

Supporting Information

Photoredox catalyzed cascade CF₃ addition/chemodivergent annulations of *ortho*-alkenyl aryl ureas

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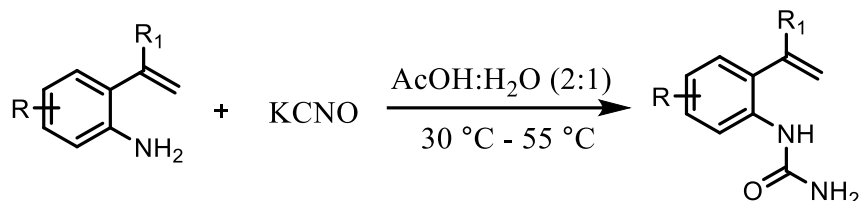
1. General information:

Unless and otherwise noted, all the vials (Borosil) used for carrying out the reactions were dried overnight in a hot air oven at 120 °C. All the chemicals were purchased from Sigma Aldrich, TCI and Spectrochem and were used without any further purification. All the anhydrous solvents required were purchased from Sigma Aldrich. Aldrich micro photochemical reactor, 18W Hepatochem (450-455nm) blue LED lights and kessil blue LED (PR160-456 nm, 34 W), heptochem PhotoRedOx TC box (HCK1006-01-025) were used for the reactions. Reactions were monitored using aluminum supported precoated silica gel 60 F254 TLC (thin layer chromatography) plates (Merck) and visualised by UV light at 254 nm. The final products were purified using column chromatography (230-400 mesh silica gel purchased from Merck). ¹H NMR (400 MHz), ¹⁹F NMR (377 MHz), and ¹³C NMR (101 MHz) spectra were recorded on the Bruker AVANCE NEO 400 MHz spectrometer. CDCl₃, DMSO-d₆, & ACN-d₃ were used as NMR solvents. Chemical shifts (δ) for ¹H and ¹³C NMR spectra are given in ppm relative to tetramethylsilane (TMS) or the NMR solvents [δ7.27 for ¹H (chloroform-d), δ 77.0 for ¹³C (chloroform-d); δ 2.50 for ¹H (DMSO-d₆), δ 39.52 for ¹³C (DMSO-d₆); δ 1.94 for ¹H (ACN-d₃), δ 1.39, 118.69 for ¹³C (ACN-d₃)]. ¹⁹F-NMR spectra are not externally calibrated and chemical shifts is given relative to CCl₃F as received from the automatic data processing. Abbreviations used in the ¹H NMR data: br, broad; s, singlet; d, doublet; t, triplet; q, quartet; sep, septet; dd, doublet of doublet; m, multiplet. High resolution mass spectra (HRMS) was obtained from Orbitrap Elite HybridIon Trap-Orbitrap (Thermofischer scientific, Newington, NH, USA) Mass Spectrometer in electrospray ionization mode (ESI+). Cyclic voltammetry studies were performed on Metrohm Multi-Autolab PGSTAT204.

2. Experimental section

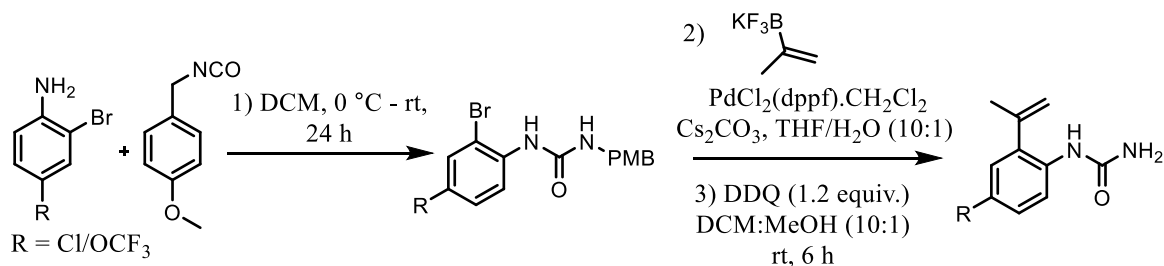
2.1 General procedure for the synthesis of starting materials

a) General procedure for the synthesis of *o*-alkenyl aryl ureas, 1a-1c:



To a 25 mL round bottom flask containing 1.5 mmol (1.0 equiv.) of aniline derivatives, was added 1.5 mL of glacial acetic acid and 0.75 mL of water. To this mixture, warm KCNO solution (10 equiv. of KCNO dissolved in 6mL water) was added at 30 °C via syringe. The reaction mixture was then heated to 55 °C and stirred at that temperature for 30 mins. The reaction mixture was then cooled with ice bath for an hour followed by filtration of the solids and purification by column chromatography using EtOAc/hexane.

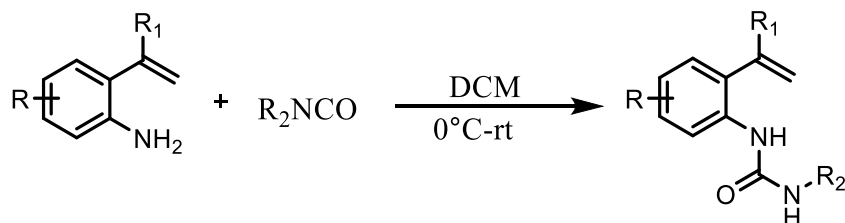
b) General procedure for the synthesis of *o*-alkenyl aryl ureas, 1d & 1e:



PMB protected aryl urea derivatives was first synthesized from the corresponding aniline and PMB-isocyanate using the general procedure as discussed in **section 2.1a**, which was then used directly without further purification for the next step. In the second step these derivatives were converted to *o*-alkenyl aryl ureas using a slightly modification of a previous report¹ (using potassium isopropenyltrifluoroborate). Later the PMB-protected *o*-alkenyl aryl ureas (0.3 mmol) were subjected to deprotection using DDQ (1.2 equiv.) in DCM/MeOH (4 mL, 10:1) solvent at room temperature for 6 h. The reaction mixture was then diluted with DCM and washed with sat. NaHCO₃ solution. The combined organic layers were then concentrated under reduced

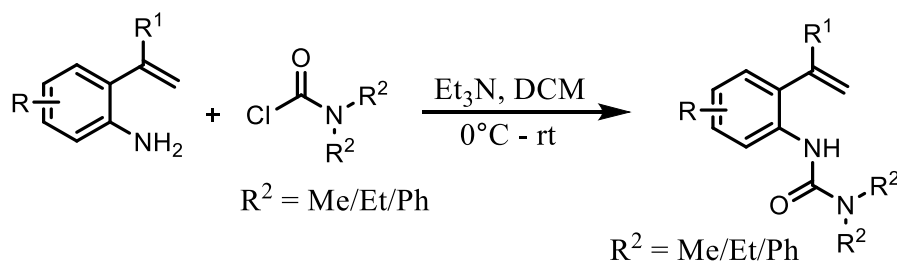
pressure. The residue was then purified by column chromatography using EtOAc/hexane to afford the desired products, **1d** & **1e**.

c) General procedure for the synthesis of N²-mono substituted *o*-alkenyl aryl ureas (4a**, **4c**, **4d**, **4e**, **4f**, **4i**, **4k**, **4l**, **4m**, **4n**, **4p**, **4q**):**



To a solution of the corresponding *o*-alkenyl aniline derivative (1.5 mmol, 1.0 equiv.) in dry DCM (0.5 M) was added the corresponding alkyl or aryl isocyanate solution (1.8 mmol, 1.2 equiv. in 1mL) at 0 °C dropwise with continuous stirring. After the completion of addition, the reaction mixture was stirred at room temperature till the disappearance of starting material (12-24 h) based on TLC. The solvent was then removed in vacuum and the residue was diluted with water followed by extraction with dichloromethane. The combined organic layers were concentrated under reduced pressure to give the crude product. The crude product was further purified by column chromatography using EtOAc/Hexane to afford the desired *o*-alkenyl aryl urea derivatives.

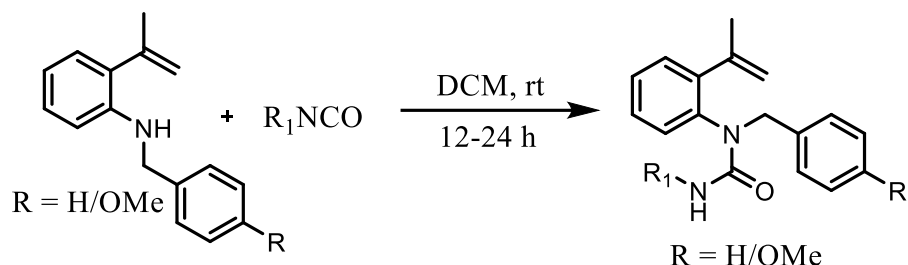
d) General procedure for the synthesis of N²-di-alkyl/aryl *o*-alkenyl aryl ureas (4b**, **4g**, **4h**, **4j**, **4o**):**



To a mixture of aniline (1.0 mmol, 1.0 equiv.) and triethylamine (2.0 mmol, 2.0 equiv.) in dry DCM (1.0 mL) was added a solution of N,N-dialkyl/diaryl carbamoyl chloride (2 equiv.) at 0°C under inert conditions. The reaction mixture was then stirred continuously at room temperature for 24 h, then diluted with water followed by extraction with DCM. The combined organic layers were washed with saturated NaHCO₃ solution. The organic layer was then concentrated under reduced pressure

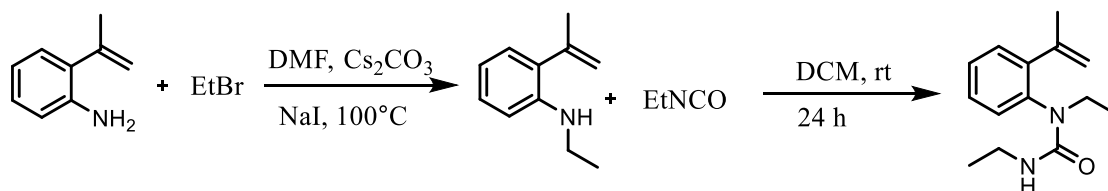
and the residue was purified by column chromatography using EtOAc/hexane to afford the desired N²-di-alkyl/aryl *o*-alkenyl aryl ureas.

e) **General procedure for the synthesis of N¹,N²-di-substituted *o*-alkenyl aryl ureas (6a, 6b, 6c, 6d, 6e, 6g, 6h, 6i, 6j, 6k):**



To a solution of N-benzyl/4-methoxy benzyl-2-(1-methylvinyl) aniline (1 mmol) in DCM (2 mL) was added the corresponding alkyl/aryl isocyanate (3/2 equiv. respectively) at room temperature and stirred for 12-24 h for the completion of starting material. After that the reaction mixture was diluted with DCM and washed with saturated bicarbonate solution. Then the combined organic layers were concentrated under reduced pressure, the remaining residue was purified by column chromatography using EtOAc/hexane.

f) **Synthesis of compound 6f:**



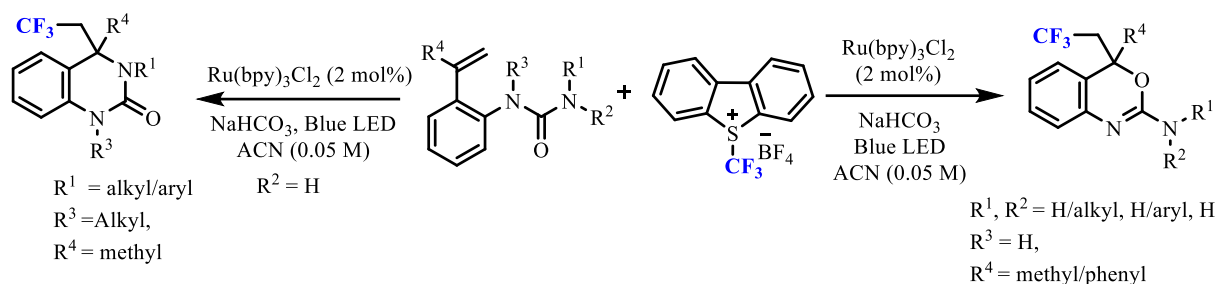
Step 1: To a solution of 2-isopropenyl aniline (3 mmol, 1 equiv) in DMF (6 mL) was added ethyl bromide (1.06 equiv.) and Cs₂CO₃ (1.2 equiv.) followed by the addition of NaI (1.2 equiv.). The reaction mixture was then stirred at 100 °C for 5 h and cooled to room temperature. The reaction mixture was then diluted with water and extracted with diethylether. The combined organic layers were then concentrated under reduced pressure and the crude product was used directly for the next step without further purification.

