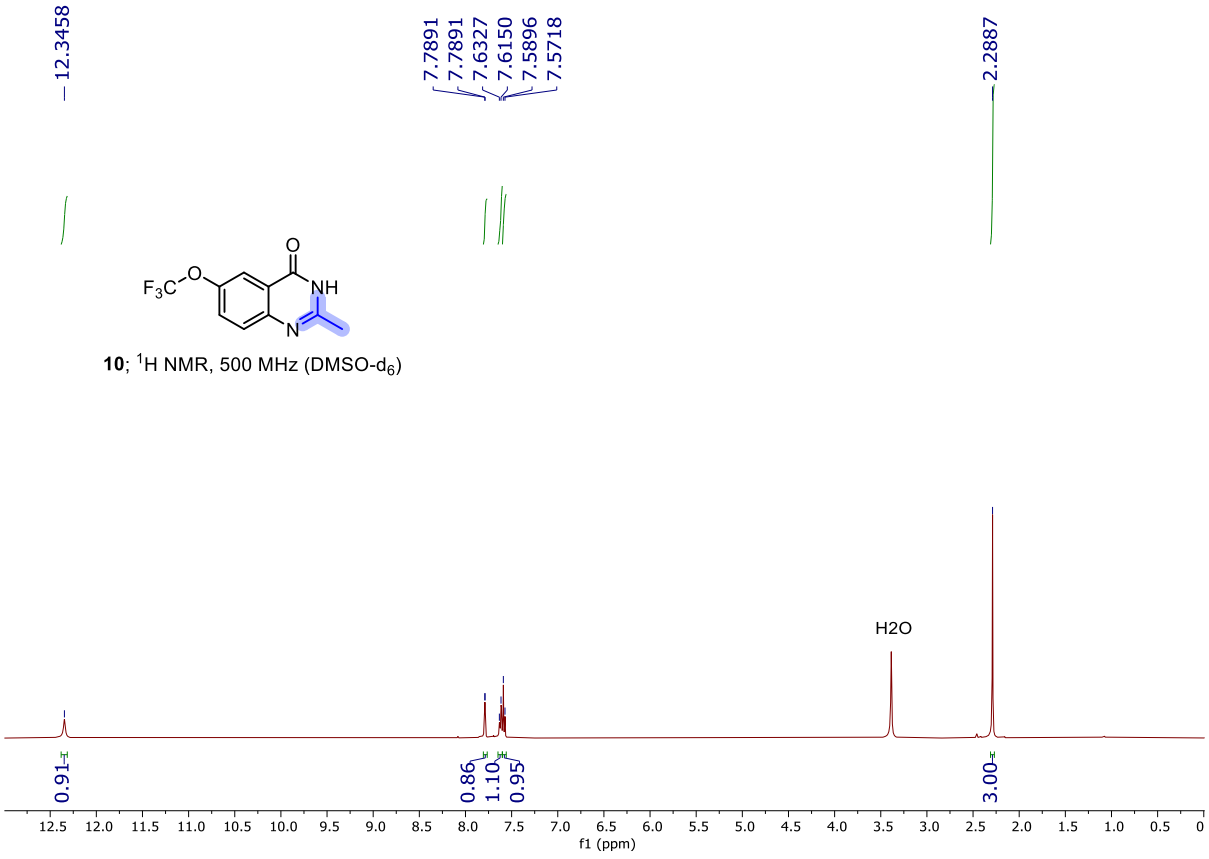
8; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



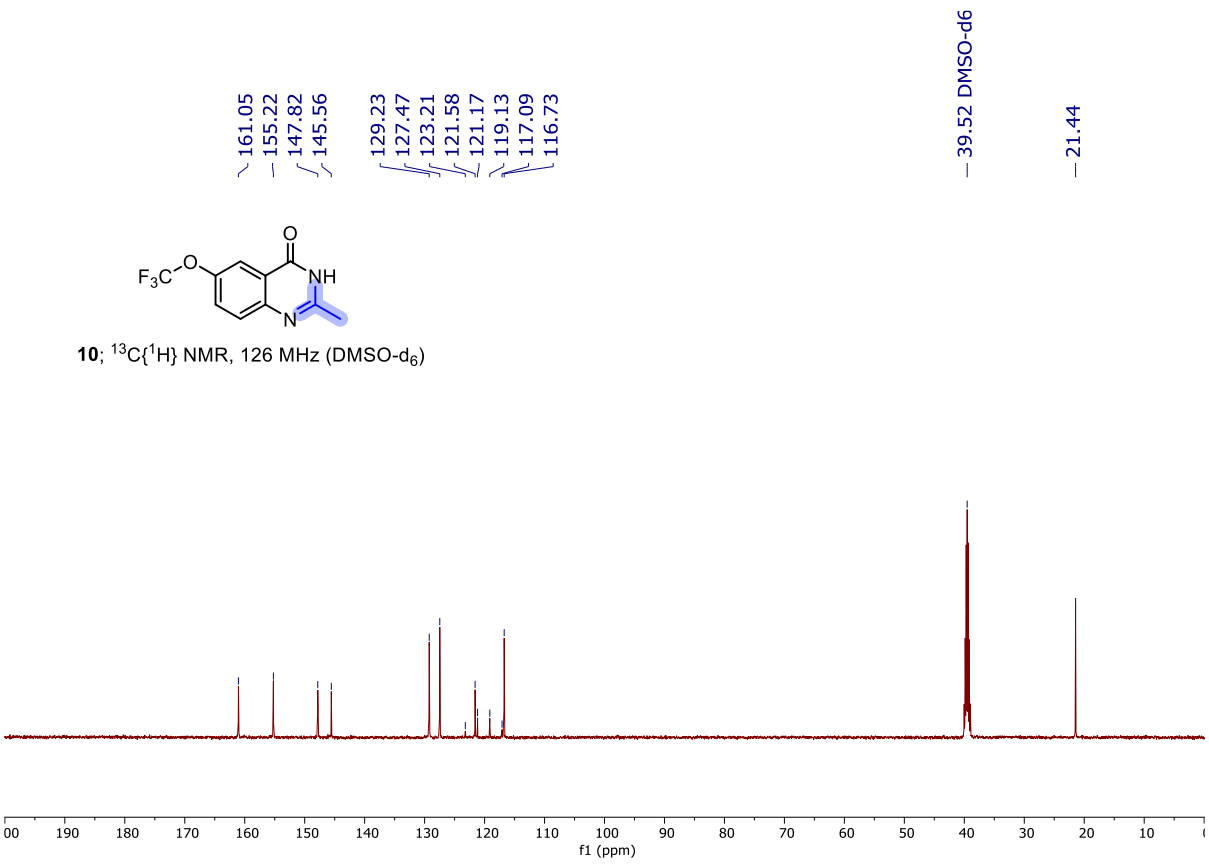
9; ^{1}H NMR, 400 MHz (DMSO-d_6)



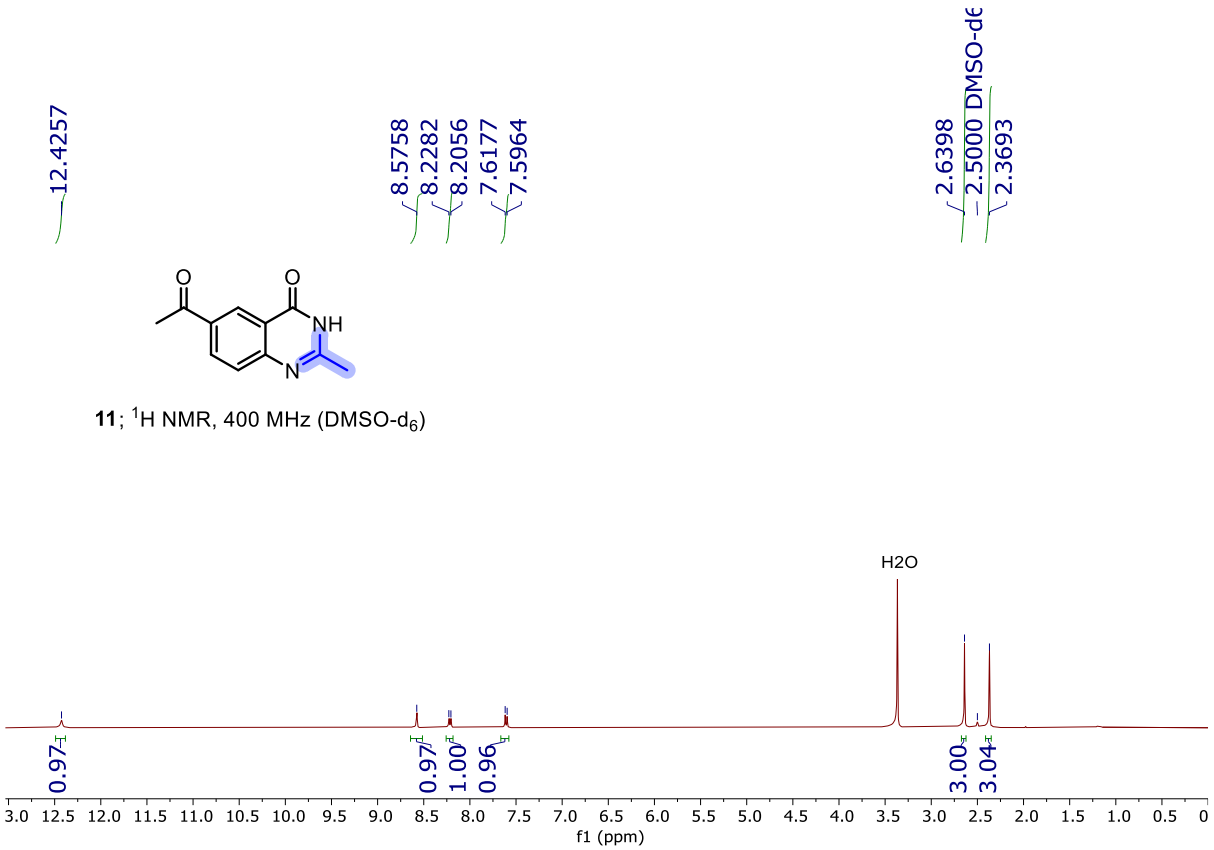
9; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



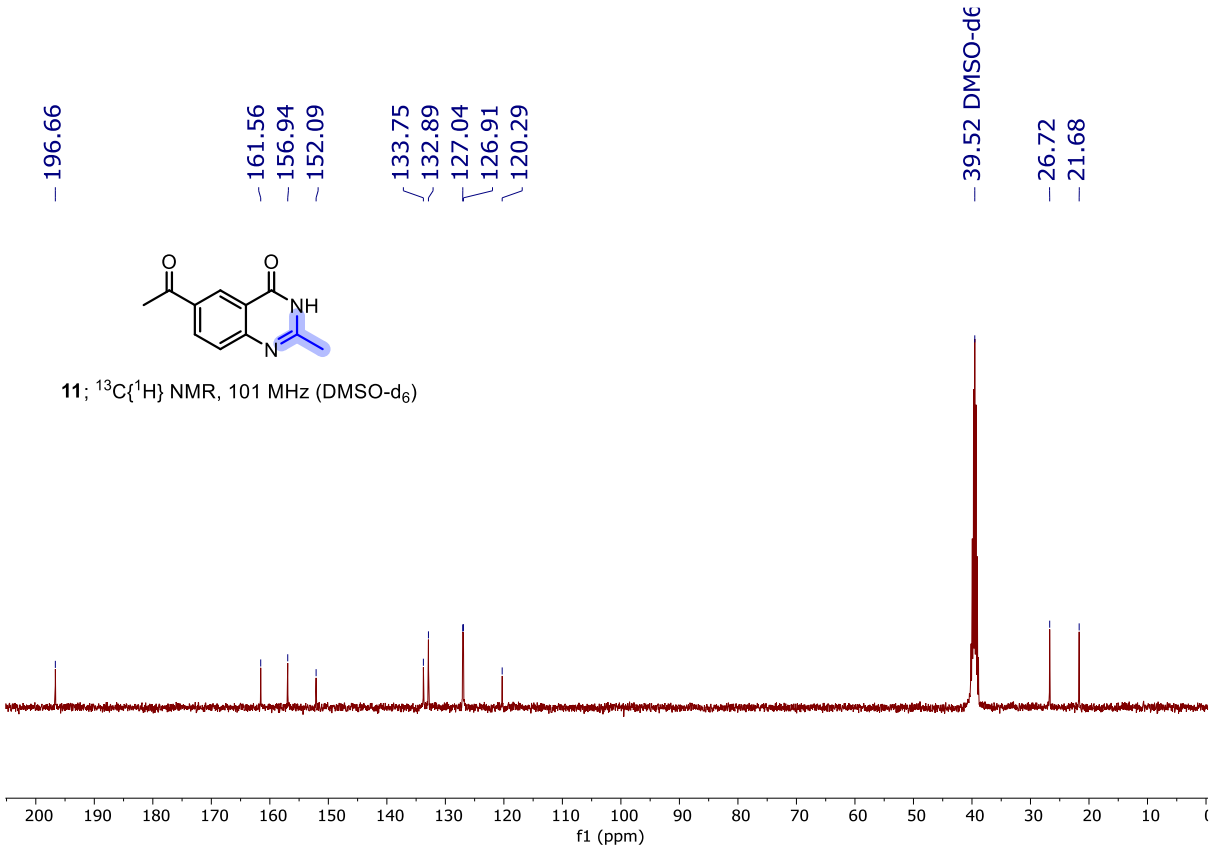
10; ^{1}H NMR, 500 MHz (DMSO-d_6)



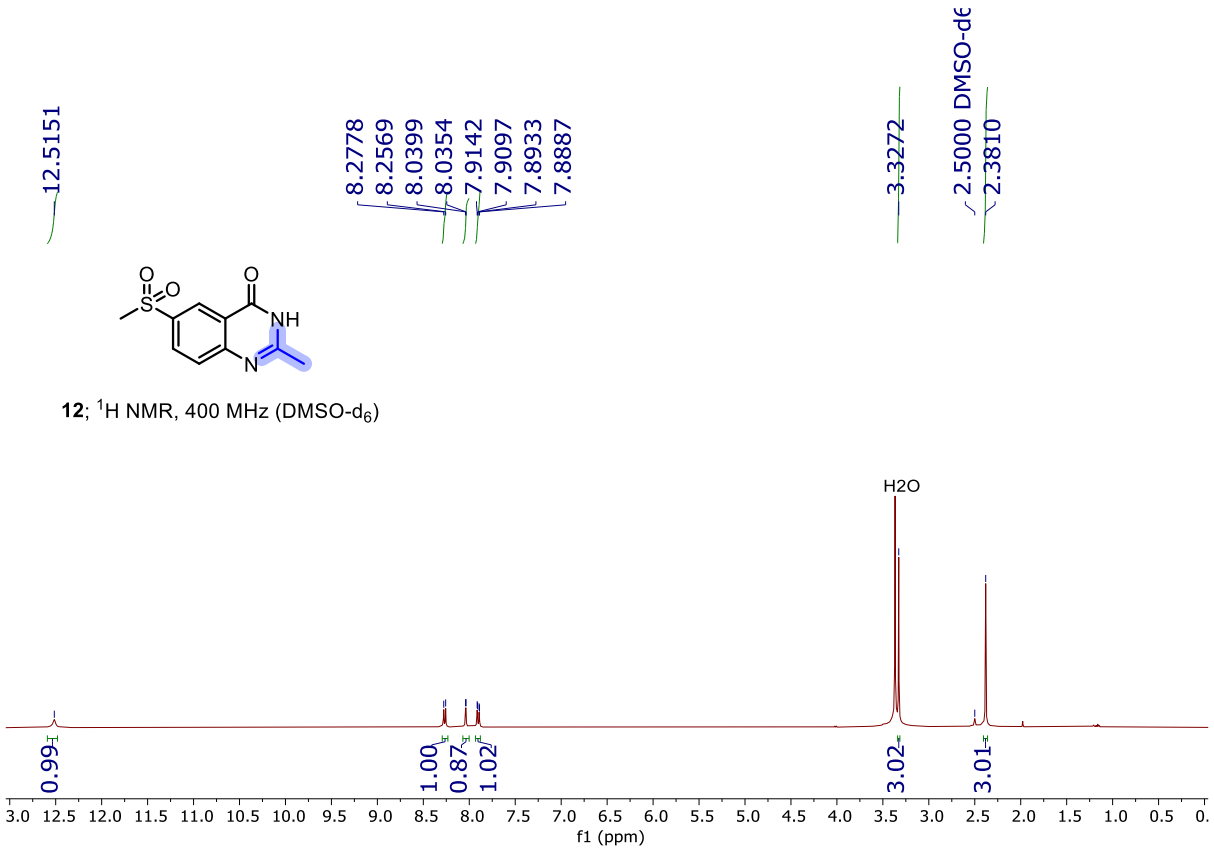
10; $^{13}C\{^{1}H\}$ NMR, 126 MHz (DMSO-d_6)



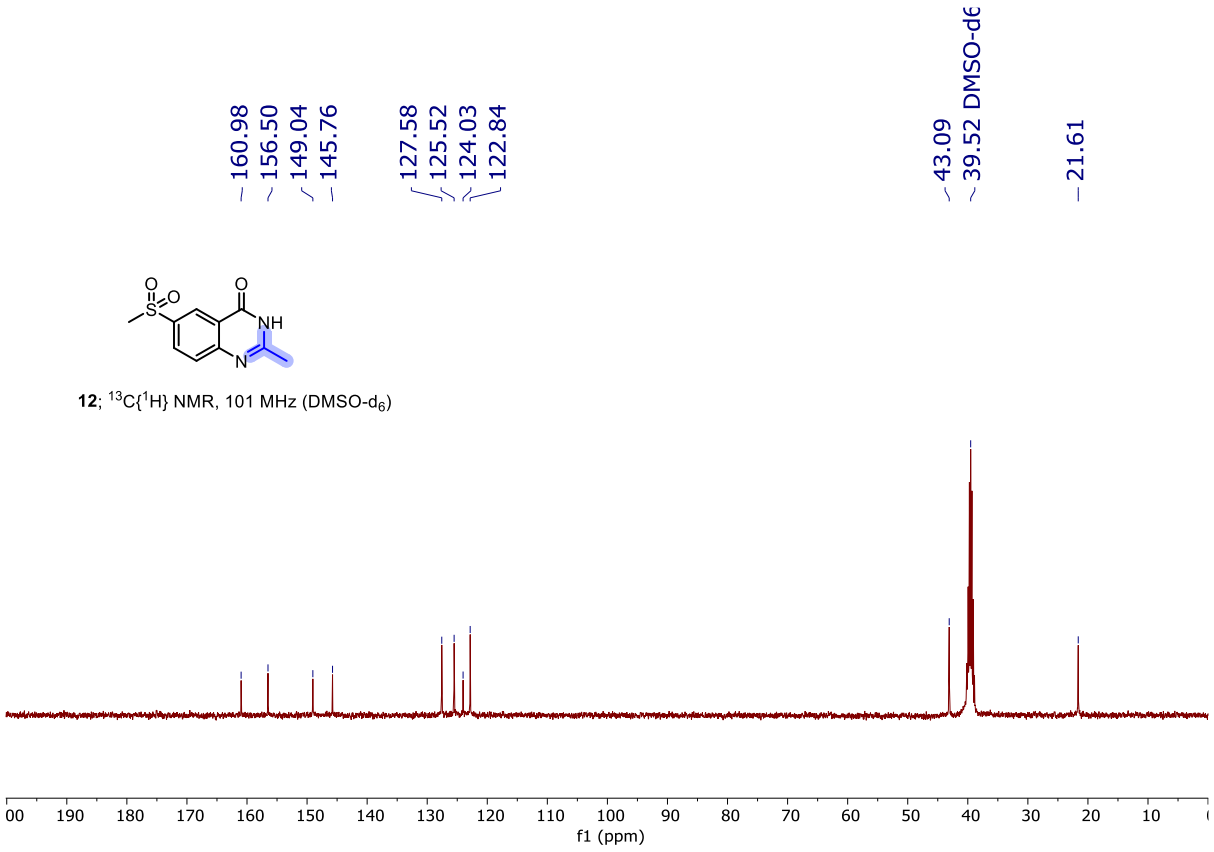
11; ^{1}H NMR, 400 MHz (DMSO-d$_6$)



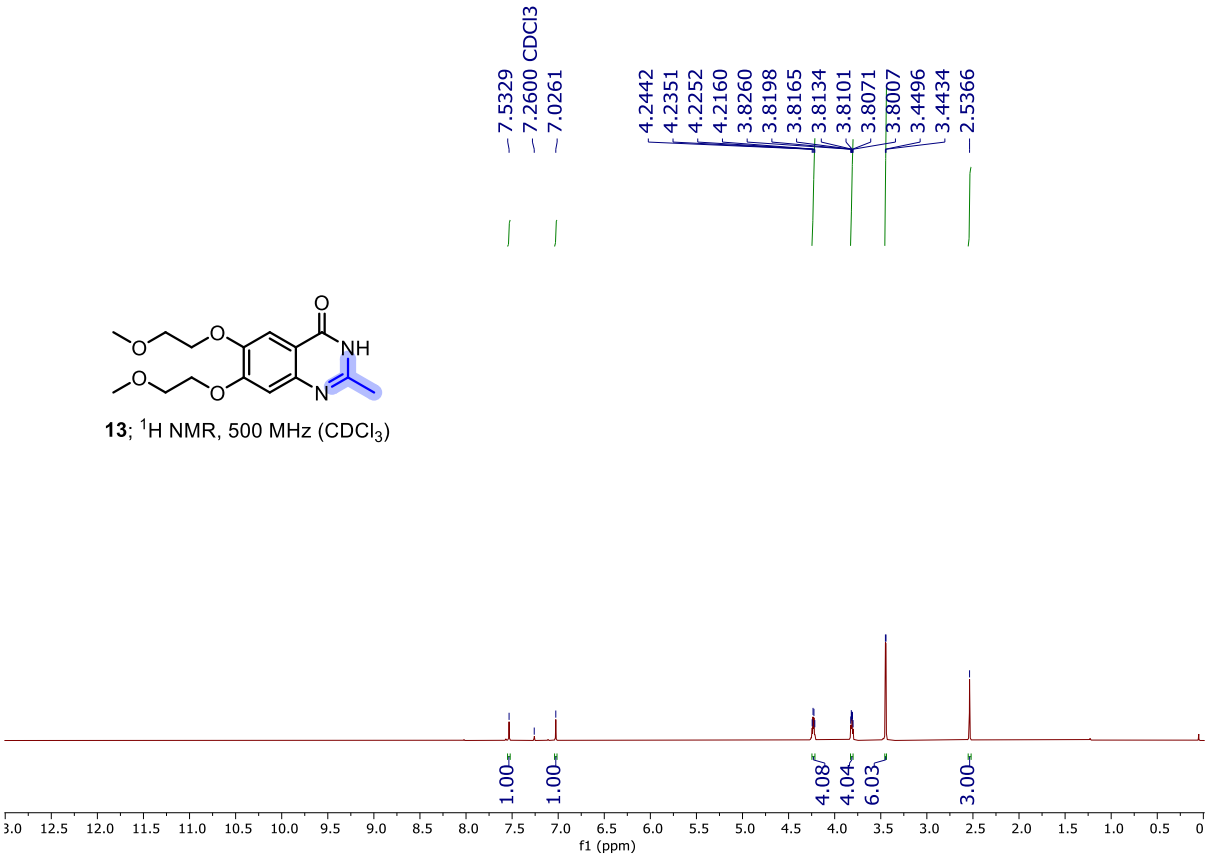
11; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d$_6$)



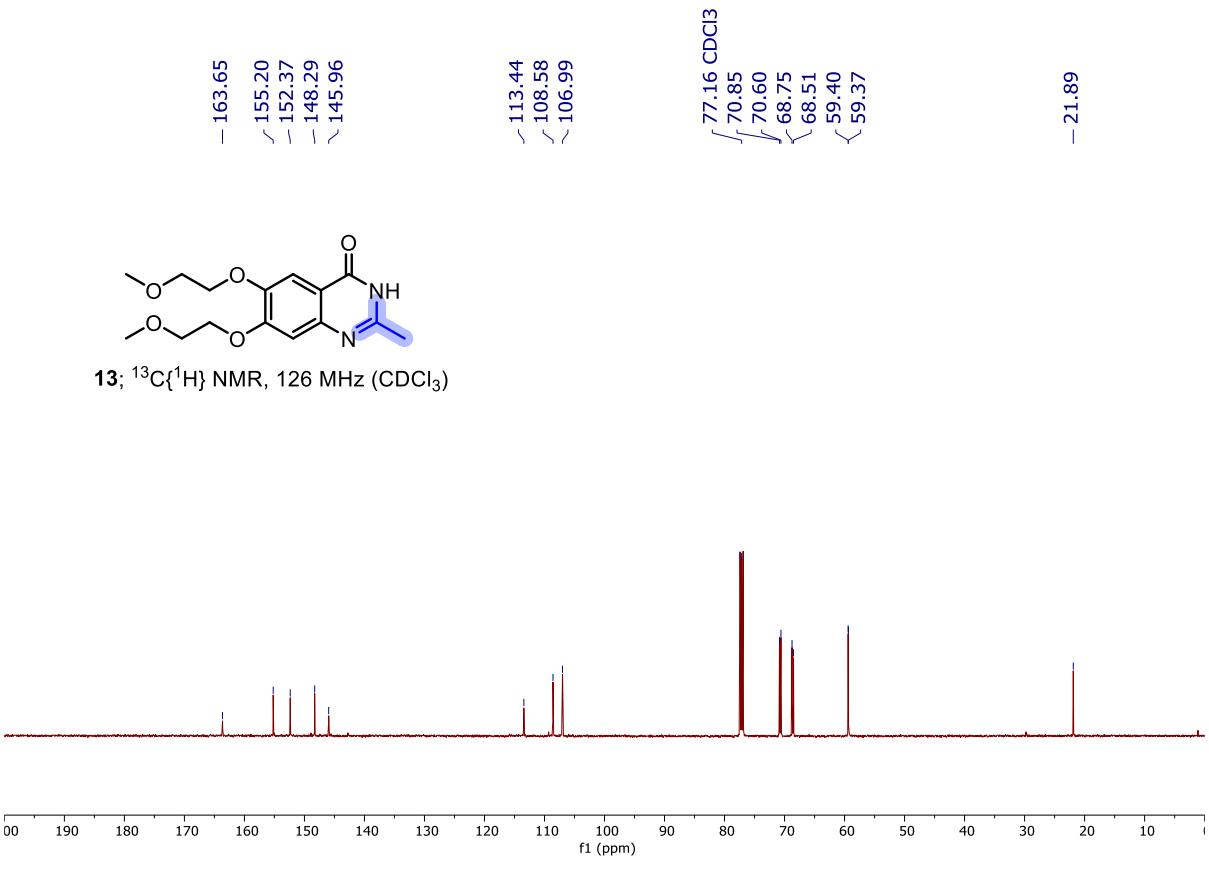
12; ^{1}H NMR, 400 MHz (DMSO-d_6)



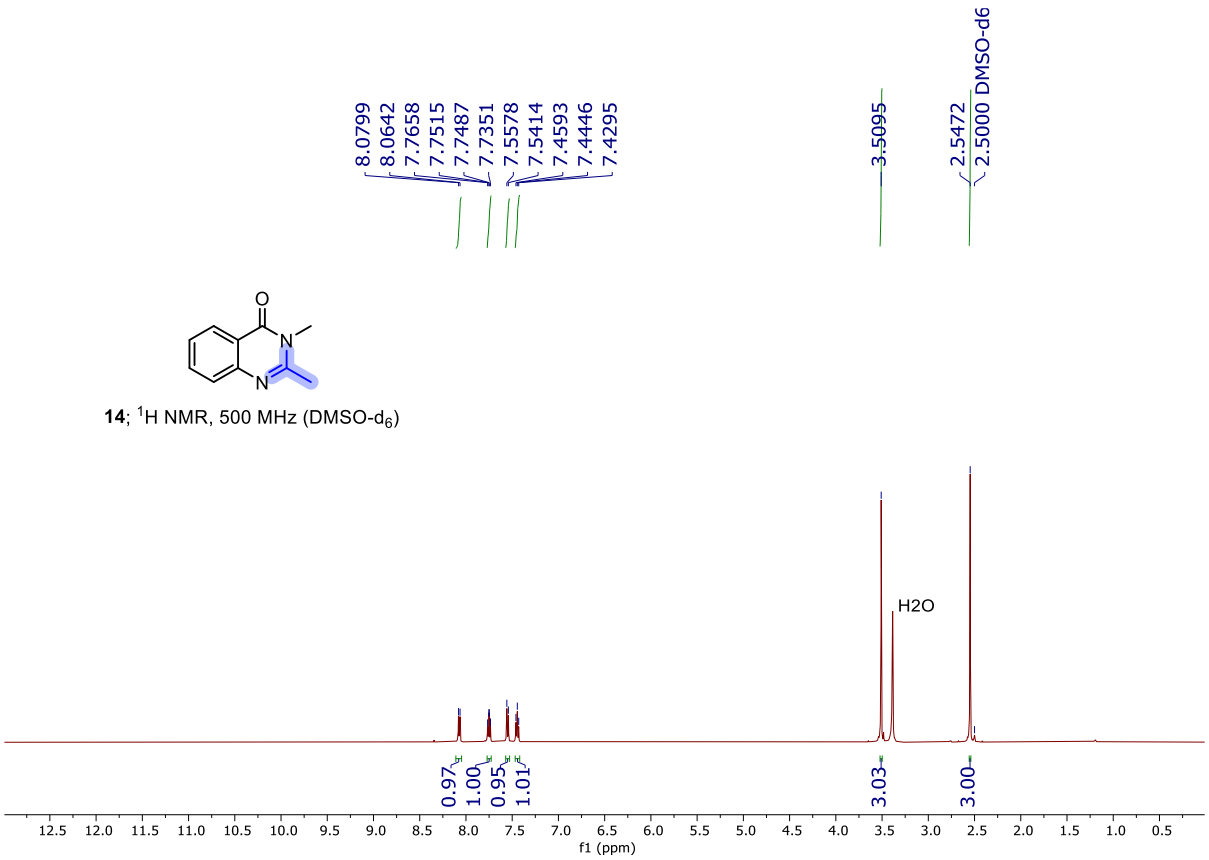
12; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



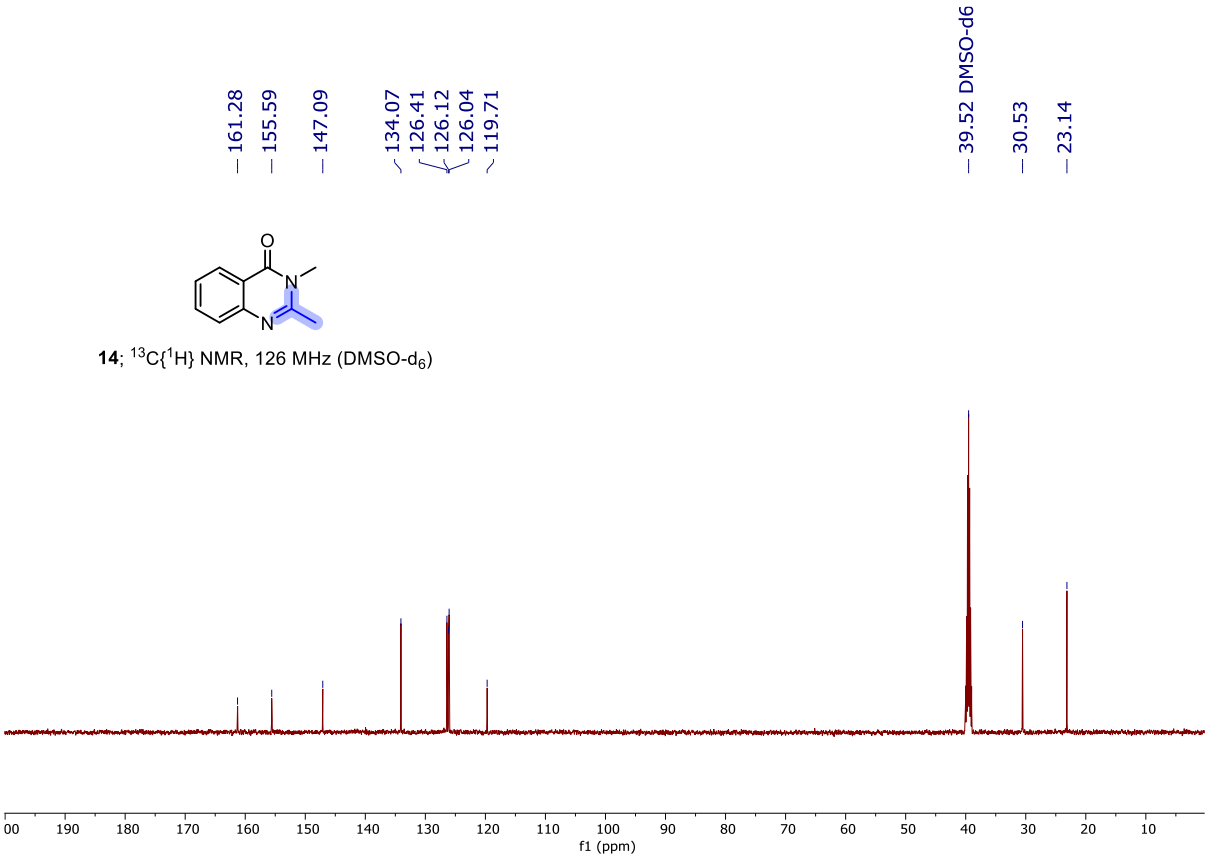
13; ^{1}H NMR, 500 MHz ($CDCl_3$)



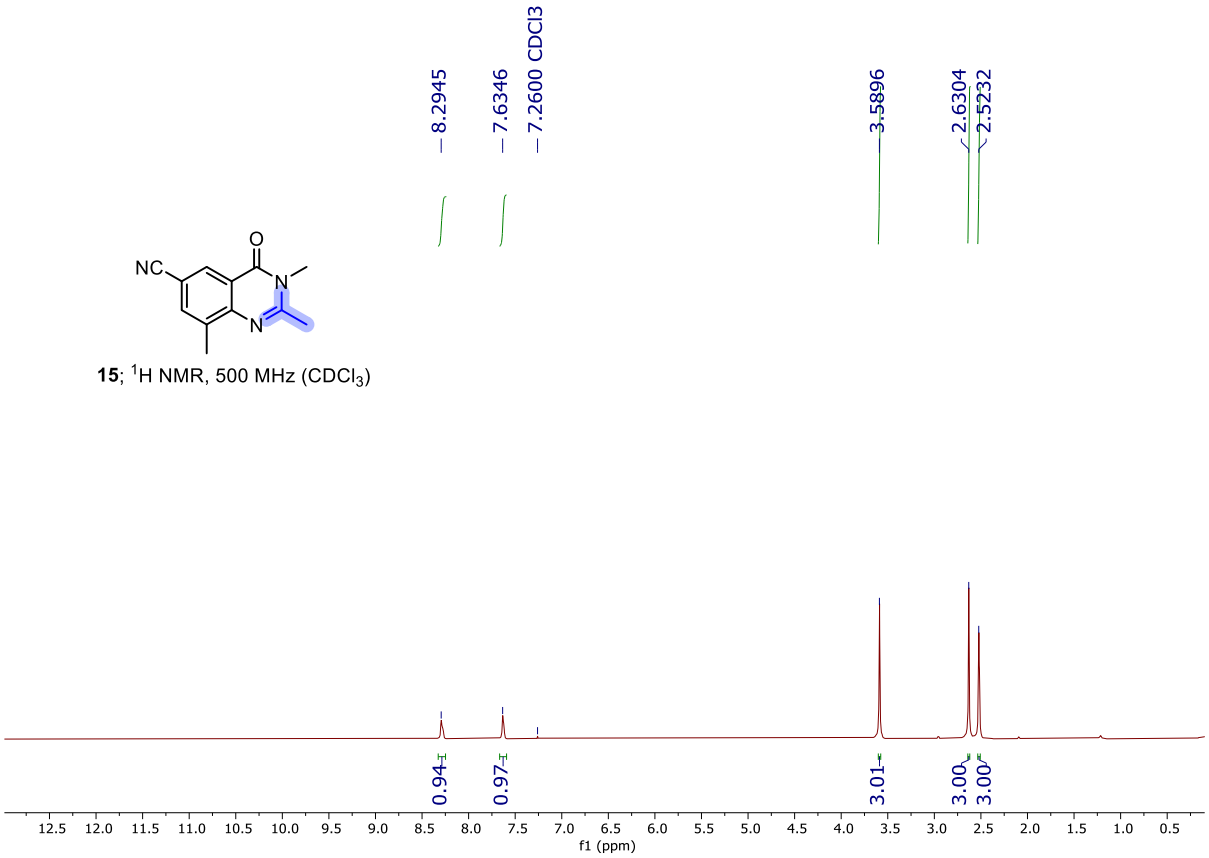
13; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)