Step 2: N-ethyl-2-(1-methylvinyl) aniline (1 mmol), synthesized from step 1 was dissolved in dry DCM (1 mL). Ethyl isocyanate (4 equiv.) was then added and stirred

for 24 h at room temperature. The reaction mixture was then diluted with water and extracted with DCM. The combined organic layers were concentrated under reduced pressure. Purification of the crude residue by column chromatography afforded the desired product **6f** in 65% overall yield.

2.2. General procedure for the synthesis of products

a) General procedure for the synthesis of functionalised 2-amino-1,3- benzoxazines and dihydroquinazolin-2(1H)-ones:



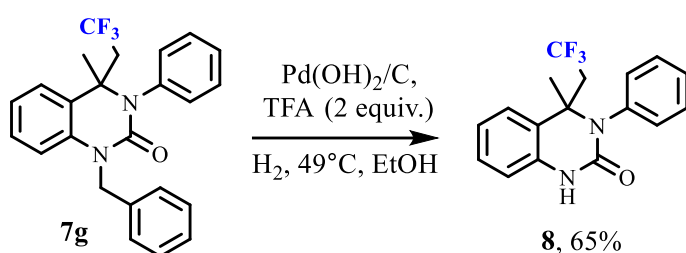
To an oven dried 4 mL vial containing a magnetic stir bar, was added 0.1 mmol of *o*-alkenyl aryl urea, 0.15 mmol of Umemoto's reagent and 1.5 equiv. of NaHCO₃ followed by Ru(bpy)₃Cl₂ (2 mol%) photocatalyst and 2 mL of dry ACN. The reaction mixture was then degassed for 10 minutes using argon. Later the reaction mixture was irradiated under blue LED (Aldrich Micro Photoreactor) at room temperature and stirred until the disappearance of starting materials (based on TLC) for 6-36 h. After the completion of reaction, solvent was evaporated under reduced pressure and the remaining residue was diluted with ethyl acetate and washed with saturated NaHCO₃ solution. The aqueous layer was extracted with EtOAc (2 X) and the combined organic layers were concentrated under reduced pressure. The crude residue was purified by column chromatography using EtOAc/hexane.

b) Gram synthesis of trifluoromethyl functionalized Etifoxine (**5p**):

To an oven dried 60 mL flask containing a magnetic stir bar, was added 1.0 gram of **4p**, 1.696 gram of Umemoto's reagent and 419 mg of NaHCO₃ followed by Ru(bpy)₃Cl₂ (43 mg, 2.0 mol%) photocatalyst and 50 mL of dry ACN. The reaction mixture was then degassed for 20 minutes using argon. Later the reaction mixture was irradiated under kessil blue LED (PR160-456 nm, 34 W) using heptochem PhotoRedOx TC box (HCK1006-01-025) at room temperature (27-28°C) and stirred until the disappearance of starting materials (based on

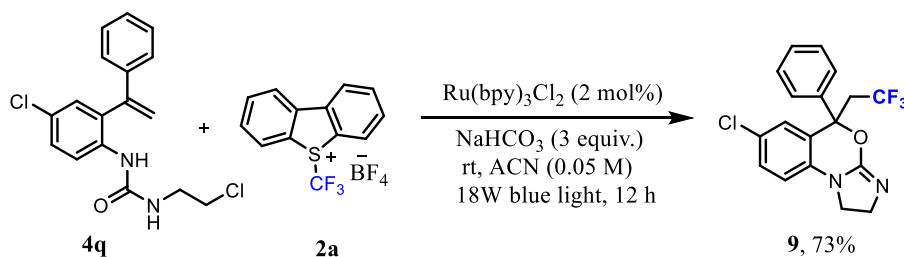
TLC) for 8.0 h. After the completion of reaction, solvent was evaporated under reduced pressure and the remaining residue was diluted with ethyl acetate and washed with saturated NaHCO₃ solution. The aqueous layer was extracted with EtOAc (2 X) and the combined organic layers were concentrated under reduced pressure. The crude residue was purified by column chromatography using EtOAc/hexane and obtained the desired trifluoromethyl functionalized Etifoxine drug derivative in 82% yield.

c) Synthesis of compound 8:



To a mixture of compound **7g** (41 mg, 0.1 mmol) and 15% palladium hydroxide on charcoal (27 mg) in ethanol (1.5 mL) was added TFA (22.8 mg, 0.2 mmol). The mixture was stirred at 49°C under a H₂ atmosphere for 26 h and finally filtered and washed with EtOAc. The solvent was removed under vacuum and the residue was purified by column chromatography using ethyl acetate/hexane to afford product **8** (21 mg, 65% yield) as a white solid.

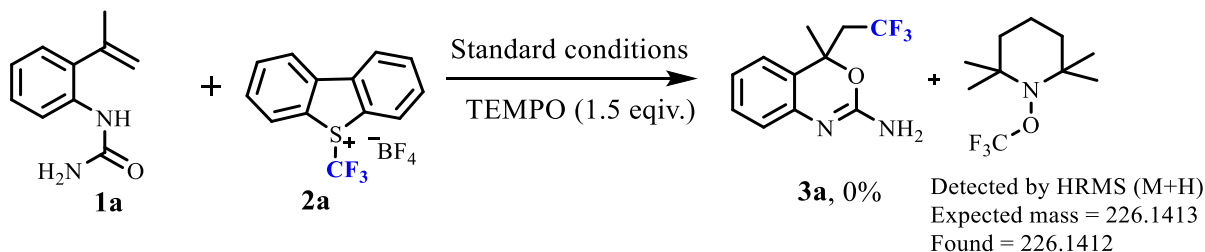
d) Synthesis of tri-cyclic compound 9:



To an oven dried 4 mL vial, was added 0.1 mmol of compound **4q**, 0.15 mmol of **2a** and 3 equiv. of sodium bicarbonate in 2 ml of dry ACN as solvent. Later the solution was degassed for 10 minutes with argon and stirred at room temperature for 12 h under the irradiation of blue light (2×18 W bulbs). After the completion of reaction, volatiles were evaporated under reduced pressure and the remaining residue was diluted with ethyl acetate and washed with saturated NaHCO₃ solution. This was followed by extraction of aqueous layer with ethyl acetate two times. The combined organic layers were concentrated under the reduced

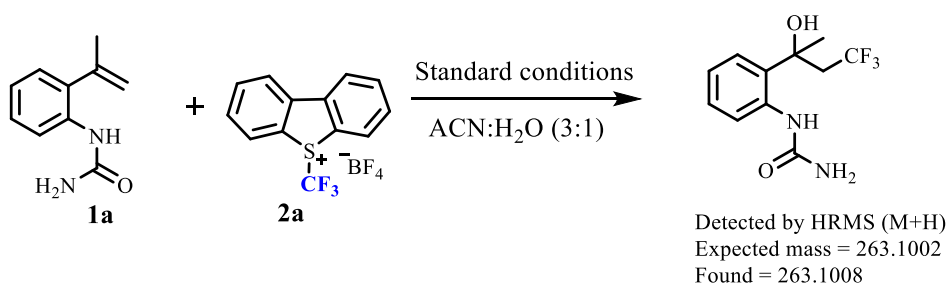
pressure and the residue was purified by column chromatography using MeOH/DCM to afford product **9**.

e) Radical trapping experiment:



To an oven dried 4 mL vial containing magnetic stir bar was added 0.1 mmol of *o*-alkenylphenylurea, 0.15 mmol of Umemoto's reagent, 0.15 mmol of NaHCO₃, 2 mol% Ru(bpy)₃Cl₂ photocatalyst, 0.15 mmol of TEMPO and 2 mL of dry ACN. The reaction mixture was then degassed for 10 minutes using argon gas. Later the reaction mixture was irradiated under blue LED light (Aldrich Micro Photoreactor) at room temperature and stirred for 18 h. The crude reaction mixture was then subjected to HRMS analysis which showed the mass of TEMPO-CF₃ adduct. Starting material, **1a** was recovered in almost 96% yield.

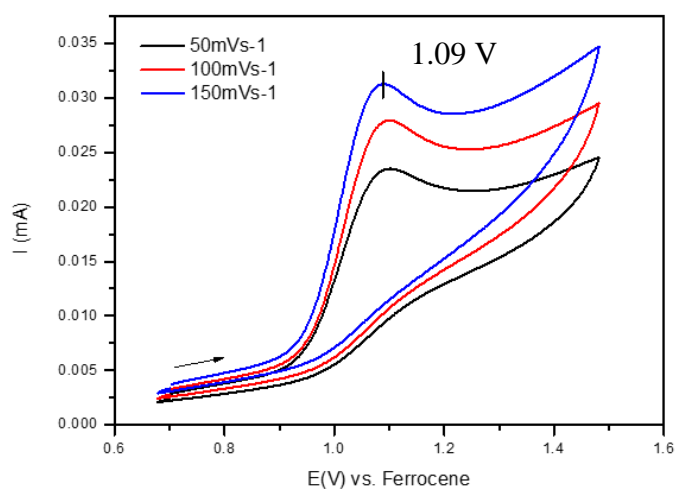
f) Benzylic cation trapping experiment:

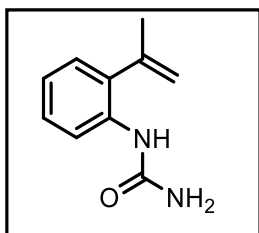


To an oven dried 4 mL vial containing magnetic stir bar was added 0.1 mmol of *o*-alkenylphenylurea, 0.15 mmol of Umemoto's reagent, 0.15 mmol of NaHCO₃, 2 mol% Ru(bpy)₃Cl₂ photocatalyst and 2 mL of ACN:H₂O (3:1) mixture. The corresponding reaction mixture was then degassed for 5 minutes using argon gas. Later the reaction mixture was irradiated under blue LED light (Aldrich Micro Photoreactor) at room temperature and stirred for 24 h. The crude reaction mixture was then subjected to HRMS analysis which showed the mass of benzylic cation trapped by water (hydroxyl group).