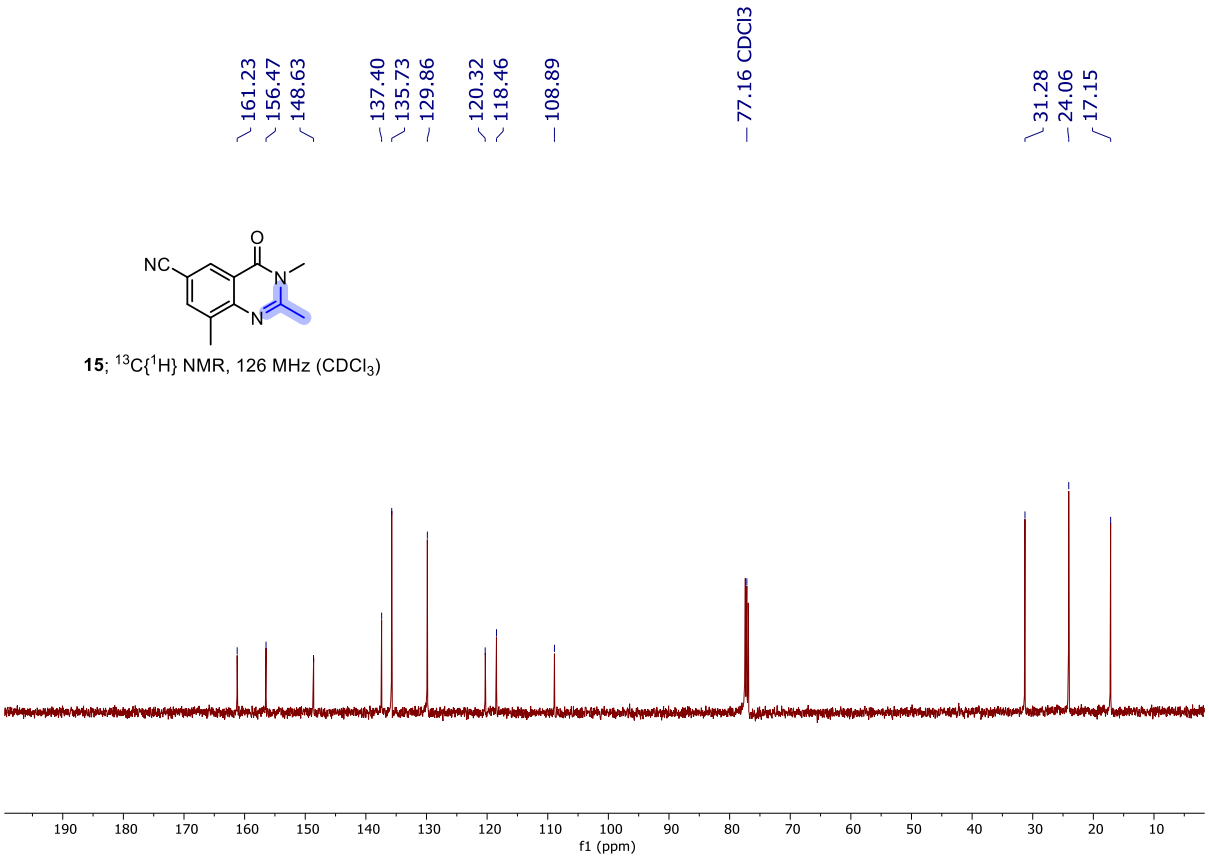
14; ^{1}H NMR, 500 MHz (DMSO-d_6)



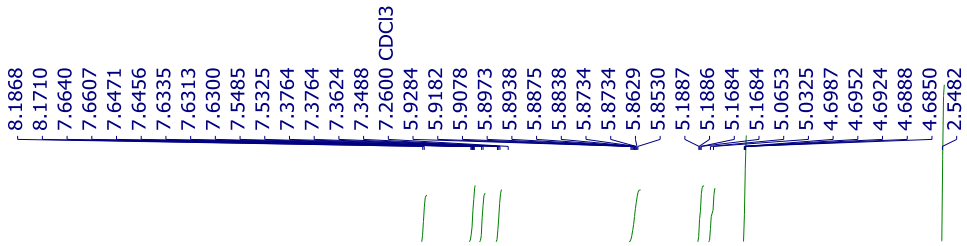
14; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)

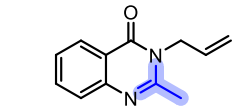


15; ^{1}H NMR, 500 MHz ($CDCl_3$)

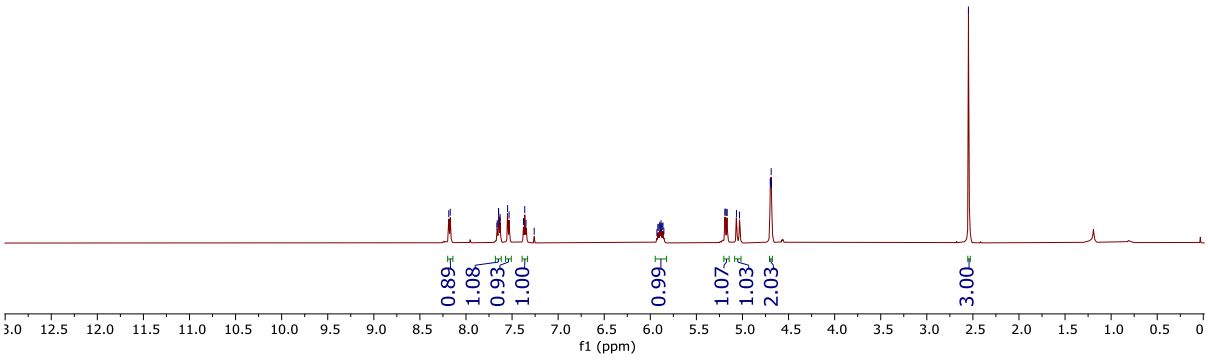


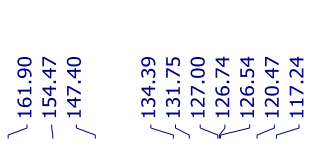
15; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

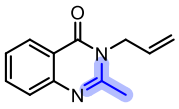




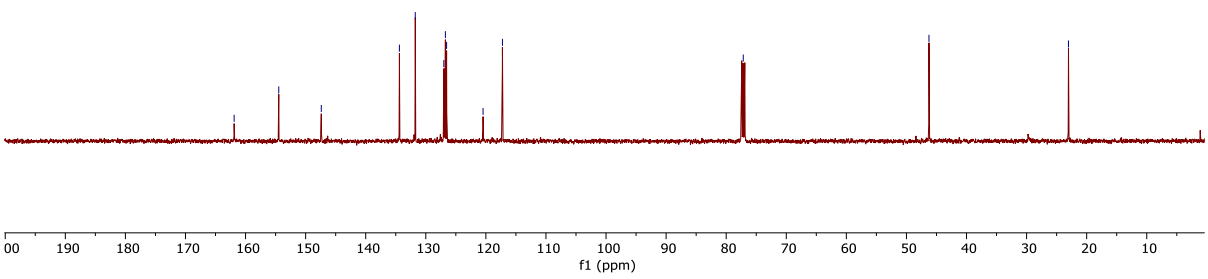
16; ^{1}H NMR, 500 MHz ($CDCl_3$)

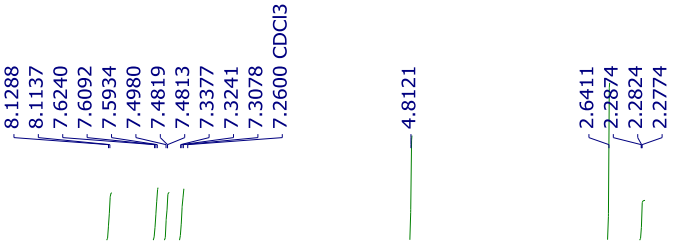


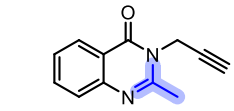




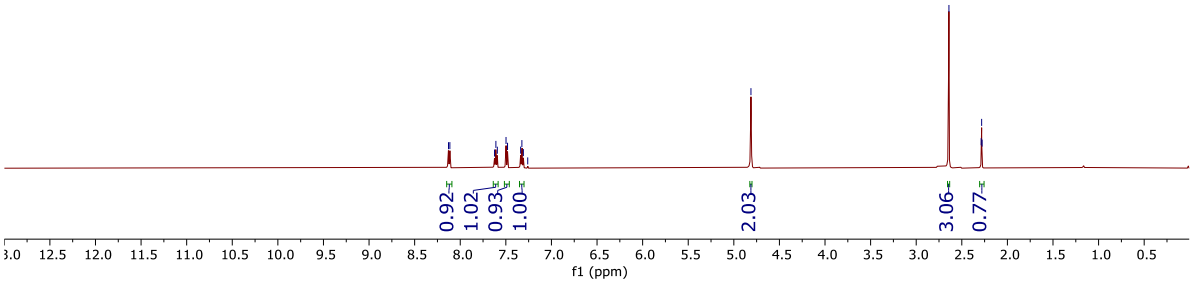
16; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

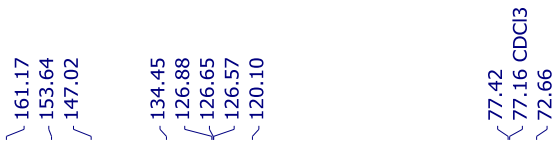


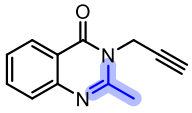




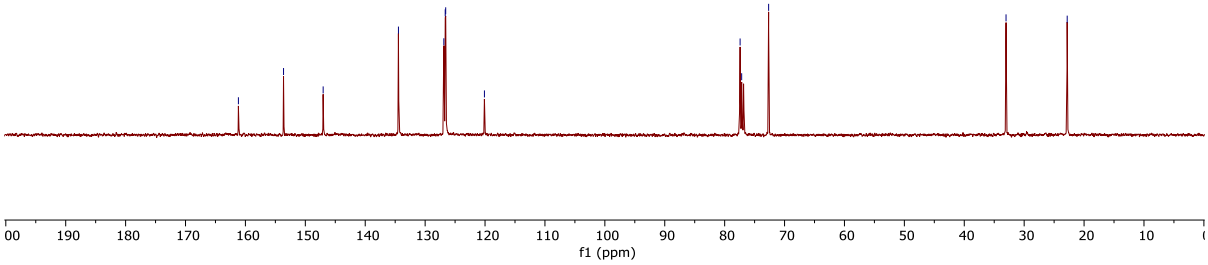
17; ^{1}H NMR, 500 MHz ($CDCl_3$)

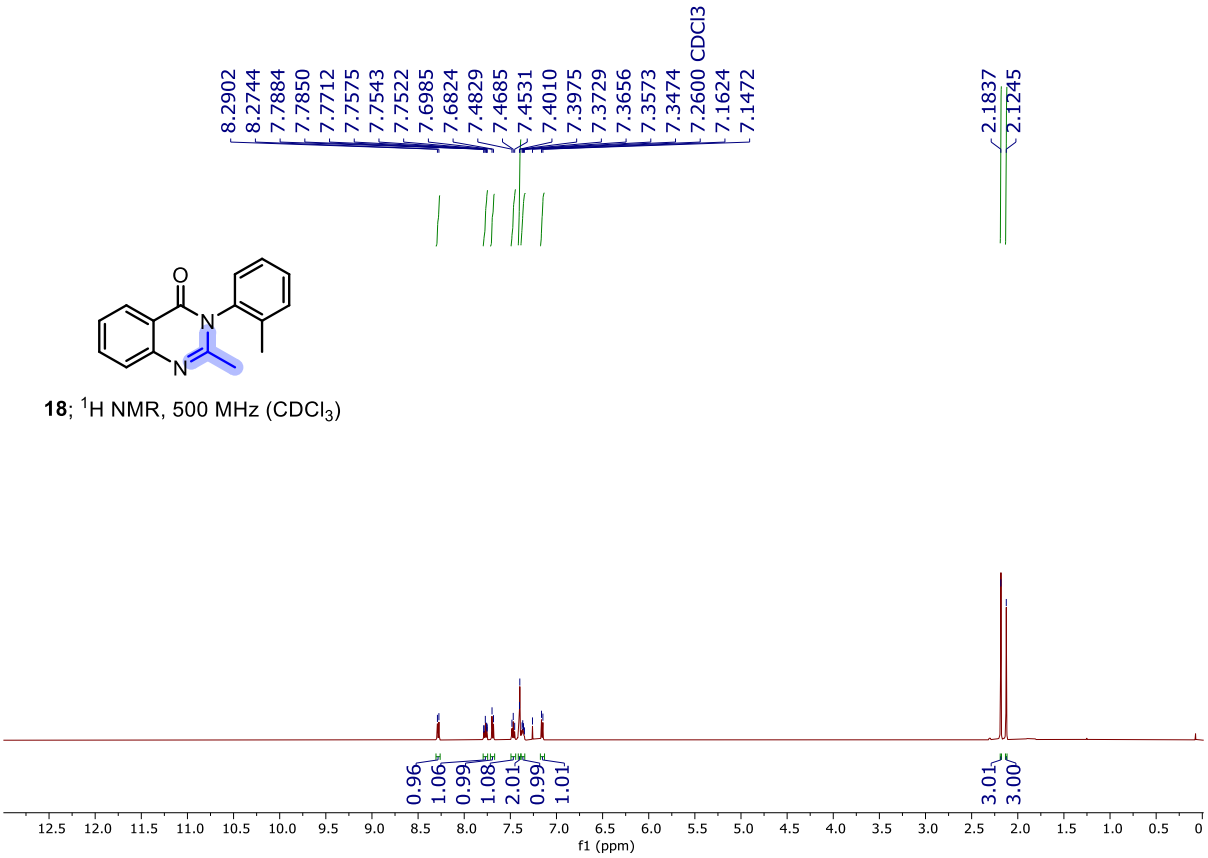




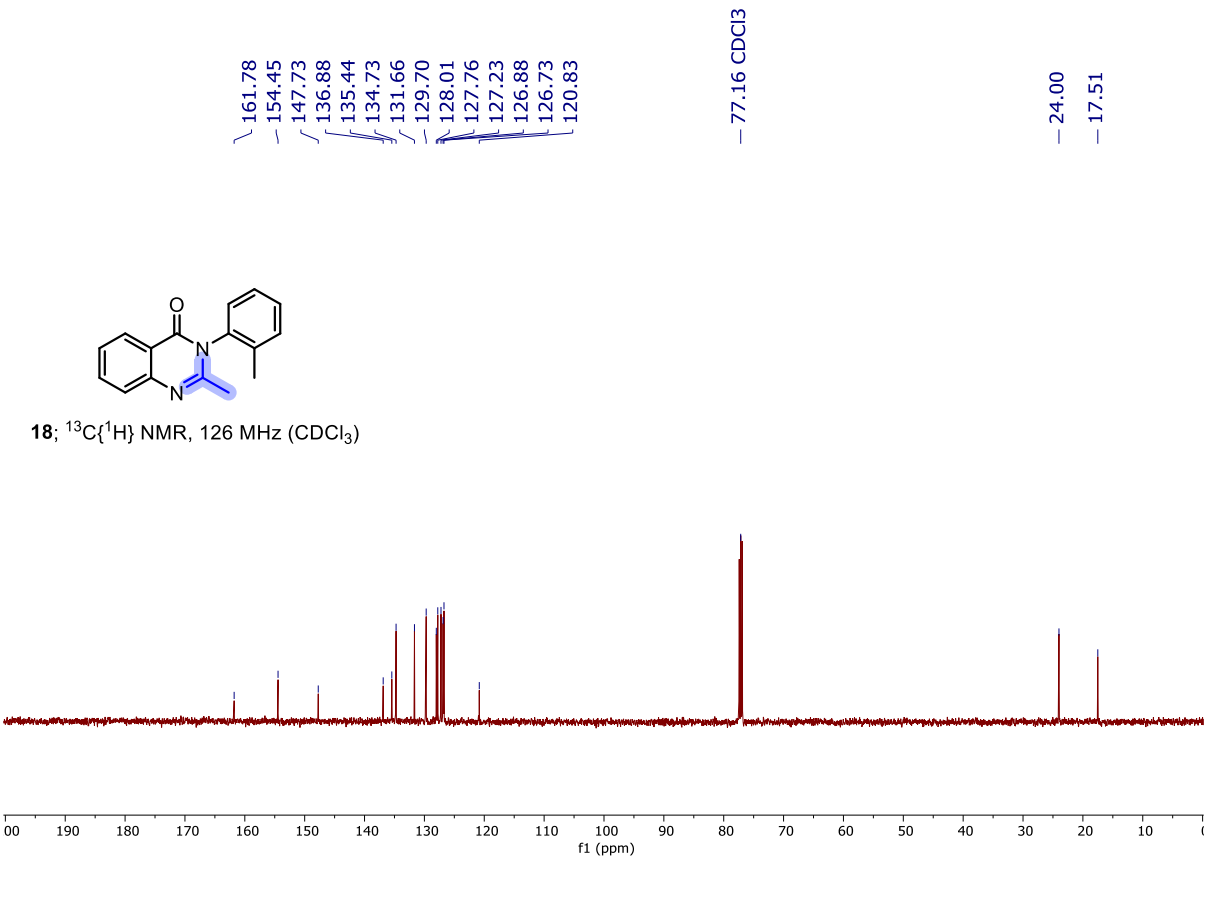


17; $^{13}C\{^{1}H\}$ NMR, 101 MHz ($CDCl_3$)

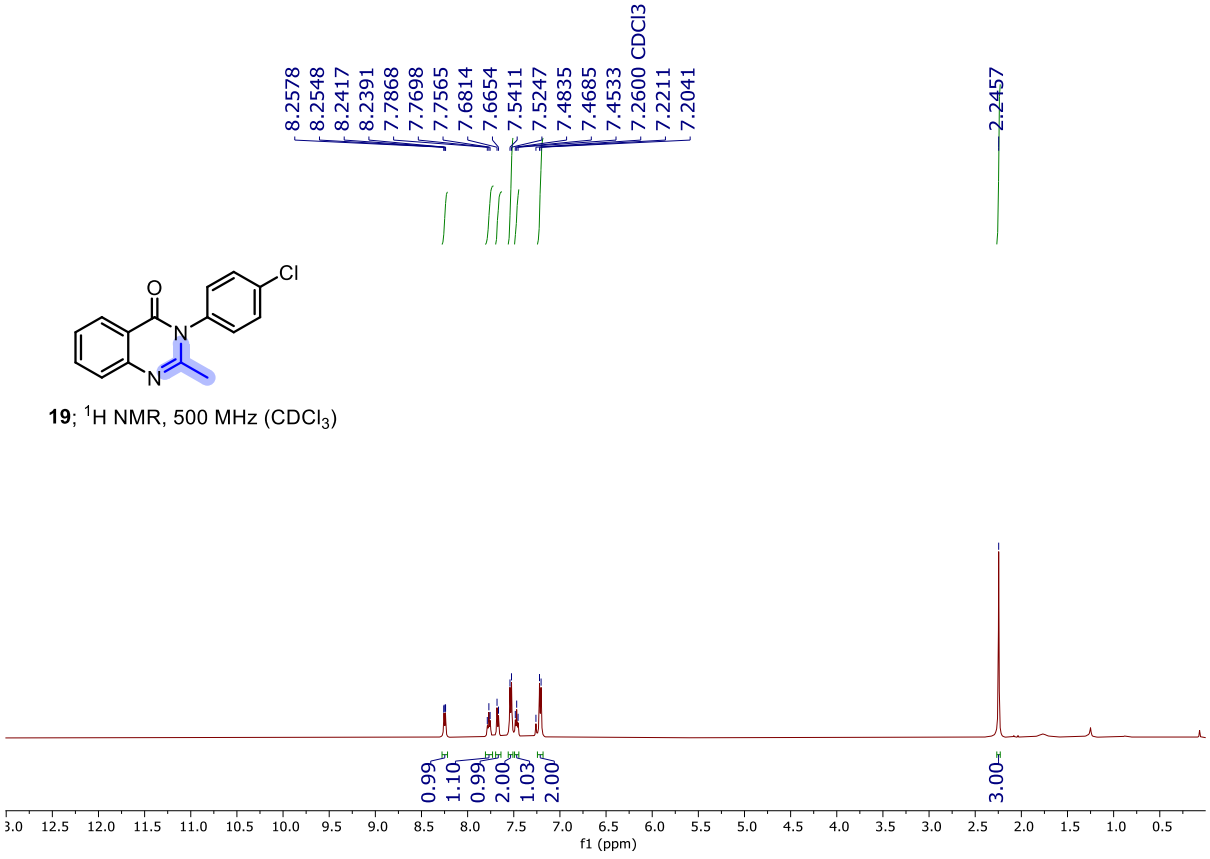




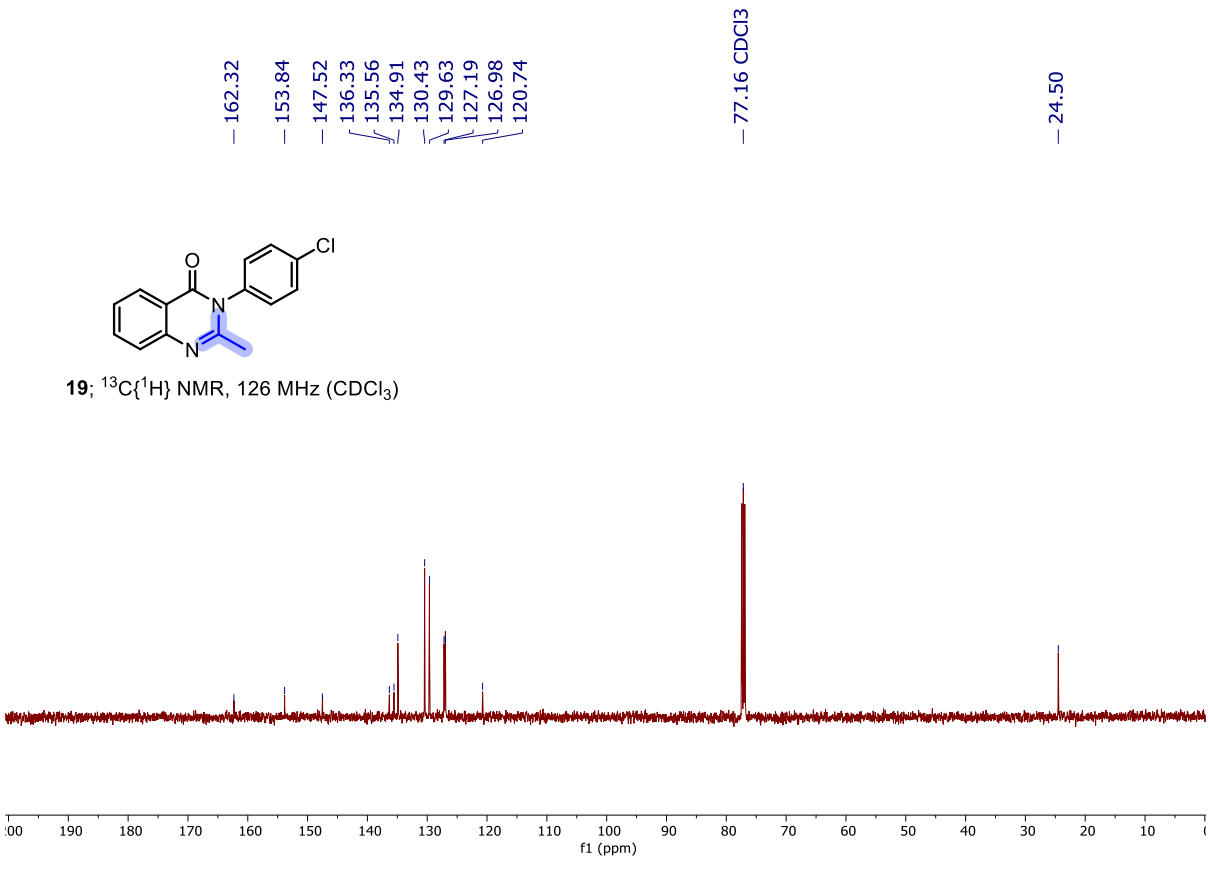
18; ^{1}H NMR, 500 MHz ($CDCl_3$)



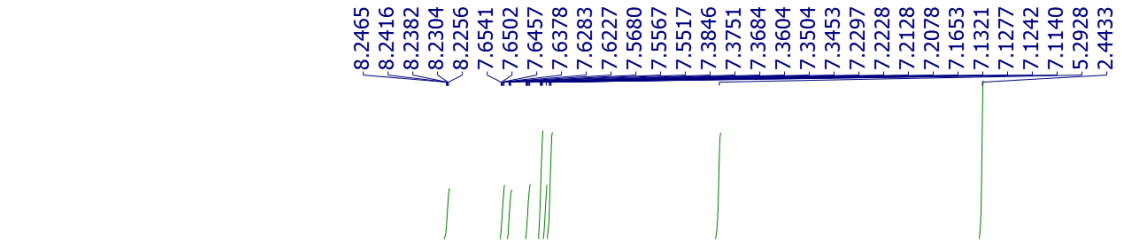
18; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

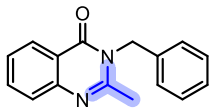


19; ^{1}H NMR, 500 MHz ($CDCl_3$)

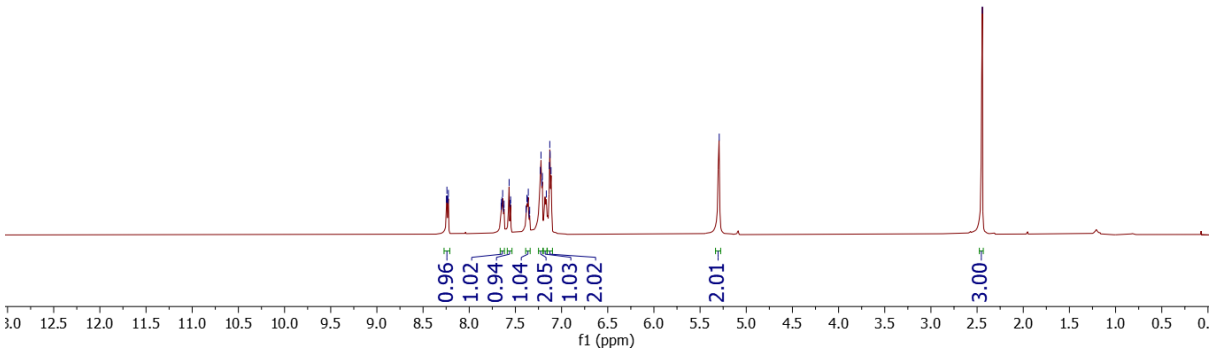


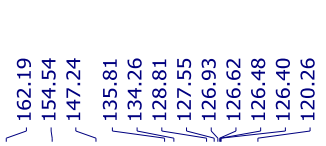
19; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

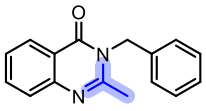




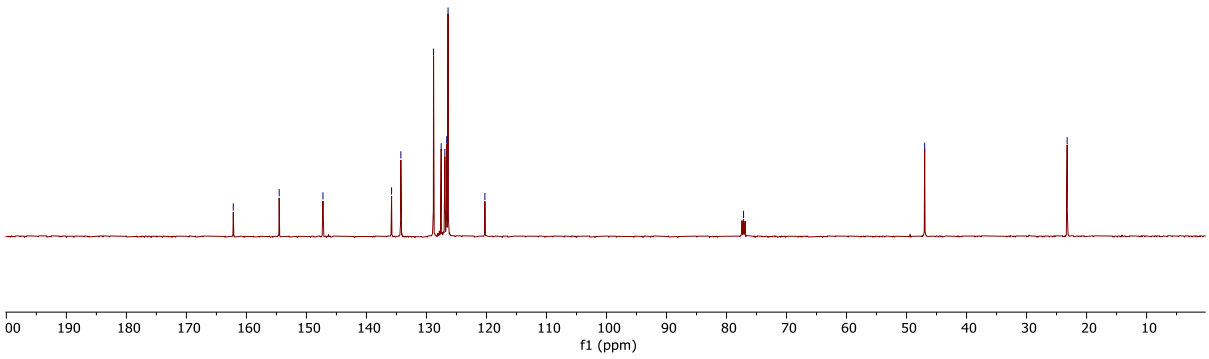
20; ^{1}H NMR, 500 MHz ($CDCl_3$)

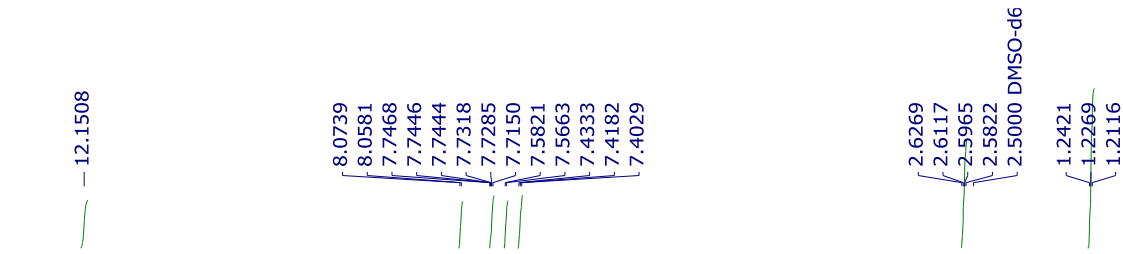


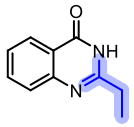




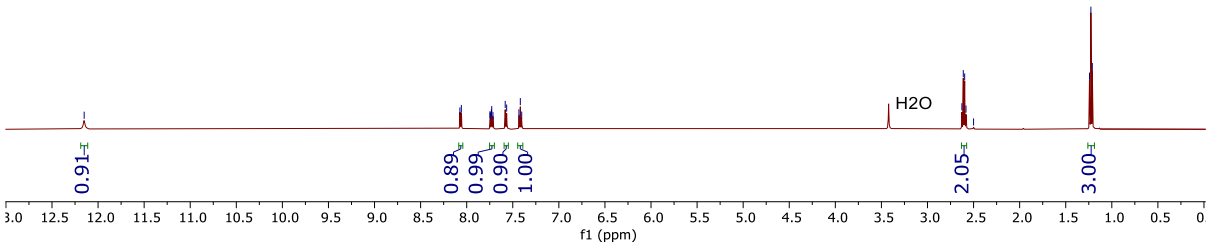
20; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

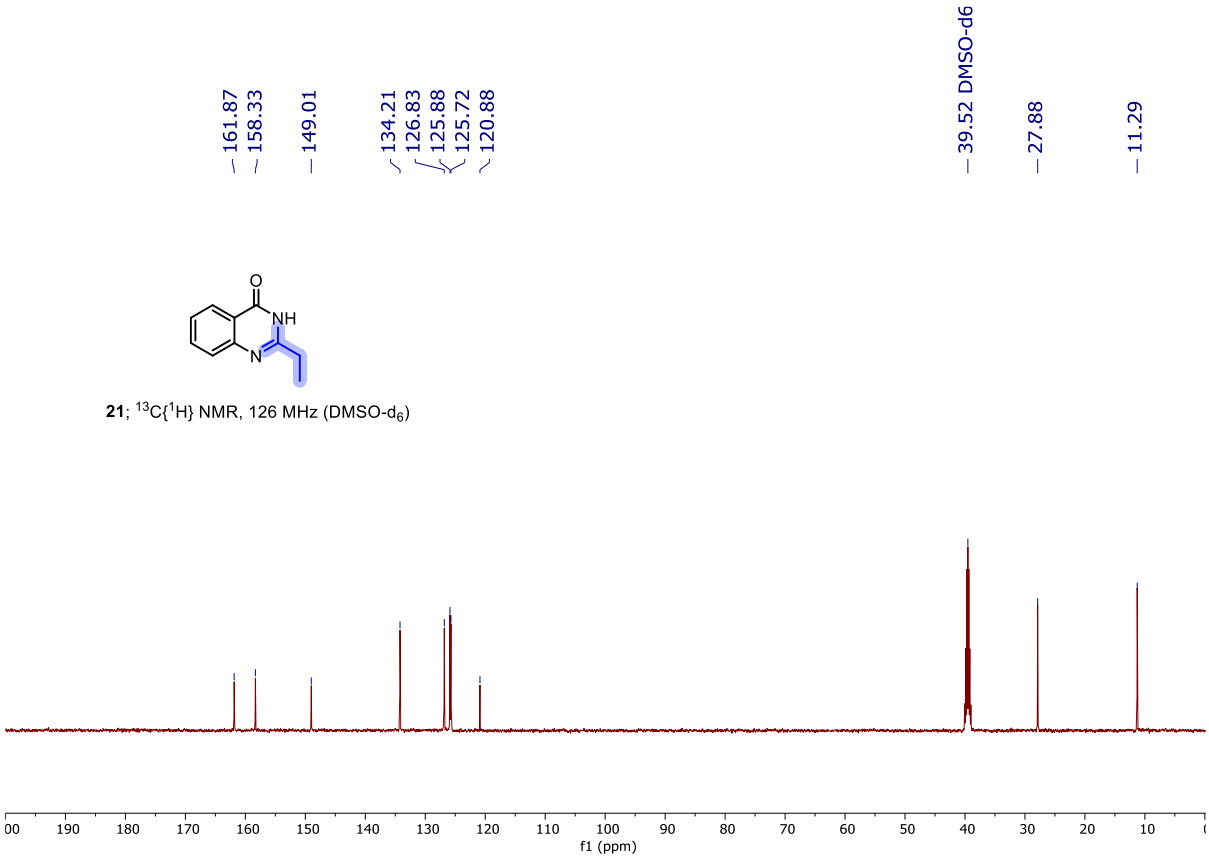




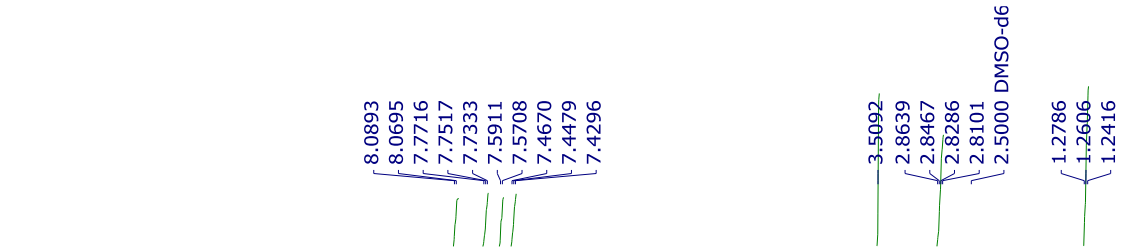


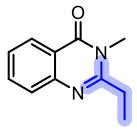
21; ^{1}H NMR, 500 MHz (DMSO-d_6)



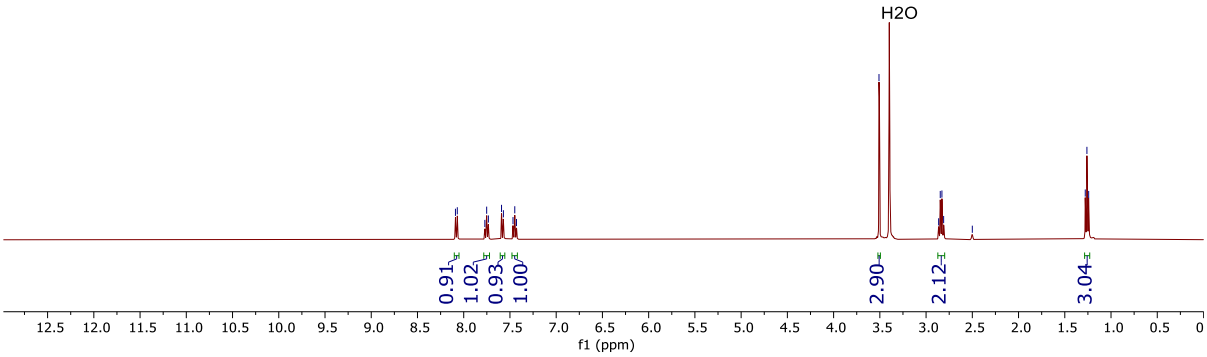


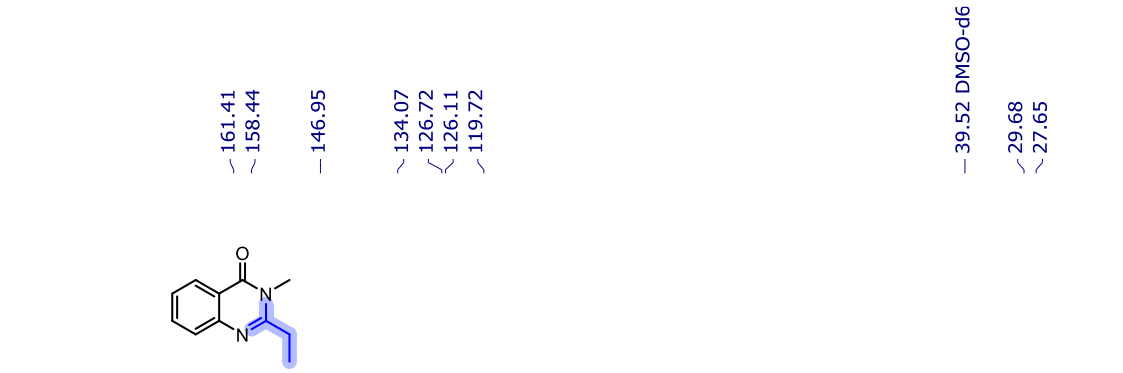
21; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



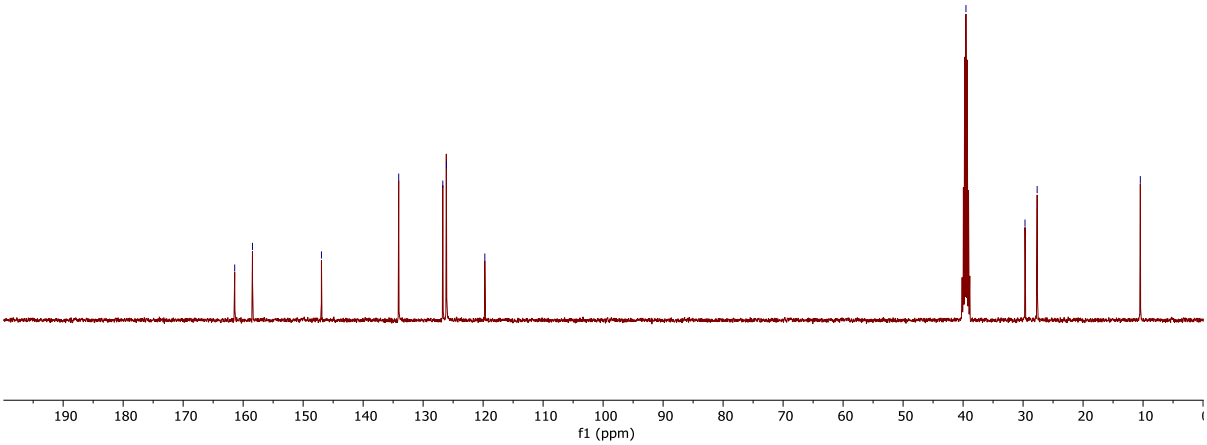


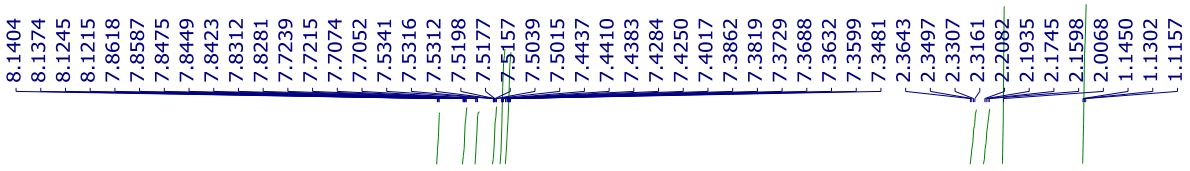
22; ^{1}H NMR, 400 MHz (DMSO-d_6)

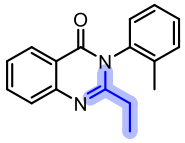




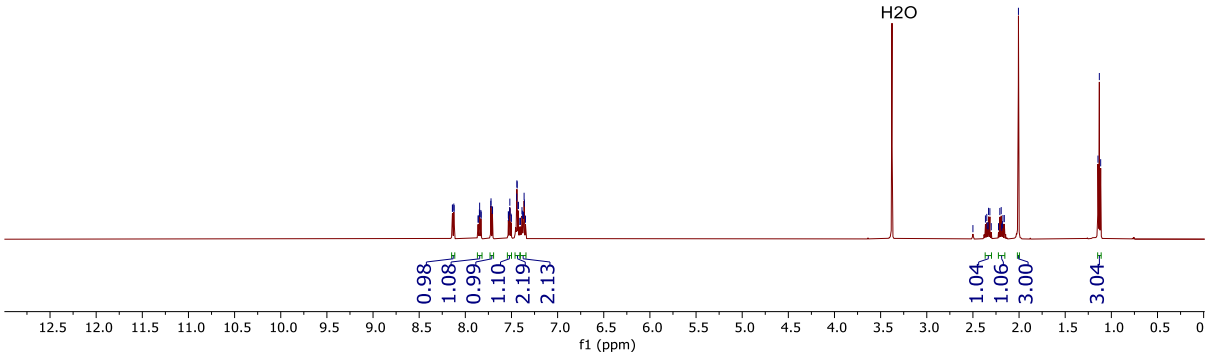
22; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)

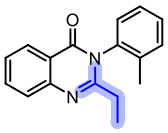




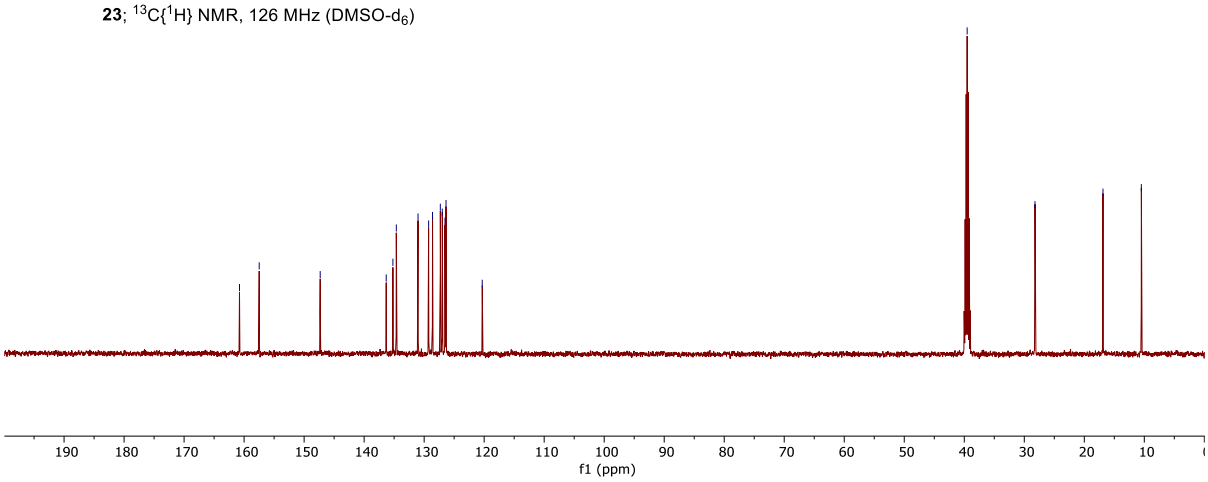


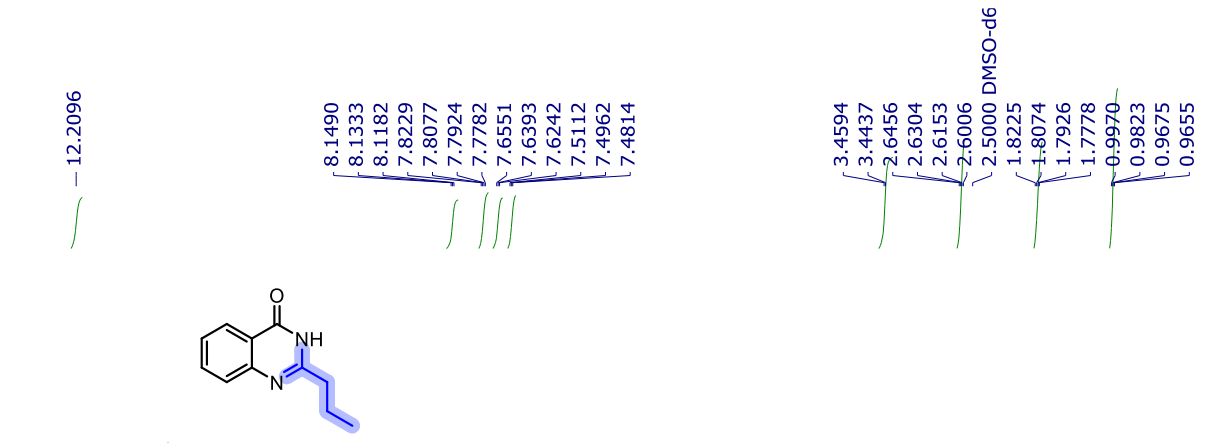
23; ^{1}H NMR, 500 MHz (DMSO-d$_6$)



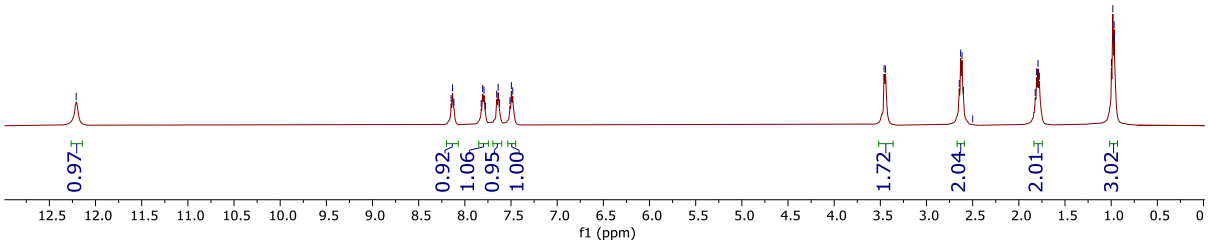


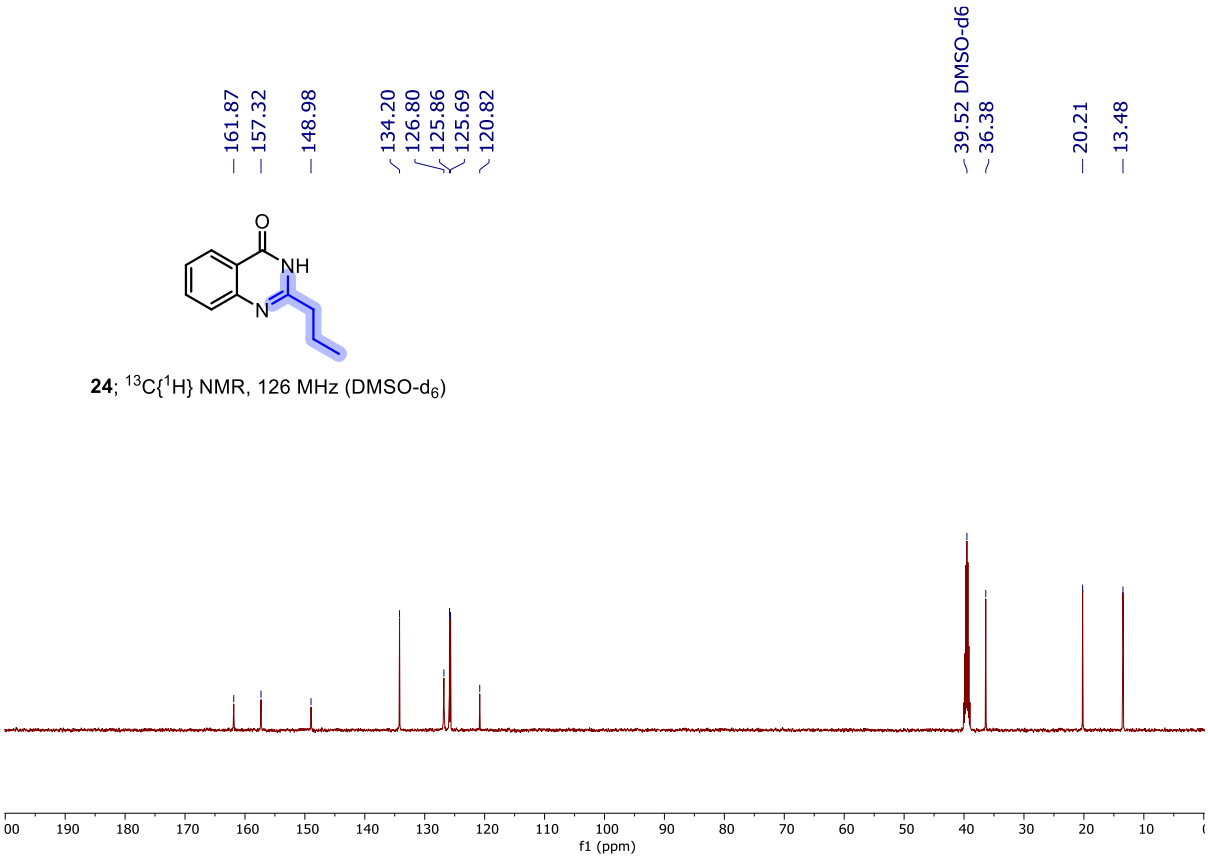
23; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d$_6$)



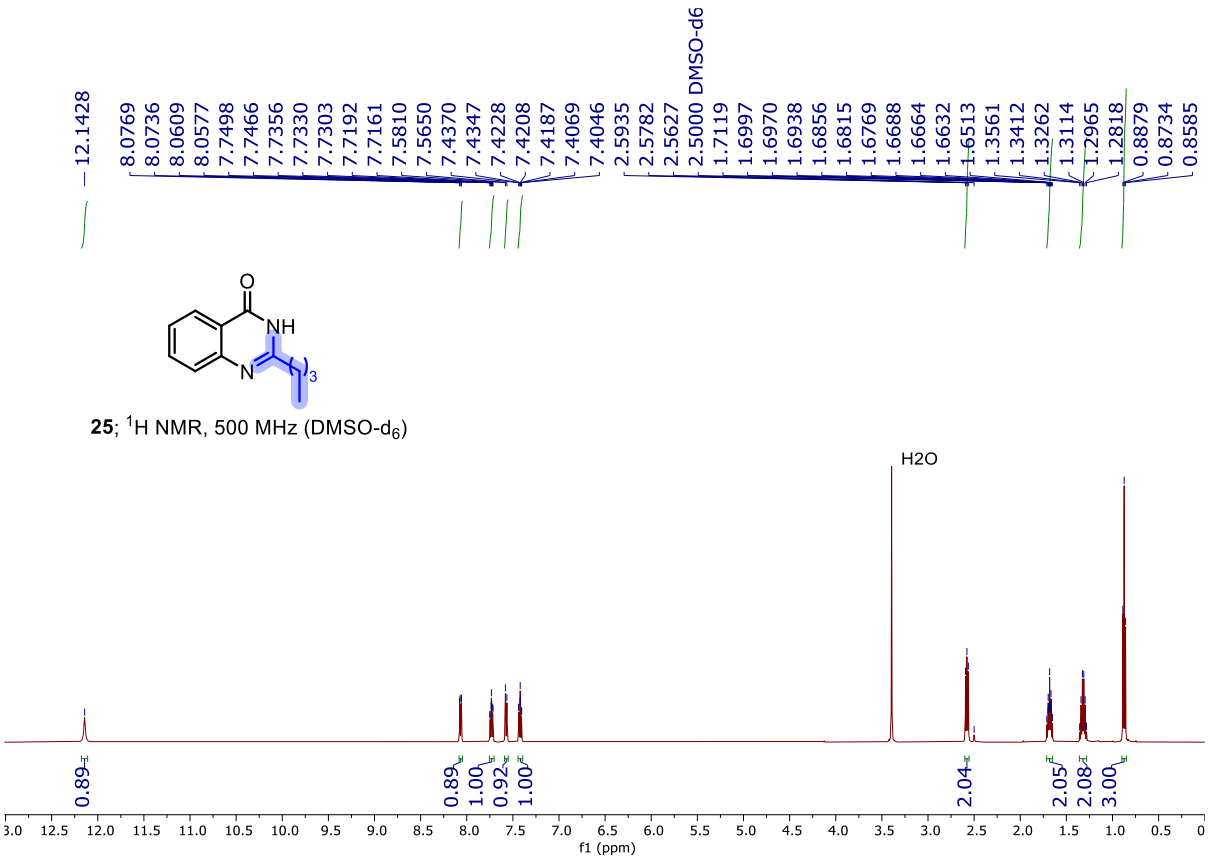


24; ^{1}H NMR, 500 MHz (DMSO-d_6)

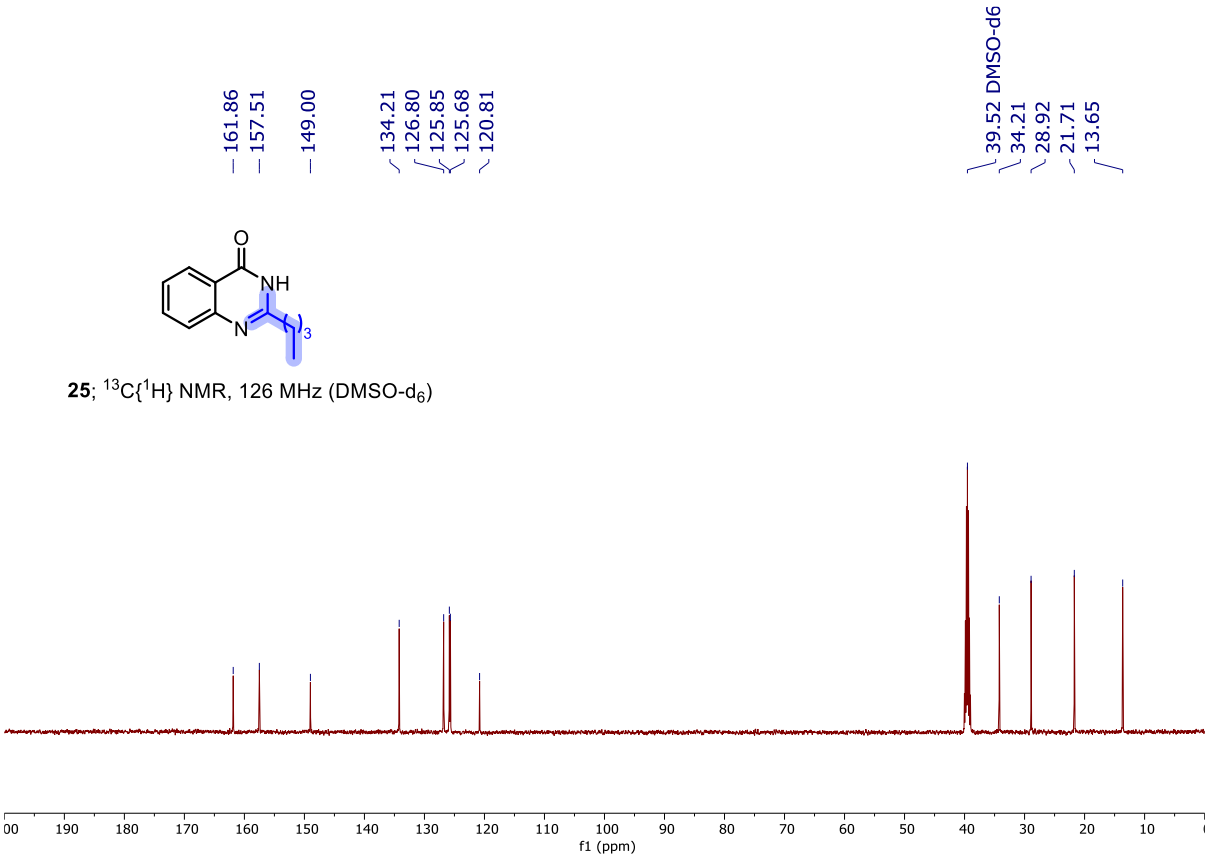




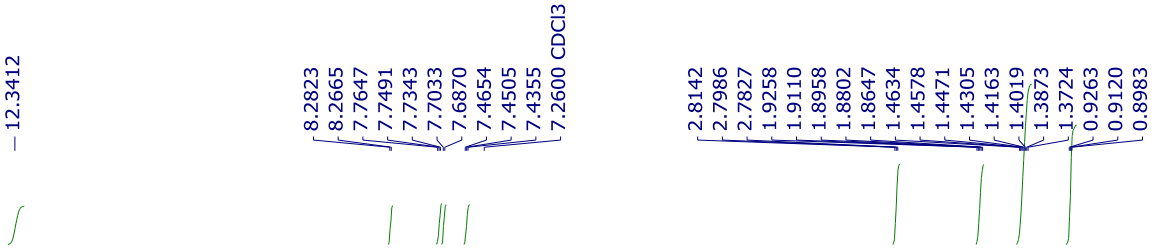
24; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)

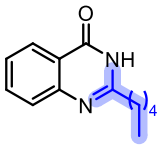


25; ^{1}H NMR, 500 MHz (DMSO-d_6)

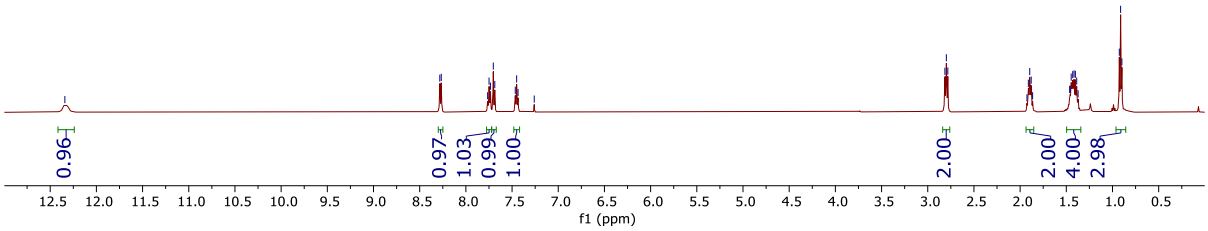


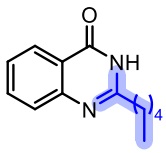
25; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



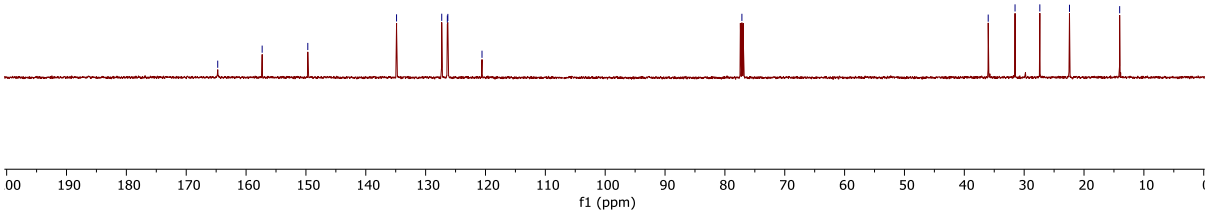


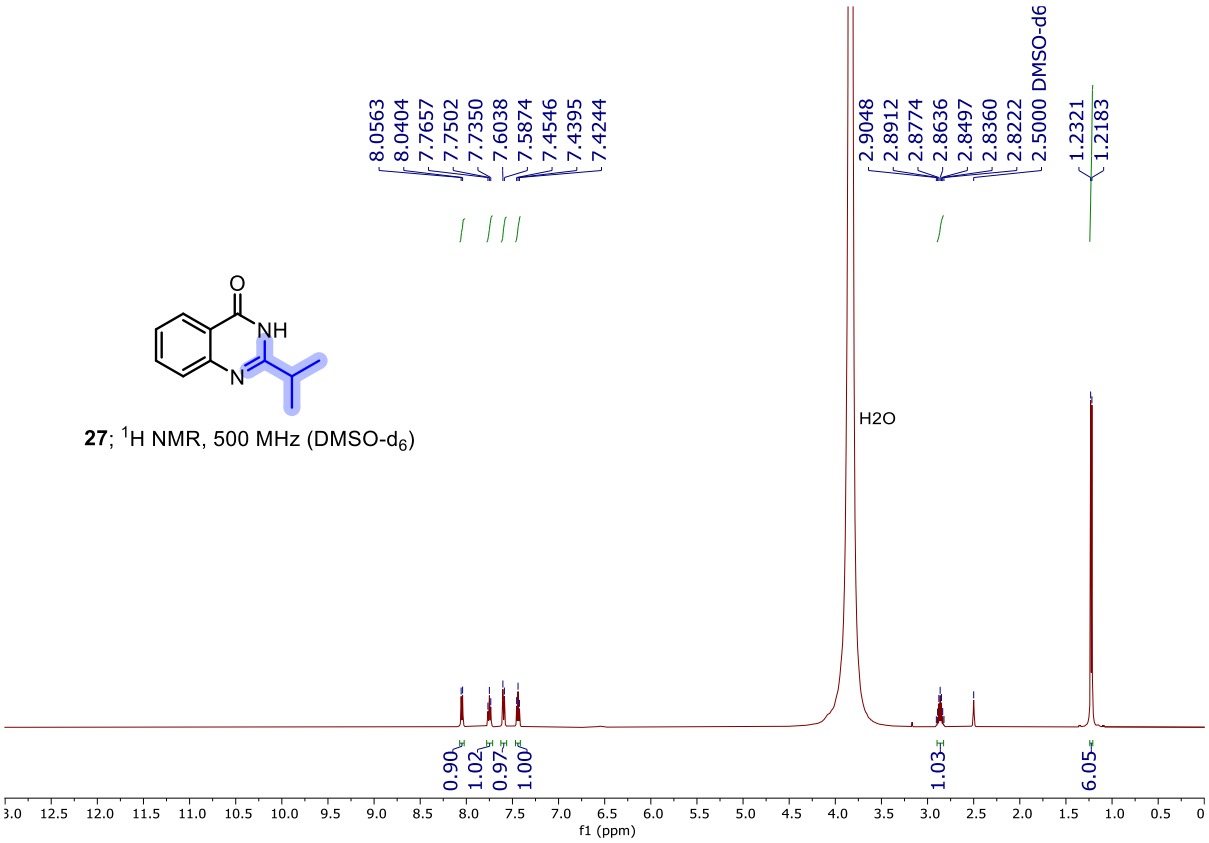
26; ^{1}H NMR, 500 MHz ($CDCl_3$)



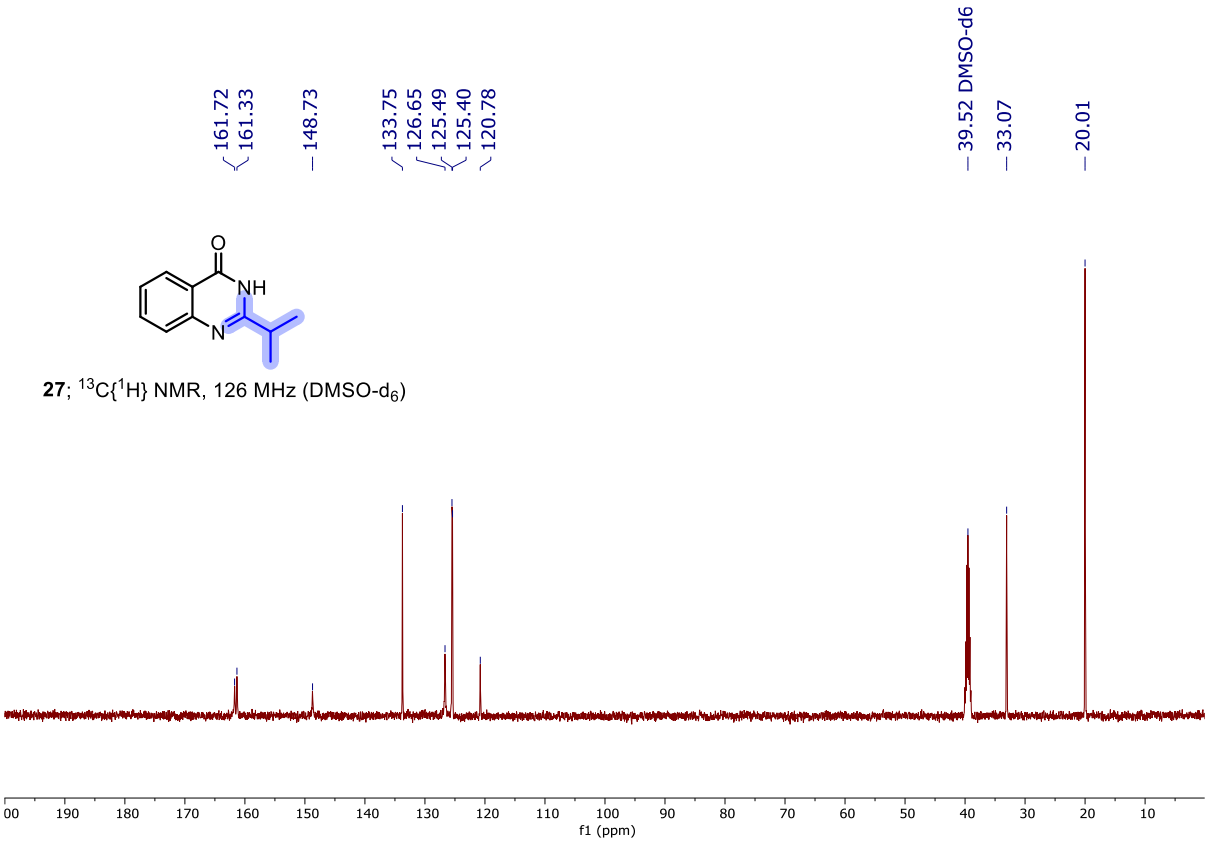


26; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

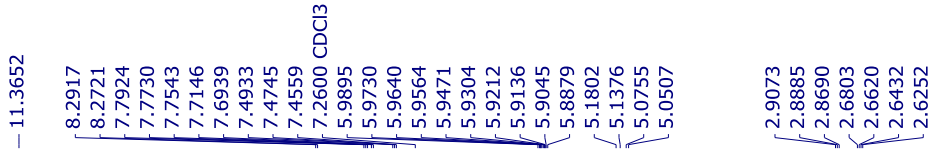


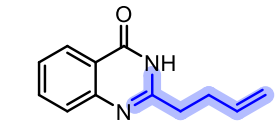


27; ^{1}H NMR, 500 MHz (DMSO-d_6)

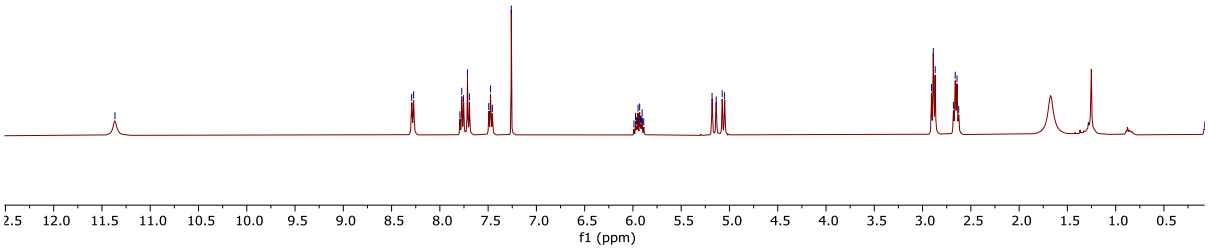


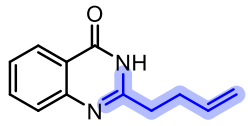
27; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