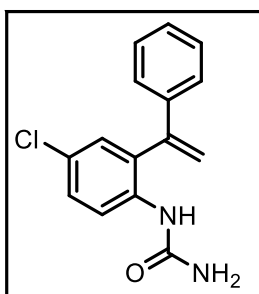
g) Cyclic voltammogram:

Cyclic voltammetry experiment of **1a** was performed using Metrohm Multi-Auotolab PGSTAT204 under argon atmosphere and at room temperature (**1a** in CH₃CN containing 0.1 M [nBu₄N]PF₆ electrolyte (CE: Pt, WE: GC, RE: Ag); reported with respect to [FeCp₂]/[FeCp₂]⁺ couple).



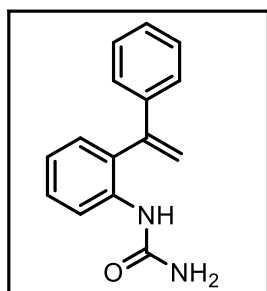


1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**1a**) was prepared according to the general procedure 2.1a described above and got the desired product in 62% (164 mg) yield as a white solid. **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.87 (dd, *J* = 8.3, 1.2 Hz, 1H), 7.47 (s, 1H), 7.15 (ddd, *J* = 8.5, 7.3, 1.7 Hz, 1H), 7.06 (dd, *J* = 7.7, 1.7 Hz, 1H), 6.93 (td, *J* = 7.4, 1.2 Hz, 1H), 6.13 (s, 2H), 5.29 (d, *J* = 1.9 Hz, 1H), 4.95 (dd, *J* = 2.3, 1.1 Hz, 1H), 2.00 (d, *J* = 1.2 Hz, 3H); **¹³C NMR** (101 MHz, DMSO-*d*₆) δ 156.54, 143.32, 136.65, 133.99, 128.36, 127.63, 122.26, 121.76, 117.13, 24.09; **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₀H₁₃N₂O⁺ 177.1022; found 177.1021.

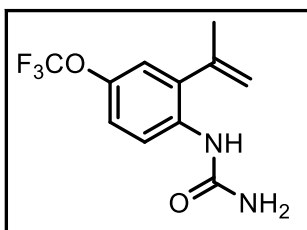


275.0754.

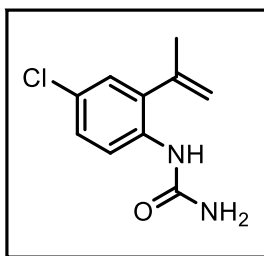
1-(4-chloro-2-(1-phenylvinyl)phenyl)urea: The title compound (**1b**) was prepared according to the general procedure 2.1a described above and got the desired product in 53% (217 mg) yield as a white solid. **¹H NMR** (400 MHz, Chloroform-*d*) δ 7.92 – 7.62 (m, 1H), 7.36 – 7.08 (m, 7H), 6.06 (d, *J* = 19.6 Hz, 1H), 5.79 (s, 1H), 5.35 – 5.17 (m, 1H), 4.39 (d, *J* = 37.6 Hz, 2H); **¹³C NMR** (101 MHz, Chloroform-*d*) δ 155.80, 145.22, 138.66, 134.41, 130.19, 128.93, 128.75, 128.48, 128.32, 126.57, 126.35, 123.76, 117.71; **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₅H₁₄N₂OCl⁺ 273.0789, 275.0760; found 273.0783,



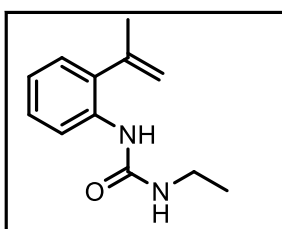
1-(2-(1-phenylvinyl)phenyl)urea: The title compound (**1c**) was prepared according to the general procedure 2.1a described above and got the desired product in 71% (254 mg) yield as a white solid. **¹H NMR** (400 MHz, Chloroform-*d*) δ 7.68 (dd, *J* = 8.2, 3.7 Hz, 1H), 7.37 – 6.95 (m, 8H), 6.27 (s, 1H), 5.83 – 5.66 (m, 1H), 5.23 (s, 1H), 4.55 (s, 2H); **¹³C NMR** (101 MHz, Chloroform-*d*) δ 156.36, 146.27, 139.48, 135.89, 133.71, 130.58, 128.77, 128.72, 128.34, 126.43, 124.27, 122.89, 116.92; **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₅H₁₅N₂O⁺ 239.1179; found 239.1172.



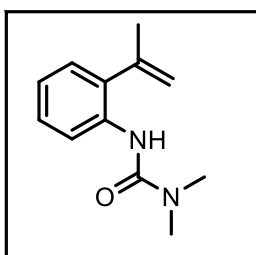
1-(2-(prop-1-en-2-yl)-4-(trifluoromethoxy)phenyl)urea: The title compound (**1d**) was prepared according to the general procedure 2.1b described above and got the desired product in 48% (74 mg) overall yield as a shiny white solid. **¹H NMR** (400 MHz, Acetonitrile-*d*₃) δ 8.00 (d, *J* = 9.0 Hz, 1H), 7.13 (ddd, *J* = 9.0, 2.9, 1.2 Hz, 1H), 7.06 (dd, *J* = 2.8, 1.1 Hz, 1H), 6.96 (s, 1H), 5.38 (p, *J* = 1.6 Hz, 1H), 5.15 (s, 2H), 5.02 (dd, *J* = 1.8, 1.0 Hz, 1H), 2.03 (s, 3H); **¹³C NMR** (101 MHz, Acetonitrile-*d*₃) δ 156.76, 144.45, 142.64, 136.55, 135.82, 123.43, 122.66, 121.54, 120.77, 120.13, 118.28, 23.70; **¹⁹F NMR** (377 MHz, Acetonitrile-*d*₃) δ -58.85 (s, 3F); **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₁H₁₂F₃N₂O₂⁺ 261.0845; found 261.0846.



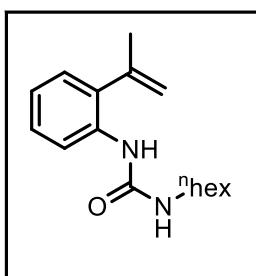
1-(4-chloro-2-(prop-1-en-2-yl)phenyl)urea: The title compound (**1e**) was prepared according to the general procedure 2.1b described above and got the desired product in 50% (60 mg) overall yield as a white solid. $^1\text{H NMR}$ (400 MHz, Acetonitrile- d_3) δ 7.92 (d, J = 8.8 Hz, 1H), 7.19 (dd, J = 8.8, 2.6 Hz, 1H), 7.14 (d, J = 2.6 Hz, 1H), 6.89 (s, 1H), 5.38 – 5.33 (m, 1H), 5.10 (s, 2H), 5.00 (dt, J = 1.9, 1.0 Hz, 1H), 2.02 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Acetonitrile- d_3) δ 156.48, 142.56, 136.53, 135.37, 128.21, 127.69, 127.40, 123.37, 23.55; **HRMS** (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{10}\text{H}_{12}\text{N}_2\text{OCl}^+$ 211.0633, 213.0603; found 211.0628, 213.0598.



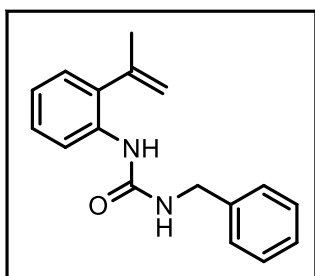
1-ethyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4a**) was prepared according to the general procedure 2.1c described above and got the desired product in 69% (211 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform- d) δ 7.67 (d, J = 8.1 Hz, 1H), 7.21 – 7.15 (m, 1H), 7.08 (dd, J = 7.7, 1.8 Hz, 1H), 7.03 – 6.96 (m, 1H), 6.39 (s, 1H), 5.30 – 5.17 (m, 1H), 4.91 (d, J = 2.7 Hz, 1H), 4.74 (s, 1H), 3.20 (qd, J = 7.2, 5.5 Hz, 2H), 1.97 (s, 3H), 1.08 (t, J = 7.2 Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform- d) δ 155.69, 143.45, 135.55, 134.75, 128.45, 128.10, 128.07, 123.83, 122.49, 116.61, 35.32, 24.09, 24.07, 15.38; **HRMS** (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{12}\text{H}_{17}\text{N}_2\text{O}^+$ 205.1335; found 205.1329.



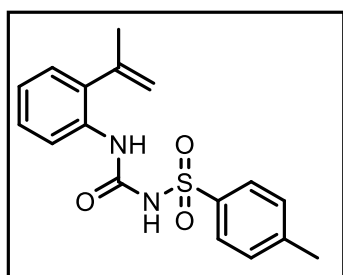
1,1-dimethyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4b**) was prepared according to the general procedure 2.1d described above and got the desired product in 64% (196 mg) yield as a yellowish white solid. $^1\text{H NMR}$ (400 MHz, Chloroform- d) δ 8.06 (dd, J = 8.4, 1.2 Hz, 1H), 7.16 (ddd, J = 8.6, 7.3, 1.7 Hz, 1H), 7.02 (dd, J = 7.6, 1.7 Hz, 1H), 6.98 – 6.86 (m, 2H), 5.32 (t, J = 1.8 Hz, 1H), 4.97 (dd, J = 2.2, 1.1 Hz, 1H), 2.92 (s, 6H), 2.00 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform- d) δ 155.57, 144.03, 135.35, 132.50, 127.92, 127.34, 122.08, 119.94, 116.17, 36.35, 24.53; **HRMS** (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{12}\text{H}_{17}\text{N}_2\text{O}^+$ 205.1335; found 205.1327.



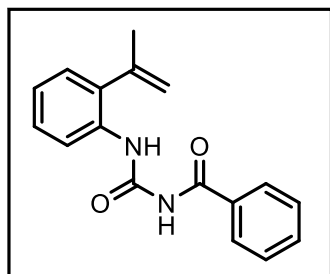
1-hexyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4c**) was prepared according to the general procedure 2.1c described above and got the desired product in 70% (273 mg) yield as a crystalline yellowish white solid. $^1\text{H NMR}$ (400 MHz, Chloroform- d) δ 7.65 (d, J = 8.1 Hz, 1H), 7.23 – 7.14 (m, 1H), 7.08 (dt, J = 7.7, 1.8 Hz, 1H), 7.00 (tt, J = 7.5, 1.7 Hz, 1H), 6.33 (s, 1H), 5.22 (s, 1H), 5.04 – 4.84 (m, 1H), 4.66 (s, 1H), 3.15 (tdd, J = 7.6, 5.7, 1.9 Hz, 2H), 1.98 (d, J = 1.4 Hz, 3H), 1.42 (q, J = 6.8 Hz, 2H), 1.36 – 1.05 (m, 6H), 0.99 – 0.61 (m, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform- d) δ 155.72, 143.45, 135.60, 134.76, 128.46, 128.10, 123.87, 122.52, 116.62, 40.59, 31.51, 30.09, 26.56, 24.10, 22.58, 14.02; **HRMS** (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{25}\text{N}_2\text{O}^+$ 261.1961; found 261.1959.



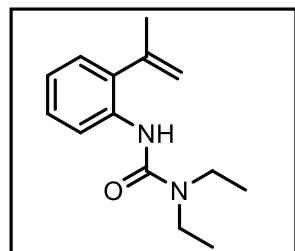
1-benzyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4d**) was prepared according to the general procedure 2.1c described above and got the desired product in 83% (332 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.69 (dd, $J = 8.1, 1.2$ Hz, 1H), 7.29 – 7.13 (m, 6H), 7.05 (dd, $J = 7.6, 1.7$ Hz, 1H), 6.98 (td, $J = 7.4, 1.2$ Hz, 1H), 6.40 (s, 1H), 5.15 (p, $J = 1.7$ Hz, 1H), 4.99 (t, $J = 5.9$ Hz, 1H), 4.85 (dd, $J = 2.0, 1.0$ Hz, 1H), 4.35 (d, $J = 5.8$ Hz, 2H), 1.91 (t, $J = 1.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 155.62, 143.26, 138.76, 135.52, 134.54, 128.72, 128.42, 128.11, 127.55, 127.49, 123.94, 122.44, 116.72, 44.52, 24.10; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{19}\text{N}_2\text{O}^+$ 267.1492; found 267.1489.



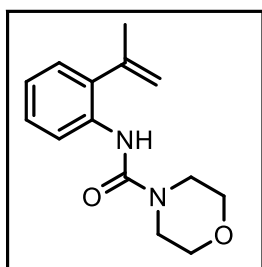
4-methyl-N-((2-(prop-1-en-2-yl)phenyl)carbamoyl)benzenesulfonamide: The title compound (**4e**) was prepared according to the general procedure 2.1c described above and got the desired product in 85% (421 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.72 (s, 1H), 7.97 (d, $J = 8.2$ Hz, 1H), 7.83 – 7.71 (m, 2H), 7.34 (d, $J = 8.0$ Hz, 2H), 7.27 (d, $J = 9.9$ Hz, 2H), 7.21 – 7.08 (m, 2H), 5.54 – 5.40 (m, 1H), 5.06 (s, 1H), 2.46 (s, 3H), 2.09 (d, $J = 1.9$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 148.67, 145.19, 142.13, 136.52, 133.19, 130.11, 130.01, 128.14, 127.87, 126.96, 124.43, 121.12, 117.75, 24.46, 21.65; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{19}\text{N}_2\text{O}_3\text{S}^+$ 331.1111; found 331.1111.



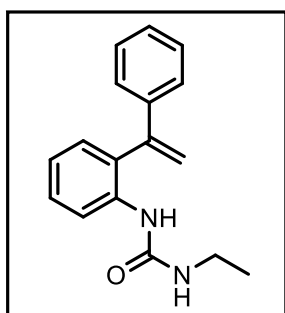
N-((2-(prop-1-en-2-yl)phenyl)carbamoyl)benzamide: The title compound (**4f**) was prepared according to the general procedure 2.1c described above and got the desired product in 83% (349 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 11.00 (s, 1H), 8.24 (dd, $J = 8.2, 1.4$ Hz, 1H), 8.12 – 7.99 (m, 2H), 7.70 – 7.62 (m, 1H), 7.54 (t, $J = 7.7$ Hz, 2H), 7.31 (ddd, $J = 8.4, 7.3, 1.7$ Hz, 1H), 7.22 (dd, $J = 7.7, 1.7$ Hz, 1H), 7.15 (td, $J = 7.4, 1.2$ Hz, 1H), 5.51 (t, $J = 1.8$ Hz, 1H), 5.14 (dt, $J = 1.9, 0.9$ Hz, 1H), 2.15 (d, $J = 1.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 168.24, 151.87, 142.49, 135.31, 134.00, 133.24, 132.30, 128.86, 128.27, 127.97, 127.59, 124.14, 121.38, 117.65, 24.07; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{17}\text{N}_2\text{O}_2^+$ 281.1285; found 281.1283.



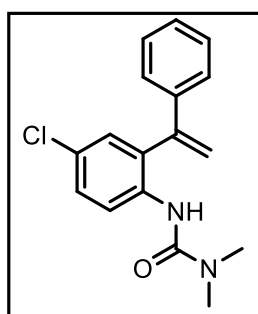
1,1-diethyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4g**) was prepared according to the general procedure 2.1d described above and got the desired product in 32% (112 mg) yield as a yellow oily liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.19 (dd, $J = 8.3, 1.1$ Hz, 1H), 7.33 – 7.17 (m, 1H), 7.10 (dd, $J = 7.6, 1.7$ Hz, 1H), 6.98 (td, $J = 7.5, 1.3$ Hz, 2H), 5.41 (t, $J = 1.8$ Hz, 1H), 5.05 (dd, $J = 2.2, 1.1$ Hz, 1H), 3.35 (q, $J = 7.2$ Hz, 4H), 2.09 (t, $J = 1.2$ Hz, 3H), 1.22 (t, $J = 7.1$ Hz, 6H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 154.53, 144.18, 135.53, 132.32, 127.91, 127.29, 121.83, 119.81, 116.07, 41.68, 24.69, 13.95; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{14}\text{H}_{21}\text{N}_2\text{O}^+$ 233.1648; found 233.1649.



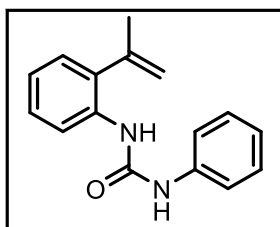
N-(2-(prop-1-en-2-yl)phenyl)morpholine-4-carboxamide: The title compound (**4h**) was prepared according to the general procedure 2.1d described above and got the desired product in 35% (129 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.01 (dd, $J = 8.2, 1.2$ Hz, 1H), 7.19 – 7.15 (m, 1H), 7.04 (dd, $J = 7.6, 1.7$ Hz, 1H), 6.99 – 6.87 (m, 2H), 5.33 (d, $J = 1.8$ Hz, 1H), 4.96 (dd, $J = 2.2, 1.1$ Hz, 1H), 3.77 – 3.55 (m, 4H), 3.37 (dd, $J = 5.6, 4.3$ Hz, 4H), 2.00 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 154.91, 143.97, 134.79, 132.69, 127.99, 127.46, 122.54, 120.24, 116.27, 66.53, 44.17, 24.57; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{14}\text{H}_{19}\text{N}_2\text{O}_2^+$ 247.1441; found 247.1425.



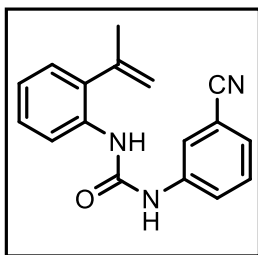
1-ethyl-3-(2-(1-phenylvinyl)phenyl)urea: The title compound (**4i**) was prepared according to the general procedure 2.1c described above and got the desired product in 72% (288 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.89 (dd, $J = 8.1, 1.2$ Hz, 1H), 7.44 – 7.29 (m, 6H), 7.27 (dd, $J = 7.6, 1.7$ Hz, 1H), 7.14 (td, $J = 7.5, 1.2$ Hz, 1H), 6.00 (s, 1H), 5.87 (d, $J = 1.1$ Hz, 1H), 5.36 (d, $J = 1.1$ Hz, 1H), 4.26 (s, 1H), 3.13 – 2.96 (m, 2H), 1.03 (t, $J = 7.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 155.13, 146.48, 139.37, 136.17, 132.78, 130.54, 128.86, 128.77, 128.42, 126.45, 123.63, 122.15, 116.92, 35.23, 15.21; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{19}\text{N}_2\text{O}^+$ 267.1492; found 267.1485.



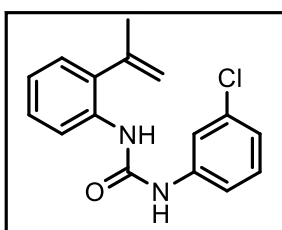
3-(4-chloro-2-(1-phenylvinyl)phenyl)-1,1-dimethylurea: The title compound (**4j**) was prepared according to the general procedure 2.1d described above and got the desired product in 30% (135 mg) yield as a yellow colour liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.03 (d, $J = 8.9$ Hz, 1H), 7.28 – 7.18 (m, 6H), 7.16 (d, $J = 2.6$ Hz, 1H), 6.13 (s, 1H), 5.82 (d, $J = 1.1$ Hz, 1H), 5.32 (d, $J = 1.1$ Hz, 1H), 2.54 (s, 6H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 155.01, 145.72, 138.31, 135.40, 132.15, 129.91, 129.06, 128.88, 128.68, 127.44, 126.57, 121.71, 117.95, 35.81; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_2\text{OCl}^+$ 301.1102, 303.1073; found 301.1097, 303.1068.



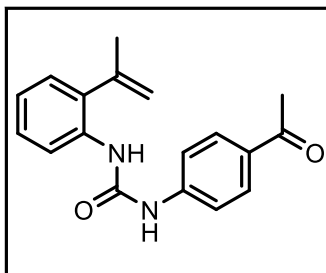
1-phenyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4k**) was prepared according to the general procedure 2.1c described above and got the desired product in 92% (348 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.96 (d, $J = 8.2$ Hz, 1H), 7.38 – 7.25 (m, 5H), 7.22 – 7.06 (m, 3H), 6.95 (s, 1H), 6.79 (s, 1H), 5.27 – 5.13 (m, 1H), 4.93 (d, $J = 2.8$ Hz, 1H), 2.01 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.43, 143.12, 137.82, 135.05, 134.26, 129.33, 128.27, 128.12, 124.61, 123.90, 121.92, 121.86, 116.77, 24.15; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{17}\text{N}_2\text{O}^+$ 253.1335; found 253.1329.



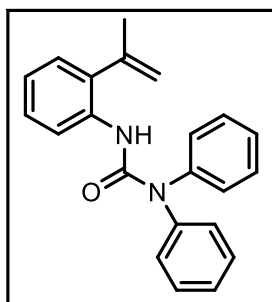
1-(3-cyanophenyl)-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4l**) was prepared according to the general procedure 2.1c described above and got the desired product in 90% (374 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.67 (dd, $J = 8.1, 1.2$ Hz, 1H), 7.58 (t, $J = 1.9$ Hz, 1H), 7.51 (dd, $J = 8.1, 1.9$ Hz, 1H), 7.33 – 7.16 (m, 3H), 7.14 – 6.96 (m, 3H), 6.79 (s, 1H), 5.18 (t, $J = 1.7$ Hz, 1H), 4.96 – 4.83 (m, 1H), 1.94 (d, $J = 1.3$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 152.79, 143.12, 139.38, 136.09, 133.53, 129.93, 128.70, 128.28, 126.80, 124.94, 123.89, 122.92, 122.61, 118.62, 117.02, 112.84, 24.14; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{16}\text{N}_3\text{O}^+$ 278.1288; found 278.1285.



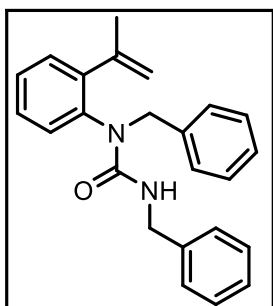
1-(3-chlorophenyl)-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4m**) was prepared according to the general procedure 2.1c described above and got the desired product in 86% (367 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.87 (d, $J = 8.1$ Hz, 1H), 7.45 (t, $J = 2.2$ Hz, 1H), 7.36 – 7.06 (m, 6H), 6.86 (s, 1H), 6.77 (s, 1H), 5.29 (p, $J = 1.7$ Hz, 1H), 4.99 (d, $J = 2.5$ Hz, 1H), 2.22 – 1.92 (m, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 152.84, 143.17, 139.29, 135.67, 134.83, 133.83, 130.15, 128.51, 128.24, 124.51, 124.06, 122.47, 120.72, 118.65, 116.92, 24.17; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{16}\text{N}_2\text{OCl}^+$ 287.0946, found 287.0942.