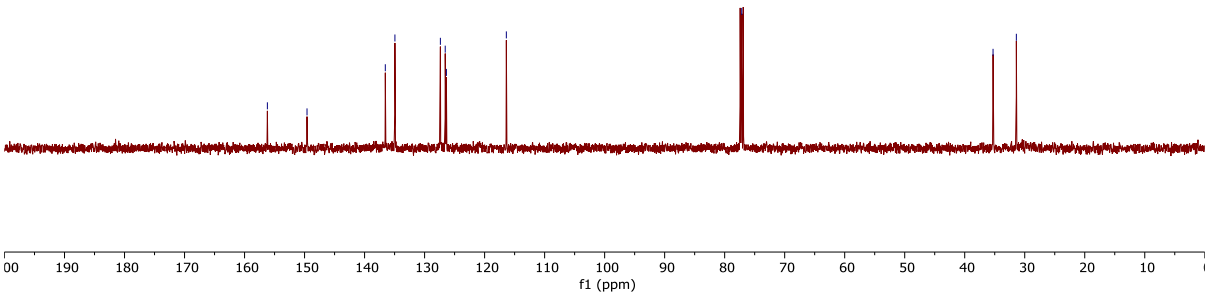


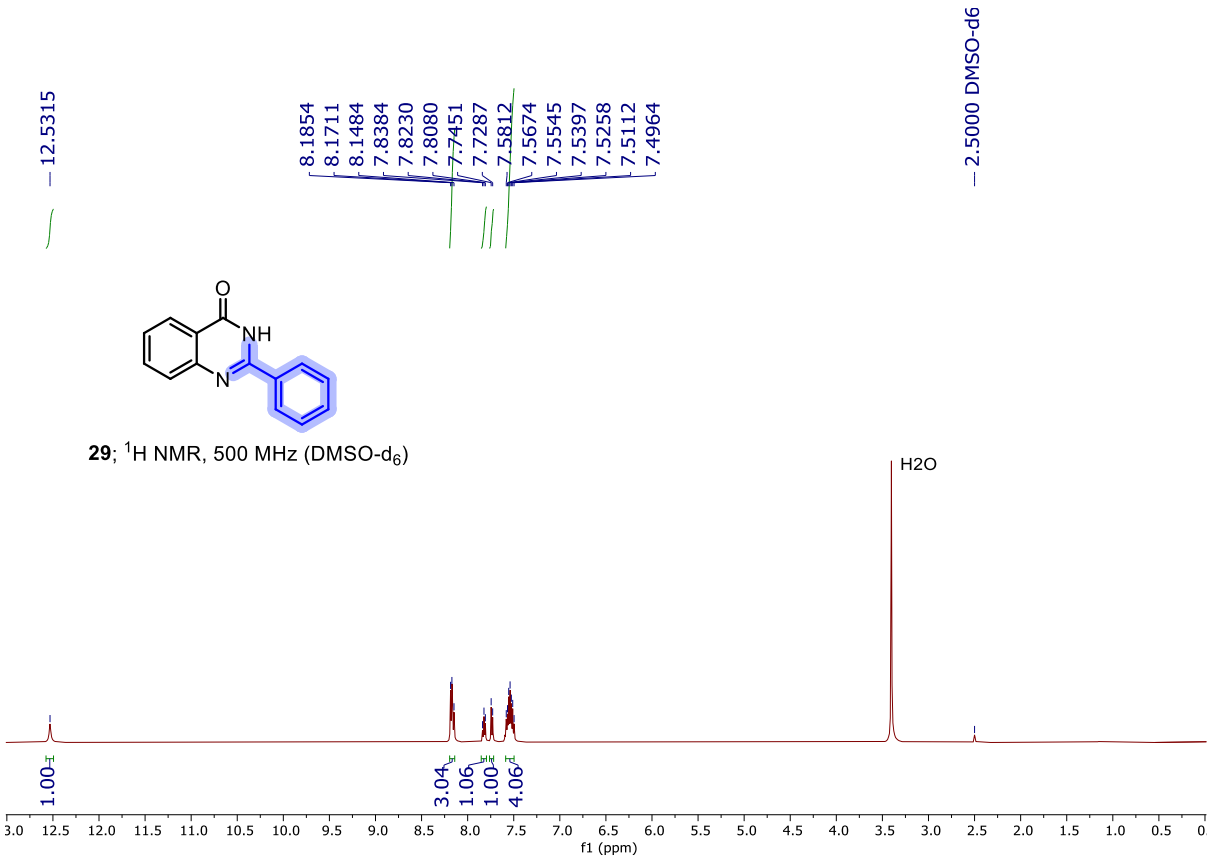
28; ^{1}H NMR, 400 MHz ($CDCl_3$)



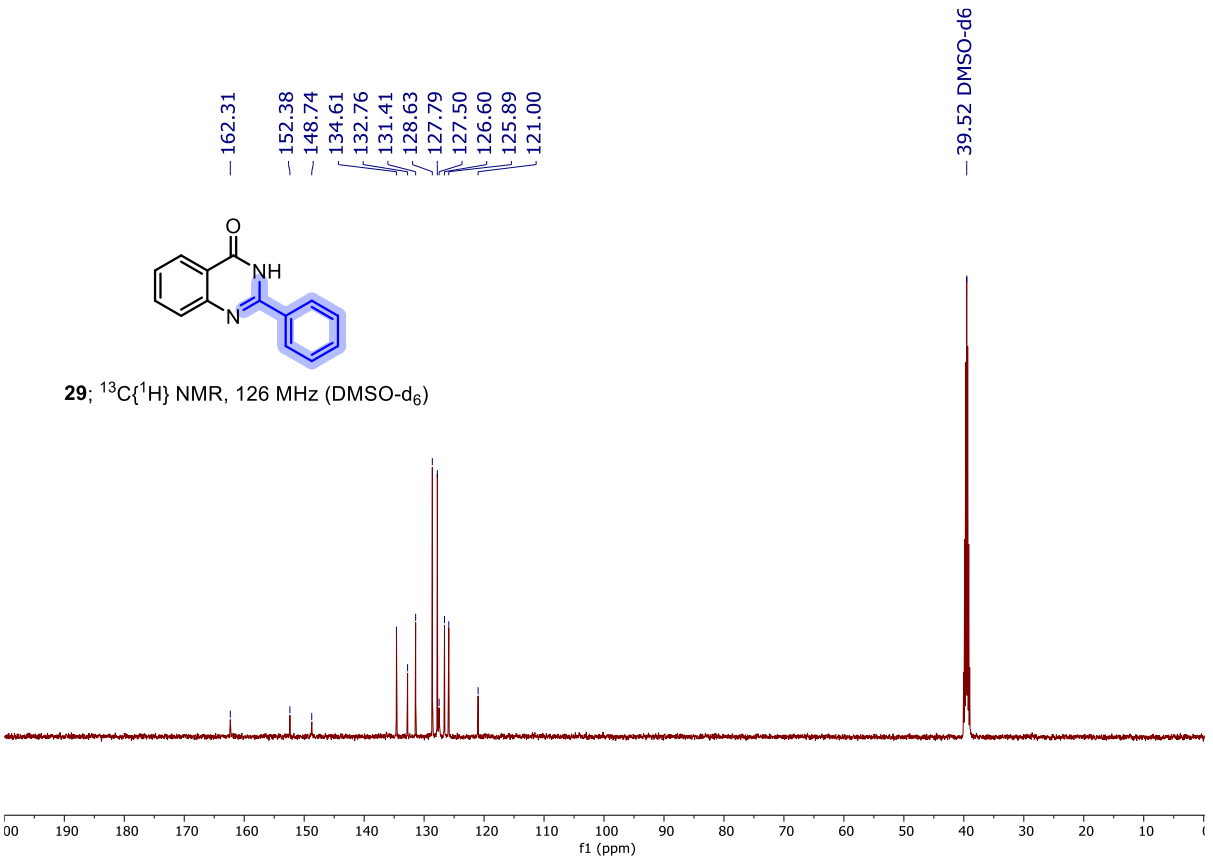


28; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

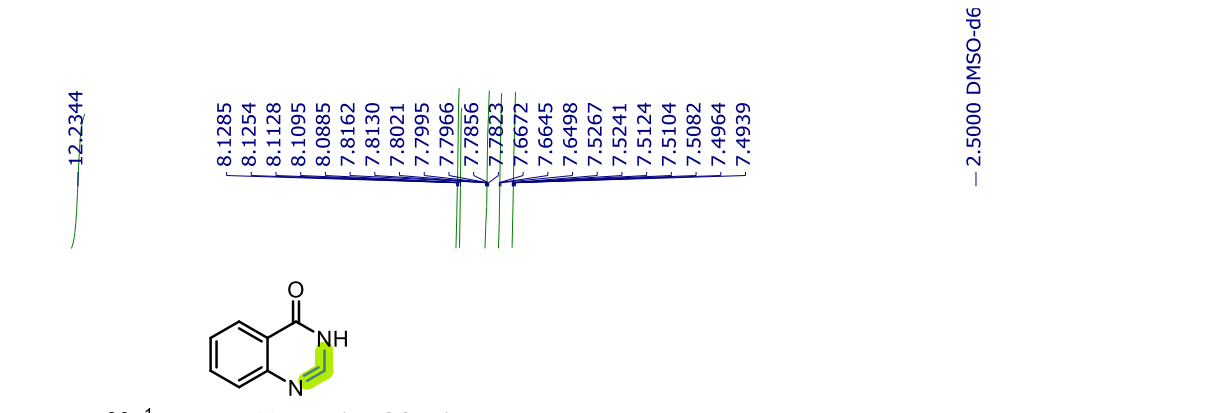




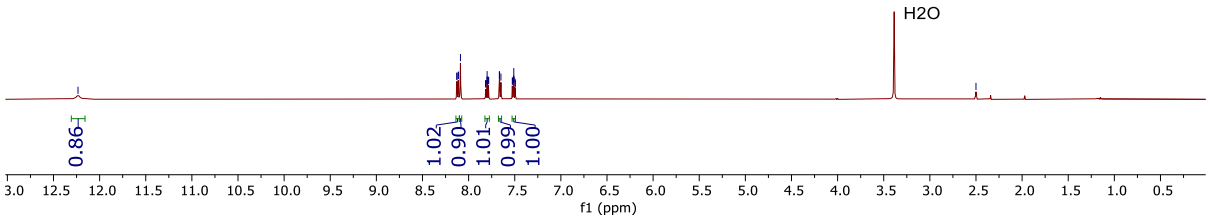
29; ^{1}H NMR, 500 MHz (DMSO-d_6)

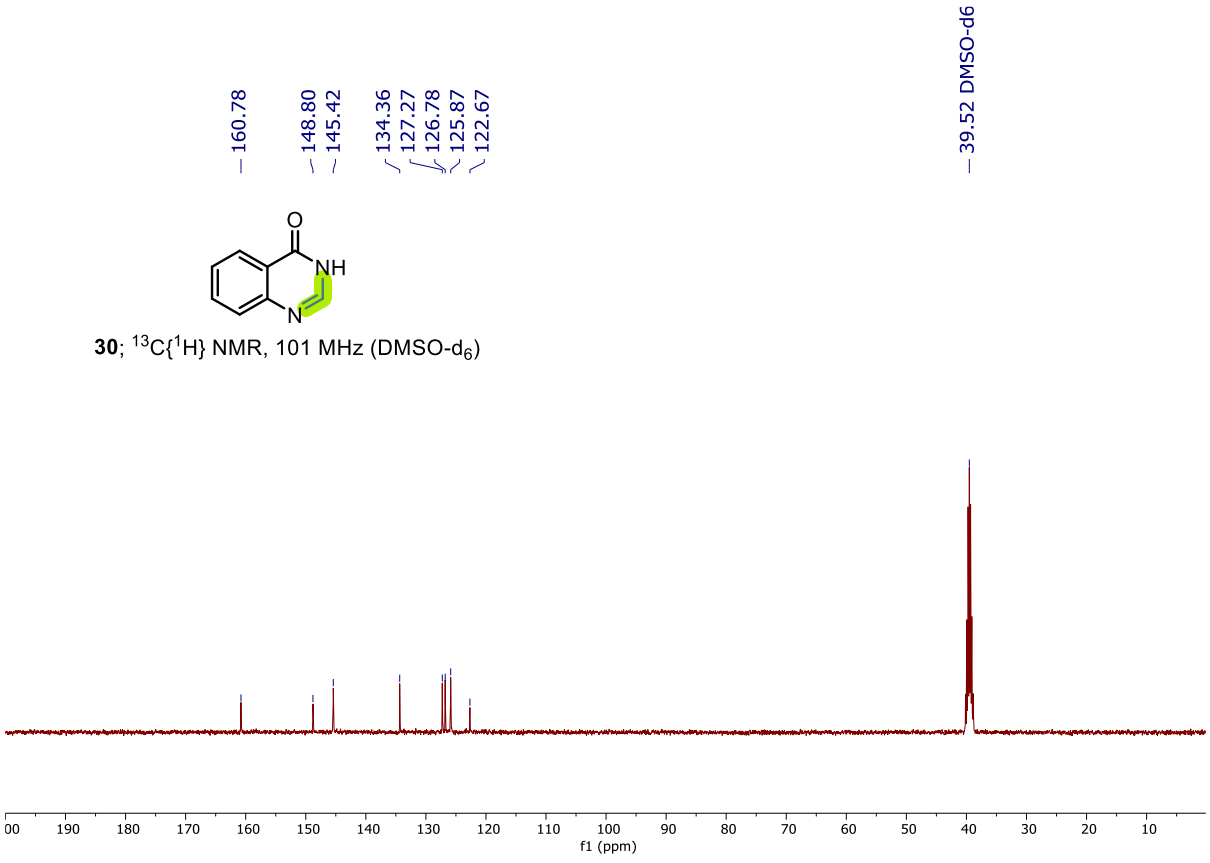


29; $^{13}C\{^{1}H\}$ NMR, 126 MHz (DMSO-d_6)

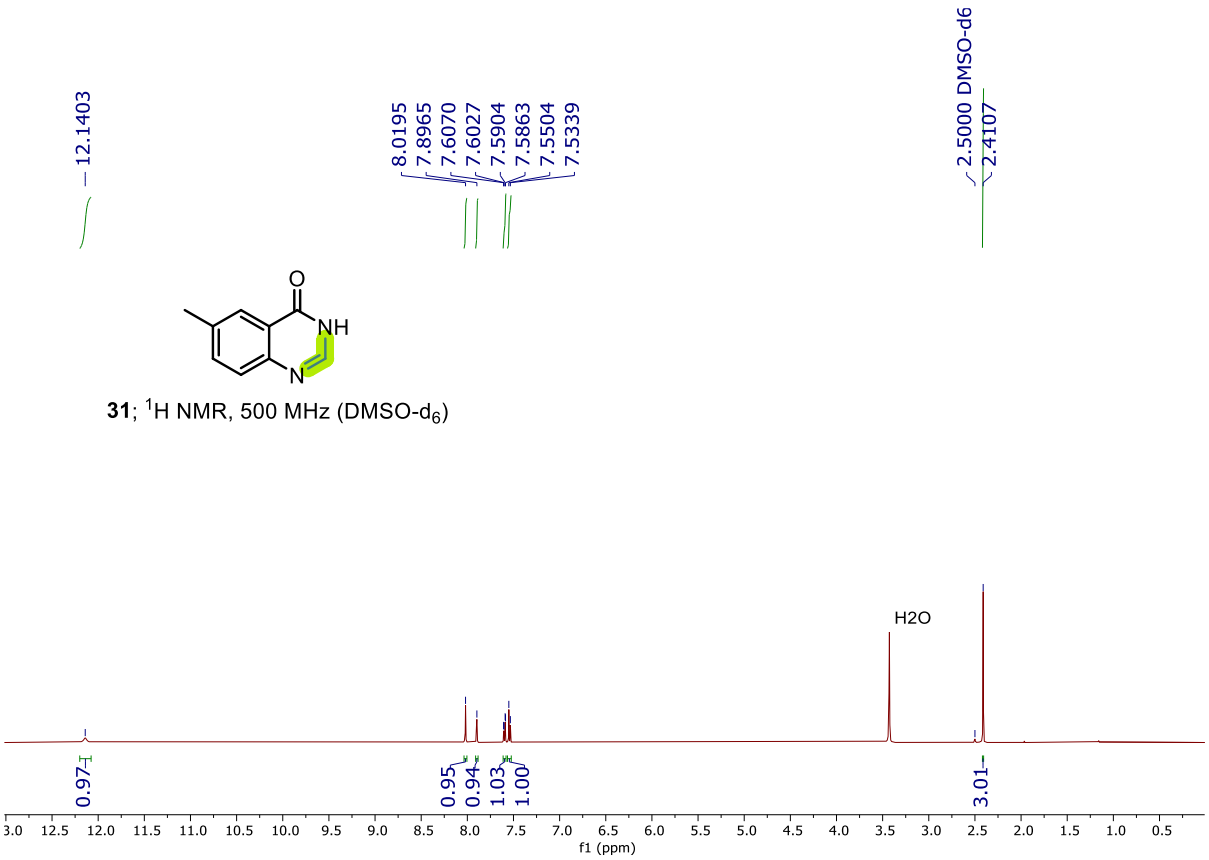


30; ^{1}H NMR, 500 MHz (DMSO-d_6)

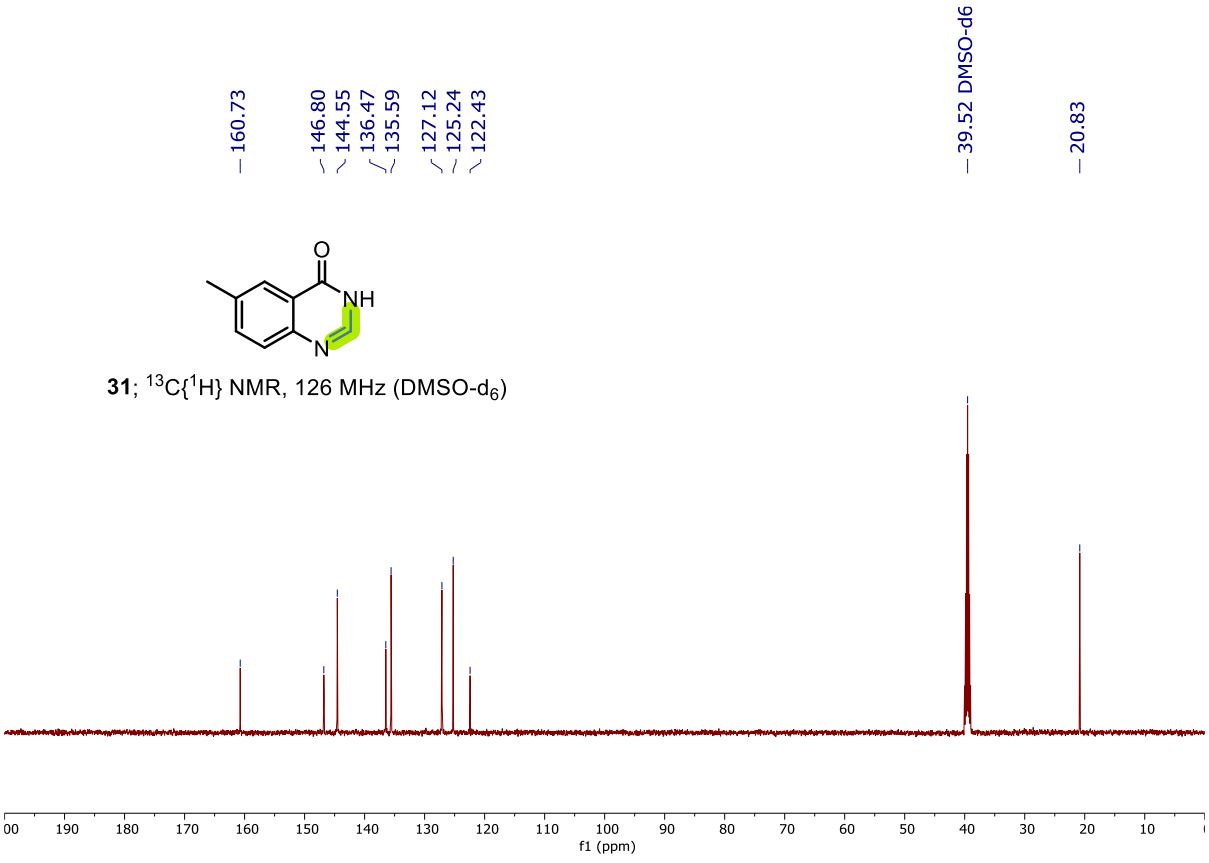




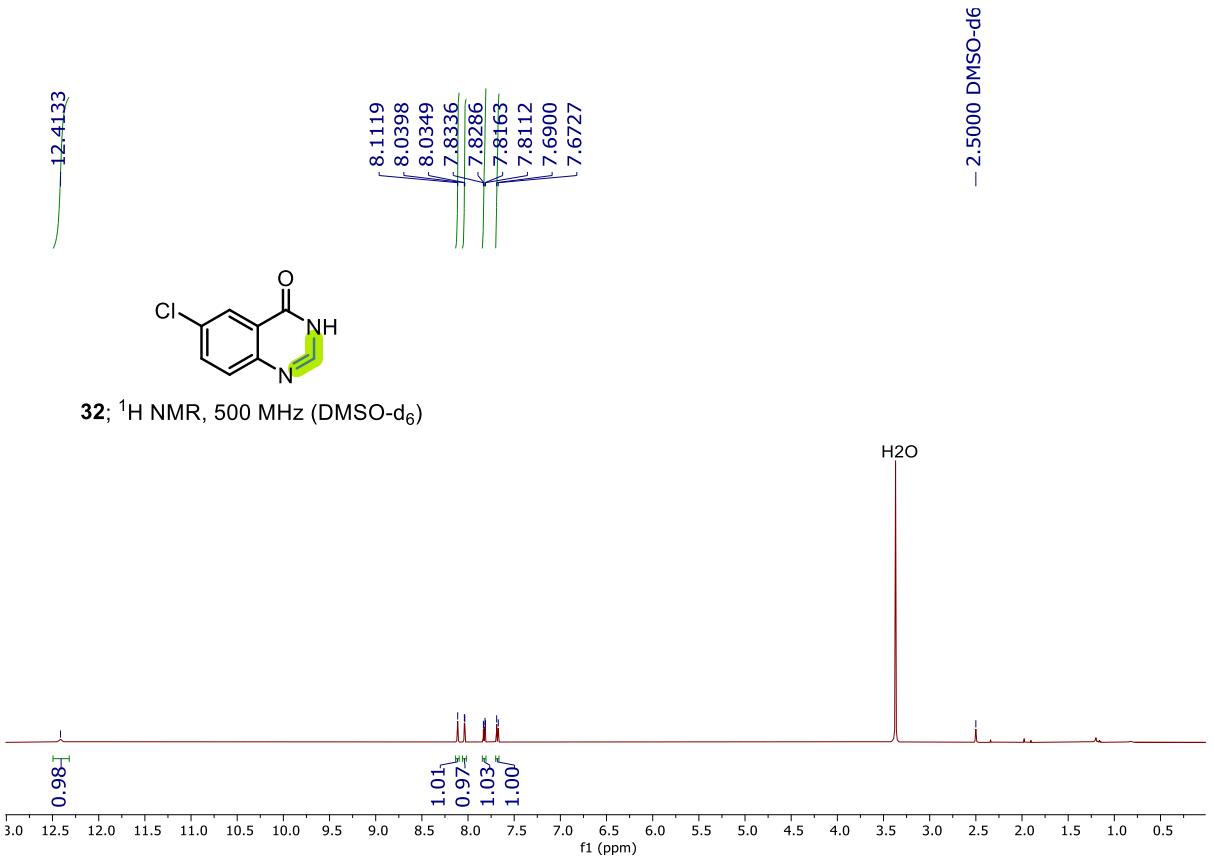
30; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



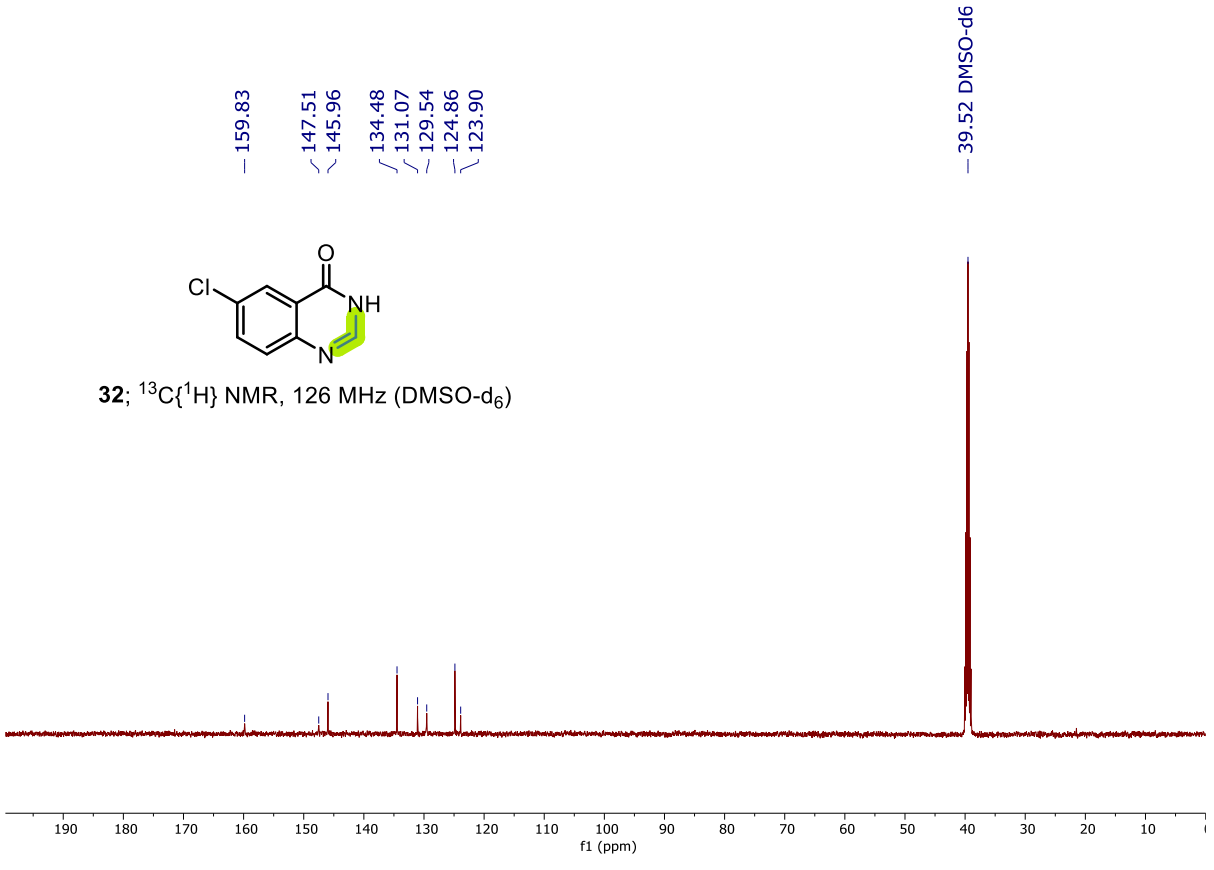
31; ^{1}H NMR, 500 MHz (DMSO-d_6)



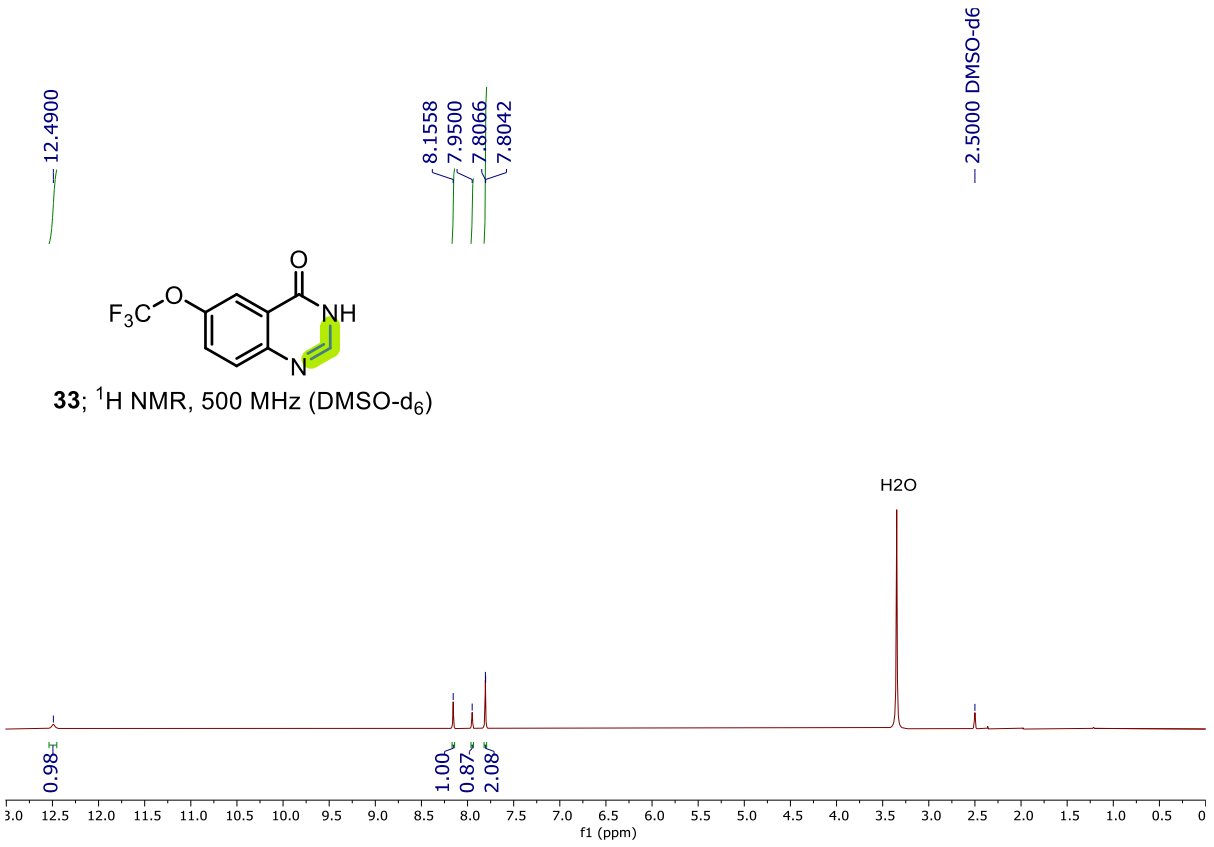
31; $^{13}C\{^{1}H\}$ NMR, 126 MHz (DMSO-d_6)



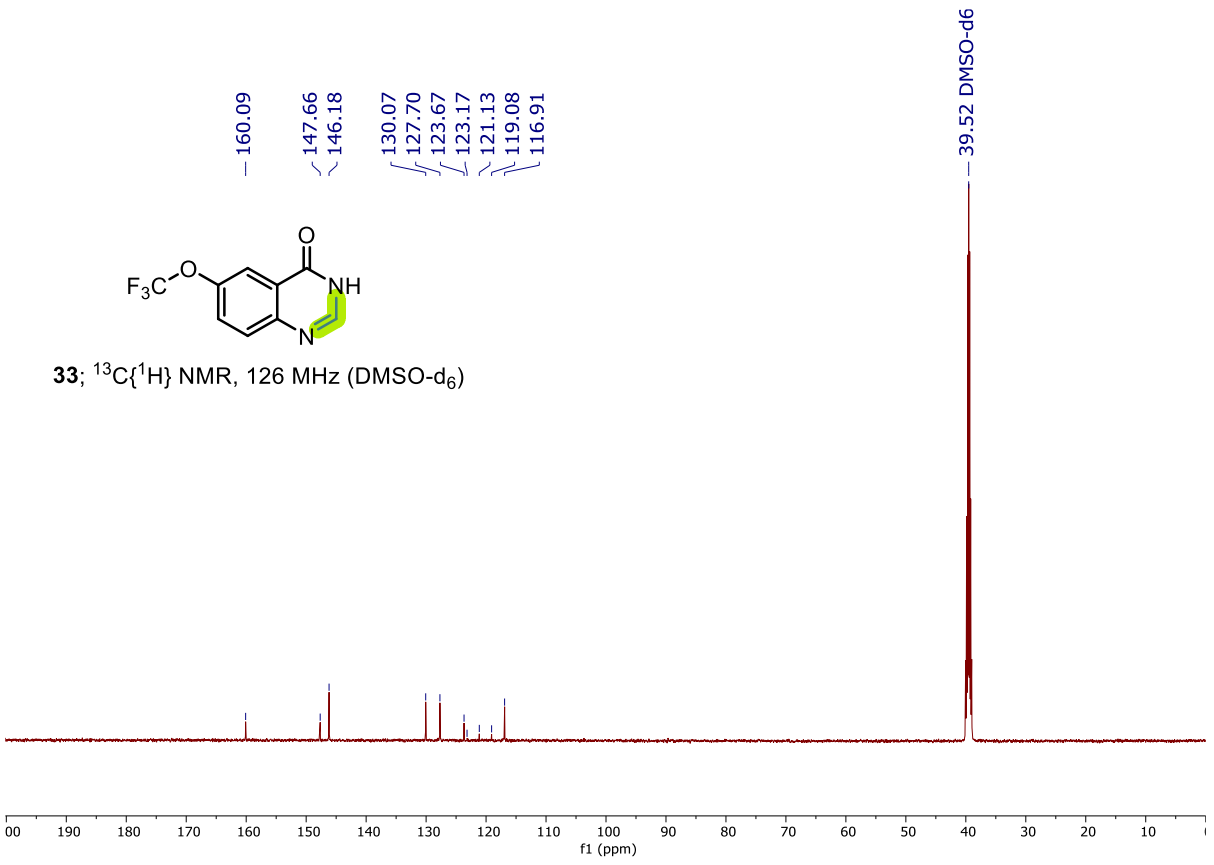
32; ^{1}H NMR, 500 MHz (DMSO-d_6)



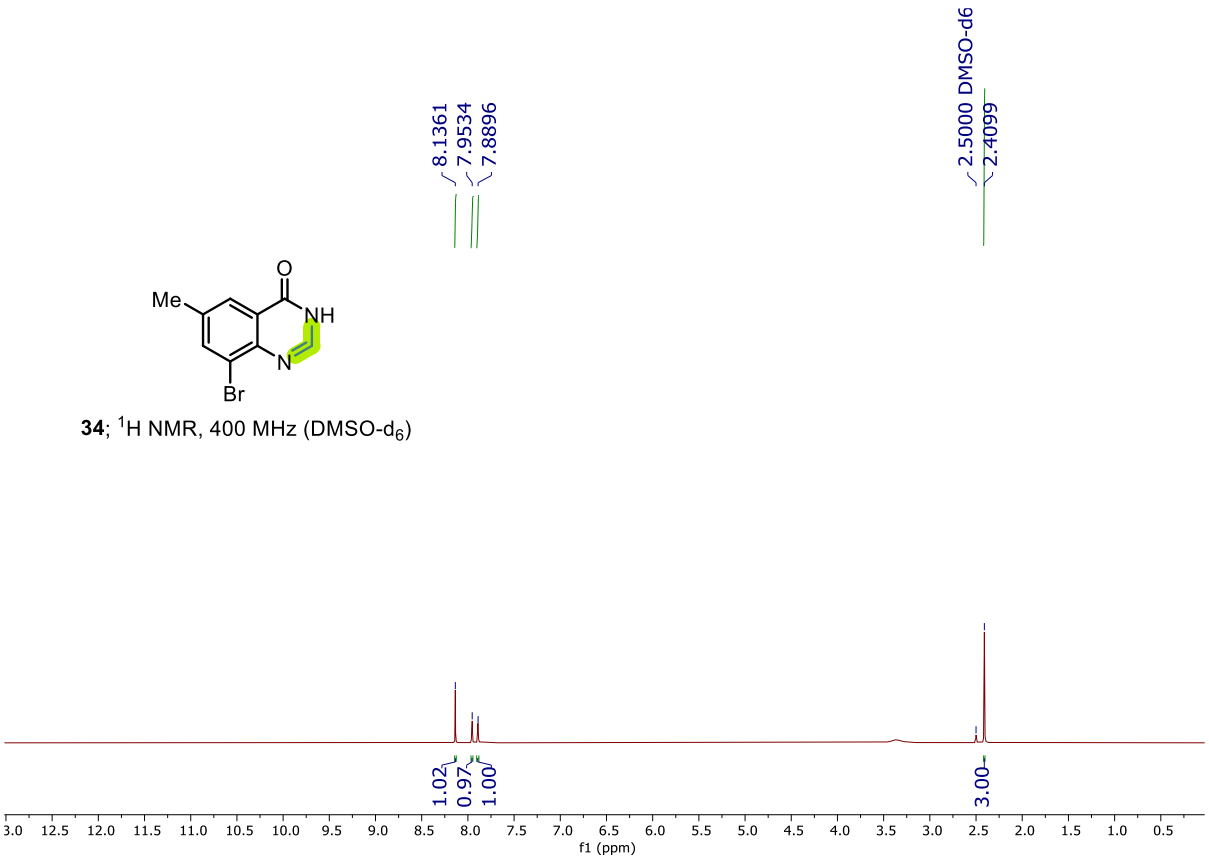
32; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