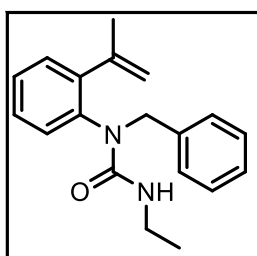
1-(4-acetylphenyl)-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4n**) was prepared according to the general procedure 2.1c described above and got the desired product in 85% (375 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.96 – 7.67 (m, 3H), 7.49 – 7.31 (m, 2H), 7.28 – 7.21 (m, 1H), 7.17 – 7.02 (m, 2H), 5.35 – 5.15 (m, 1H), 5.01 – 4.84 (m, 1H), 2.50 (d, $J = 1.9$ Hz, 3H), 2.20 – 1.83 (m, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 197.18, 152.37, 143.13, 135.87, 133.68, 132.05, 129.90, 128.63, 128.29, 124.76, 124.68, 122.72, 118.51, 117.04, 26.44, 24.19; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_2^+$ 295.1441; found 295.1435.



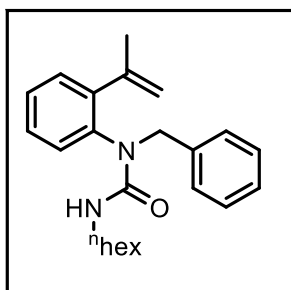
1,1-diphenyl-3-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**4o**) was prepared according to the general procedure 2.1d described above and got the desired product in 33% (162mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.26 (dd, $J = 8.4, 1.1$ Hz, 1H), 7.41 – 7.23 (m, 8H), 7.23 – 7.10 (m, 3H), 7.05 (s, 1H), 6.89 (dtd, $J = 14.7, 7.6, 1.6$ Hz, 2H), 4.68 (s, 1H), 4.44 (d, $J = 0.9$ Hz, 1H), 1.69 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.38, 142.58, 142.17, 134.92, 132.50, 129.58, 127.97, 127.63, 127.37, 126.67, 122.29, 118.78, 116.28, 24.43. **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{21}\text{N}_2\text{O}^+$ 329.1648; found 329.1642.



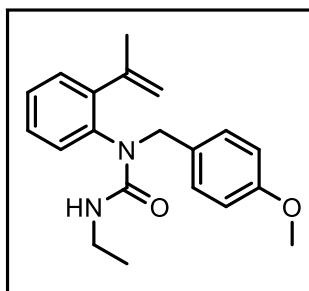
1,3-dibenzyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6a**) was prepared according to the general procedure 2.1e described above and got the desired product in 52% (185 mg) yield as a color less liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.35 – 7.18 (m, 12H), 7.13 (td, $J = 7.6, 1.8$ Hz, 1H), 6.82 (dd, $J = 7.8, 1.4$ Hz, 1H), 5.57 (d, $J = 14.7$ Hz, 1H), 5.23 (t, $J = 1.6$ Hz, 1H), 5.03 (dd, $J = 1.8, 0.9$ Hz, 1H), 4.60 (t, $J = 5.8$ Hz, 1H), 4.44 (t, $J = 6.1$ Hz, 2H), 4.02 (d, $J = 14.7$ Hz, 1H), 2.07 (d, $J = 1.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 157.16, 143.35, 142.63, 139.52, 138.49, 137.59, 130.84, 130.51, 128.83, 128.48, 128.32, 128.24, 127.53, 127.14, 127.11, 116.73, 51.95, 44.87, 23.06; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}^+$ 357.1961; found 357.1952.



1-benzyl-3-ethyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6b**) was prepared according to the general procedure 2.1e described above and got the desired product in 43% (127 mg) yield as a color less liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.25 (dd, $J = 7.7, 1.7$ Hz, 1H), 7.22 – 7.10 (m, 6H), 7.06 (td, $J = 7.6, 1.8$ Hz, 1H), 6.72 (dd, $J = 7.9, 1.3$ Hz, 1H), 5.44 (d, $J = 14.8$ Hz, 1H), 5.15 (s, 1H), 4.96 (d, $J = 1.4$ Hz, 1H), 4.13 (t, $J = 5.7$ Hz, 1H), 3.89 (d, $J = 14.8$ Hz, 1H), 3.38 – 2.99 (m, 2H), 2.00 (s, 3H), 0.96 (t, $J = 7.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 157.15, 143.46, 142.72, 138.67, 137.88, 130.91, 130.45, 128.79, 128.26, 128.20, 128.19, 127.02, 116.60, 51.74, 35.62, 23.04, 15.68; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}^+$ 295.1805; found 295.1798.

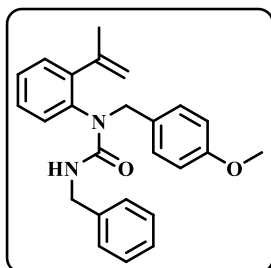


1-benzyl-3-hexyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6c**) was prepared according to the general procedure 2.1e described above and got the desired product in 63% (221 mg) yield as a yellowish white colour liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.25 (dd, $J = 7.7, 1.7$ Hz, 1H), 7.21 – 7.09 (m, 6H), 7.06 (td, $J = 7.6, 1.7$ Hz, 1H), 6.71 (dd, $J = 7.9, 1.3$ Hz, 1H), 5.45 (s, 1H), 5.15 (d, $J = 1.6$ Hz, 1H), 4.97 (t, $J = 1.4$ Hz, 1H), 4.15 (t, $J = 5.7$ Hz, 1H), 3.89 (d, $J = 14.8$ Hz, 1H), 3.24 – 2.97 (m, 2H), 2.00 (s, 3H), 1.41 – 1.08 (m, 8H), 0.88 – 0.69 (m, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 157.23, 143.47, 142.72, 138.68, 137.89, 130.92, 130.45, 128.80, 128.23, 128.19, 128.18, 127.01, 116.59, 51.71, 40.90, 31.48, 30.34, 26.53, 23.05, 22.56, 13.99; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{31}\text{N}_2\text{O}^+$ 351.2431; found 351.2420.



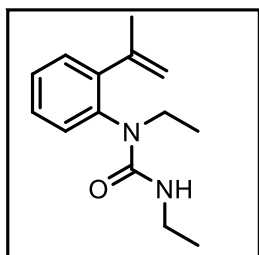
3-ethyl-1-(4-methoxybenzyl)-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6d**) was prepared according to the general procedure 2.1e described above and got the desired product in 54% (175 mg) yield as a colour less liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.28 – 7.14 (m, 2H), 7.10 – 6.97 (m, 3H), 6.68 (d, $J = 8.1$ Hz, 3H), 5.36 (d, $J = 14.7$ Hz, 1H), 5.14 (s, 1H), 4.98 – 4.92 (m, 1H), 4.10 (t, $J = 5.7$ Hz, 1H), 3.89 – 3.76 (m, 1H), 3.68 (s, 3H), 3.15 (dt, $J = 30.4, 6.7$ Hz, 2H), 1.99 (s, 3H),

0.95 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (101 MHz, Chloroform- d) δ 158.66, 157.13, 143.49, 142.75, 137.84, 131.00, 130.85, 130.40, 130.12, 128.24, 128.17, 116.53, 113.52, 55.18, 51.09, 35.59, 23.03, 15.66; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{20}\text{H}_{25}\text{N}_2\text{O}_2^+$ 325.1911; found 325.1900.



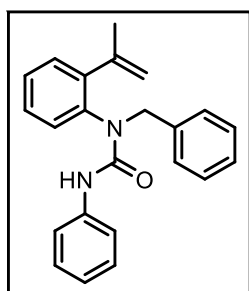
3-benzyl-1-(4-methoxybenzyl)-1-(2-(prop-1-en-2-yl)phenyl)urea:

The title compound (**6e**) was prepared according to the general procedure 2.1e described above and got the desired product in 59% (228 mg) yield as a colour less liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.24 – 7.10 (m, 7H), 7.07 – 6.99 (m, 3H), 6.78 – 6.60 (m, 3H), 5.41 (d, $J = 14.6$ Hz, 1H), 5.11 (t, $J = 1.6$ Hz, 1H), 4.93 (dd, $J = 1.8, 0.9$ Hz, 1H), 4.54 – 4.24 (m, 3H), 3.85 (d, $J = 14.6$ Hz, 1H), 3.69 (s, 3H), 1.96 (dd, $J = 1.5, 0.9$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 158.74, 157.13, 143.41, 142.68, 139.57, 137.58, 130.97, 130.70, 130.47, 130.19, 128.48, 128.29, 127.51, 127.12, 116.68, 113.58, 55.21, 51.32, 44.85, 23.08; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{27}\text{N}_2\text{O}_2^+$ 387.2067; found 387.2073.



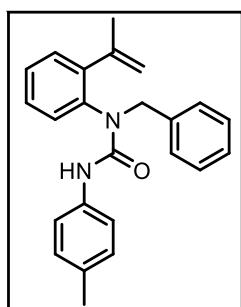
1,3-diethyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6f**) was prepared according to the general procedure 2.1f described above and got the desired product in 60% (140 mg) yield as a white solid. ^1H NMR (400 MHz, Chloroform- d) δ 7.32 – 7.20 (m, 3H), 7.11 – 7.05 (m, 1H), 5.09 (t, $J = 1.6$ Hz, 1H), 4.91 (dd, $J = 1.8, 1.0$ Hz, 1H), 4.20 – 3.91 (m, 2H), 3.27 – 2.81 (m, 3H), 1.96 (s, 3H), 0.97 (dt, $J = 22.7, 7.1$ Hz, 6H); ^{13}C NMR (101 MHz, Chloroform- d) δ 156.85, 143.52, 142.97, 138.14, 130.78, 130.54, 128.38, 128.13, 116.40,

42.92, 35.42, 22.96, 15.70, 13.71; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{14}\text{H}_{21}\text{N}_2\text{O}^+$ 233.1648; found 233.1642.



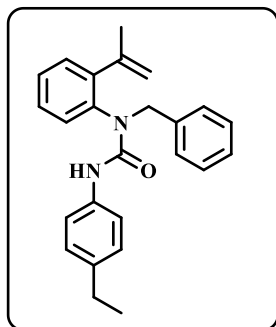
1-benzyl-3-phenyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6g**) was prepared according to the general procedure 2.1e described above and got the desired product in 72% (246 mg) yield as a slight orange white solid. ^1H NMR (400 MHz, Chloroform- d) δ 7.57 – 7.09 (m, 12H), 7.03 (tt, $J = 7.2, 1.7$ Hz, 1H), 6.92 (dd, $J = 7.9, 3.8$ Hz, 1H), 6.22 (d, $J = 6.8$ Hz, 1H), 5.63 (dd, $J = 15.1, 5.4$ Hz, 1H), 5.33 – 5.27 (m, 1H), 5.11 (d, $J = 4.5$ Hz, 1H), 4.07 (dd, $J = 15.0, 5.1$ Hz, 1H), 2.14 (s, 3H); ^{13}C NMR (101 MHz, Chloroform- d) δ 154.45,

143.12, 142.82, 138.83, 138.15, 137.43, 130.75, 130.64, 128.92, 128.85, 128.81, 128.61, 128.35, 127.32, 123.04, 119.49, 117.02, 52.01, 23.21; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}^+$ 343.1805; found 343.1795.

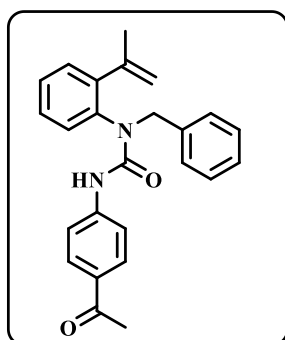


1-benzyl-1-(2-(prop-1-en-2-yl)phenyl)-3-(p-tolyl)urea: The title compound (**6h**) was prepared according to the general procedure 2.1e described above and got the desired product in 66% (235 mg) yield as a colour less liquid. ^1H NMR (400 MHz, Chloroform- d) δ 7.30 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.24 (td, $J = 7.5, 1.3$ Hz, 1H), 7.20 – 7.04 (m, 8H), 6.96 (d, $J = 8.2$ Hz, 2H), 6.80 (dd, $J = 7.9, 1.3$ Hz, 1H), 6.04 (s, 1H),

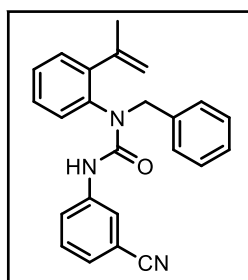
5.51 (d, $J = 14.7$ Hz, 1H), 5.17 (d, $J = 1.4$ Hz, 1H), 4.99 (d, $J = 1.7$ Hz, 1H), 3.95 (d, $J = 14.7$ Hz, 1H), 2.18 (s, 3H), 2.01 (d, $J = 1.4$ Hz, 3H); ^{13}C NMR (101 MHz, Chloroform- d) δ 154.61, 143.16, 142.83, 138.22, 137.51, 136.22, 132.59, 130.70, 130.67, 129.33, 128.91, 128.72, 128.56, 128.31, 127.27, 119.70, 116.95, 51.97, 23.19, 20.74; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}^+$ 357.1961; found 357.1950.



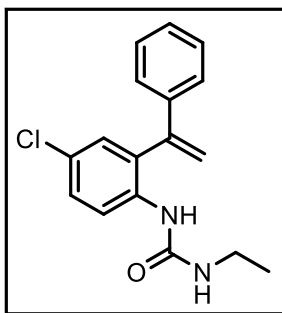
1-benzyl-3-(4-ethylphenyl)-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6i**) was prepared according to the general procedure 2.1e described above and got the desired product in 64% (236 mg) yield as a brown colour gummy liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.35 – 7.21 (m, 2H), 7.20 – 7.15 (m, 5H), 7.15 – 7.09 (m, 3H), 6.99 (d, $J = 8.5$ Hz, 2H), 6.80 (dd, $J = 7.9, 1.3$ Hz, 1H), 6.05 (s, 1H), 5.51 (d, $J = 14.7$ Hz, 1H), 5.18 (p, $J = 1.6$ Hz, 1H), 5.00 (dd, $J = 1.7, 0.9$ Hz, 1H), 3.95 (d, $J = 14.7$ Hz, 1H), 2.49 (q, $J = 7.6$ Hz, 2H), 2.02 (dd, $J = 1.6, 0.8$ Hz, 3H), 1.11 (t, $J = 7.6$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.61, 143.16, 142.83, 139.13, 138.21, 137.50, 136.38, 130.69, 128.91, 128.71, 128.54, 128.30, 128.16, 127.25, 119.77, 116.95, 51.94, 28.22, 23.19, 15.77; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{27}\text{N}_2\text{O}^+$ 371.2118, found 371.2110.



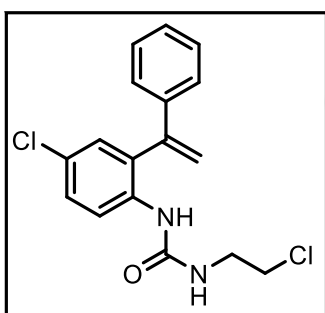
3-(4-acetylphenyl)-1-benzyl-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6j**) was prepared according to the general procedure 2.1e described above and got the desired product in 76% (292 mg) yield as a white colour solid. ^1H NMR (400 MHz, CDCl_3) δ 7.78 (d, $J = 8.8$ Hz, 2H), 7.37 – 7.26 (m, 4H), 7.22 – 7.14 (m, 6H), 6.82 (d, $J = 1.3$ Hz, 1H), 6.33 (s, 1H), 5.51 (d, $J = 14.7$ Hz, 1H), 5.18 (s, 1H), 5.03 – 4.92 (m, 1H), 3.98 (d, $J = 14.7$ Hz, 1H), 2.47 (s, 3H), 2.00 (d, $J = 0.7$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 196.91, 153.78, 143.36, 142.85, 142.71, 137.71, 137.02, 131.74, 130.86, 130.38, 129.72, 129.10, 128.87, 128.75, 128.42, 127.49, 118.04, 117.18, 52.22, 26.37, 23.20; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{25}\text{N}_2\text{O}_2^+$ 385.1911, found 385.1909.



1-benzyl-3-(3-cyanophenyl)-1-(2-(prop-1-en-2-yl)phenyl)urea: The title compound (**6k**) was prepared according to the general procedure 2.1e described above and got the desired product in 58% (213 mg) yield as a colour less liquid. ^1H NMR (400 MHz, Chloroform- d) δ 7.63 (d, $J = 2.3$ Hz, 1H), 7.40 (dt, $J = 8.0, 1.7$ Hz, 1H), 7.36 – 7.08 (m, 10H), 6.80 (dd, $J = 7.9, 1.3$ Hz, 1H), 6.23 (s, 1H), 5.49 (d, $J = 14.7$ Hz, 1H), 5.19 (s, 1H), 4.98 (s, 1H), 3.97 (d, $J = 14.7$ Hz, 1H), 2.01 (s, 3H); ^{13}C NMR (101 MHz, Chloroform- d) δ 153.93, 142.87, 142.69, 139.69, 137.64, 136.92, 130.91, 130.36, 129.63, 129.18, 128.87, 128.79, 128.45, 127.53, 126.41, 123.43, 122.34, 117.22, 112.87, 52.28, 23.20; HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{22}\text{N}_3\text{O}^+$ 368.1757; found 368.1748.

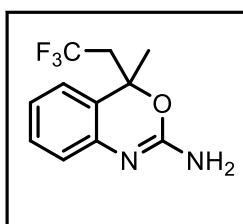


1-(4-chloro-2-(1-phenylvinyl)phenyl)-3-ethylurea: The title compound (**4p**) was prepared according to the general procedure 2.1c described above and got the desired product in 74% (334 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.95 (d, J = 8.7 Hz, 1H), 7.41 – 7.29 (m, 6H), 7.24 (d, J = 2.5 Hz, 1H), 5.99 (d, J = 4.9 Hz, 1H), 5.90 (d, J = 1.1 Hz, 1H), 5.38 (d, J = 1.0 Hz, 1H), 4.19 (d, J = 6.5 Hz, 1H), 3.12 – 2.90 (m, 2H), 1.03 (t, J = 7.2 Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 154.76, 145.37, 138.60, 134.97, 133.38, 129.99, 128.94, 128.73, 128.70, 128.23, 126.42, 122.79, 117.65, 35.27, 15.15; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_2\text{OCl}^+$ 301.1102, 303.1073; found 301.1097, 303.1068.



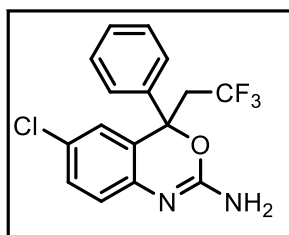
1-(4-chloro-2-(1-phenylvinyl)phenyl)-3-(2-chloroethyl)urea:

The title compound (**4q**) was prepared according to the general procedure 2.1c described above and got the desired product in 85% (427 mg) yield as a orange colour solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.85 (d, J = 8.8 Hz, 1H), 7.42 – 7.23 (m, 7H), 5.99 (s, 1H), 5.91 (s, 1H), 5.38 (s, 1H), 4.65 (s, 1H), 3.54 (t, J = 5.4 Hz, 2H), 3.43 (q, J = 5.6 Hz, 2H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 154.49, 145.26, 138.65, 134.50, 134.22, 130.15, 128.97, 128.77, 126.38, 123.37, 117.74, 44.48, 42.02; **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{17}\text{N}_2\text{O}^+$ 335.0712, 337.0683; found 335.0711, 337.0681.



4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine:

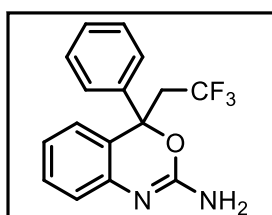
The title compound (**3a**) was prepared by adding 0.1 mmol of 1a, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 18 h of the reaction time to get the desired product in 84% (20.5 mg) yield as a yellowish white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.25 – 7.12 (m, 1H), 7.08 – 6.71 (m, 3H), 4.91 (s, 2H), 2.73 (dq, J = 15.6, 10.8 Hz, 1H), 2.38 (dtd, J = 15.6, 12.2, 11.4, 9.8 Hz, 1H), 1.78 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 154.07, 140.66, 129.38, 126.55, 123.81, 123.25, 122.48, 122.19, 77.91, 43.16, 42.89, 42.62, 42.35, 25.15, 25.13; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -60.07 (s, 3F); **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{11}\text{H}_{12}\text{F}_3\text{N}_2\text{O}^+$ 245.0896; found 245.0909.



6-chloro-4-phenyl-4-(2,2,2-trifluoroethyl)-4H-

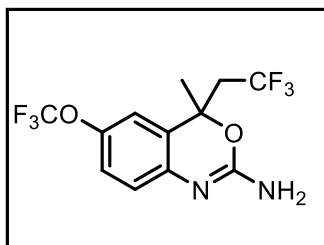
benzo[d][1,3]oxazin-2-amine: The title compound (**3b**) was prepared by adding 0.1 mmol of 1b, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and

stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired product in 71% (24 mg) yield as a colour less semi solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.39 – 7.21 (m, 5H), 7.13 (dd, $J = 8.4, 2.3$ Hz, 1H), 6.89 (d, $J = 2.3$ Hz, 1H), 6.83 (d, $J = 8.4$ Hz, 1H), 5.09 (s, 2H), 3.04 (qd, $J = 9.9, 1.5$ Hz, 2H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.76, 140.18, 139.76, 129.60, 128.76, 128.71, 127.73, 125.94, 125.56, 124.47, 123.83, 123.18, 81.17, 81.15, 42.47, 42.19; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -58.54 (s, 3F); **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{13}\text{F}_3\text{N}_2\text{OCl}^+$ 341.0663; found 341.0661.