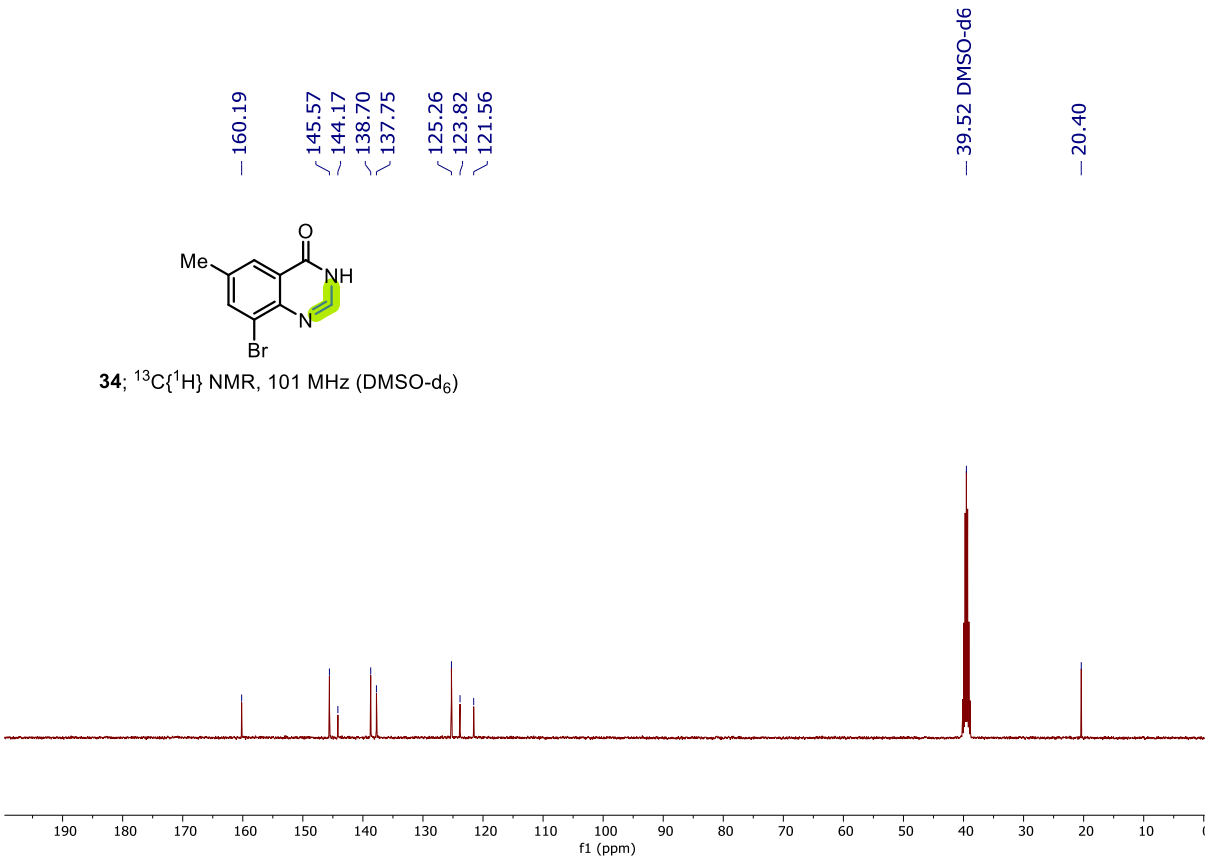
33; ^{1}H NMR, 500 MHz (DMSO-d_6)



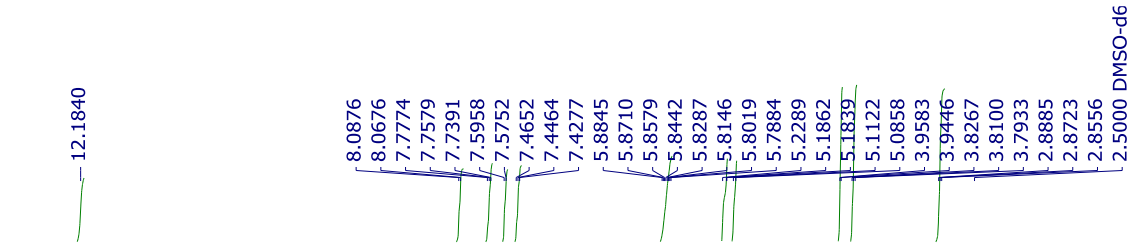
33; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)

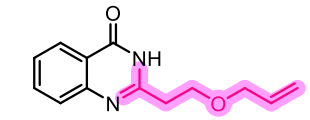


34; ^{1}H NMR, 400 MHz (DMSO-d_6)

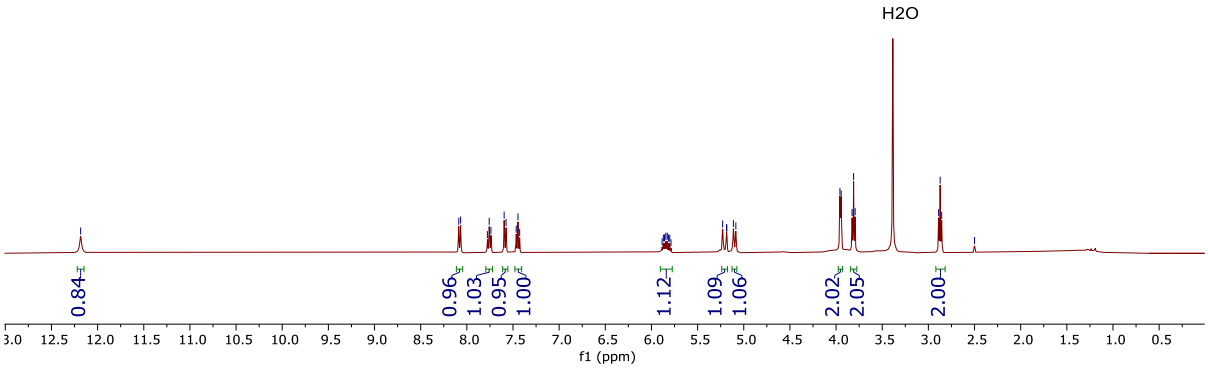


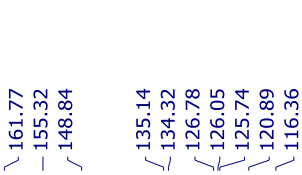
34; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)

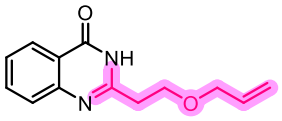




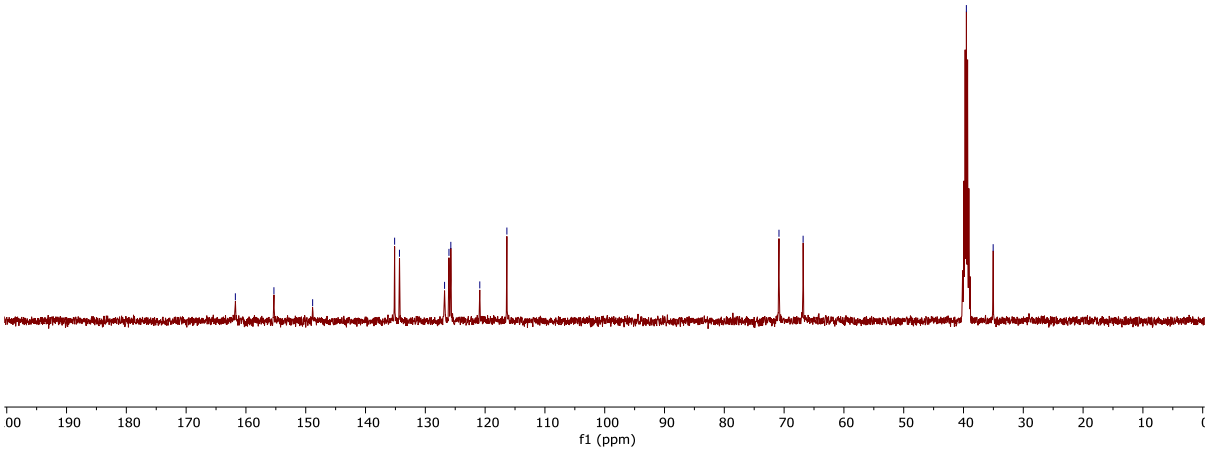
35; ^{1}H NMR, 400 MHz (DMSO-d_6)

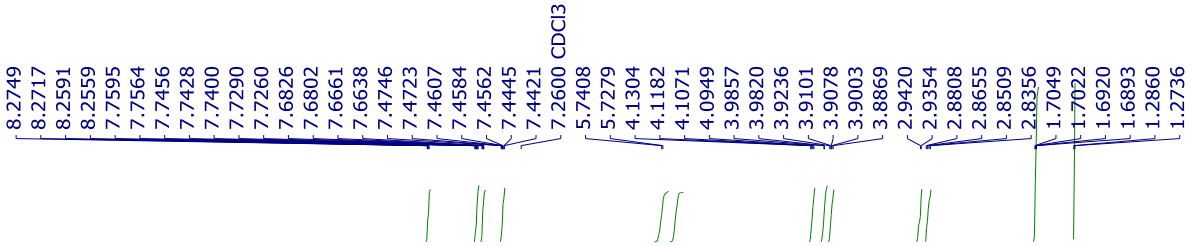


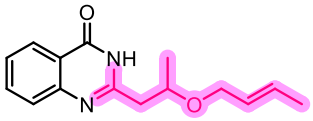




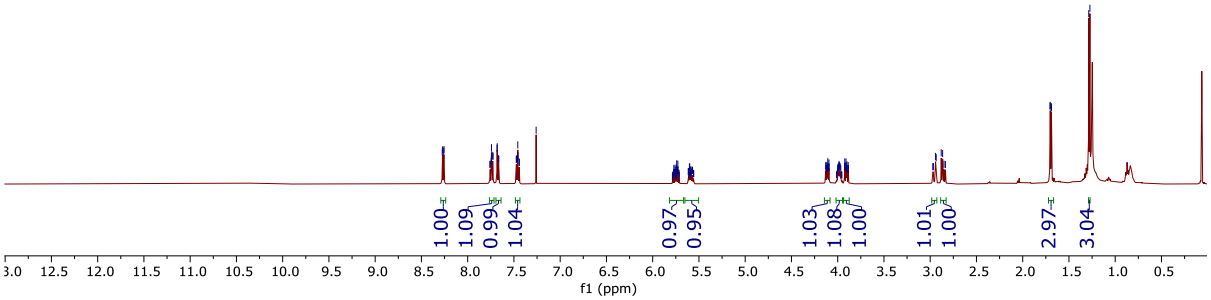
35; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)

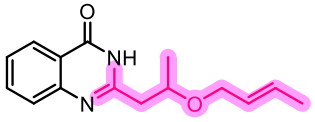




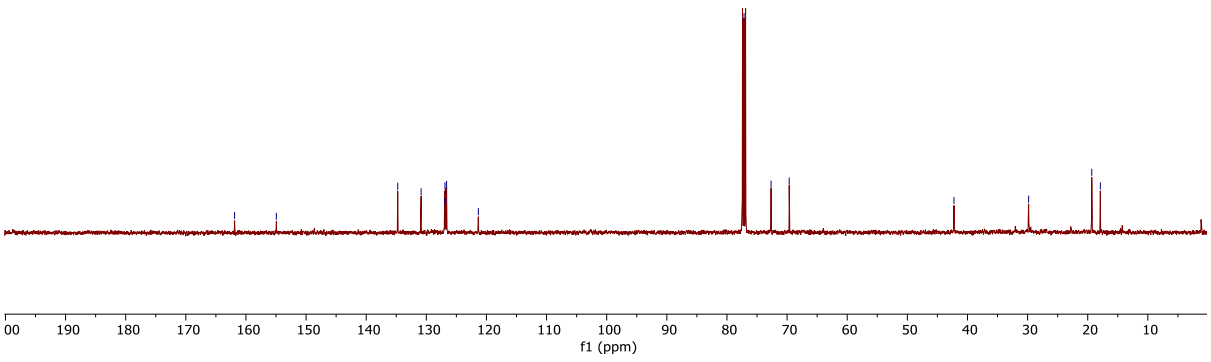


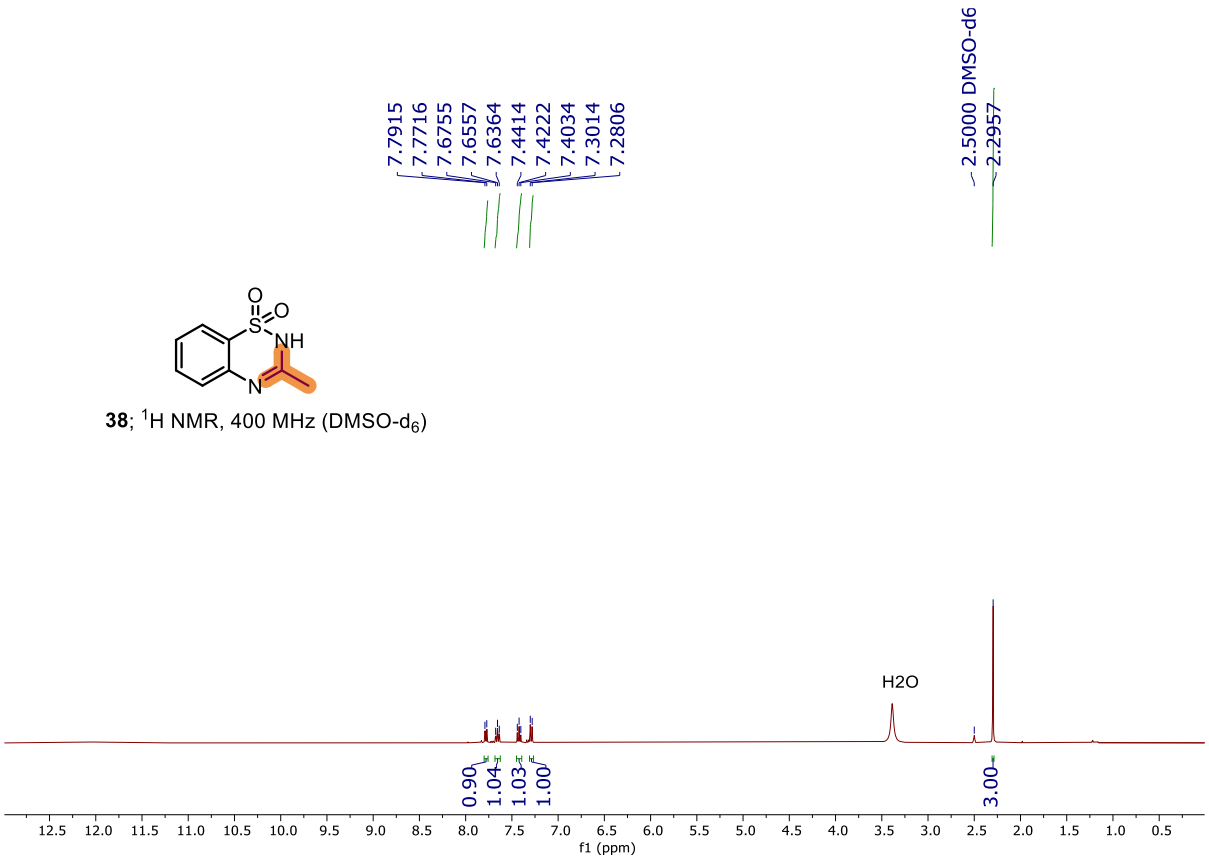
36; ^{1}H NMR, 500 MHz ($CDCl_3$)



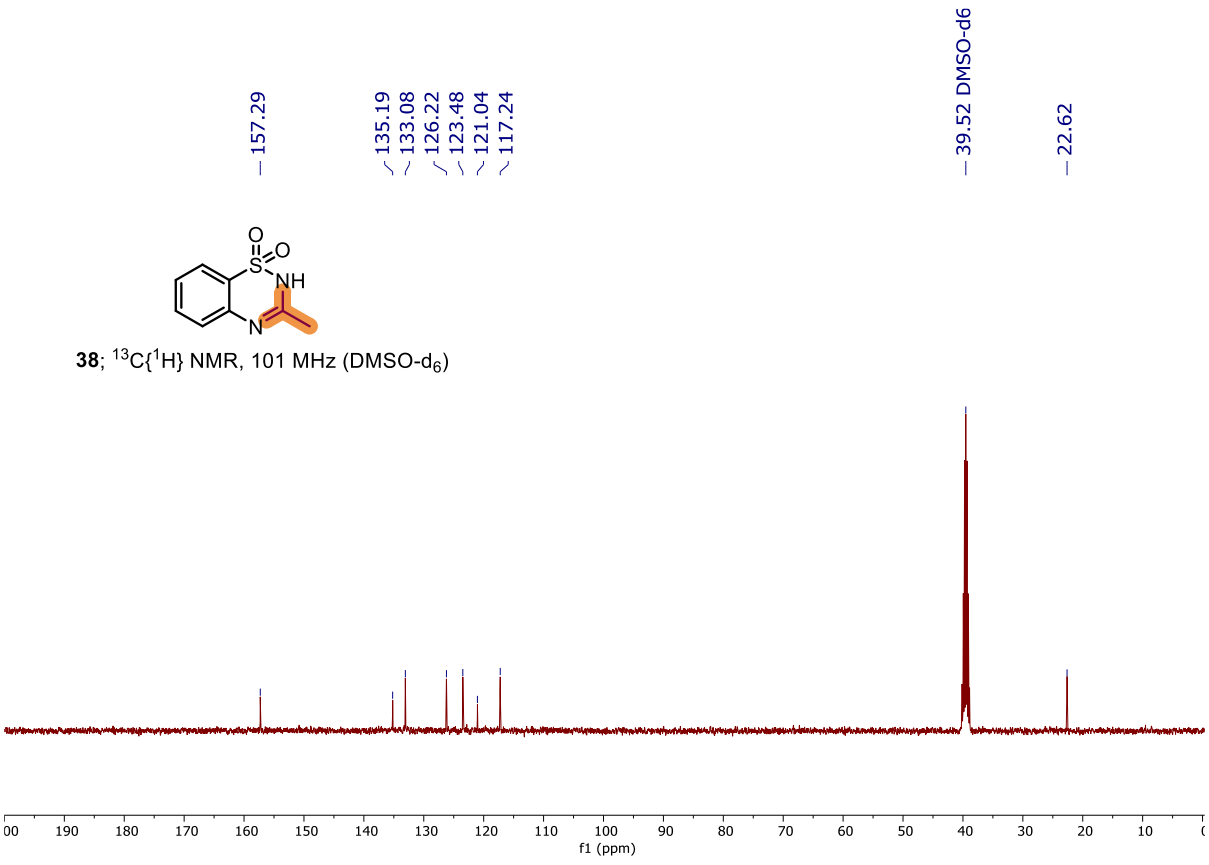


36; $^{13}C\{^{1}H\}$ NMR, 126 MHz ($CDCl_3$)

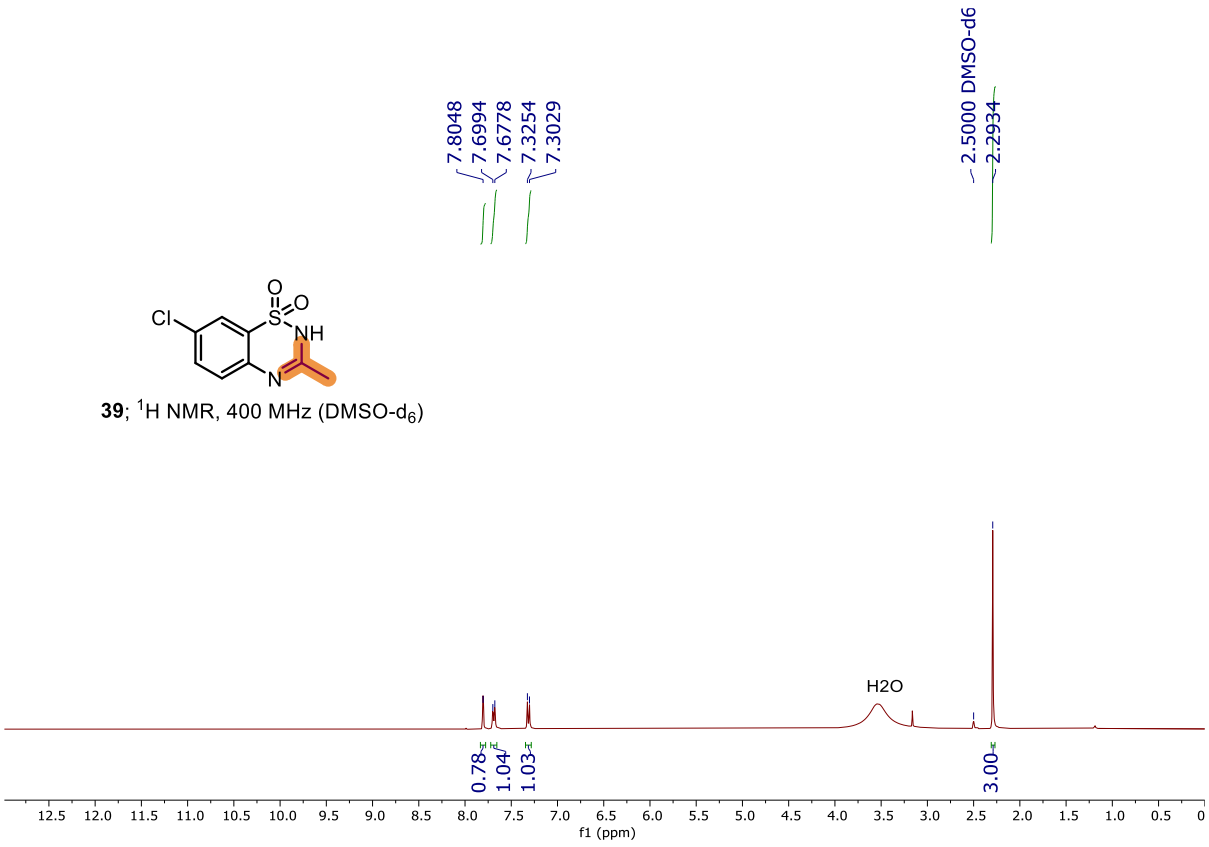




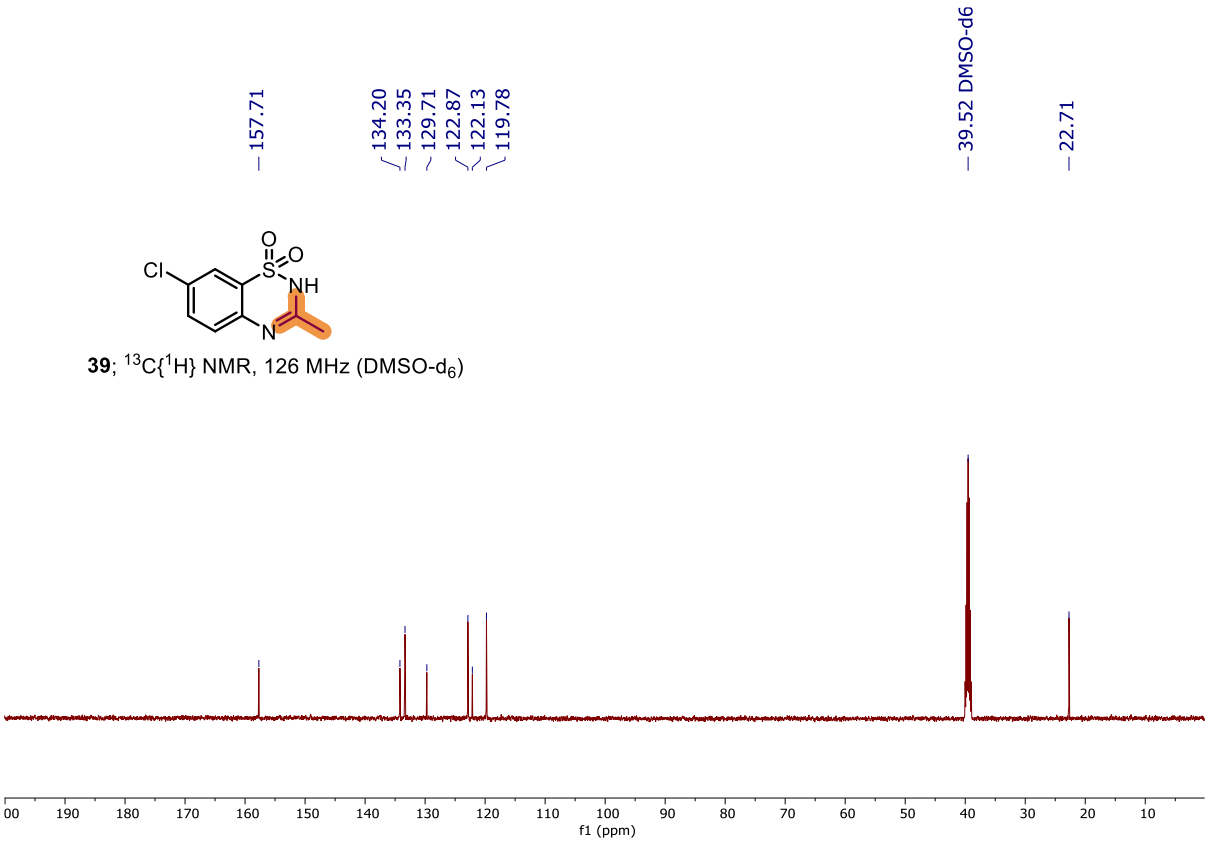
38; ^{1}H NMR, 400 MHz (DMSO-d_6)



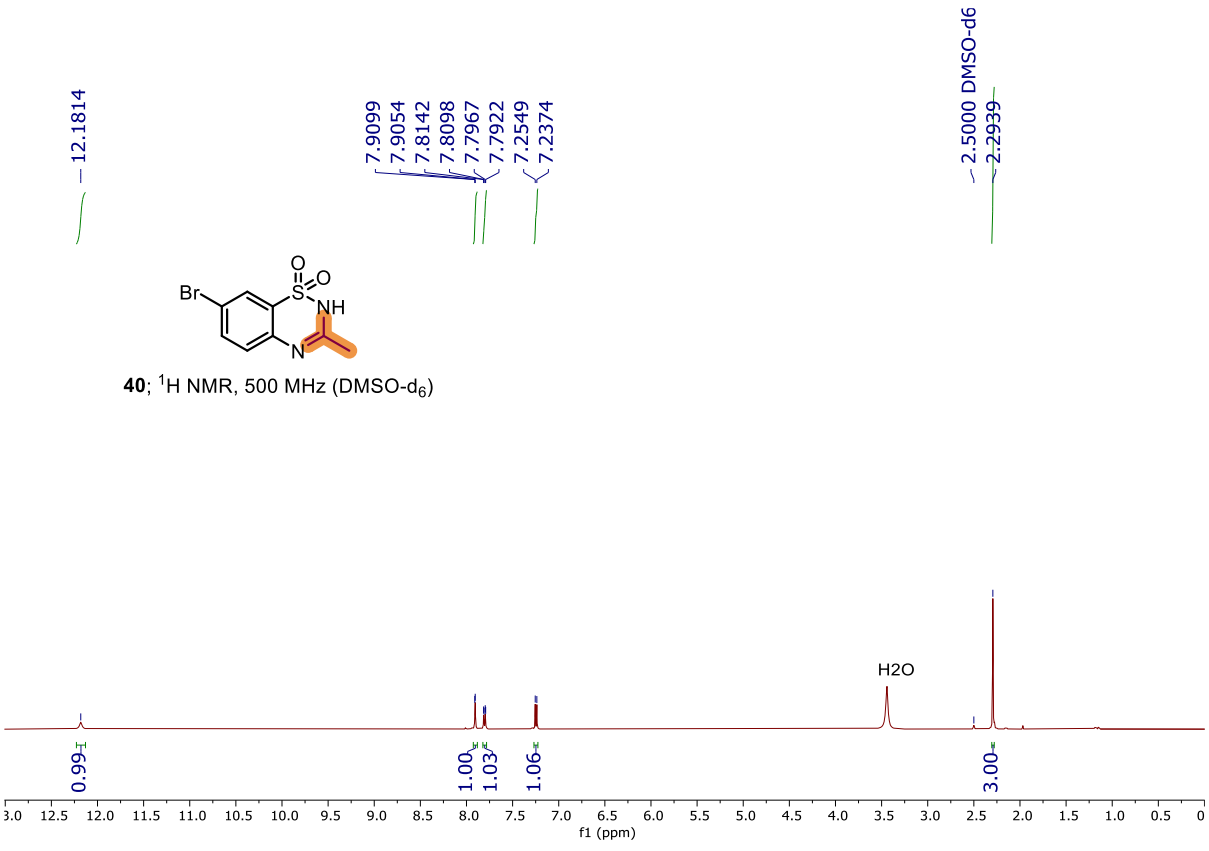
38; $^{13}C\{^{1}H\}$ NMR, 101 MHz (DMSO-d_6)



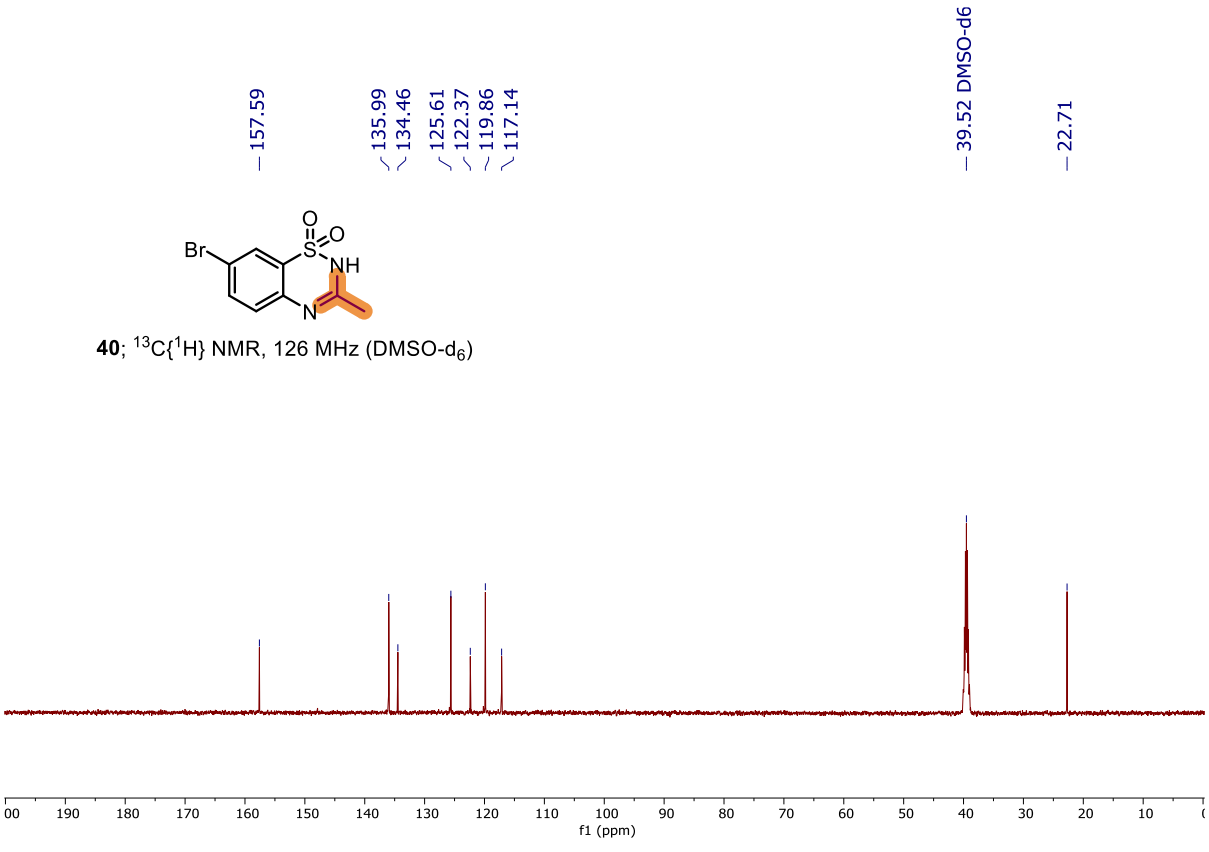
39; ^{1}H NMR, 400 MHz (DMSO-d_6)



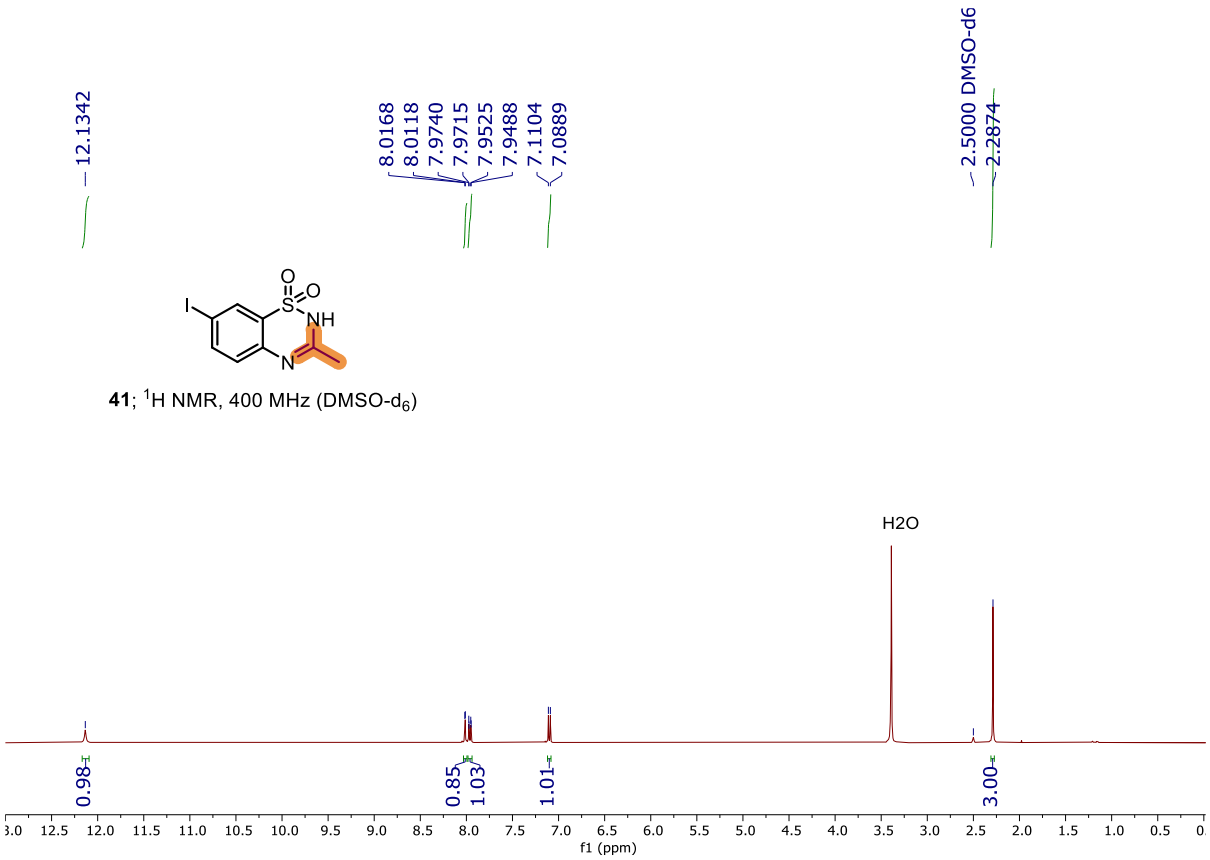
39; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