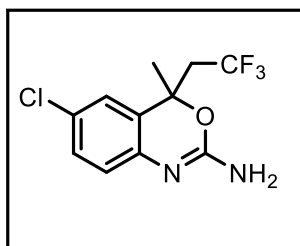
4-phenyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine:

The title compound (**3c**) was prepared by adding 0.1 mmol of **1c**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 36 h of the reaction time to get the desired product in 75% (23 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.33 – 7.20 (m, 5H), 7.17 (ddd, $J = 8.9, 4.6, 3.1$ Hz, 1H), 7.00 – 6.83 (m, 3H), 3.14 – 3.00 (m, 2H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.80, 140.94, 140.92, 129.52, 128.51, 128.45, 126.11, 125.66, 124.47, 124.44, 122.82, 122.51, 81.45, 81.42, 42.60, 42.32; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -58.52 (s, 3F); **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{14}\text{F}_3\text{N}_2\text{O}^+$ 307.1053, found 307.1045.



4-methyl-4-(2,2,2-trifluoroethyl)-6-(trifluoromethoxy)-4H-benzo[d][1,3]oxazin-2-amine:

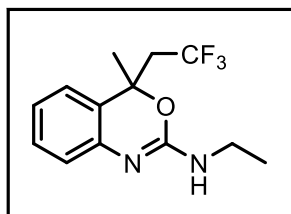
The title compound (**3d**) was prepared by adding 0.1 mmol of **1d**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 30 h of the reaction time to get the desired product in 44% (14.5 mg) yield as a white semi solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.04 (ddd, $J = 8.7, 2.6, 1.1$ Hz, 1H), 6.90 (d, $J = 8.6$ Hz, 1H), 6.84 (d, $J = 2.6$ Hz, 1H), 2.71 (dq, $J = 15.7, 10.6$ Hz, 1H), 2.41 (dq, $J = 15.6, 10.5$ Hz, 1H), 1.78 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.90, 144.77, 139.32, 127.33, 126.30, 124.32, 123.54, 123.35, 122.38, 121.77, 119.22, 115.97, 77.85, 42.76, 42.48, 42.21, 25.14; $^{19}\text{F NMR}$ (377 MHz, Acetonitrile-*d*₃) δ -59.05 (s, 3F), -60.68 (s, 3F); **HRMS** (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{12}\text{H}_{11}\text{F}_6\text{N}_2\text{O}_2^+$ 329.0719; found 329.0715.



6-chloro-4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine:

The title compound (**3e**) was prepared by adding 0.1 mmol of **1e**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired

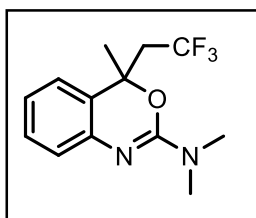
product in 56% (15.6 mg) yield as a white semi solid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.12 (dd, $J = 8.5, 2.3$ Hz, 1H), 6.95 (d, $J = 2.3$ Hz, 1H), 6.81 (d, $J = 8.5$ Hz, 1H), 4.86 (s, 2H), 2.70 (dq, $J = 15.7, 10.7$ Hz, 1H), 2.37 (dq, $J = 15.6, 10.5$ Hz, 1H), 1.76 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.78, 139.42, 129.45, 128.10, 127.88, 126.39, 123.71, 122.68, 77.69, 42.81, 42.54, 42.27, 25.15, 25.13; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -60.08 (s, 3F); **HRMS** (ESI⁺) m/z : [M + H]⁺ calcd for C₁₁H₁₁F₃N₂OCl⁺ 279.0507; found 279.0504.



N-ethyl-4-methyl-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazin-2-amine: The title compound (**5a**) was prepared by adding 0.1 mmol of 4a, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column

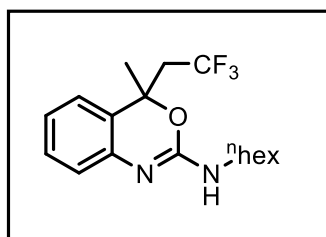
chromatography after 22 h of the reaction time to get the desired product in 71% (19.3 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, CDCl₃) δ 7.16 (td, $J = 7.7, 1.7$ Hz, 1H), 7.02 – 6.82 (m, 3H), 4.36 (s, 1H), 3.32 (q, $J = 7.3$ Hz, 2H), 2.71 (dq, $J = 15.7, 11.0$ Hz, 1H), 2.37 (dq, $J = 15.7, 10.9$ Hz, 1H), 1.76 (s, 3H), 1.14 (t, $J = 7.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl₃) δ 153.06, 141.30, 129.33, 127.01, 126.67, 123.90, 122.70, 122.65, 122.26, 42.61, 42.34, 36.21, 24.83, 15.13; $^{19}\text{F NMR}$ (377 MHz, CDCl₃) δ -60.05 (s, 3F); **HRMS** (ESI⁺) m/z : [M + H]⁺ calcd for C₁₃H₁₆F₃N₂O⁺ 273.1209; found 273.1200.



N,N,4-trimethyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-

amine: The title compound (**5b**) was prepared by adding 0.1 mmol of 4b, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 22 h of the reaction time to get the

desired product in 92% (25 mg) yield as a white solid. $^1\text{H NMR}$ (400 MHz, CDCl₃) δ 7.18 – 7.10 (m, 1H), 7.00 – 6.90 (m, 2H), 6.86 (t, $J = 7.4$ Hz, 1H), 2.98 (s, 6H), 2.74 (dq, $J = 15.8, 11.0$ Hz, 1H), 2.40 (dq, $J = 15.7, 10.7$ Hz, 1H), 1.77 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl₃) δ 153.69, 142.09, 129.26, 126.51, 123.77, 122.58, 122.12, 121.95, 77.79, 42.63, 42.37, 42.10, 36.51, 24.72; $^{19}\text{F NMR}$ (377 MHz, CDCl₃) δ -60.27 (s, 3F); **HRMS** (ESI⁺) m/z : [M + H]⁺ calcd for C₁₃H₁₆F₃N₂O⁺ 273.1209, found 273.1208.

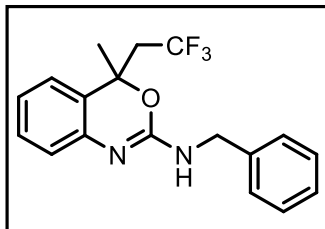


N-hexyl-4-methyl-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazin-2-amine: The title compound (**5c**) was prepared by adding 0.1 mmol of 4c, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired

product in 76% (25 mg) yield as a colour less liquid. $^1\text{H NMR}$ (400 MHz, DMSO-*d*₆) δ 7.26 – 7.08 (m, 2H), 6.96 – 6.75 (m, 3H), 3.16 (dd, $J = 12.4, 6.3$ Hz, 2H), 2.92 (ddd, $J = 33.2, 18.4, 12.1$ Hz, 2H), 1.65 (s, 3H), 1.47 (q, $J = 6.9$ Hz, 2H), 1.3 – 1.26 (m, 6H), 0.85 (d, $J = 6.6$

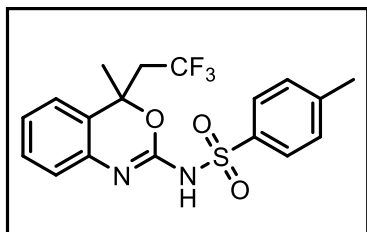
Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 153.18, 142.25, 129.08, 127.58, 126.98, 124.81, 123.50, 122.20, 121.80, 77.48, 41.90 (q, J = 26.2 Hz), 40.89, 31.46, 26.73, 26.40, 22.53, 14.36; ^{19}F NMR (377 MHz, DMSO- d_6) δ -58.38 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{24}\text{F}_3\text{N}_2\text{O}^+$ 329.1835; found 329.1831.



N-benzyl-4-methyl-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazin-2-amine: The title compound (**5d**) was prepared by adding 0.1 mmol of 4d, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired

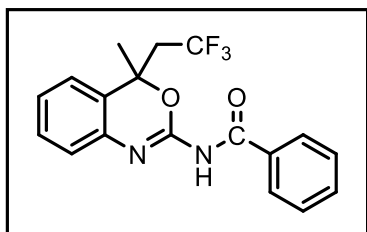
product in 85% (28.4 mg) yield as a colourless liquid. ^1H NMR (400 MHz, DMSO- d_6) δ 7.46 (s, 1H), 7.31 (d, J = 4.3 Hz, 4H), 7.26 – 7.17 (m, 2H), 7.17 – 7.08 (m, 1H), 6.95 – 6.85 (m, 1H), 6.79 (d, J = 7.9 Hz, 1H), 4.40 (s, 2H), 3.09 – 2.80 (m, 2H), 1.66 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 153.36, 141.92, 140.50, 129.13, 128.64, 127.46, 127.10, 127.01, 123.59, 122.33, 122.13, 77.80, 44.26, 42.10, 41.84, 26.88; ^{19}F NMR (377 MHz, DMSO- d_6) δ -58.32 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{17}\text{F}_3\text{N}_2\text{O}^+$ 335.1366; found 335.1362.



4-methyl-N-(4-methyl-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazin-2-yl)benzenesulfonamide: The title compound (**5e**) was prepared by adding 0.1 mmol of 4e, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by

using silica gel column chromatography after 24 h of the reaction time to get the desired product in 83% (33 mg) yield as a white solid. ^1H NMR (400 MHz, CDCl_3) δ 9.87 (s, 1H), 7.84 – 7.73 (m, 2H), 7.33 – 7.16 (m, 3H), 7.09 (tt, J = 7.9, 4.8 Hz, 2H), 6.98 (d, J = 8.0 Hz, 1H), 2.64 (qq, J = 15.5, 10.3 Hz, 2H), 2.34 (s, 3H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.82, 143.25, 139.18, 130.73, 130.23, 129.39, 126.68, 125.64, 125.27, 124.20, 122.98, 116.09, 81.70, 43.29 (q, J = 27.02 Hz), 26.54, 21.52; ^{19}F NMR (377 MHz, CDCl_3) δ -60.42 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{F}_3\text{N}_2\text{O}_3\text{S}^+$ 399.0985; found 399.1005.

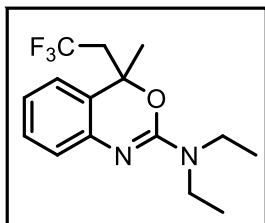


N-(4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-

2-yl)benzamide: The title compound (**5f**) was prepared by adding 0.1 mmol of 4f, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired

product in 82% (28.6 mg) yield as a white solid. ^1H NMR (400 MHz, CDCl_3) δ 12.82 (s, 1H), 8.22 – 8.14 (m, 2H), 7.50 – 7.42 (m, 1H), 7.37 (dd, J = 8.3, 6.8 Hz, 2H), 7.30 (dt, J = 8.3, 4.4 Hz, 1H), 7.13 (d, J = 4.4 Hz, 2H), 6.94 (d, J = 7.9 Hz, 1H), 2.80 (q, J = 10.3 Hz, 2H), 1.91 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 158.85, 136.64, 132.40, 130.09, 129.54, 128.13,

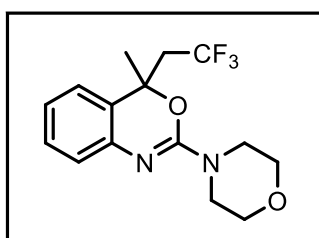
127.55, 125.89, 125.42, 124.30, 123.98, 123.13, 116.42, 80.21, 43.66 (q, $J = 27.2$ Hz), 26.93; ^{19}F NMR (377 MHz, CDCl_3) δ -60.24 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{16}\text{F}_3\text{N}_2\text{O}_2^+$ 349.1158; found 349.1171.