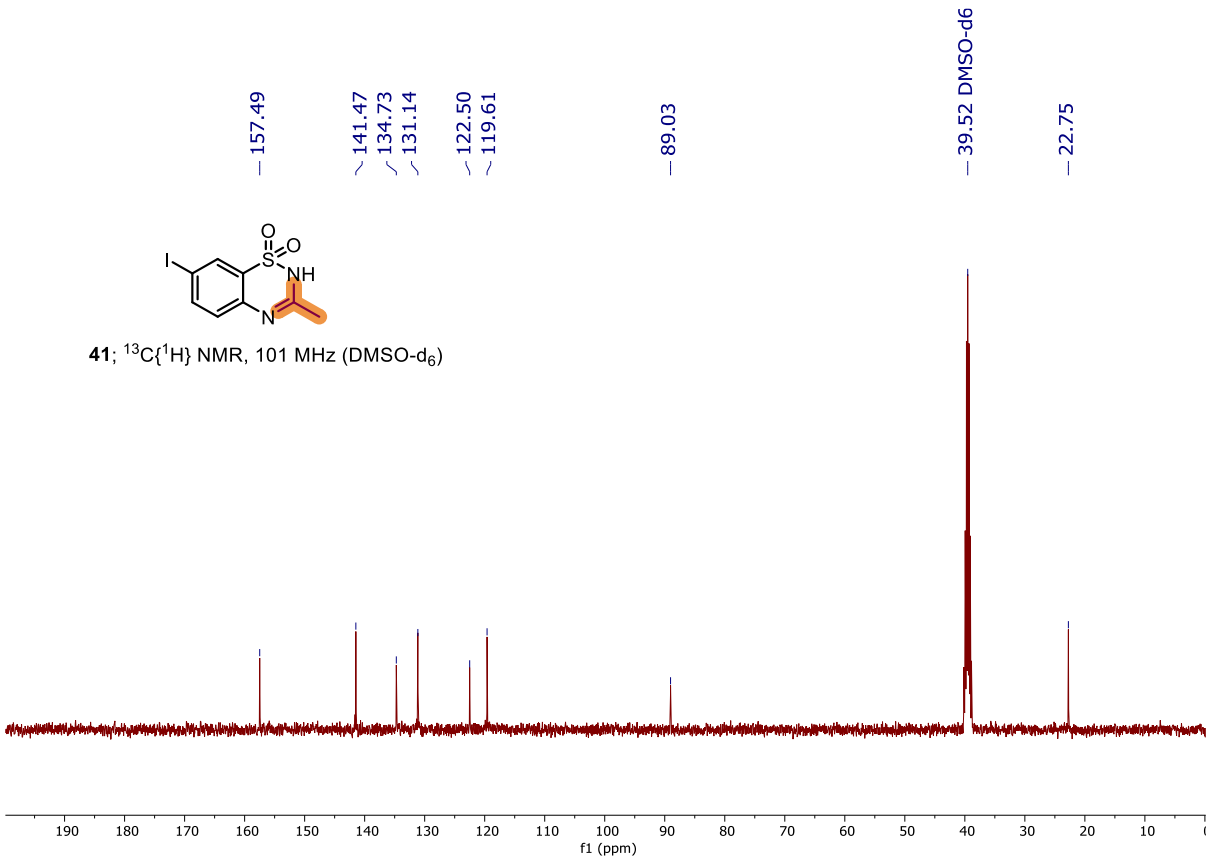
40; ^{1}H NMR, 500 MHz (DMSO-d_6)



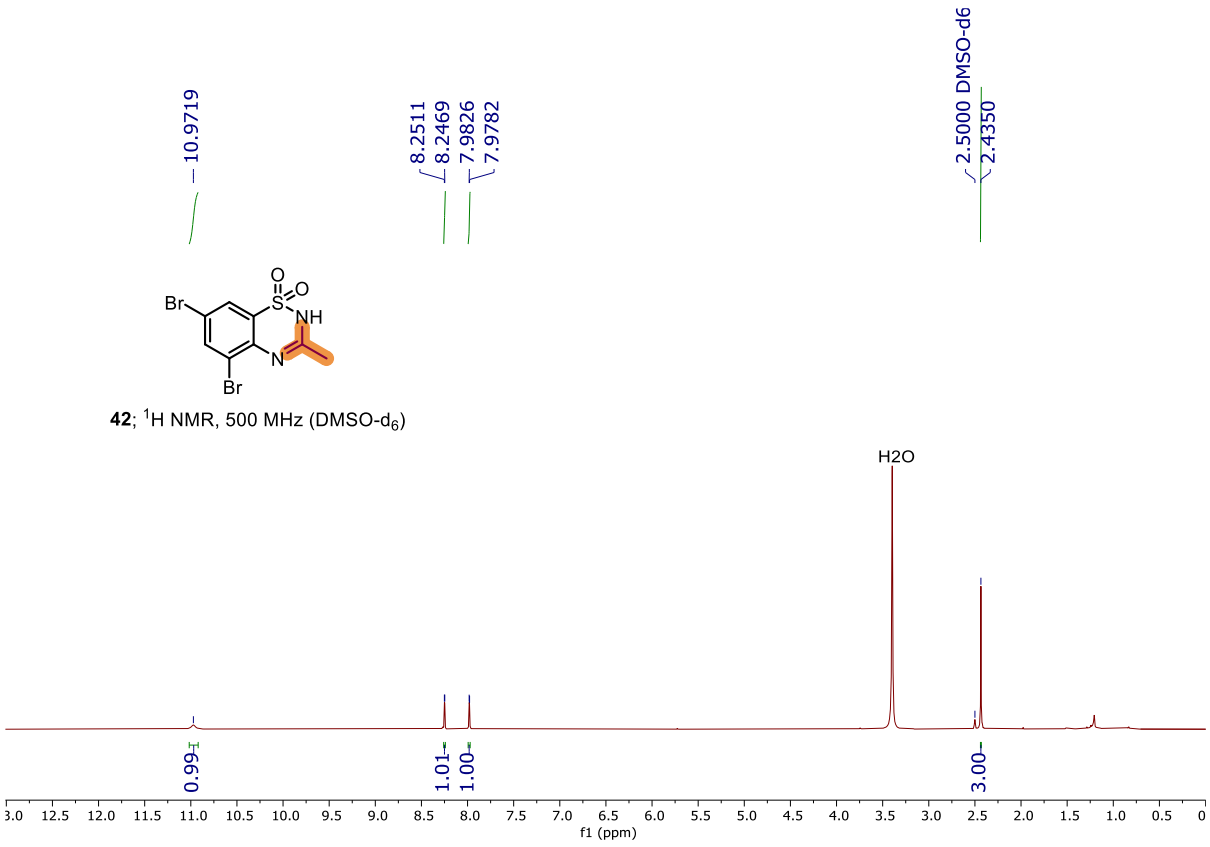
40; $^{13}C\{^{1}H\}$ NMR, 126 MHz (DMSO-d_6)



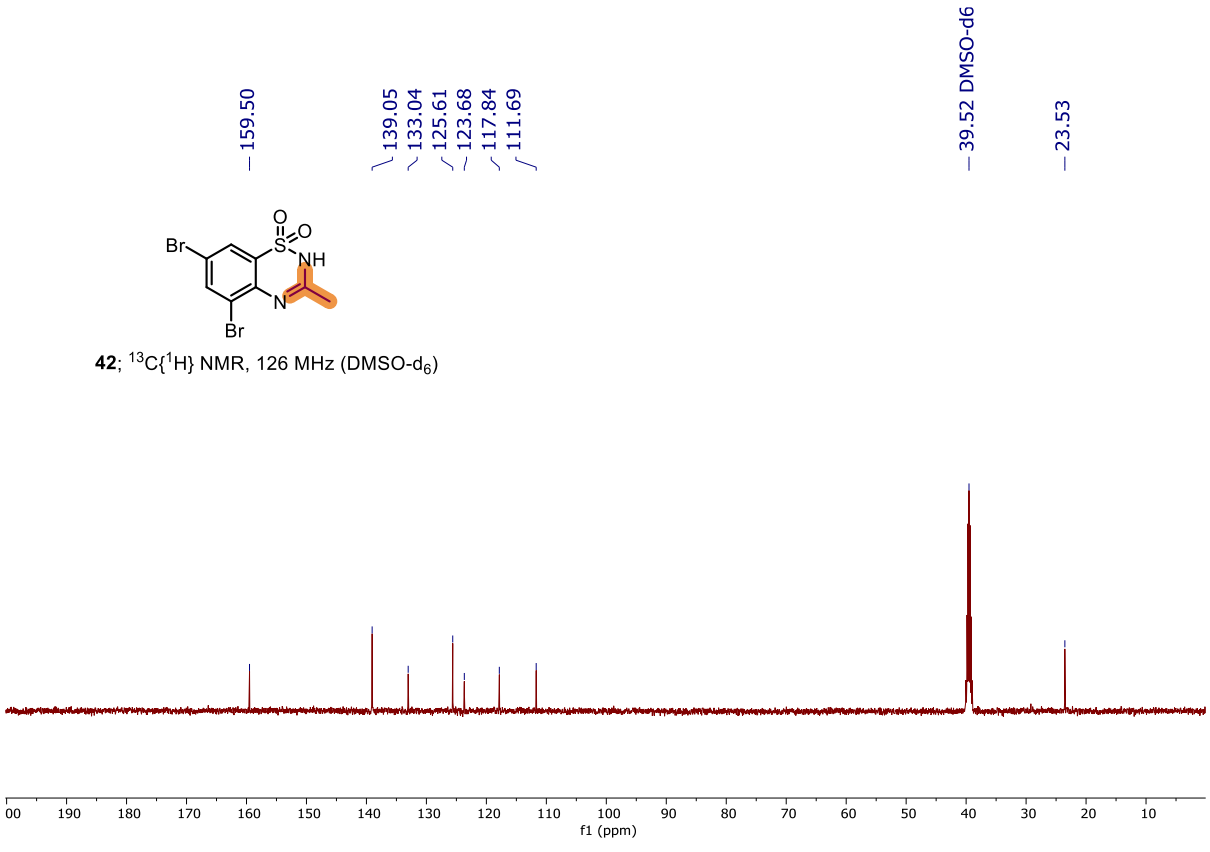
41; ^{1}H NMR, 400 MHz (DMSO-d$_6$)



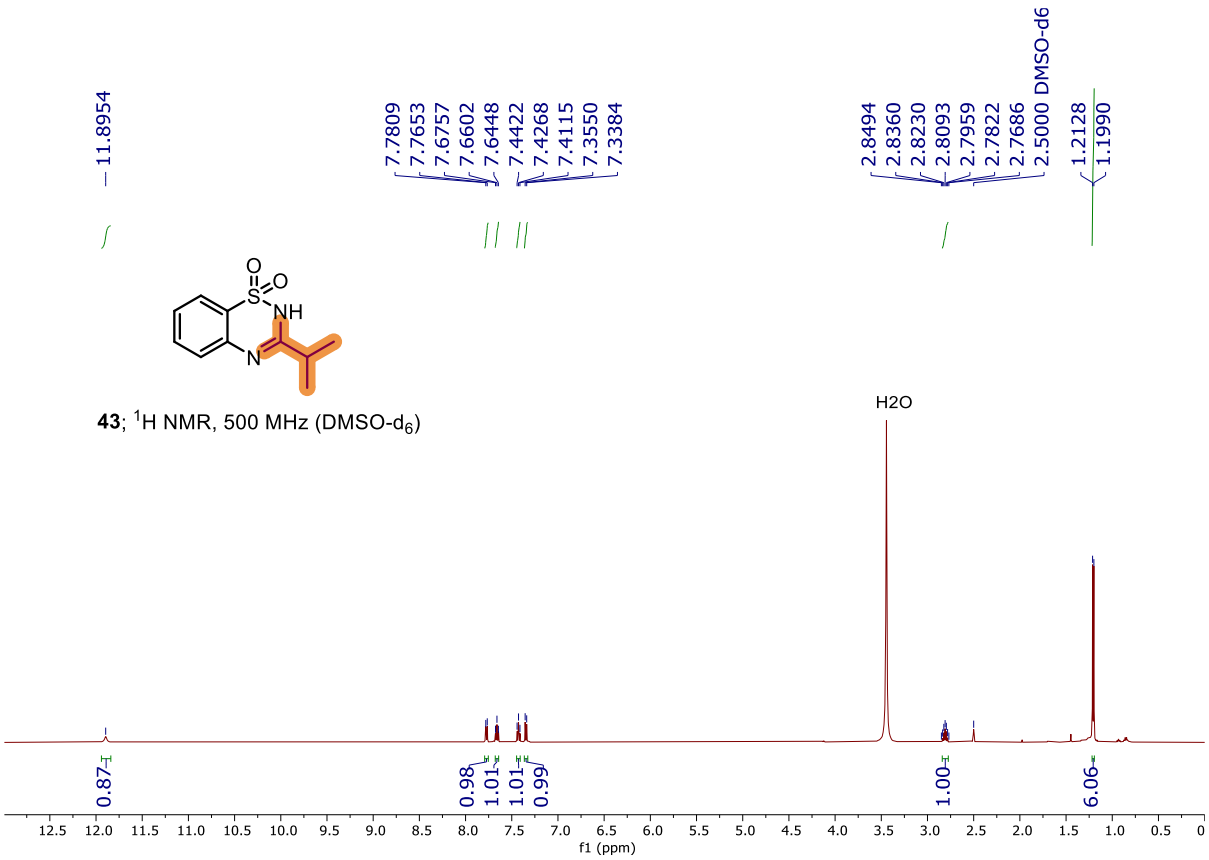
41; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d$_6$)



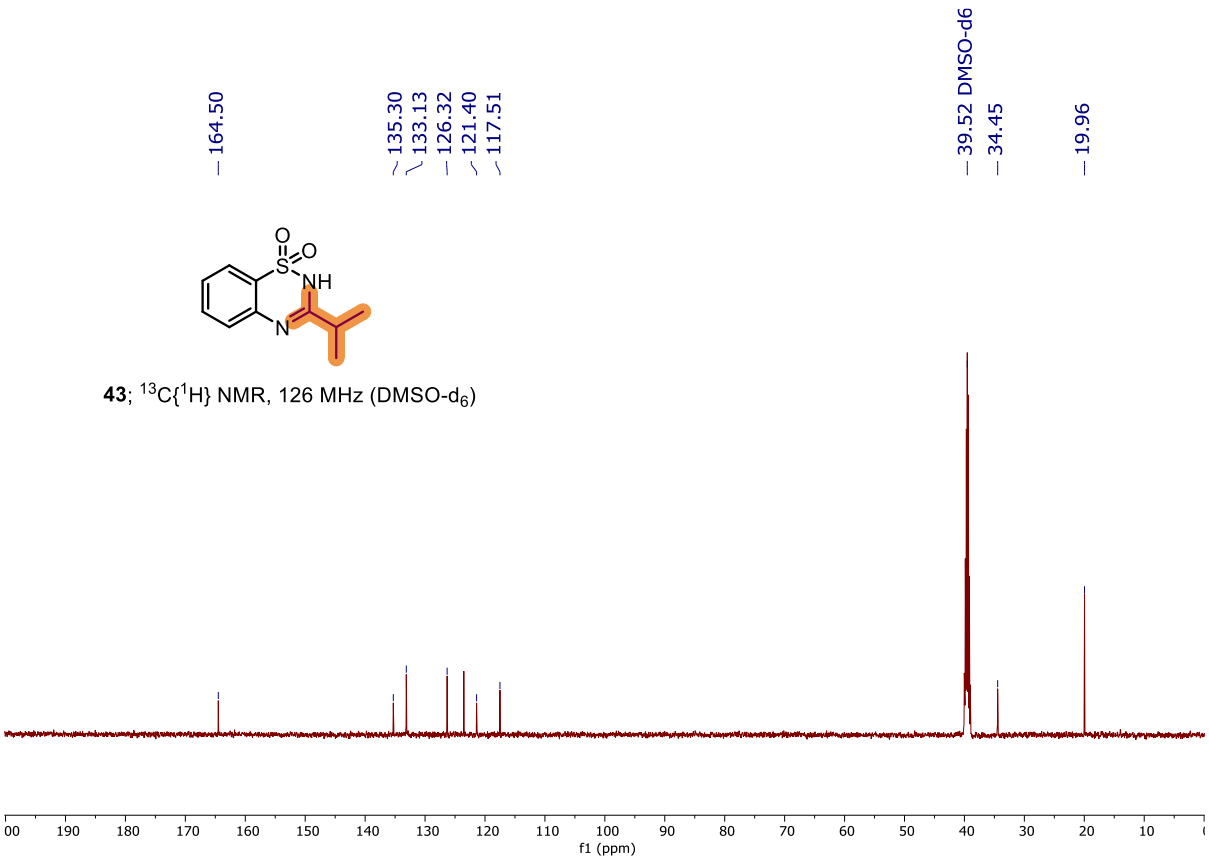
42; ^{1}H NMR, 500 MHz (DMSO-d_6)



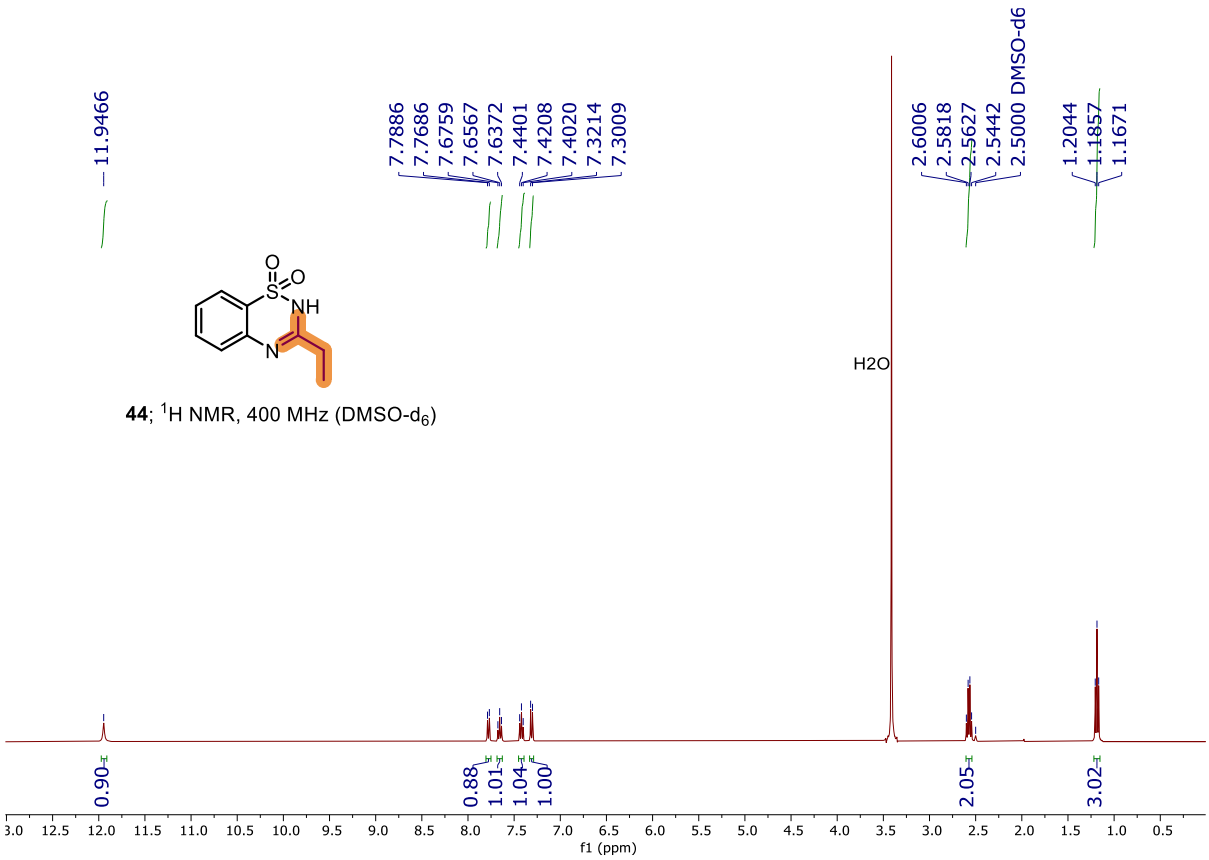
42; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



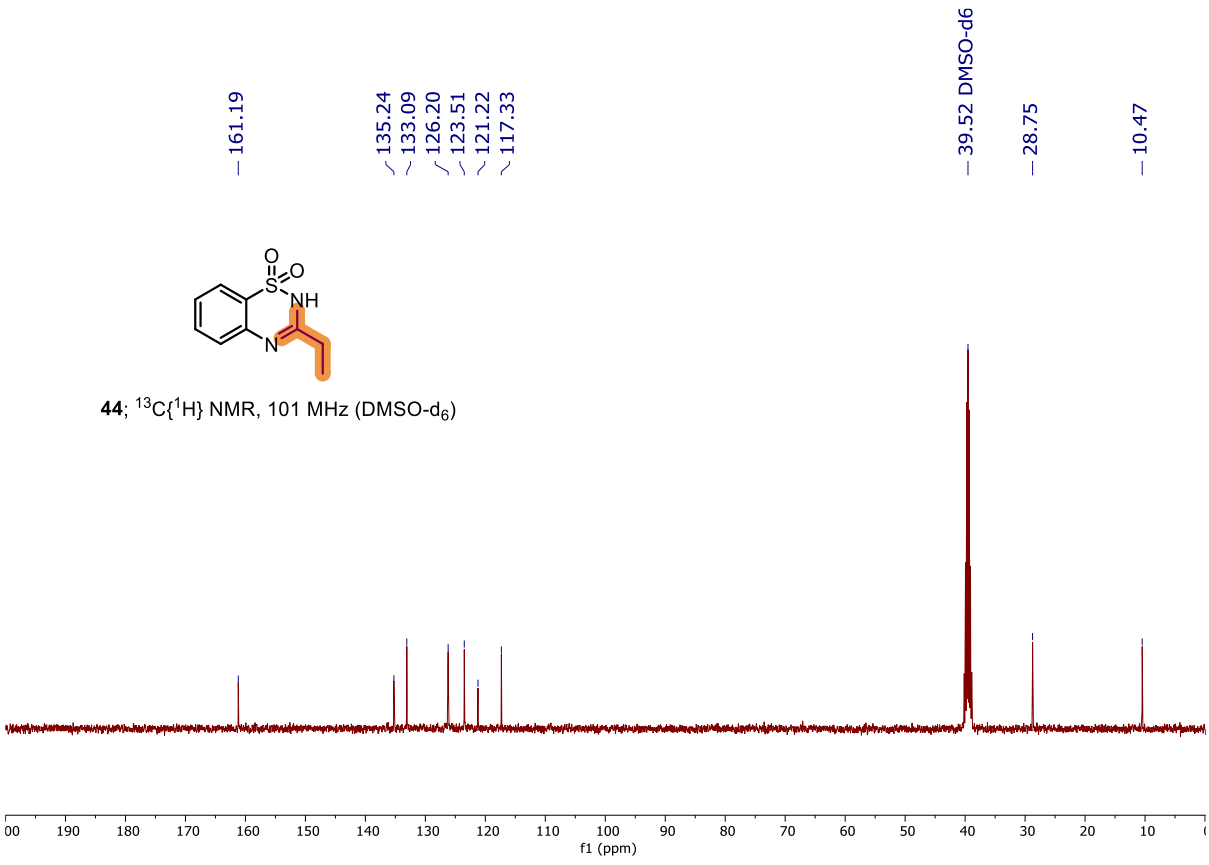
43; ^{1}H NMR, 500 MHz (DMSO-d_6)



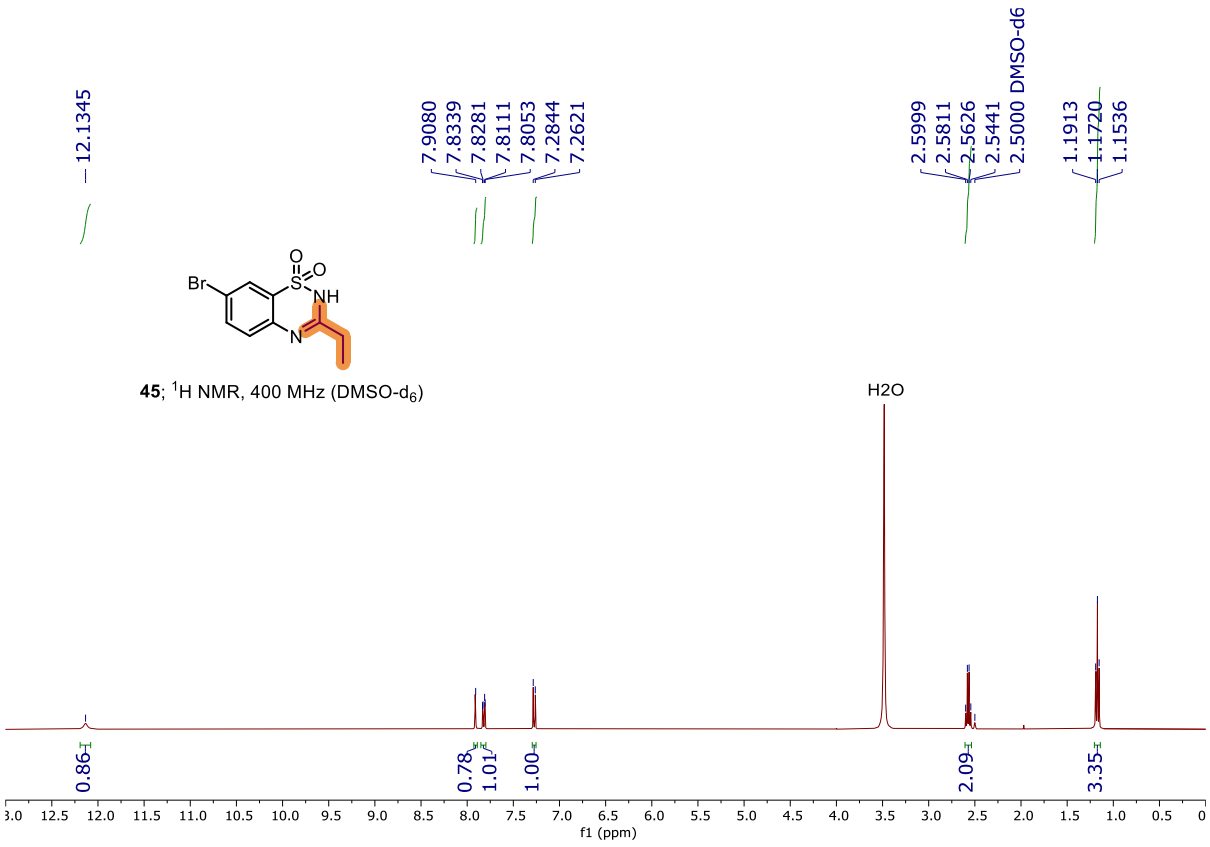
43; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)



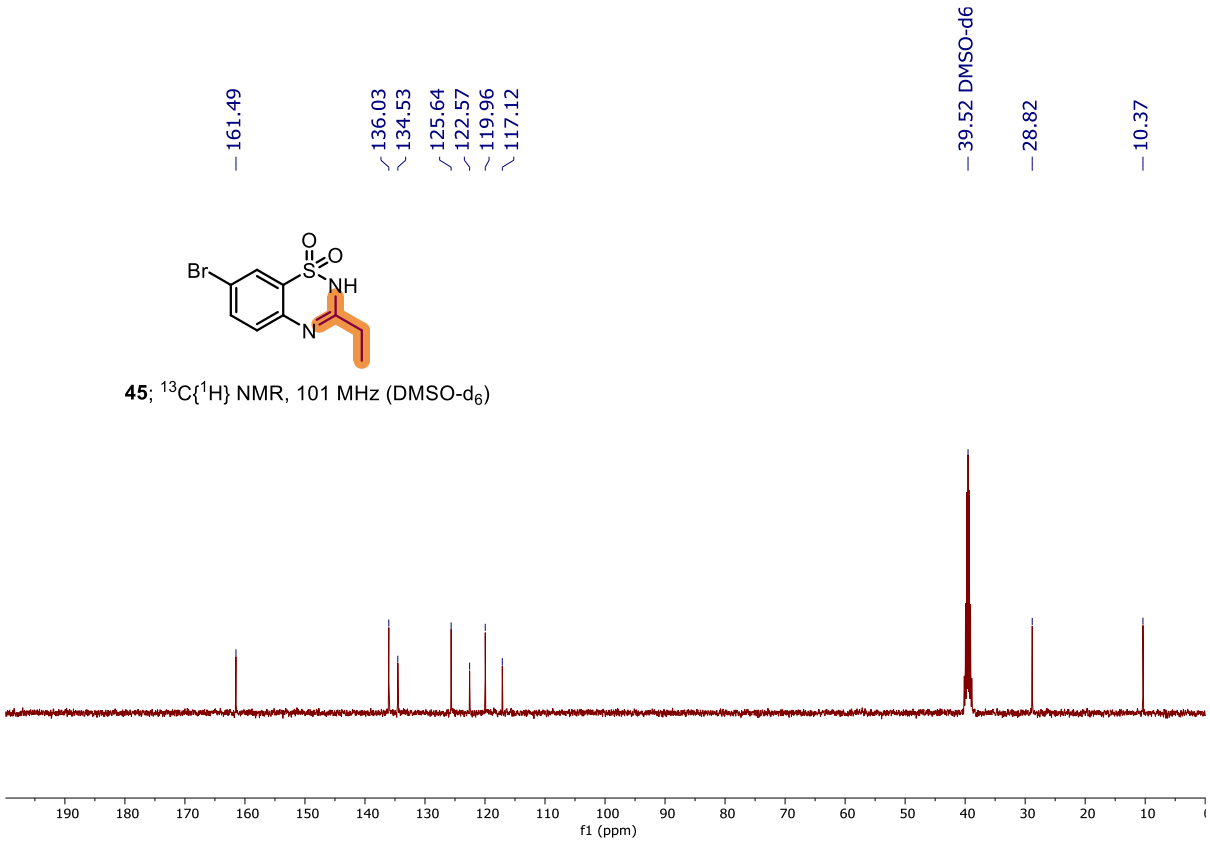
44; ^{1}H NMR, 400 MHz (DMSO-d_6)



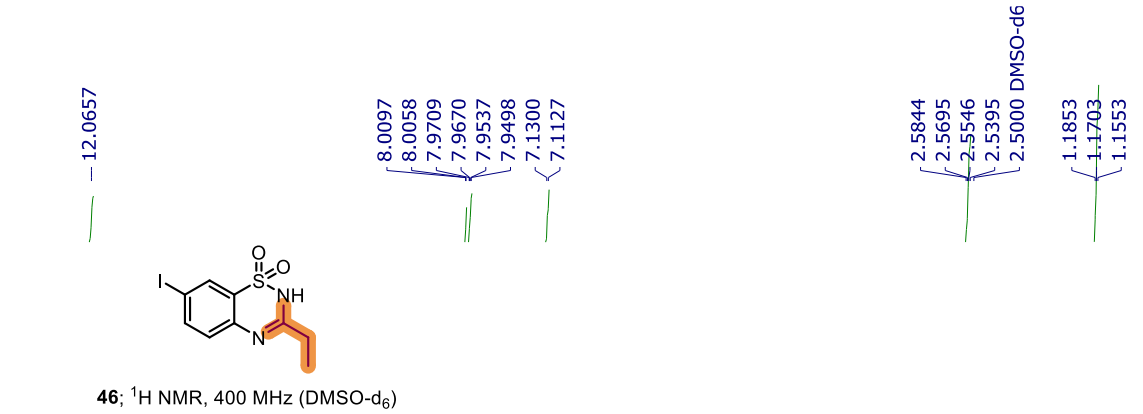
44; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



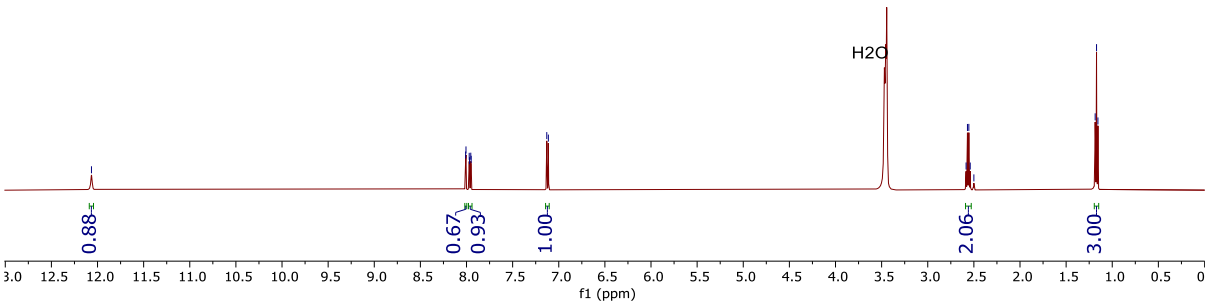
45; ^{1}H NMR, 400 MHz (DMSO-d_6)

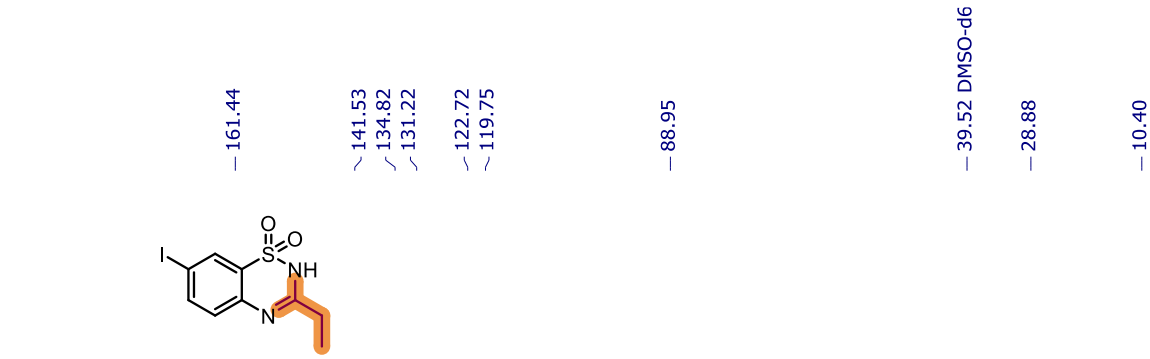


45; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)

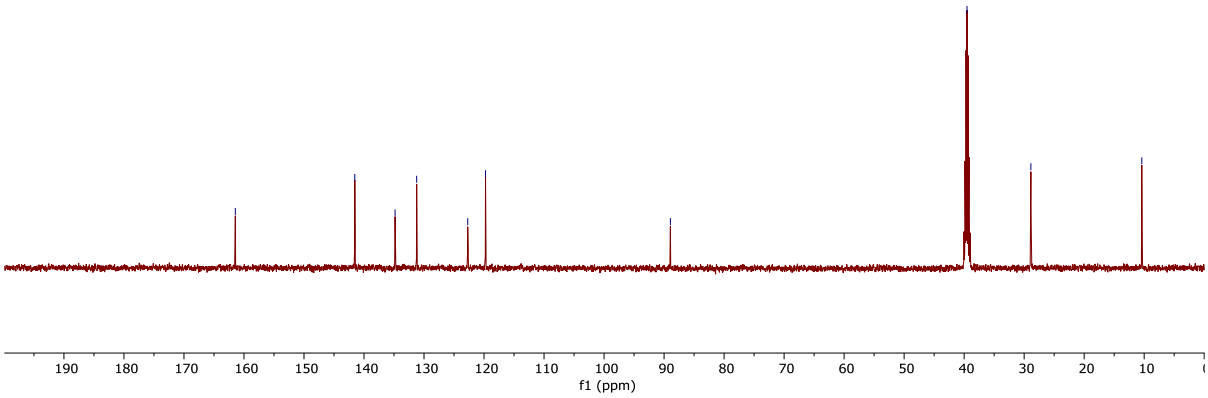


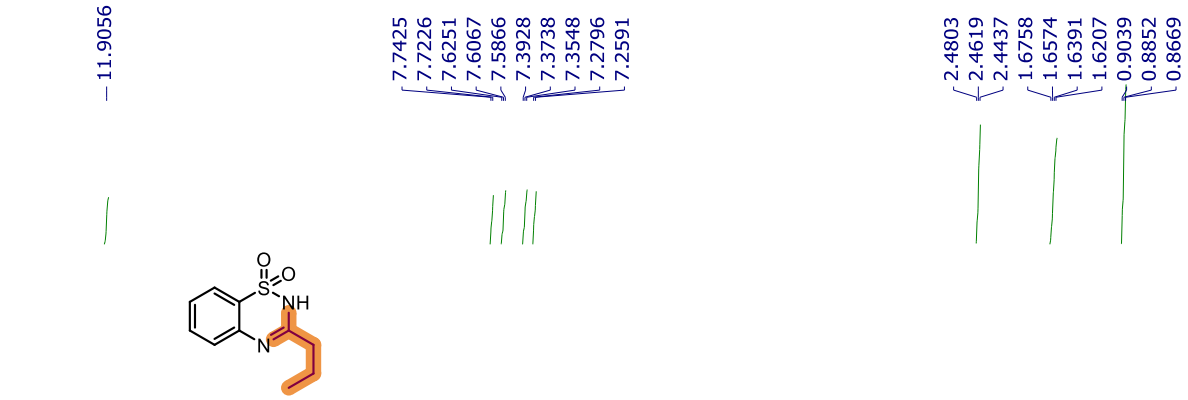
46; ^{1}H NMR, 400 MHz (DMSO-d_6)



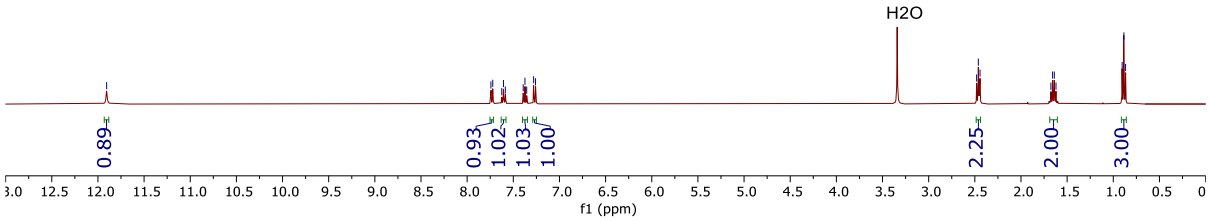


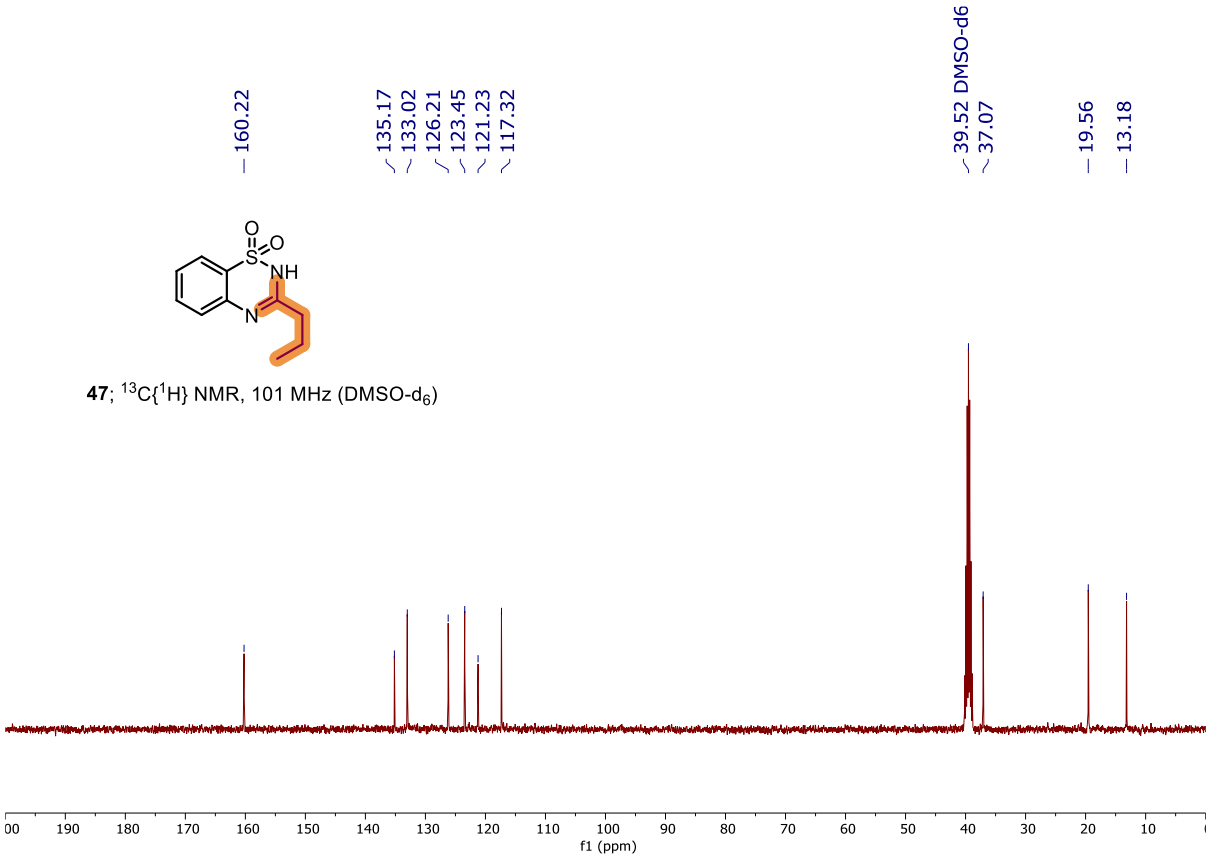
46; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



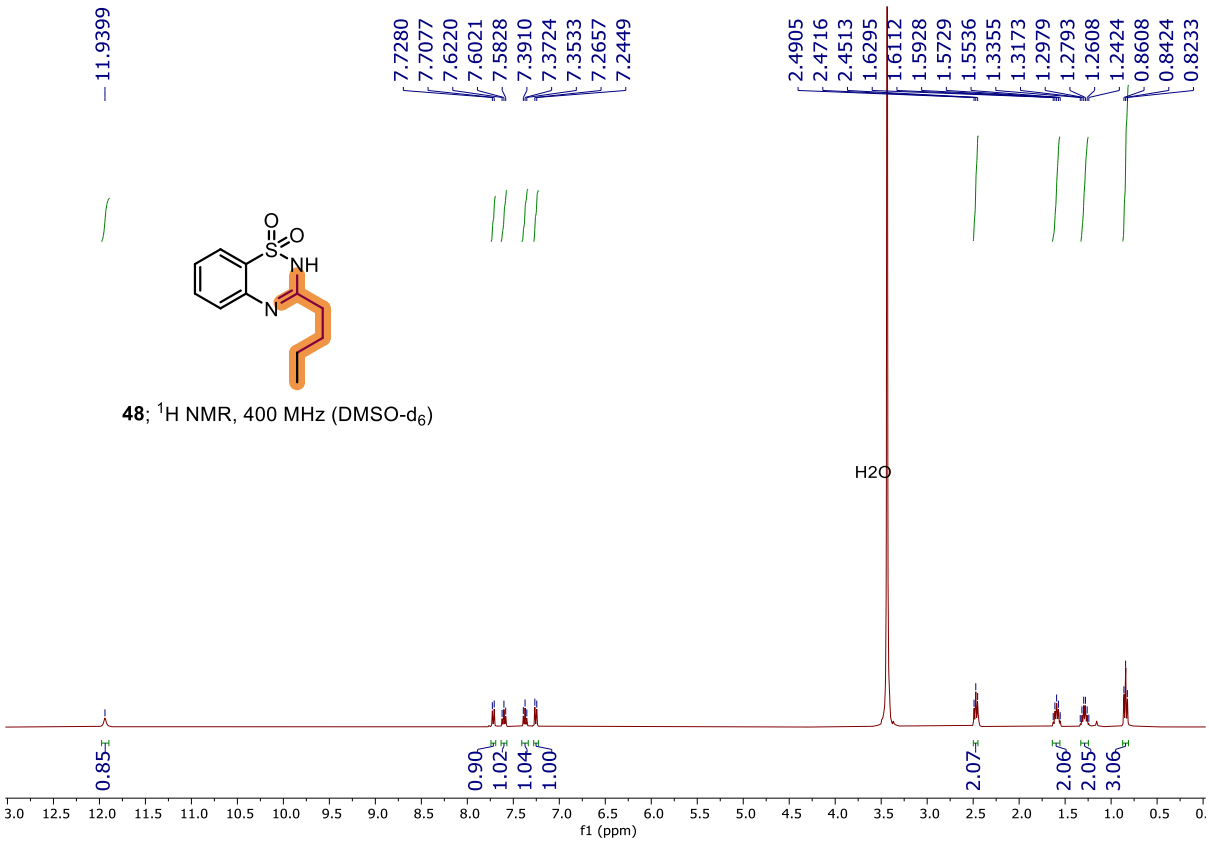


47; ^{1}H NMR, 400 MHz (DMSO-d_6)

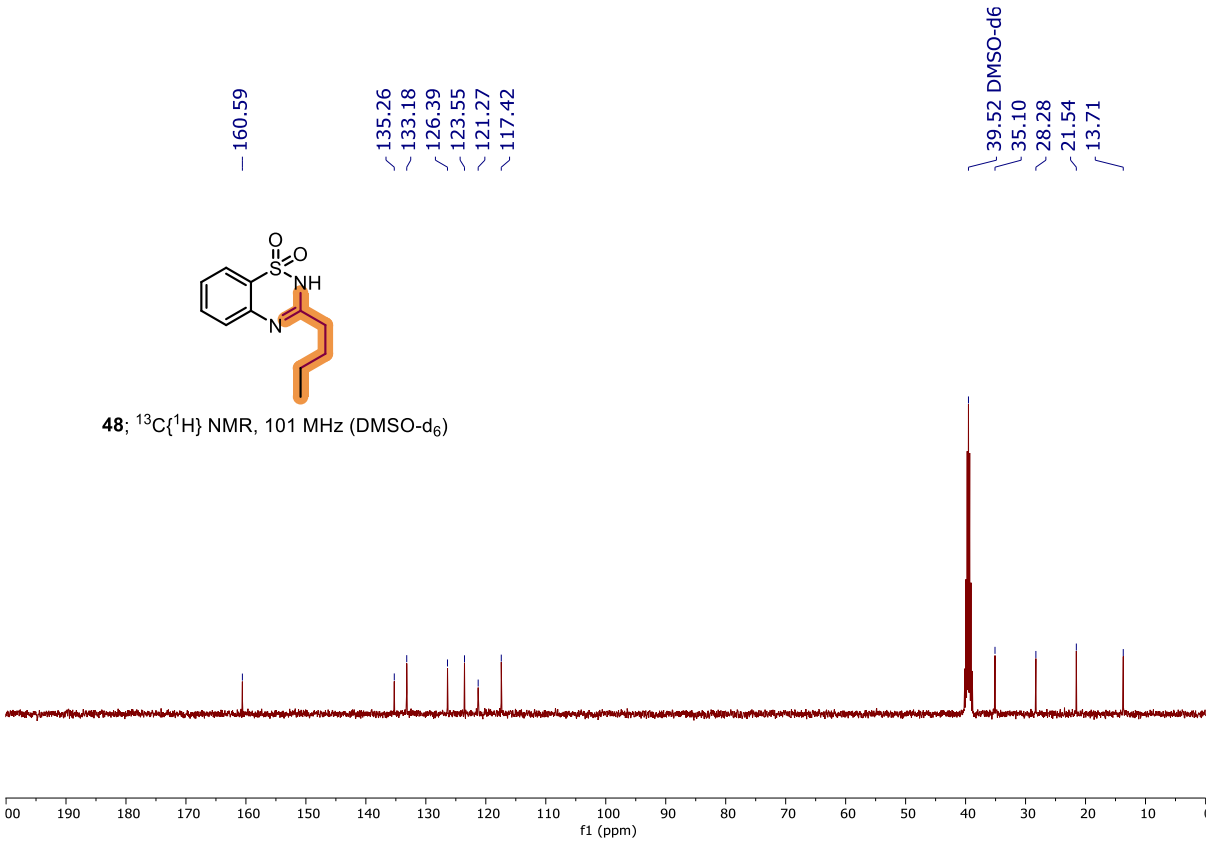




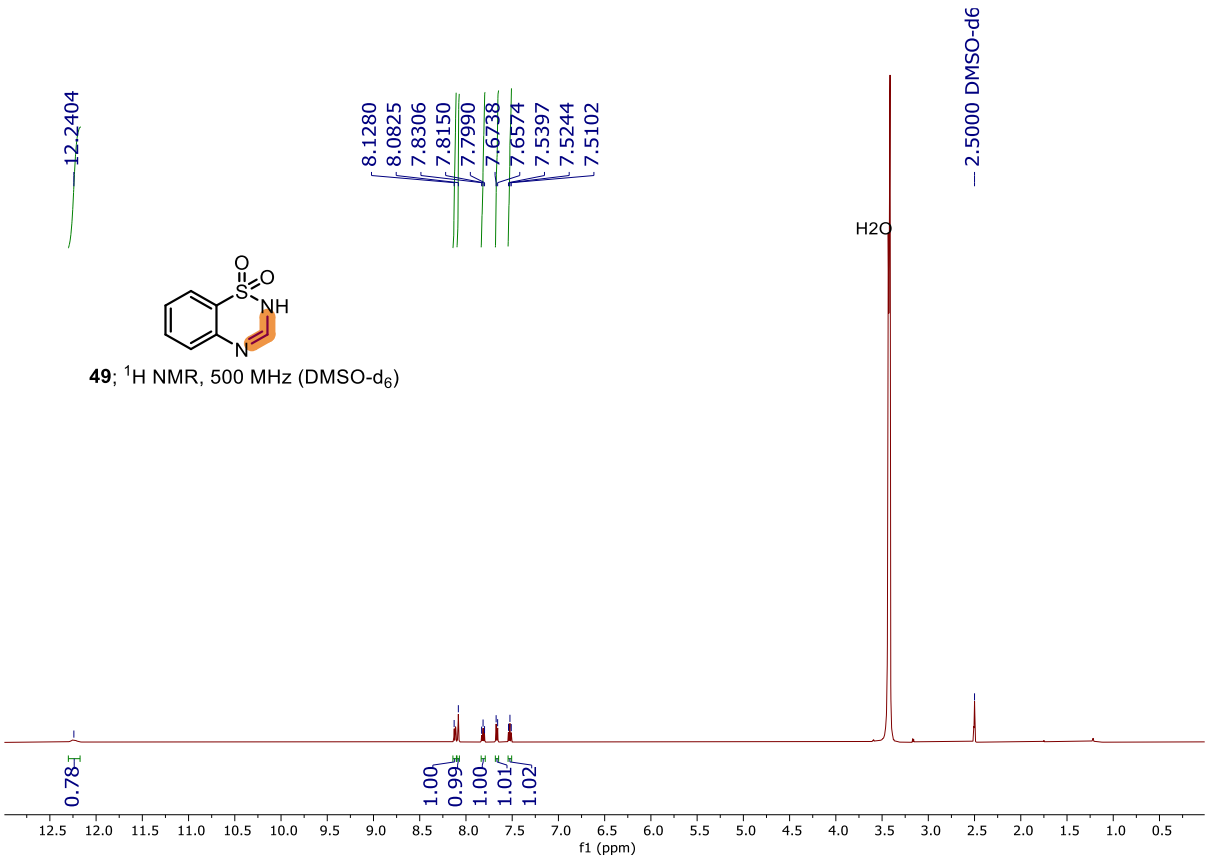
47; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)



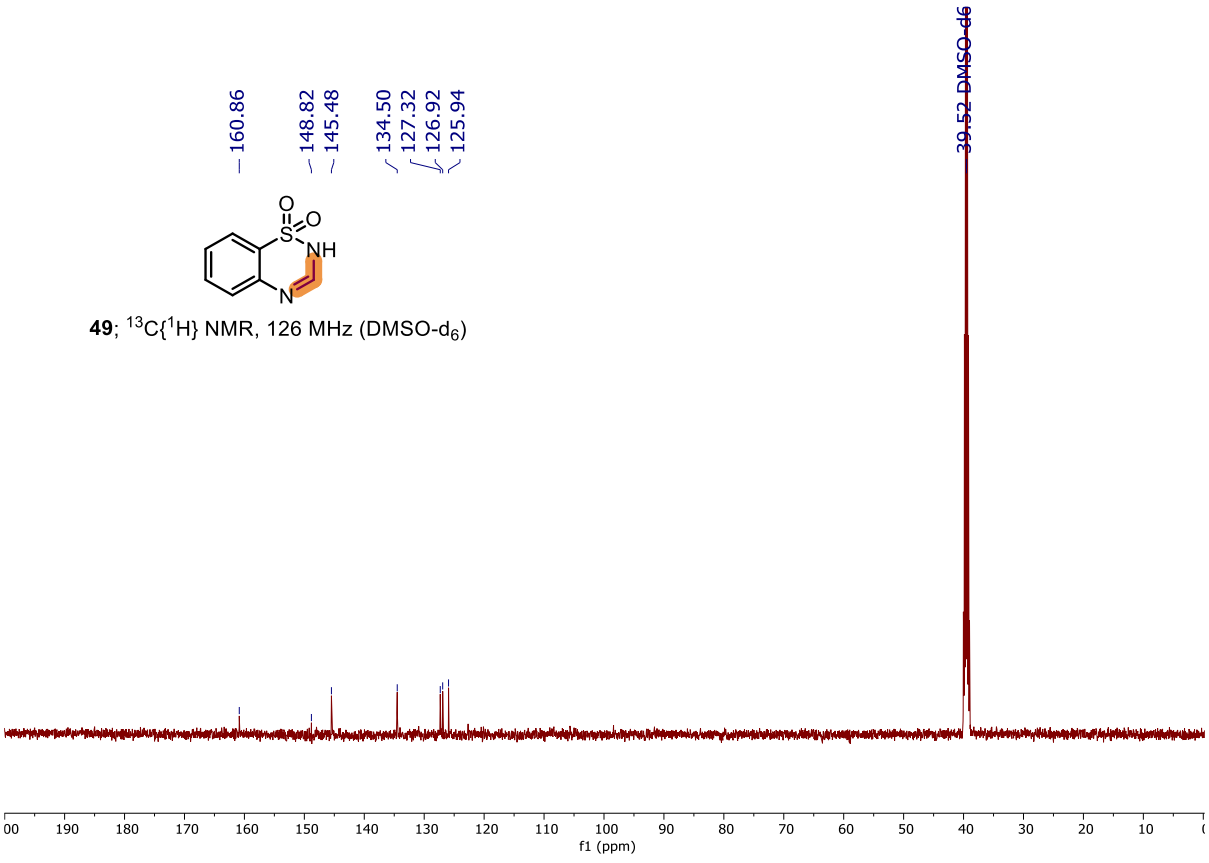
48; ^{1}H NMR, 400 MHz (DMSO-d_6)



48; ^{13}C{^{1}H} NMR, 101 MHz (DMSO-d_6)

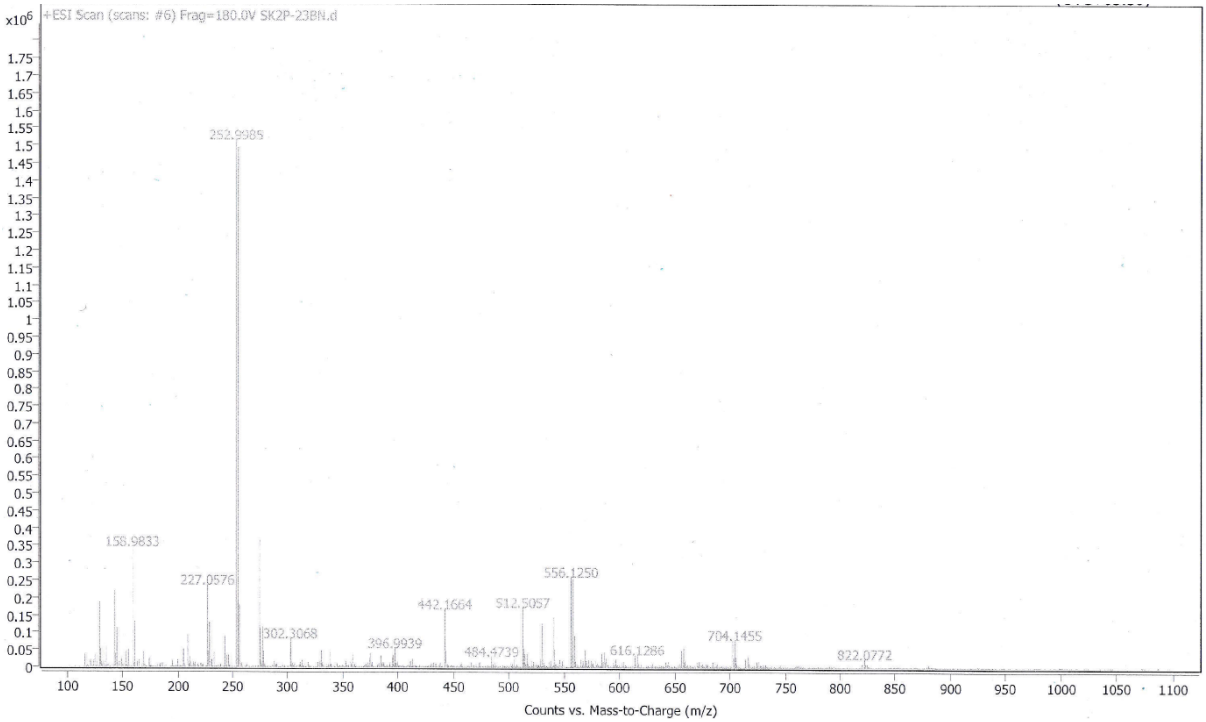


49; ^{1}H NMR, 500 MHz (DMSO-d_6)

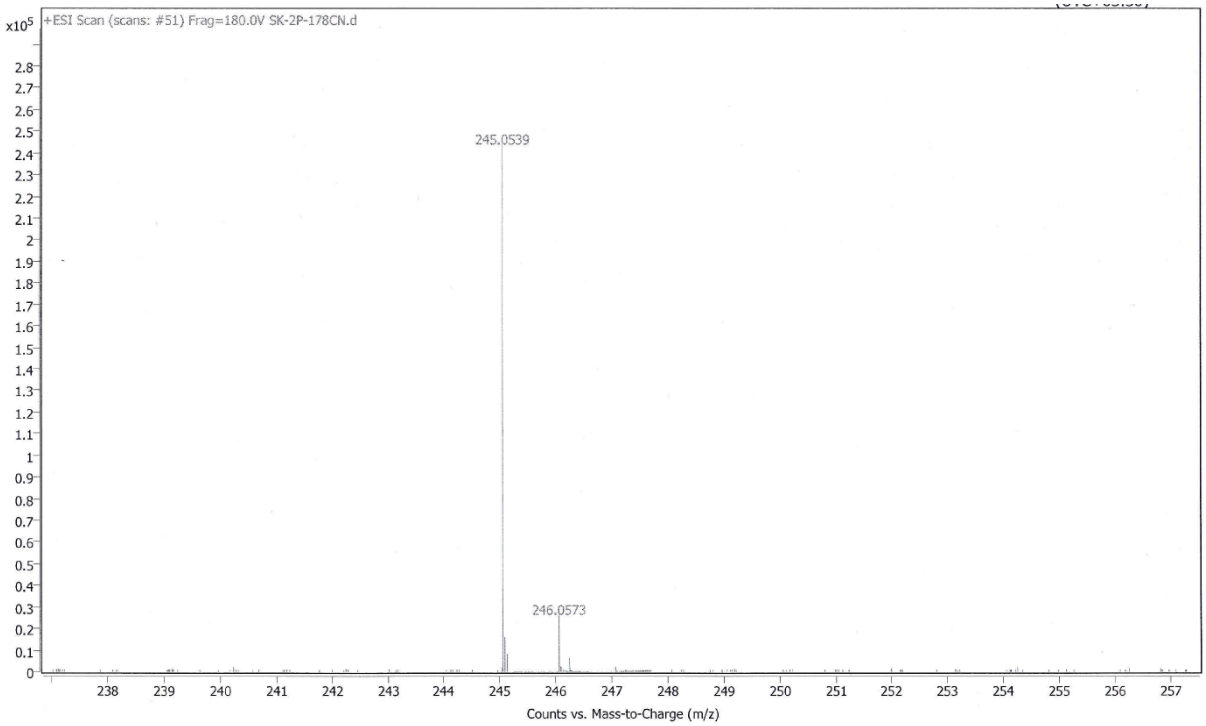


49; ^{13}C{^{1}H} NMR, 126 MHz (DMSO-d_6)

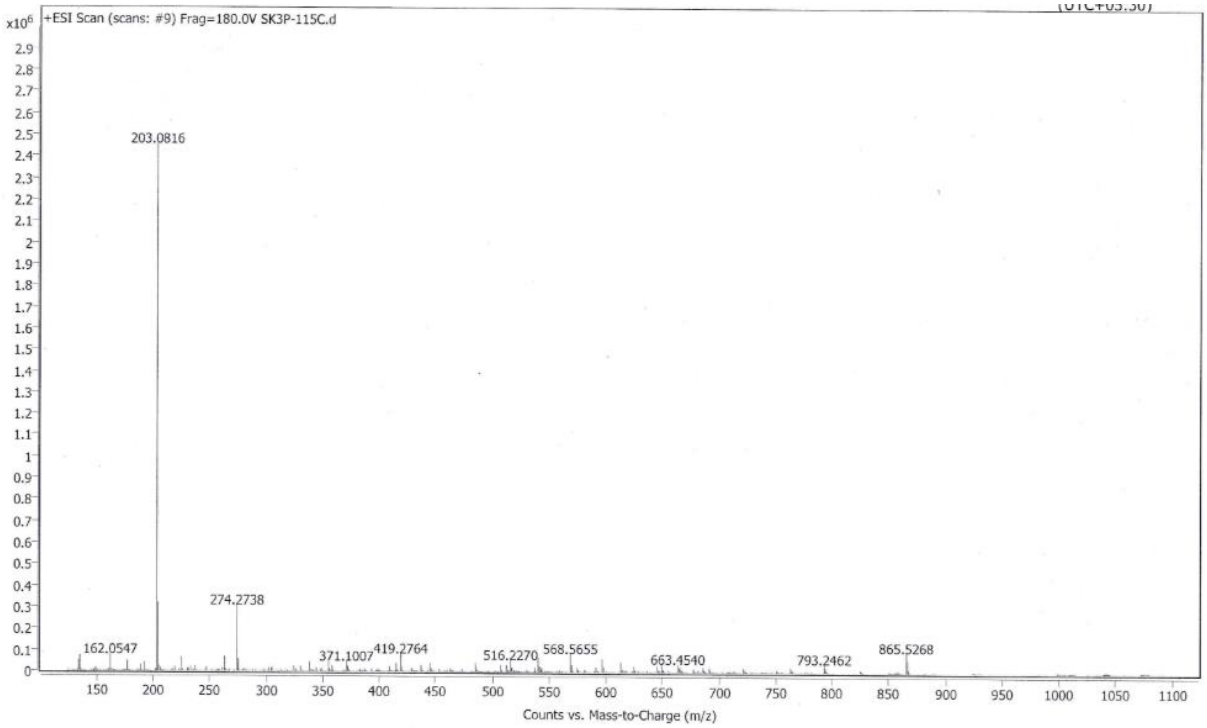
8. HRMS Spectra of the Newly Reported Compounds



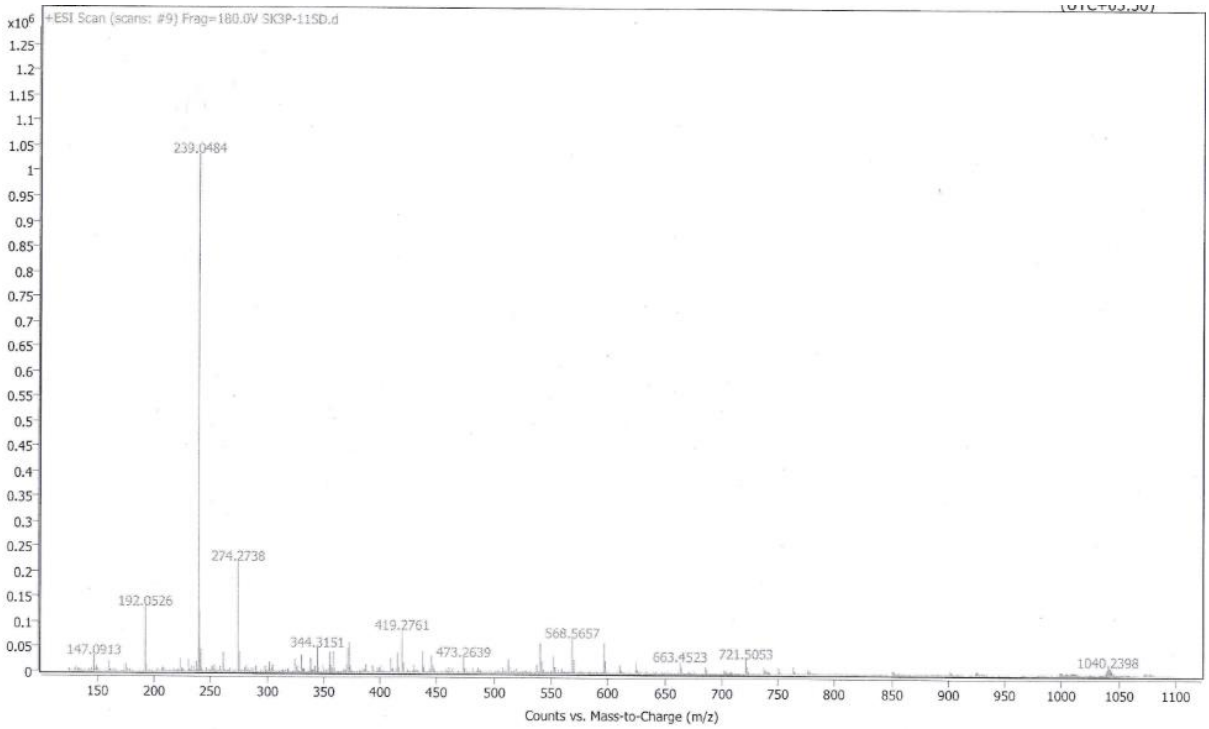
HRMS data of compound 7



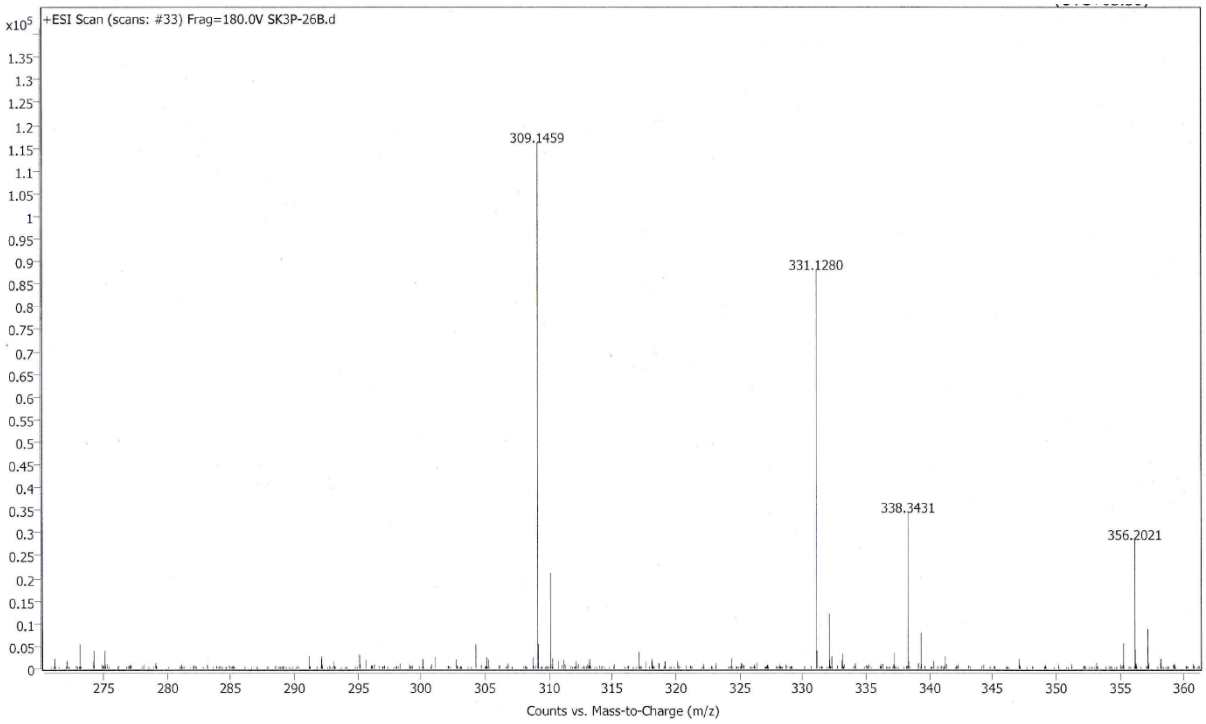
HRMS data of compound 10



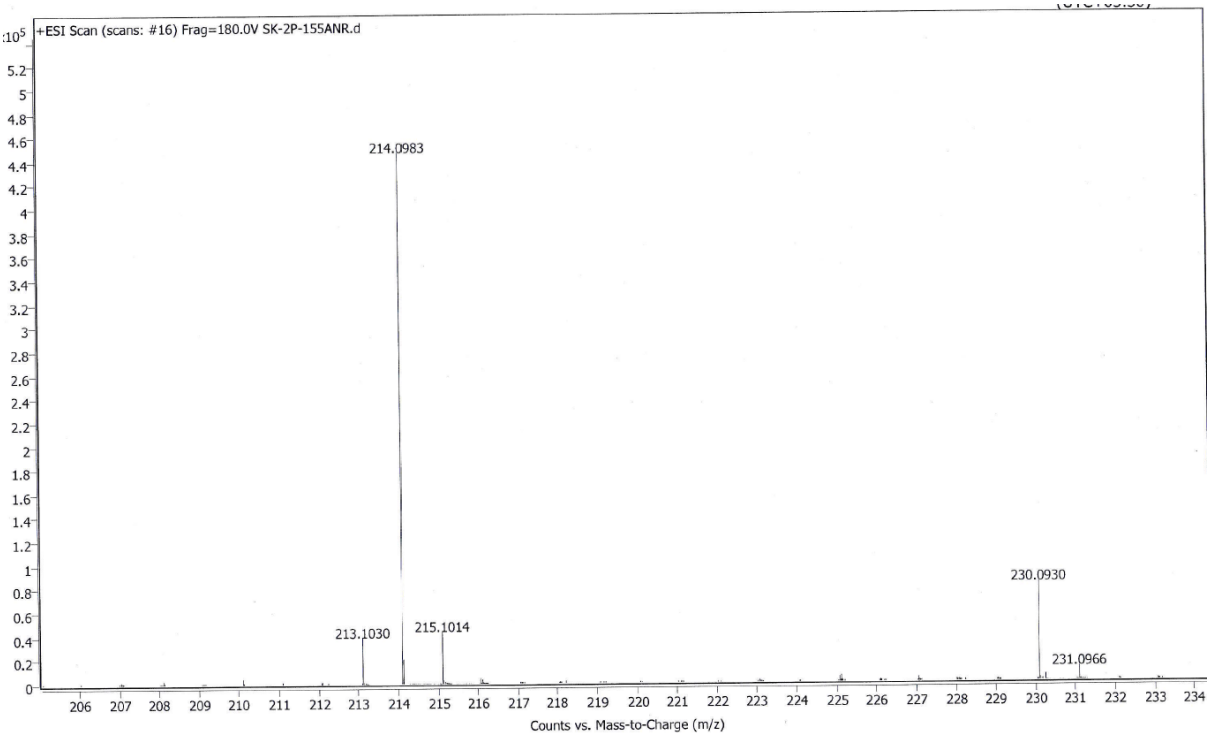
HRMS data of compound 11



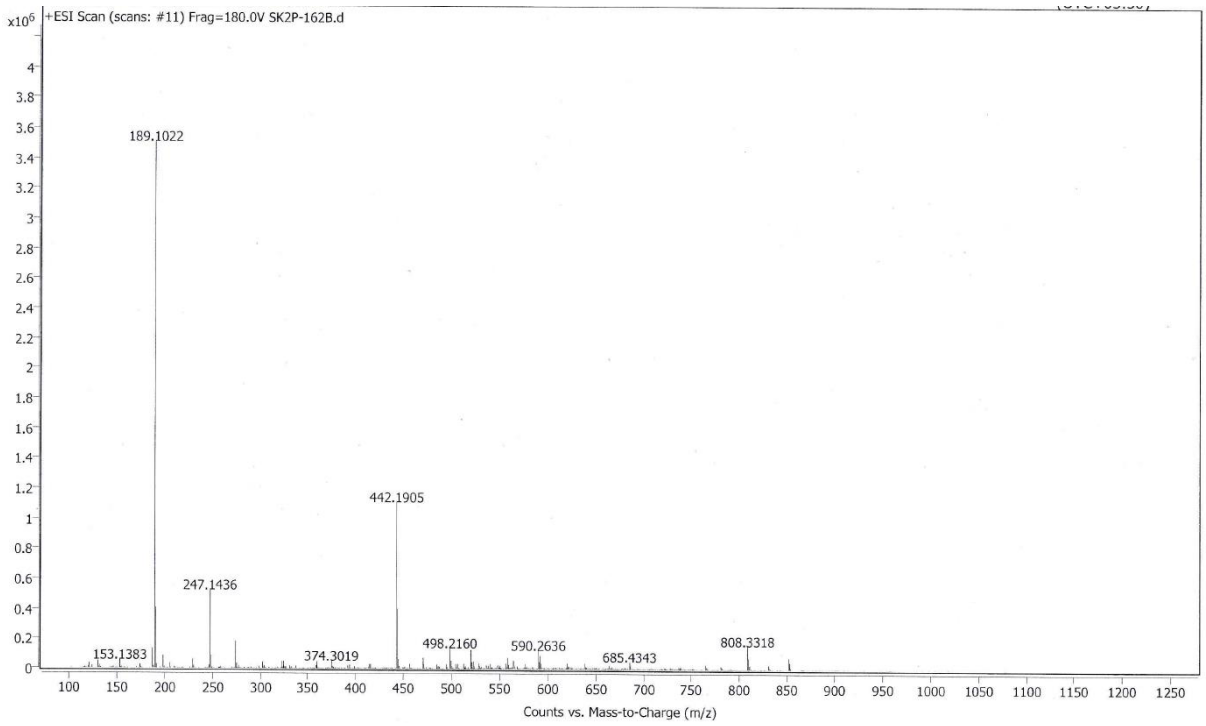
HRMS data of compound 12



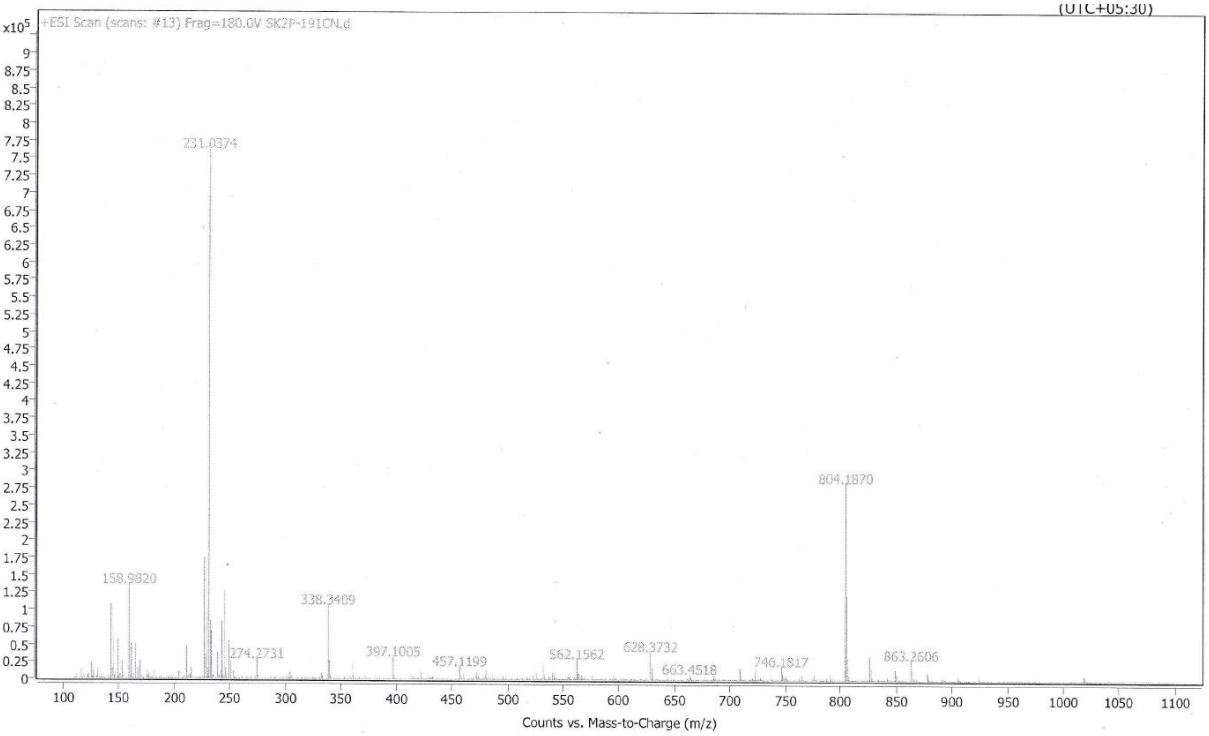
HRMS data of compound 13



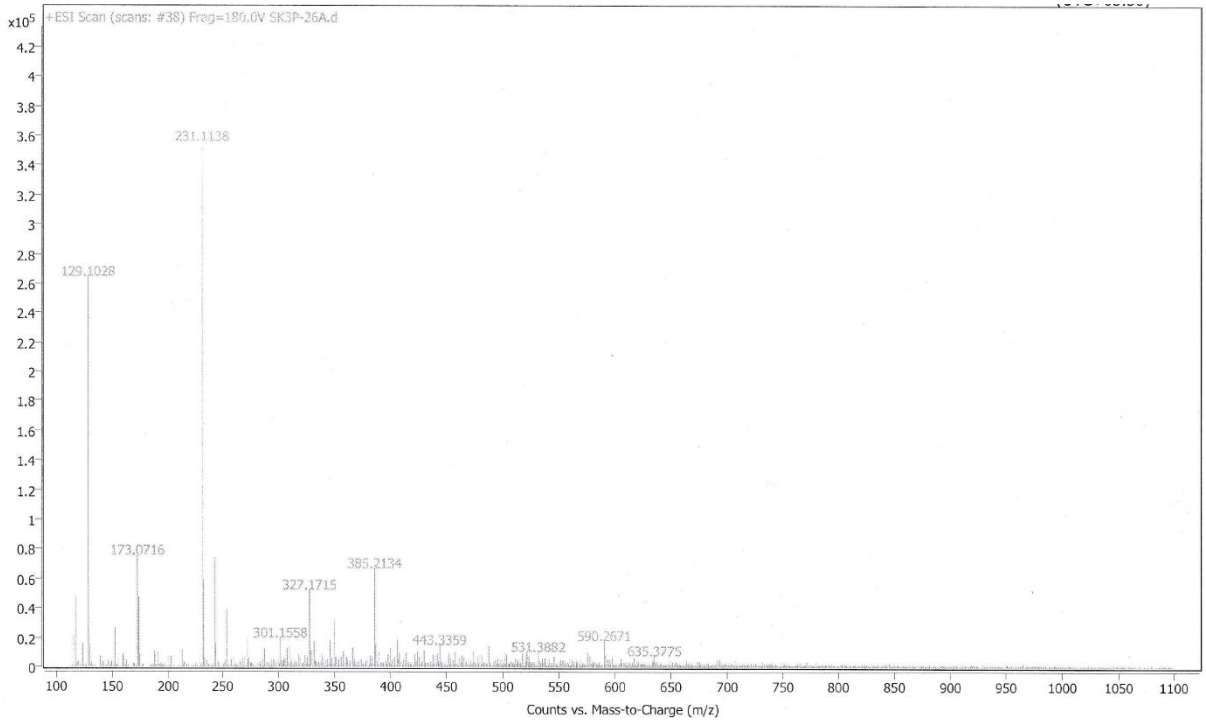
HRMS data of compound 15



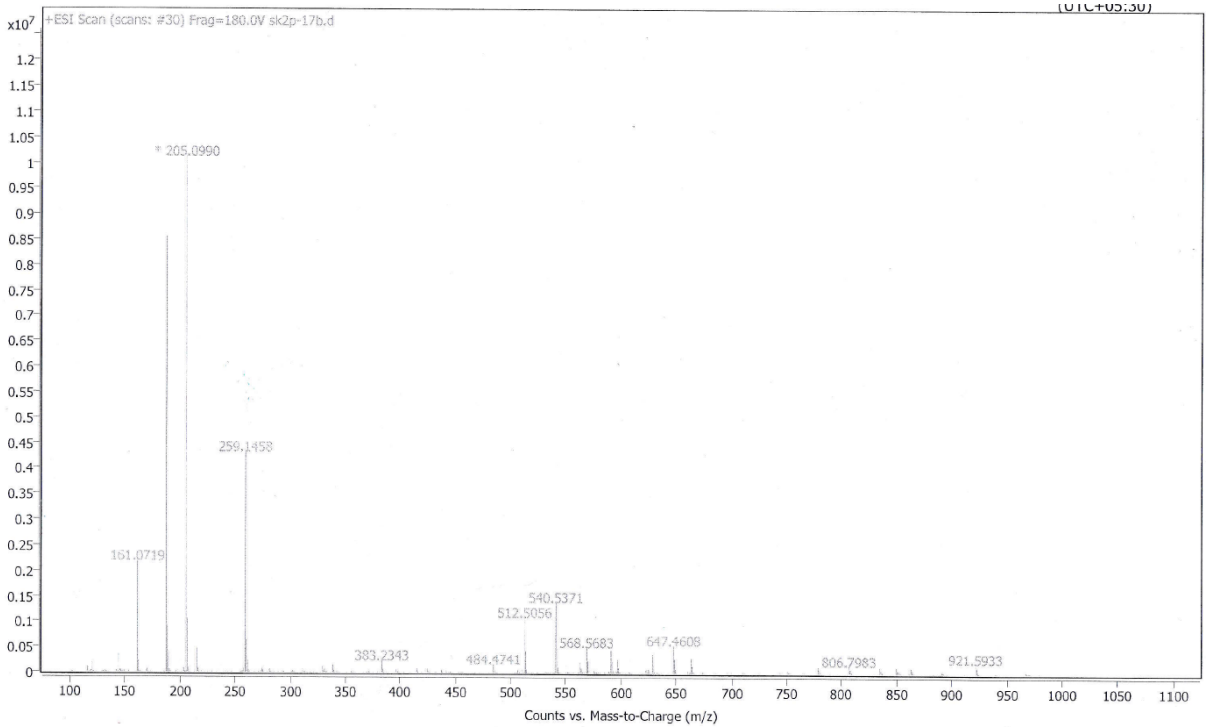
HRMS data of compound 22



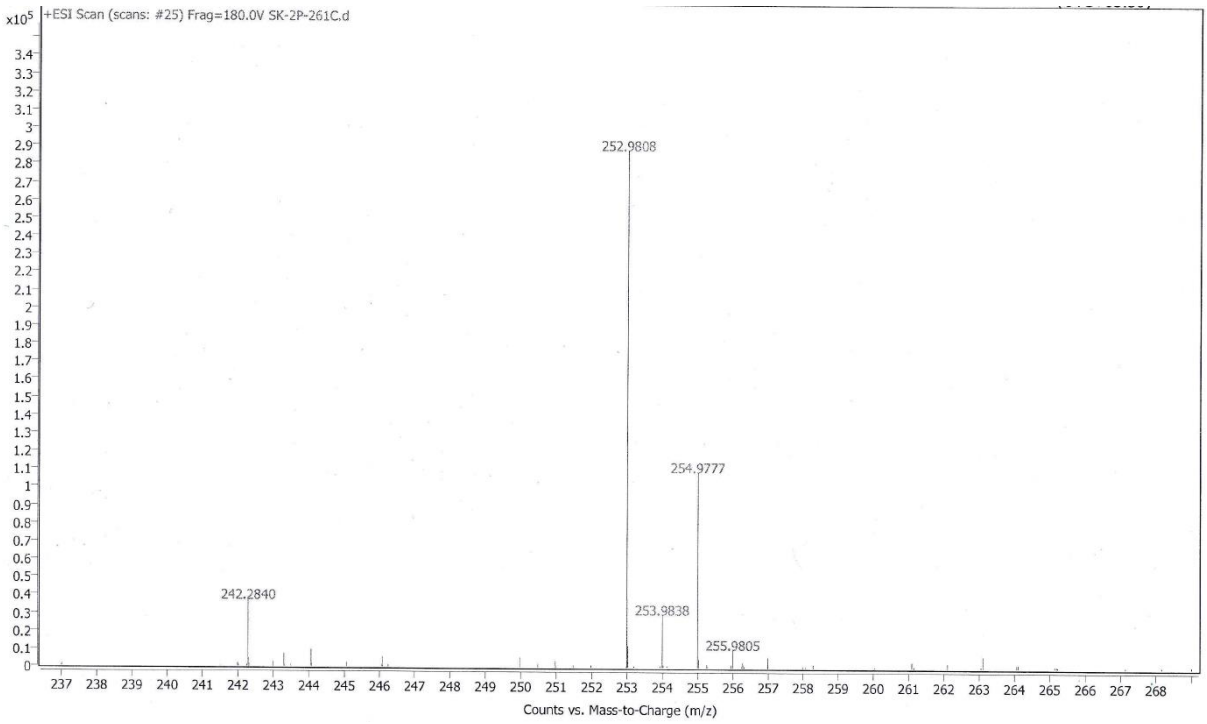
HRMS data of compound 33



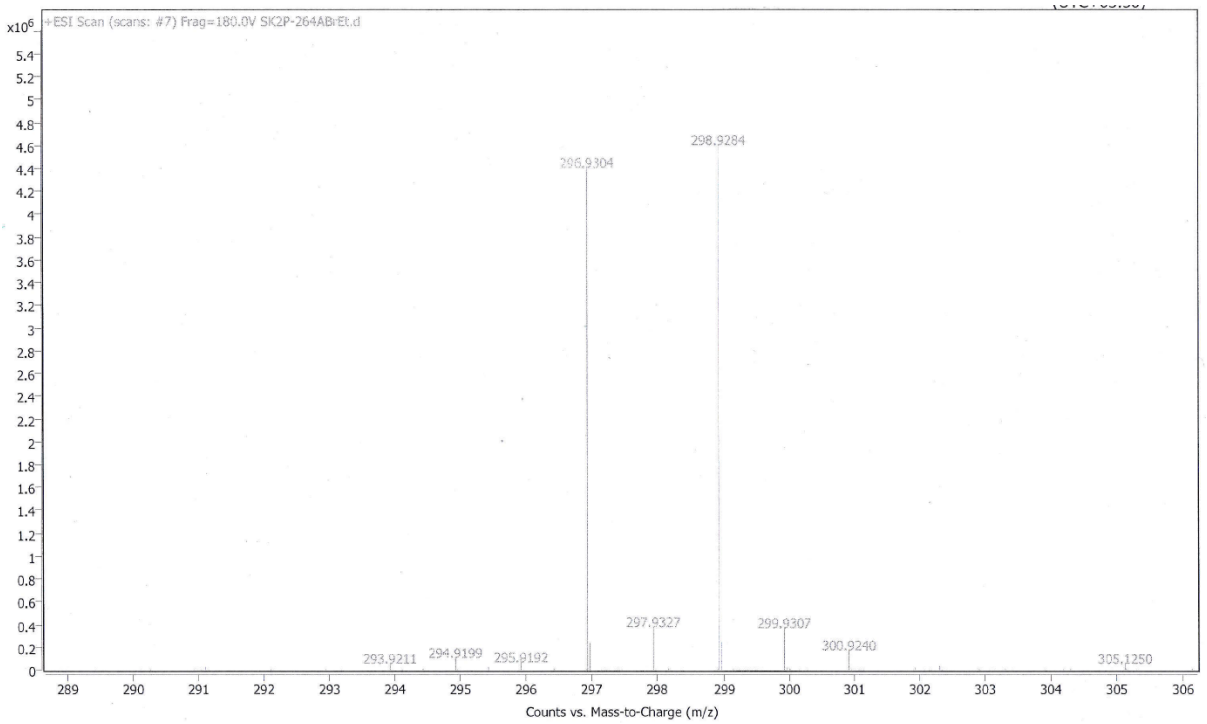
HRMS data of compound 35



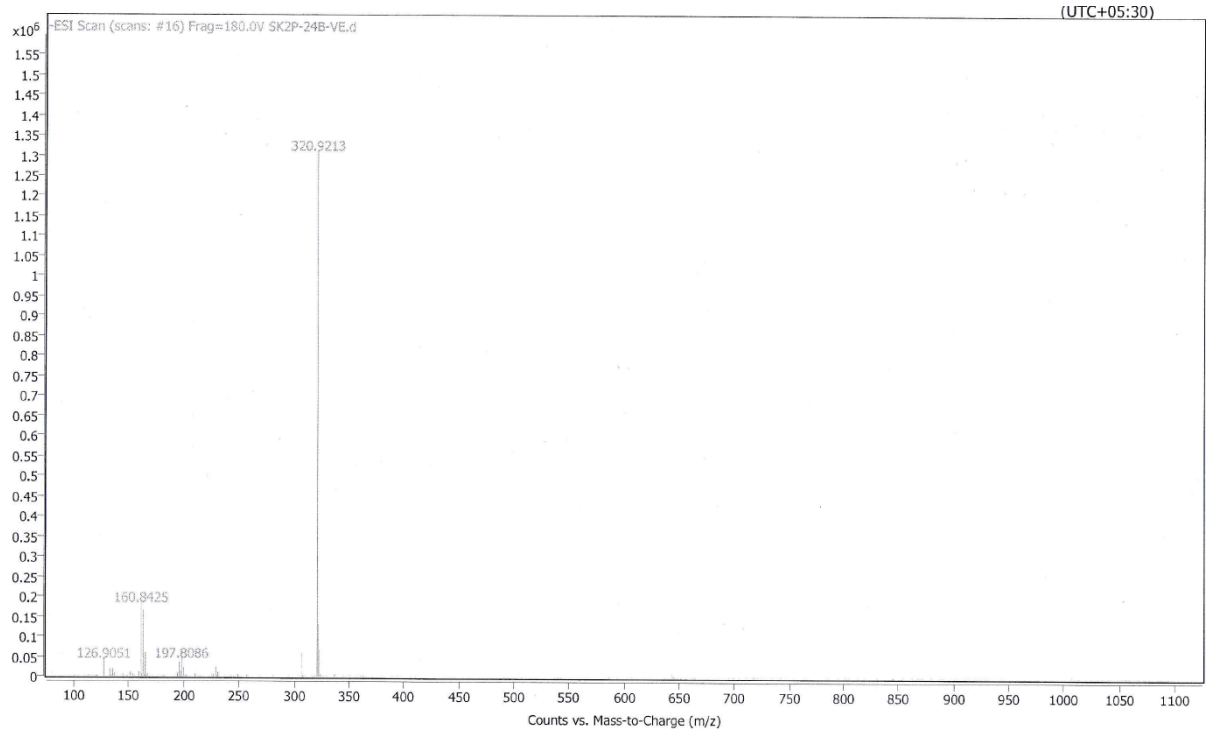
HRMS data of compound 36



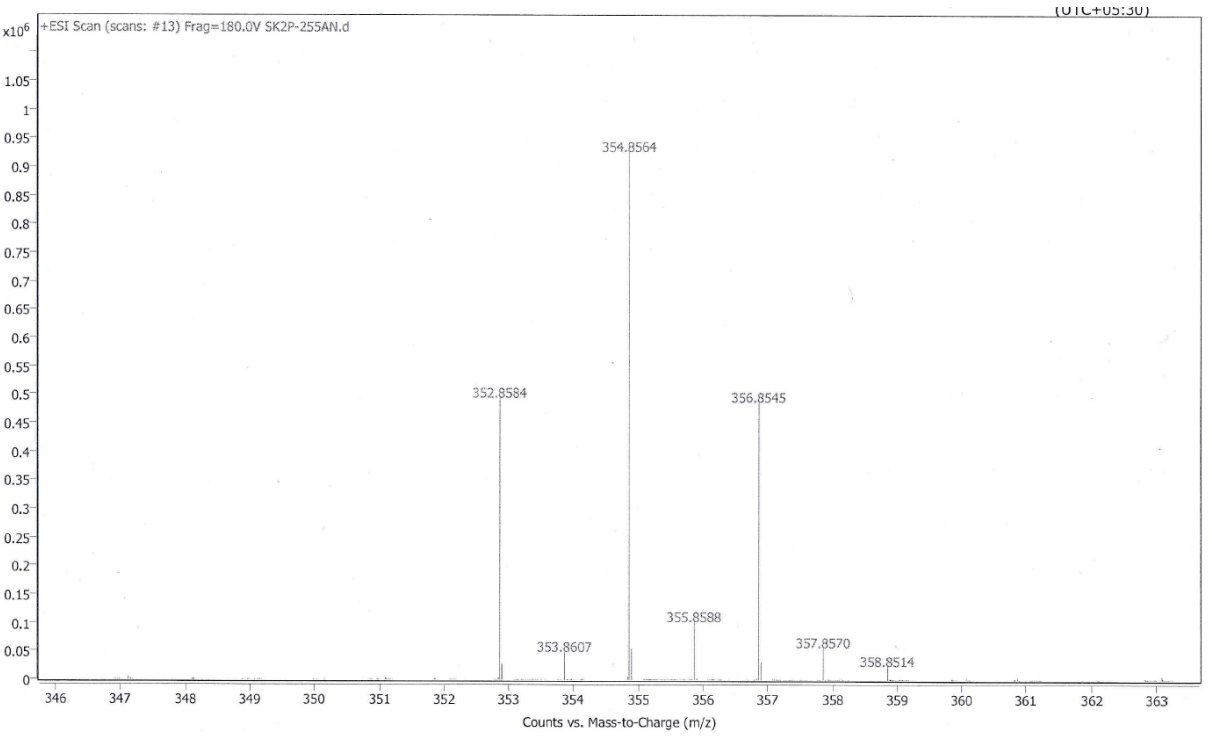
HRMS data of compound 39



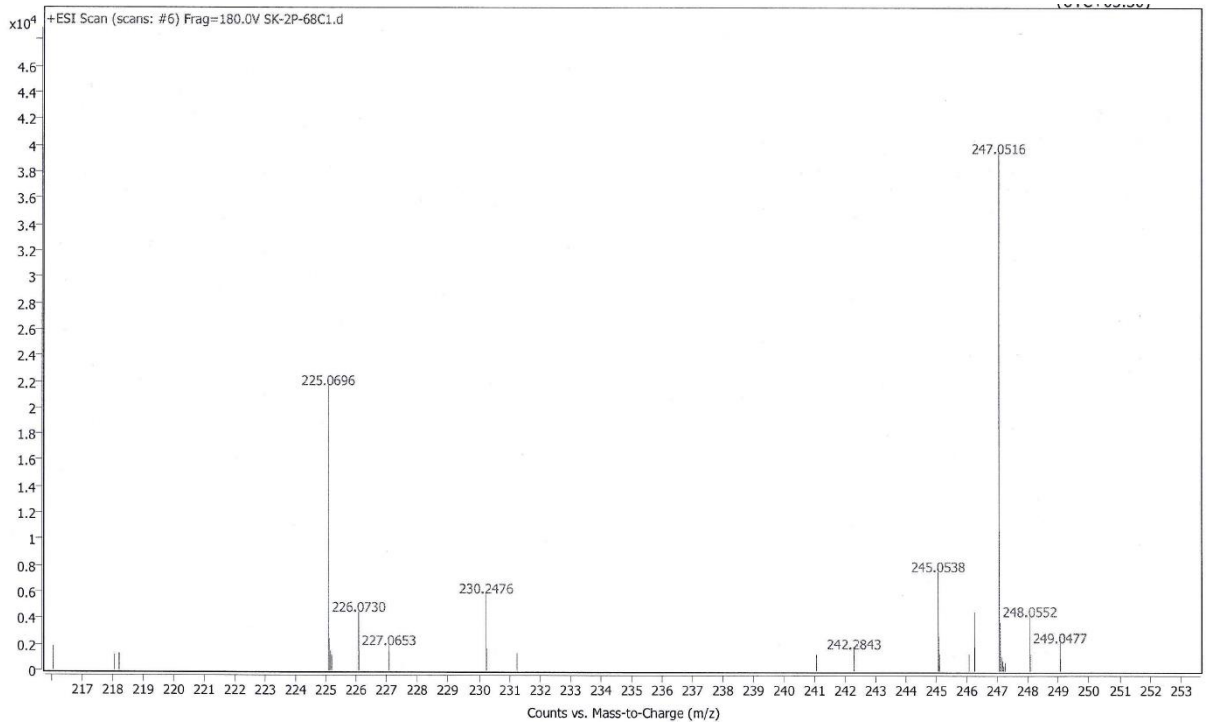
HRMS data of compound 40



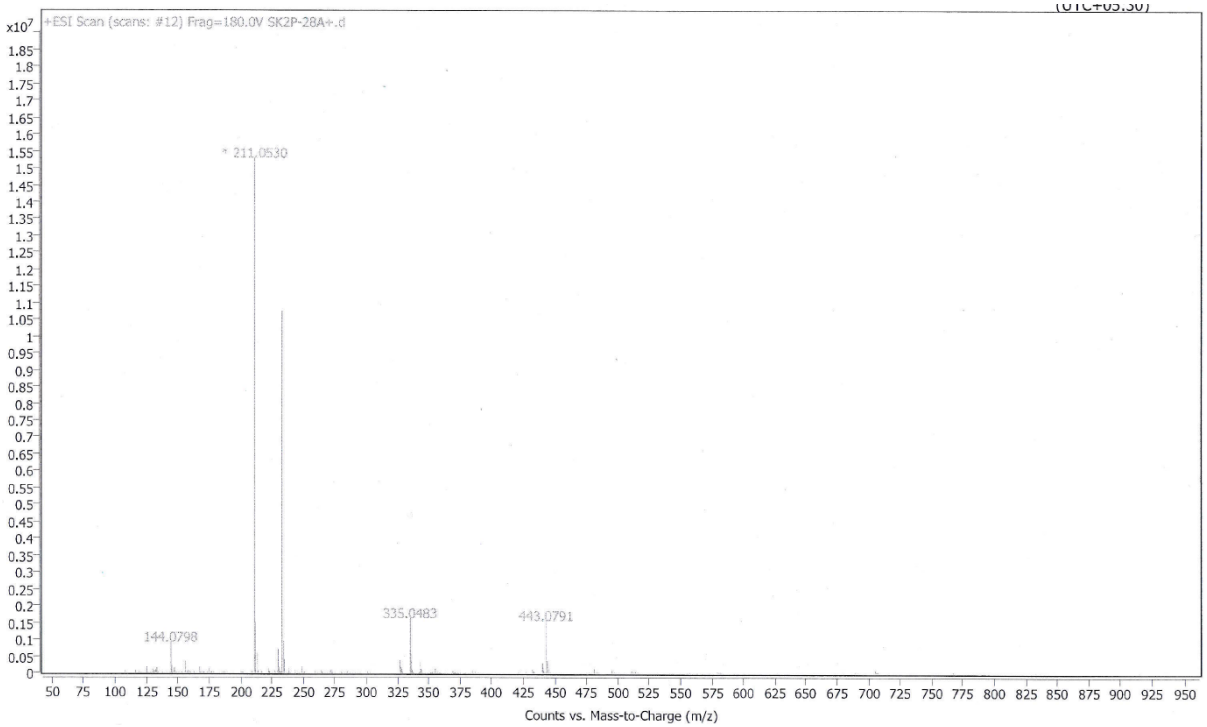
HRMS data of compound 41



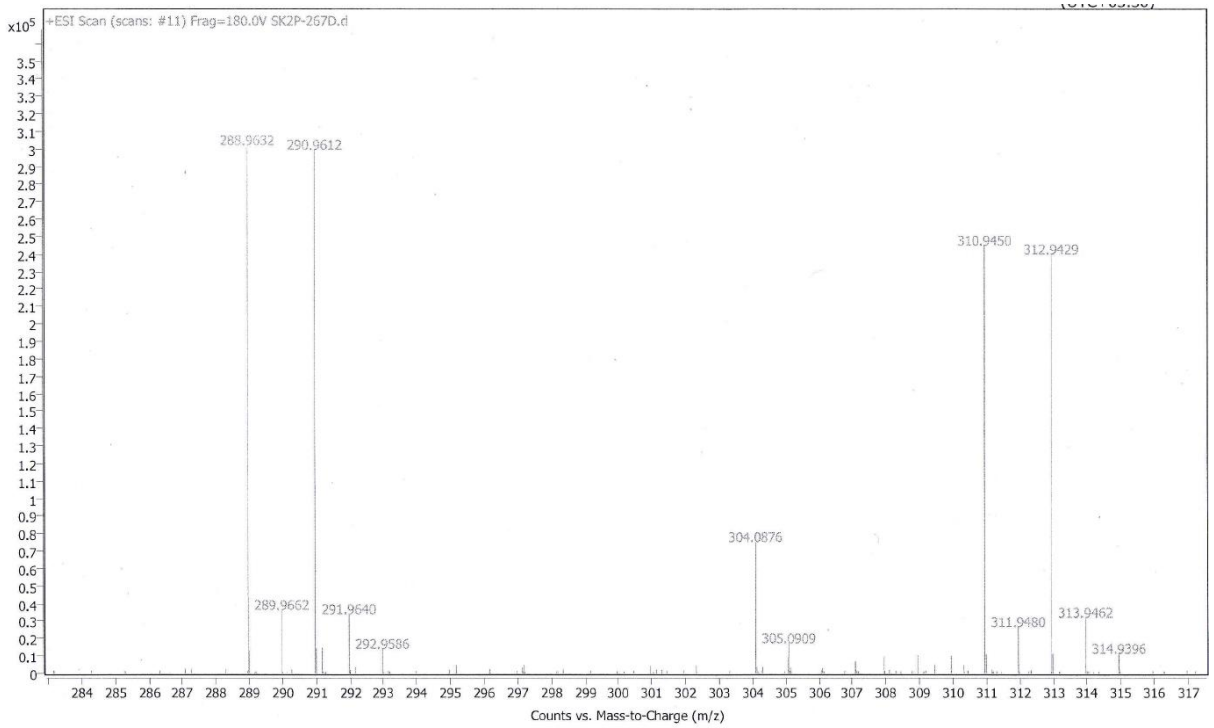
HRMS data of compound 42



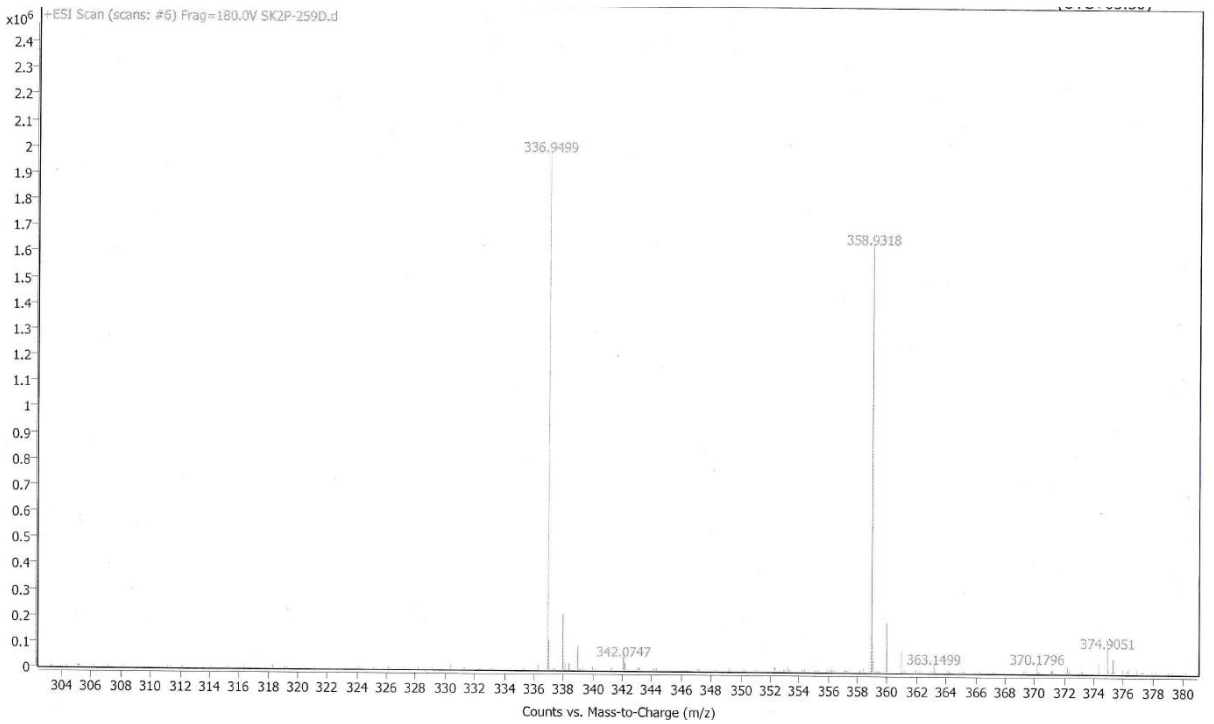
HRMS data of compound 43



HRMS data of compound 44



HRMS data of compound 45



HRMS data of compound 46

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