N,N-diethyl-4-methyl-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazin-2-amine: The title compound (**5g**) was prepared by adding 0.1 mmol of 4g, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24

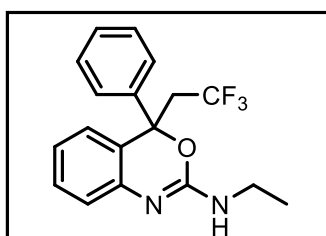
h of the reaction time to get the desired product in 72% (21.6 mg) yield as colour less liquid. ^1H NMR (400 MHz, Chloroform- d) δ 7.13 (td, $J = 7.6, 1.5$ Hz, 1H), 6.92 (dt, $J = 7.8, 1.9$ Hz, 2H), 6.85 (td, $J = 7.4, 1.3$ Hz, 1H), 3.39 (ddq, $J = 53.8, 14.0, 7.1$ Hz, 4H), 2.74 (dq, $J = 15.6, 10.9$ Hz, 1H), 2.50 (dq, $J = 15.6, 10.8$ Hz, 1H), 1.73 (d, $J = 1.4$ Hz, 3H), 1.10 (t, $J = 7.1$ Hz, 6H); ^{13}C NMR (101 MHz, Chloroform- d) δ 152.74, 142.35, 129.17, 126.67, 126.50, 122.56, 121.89, 121.78, 77.58, 42.55, 42.28, 41.18, 24.93, 13.78; ^{19}F NMR (377 MHz, Chloroform- d) δ -60.12 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{20}\text{F}_3\text{N}_2\text{O}^+$ 301.1522, found 301.1516.



4-methyl-2-morpholino-4-(2,2,2-trifluoroethyl)-4H-

benzo[d][1,3]oxazine: The title compound (**5h**) was prepared by adding 0.1 mmol of 4h, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column

chromatography after 20 h of the reaction time to get the desired product in 88% (27.6 mg) yield as white solid. ^1H NMR (400 MHz, CDCl_3) δ 7.20 – 7.12 (m, 1H), 6.93 (qd, $J = 7.3, 6.9, 1.6$ Hz, 3H), 3.64 (dd, $J = 5.8, 4.3$ Hz, 4H), 3.55 (dd, $J = 6.0, 4.1$ Hz, 4H), 2.85 – 2.65 (m, 1H), 2.37 (dq, $J = 15.7, 10.7$ Hz, 1H), 1.78 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.54, 141.38, 129.38, 126.78, 126.53, 123.76, 122.81, 122.02, 78.00, 66.65, 44.34, 42.52 (q, $J = 27.2$ Hz), 24.68 -24.64 (m); ^{19}F NMR (377 MHz, CDCl_3) δ -60.24 (s, 3F); HRMS (ESI $^+$) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{18}\text{F}_3\text{N}_2\text{O}_2^+$ 315.1315; found 315.1293.

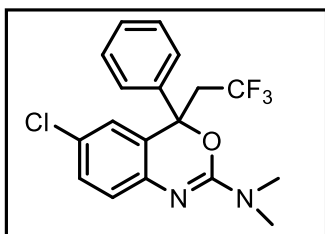


N-ethyl-4-phenyl-4-(2,2,2-trifluoroethyl)-4H-

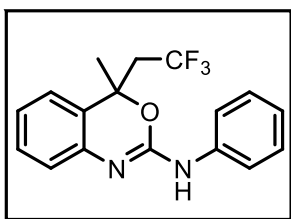
benzo[d][1,3]oxazin-2-amine: The title compound (**5i**) was prepared by adding 0.1 mmol of 4i, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column

chromatography after 20 h of the reaction time to get the desired product in 85% (28.4 mg) yield as a white solid. ^1H NMR (400 MHz, CDCl_3) δ 7.30 – 7.13 (m, 6H), 7.01 – 6.87 (m, 3H), 4.54 (s, 1H), 3.35 (qd, $J = 7.2, 2.5$ Hz, 2H), 3.06 (p, $J = 10.1$ Hz, 2H), 1.14 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.86, 141.69, 140.98, 129.45, 128.41, 128.29, 126.18, 125.74, 124.95, 124.21, 122.94, 122.19, 81.09, 42.29 (q, $J = 27.2$ Hz), 36.34, 15.12;

¹⁹F NMR (377 MHz, CDCl₃) δ -58.48 (s, 3F); **HRMS** (ESI⁺) m/z: [M + H]⁺ calcd for C₁₈H₁₈F₃N₂O⁺ 335.1366; found 335.1384.

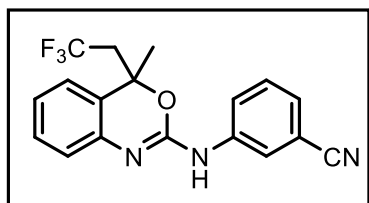


6-chloro-N,N-dimethyl-4-phenyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine: The title compound (**5j**) was prepared by adding 0.1 mmol of 4j, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired product in 83% (30.6 mg) yield as a colour less liquid. **¹H NMR** (400 MHz, CDCl₃) δ 7.36 – 7.16 (m, 5H), 7.10 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.98 – 6.76 (m, 2H), 3.04 (m, 8H); **¹³C NMR** (101 MHz, CDCl₃) δ 152.49, 140.30, 139.20, 128.43, 127.55, 127.47, 125.28, 124.69, 124.59, 123.05, 122.79, 122.17, 80.19, 80.17, 41.43 (q, *J* = 221.2 Hz), 35.59; **¹⁹F NMR** (377 MHz, CDCl₃) δ -58.73 (s, 3F); **HRMS** (ESI⁺) m/z: [M + H]⁺ calcd for C₁₈H₁₇F₃N₂O⁺ 369.0976; found 369.0981.

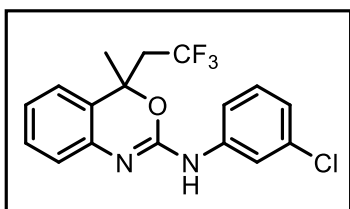


4-methyl-N-phenyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine: The title compound (**5k**) was prepared by adding 0.1 mmol of 4k, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 15 h of the reaction time to get the desired product in 67% (21.5 mg) yield as a yellow colour liquid.

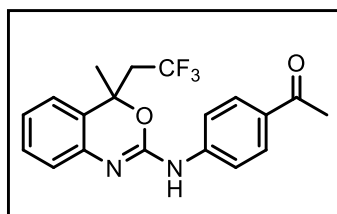
¹H NMR (400 MHz, DMSO-*d*₆) δ 9.32 (s, 1H), 7.75 (d, *J* = 8.0 Hz, 2H), 7.36 – 7.16 (m, 4H), 6.99 (dtd, *J* = 14.7, 7.8, 4.2 Hz, 3H), 3.14 (dq, *J* = 15.3, 11.4 Hz, 1H), 2.94 (dq, *J* = 15.9, 11.4 Hz, 1H), 1.74 (s, 3H); **¹³C NMR** (101 MHz, DMSO-*d*₆) δ 149.75, 140.54, 140.06, 129.35, 128.99, 127.50, 127.04, 1124.73, 123.86, 123.46, 122.37, 119.54, 78.39, 42.36, 42.10, 27.43; **¹⁹F NMR** (377 MHz, DMSO-*d*₆) δ -58.39 (s, 3F); **HRMS** (ESI⁺) m/z: [M + H]⁺ calcd for C₁₇H₁₆F₃N₂O⁺ 321.1209; found 321.1201.



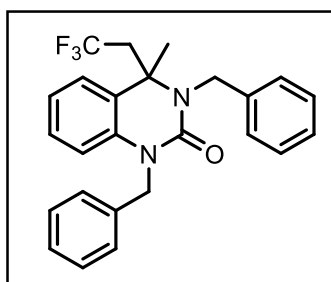
3-((4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-yl)amino)benzonitrile: The title compound (**5l**) was prepared by adding 0.1 mmol of 4l, 0.15 mmol of 2a, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 16 h of the reaction time to get the desired product in 60% (20.7 mg) yield as a white solid. **¹H NMR** (400 MHz, CDCl₃) δ 8.07 (t, *J* = 1.9 Hz, 1H), 7.60 (ddd, *J* = 8.2, 2.4, 1.1 Hz, 1H), 7.34 (t, *J* = 7.9 Hz, 1H), 7.29 – 7.21 (m, 2H), 7.14 – 6.95 (m, 3H), 2.78 (dq, *J* = 15.7, 10.7 Hz, 1H), 2.46 (dq, *J* = 15.8, 10.6 Hz, 1H), 1.83 (s, 3H); **¹³C NMR** (101 MHz, CDCl₃) δ 148.53, 139.64, 139.04, 129.71, 129.63, 126.96, 126.41, 124.55, 123.69, 123.45, 123.39, 122.50, 122.48, 118.84, 112.96, 78.63, 42.94, 42.67, 25.25; **¹⁹F NMR** (377 MHz, CDCl₃) δ -60.03 (s, 3F); **HRMS** (ESI⁺) m/z: [M + H]⁺ calcd for C₁₈H₁₅F₃N₃O⁺ 346.1162; found 346.1162.



N-(3-chlorophenyl)-4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine: The title compound (**5m**) was prepared by adding 0.1 mmol of **4m**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 15 h of the reaction time to get the desired product in 58% (20.6 mg) yield as a colour less liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.70 (t, *J* = 2.2 Hz, 1H), 7.30 (dd, *J* = 8.3, 2.3 Hz, 1H), 7.26 – 7.12 (m, 2H), 7.09 (d, *J* = 7.8 Hz, 1H), 7.04 – 6.91 (m, 3H), 6.47 (s, 1H), 2.77 (dq, *J* = 15.6, 10.9 Hz, 1H), 2.45 (dq, *J* = 15.5, 10.7 Hz, 1H), 1.81 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 148.94, 139.74, 139.60, 134.64, 129.89, 129.50, 127.06, 124.21, 123.49, 123.04, 122.42, 119.51, 117.41, 42.86, 42.59, 25.18; ¹⁹F NMR (377 MHz, CDCl₃) δ -60.00 (s, 3F); HRMS (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₇H₁₅F₃N₂ClO⁺ 355.0820; found 355.0809.

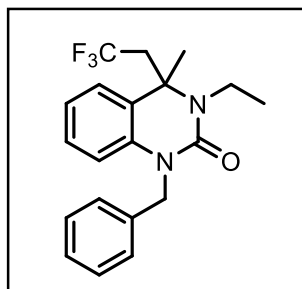


1-(4-((4-methyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-yl)amino)phenyl)ethan-1-one: The title compound (**5n**) was prepared by adding 0.1 mmol of **4n**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 18 h of the reaction time to get the desired product in 71% (20.6 mg) yield as a colour less liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.92 – 7.85 (m, 2H), 7.67 – 7.59 (m, 2H), 7.26 – 7.20 (m, 1H), 7.10 (d, *J* = 7.8 Hz, 1H), 7.07 – 6.98 (m, 2H), 2.78 (dq, *J* = 15.7, 10.7 Hz, 1H), 2.54 – 2.40 (m, 4H), 1.83 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 196.93, 148.65, 143.01, 139.44, 131.74, 129.83, 129.55, 127.10, 126.47, 124.53, 123.70, 122.47, 118.34, 78.54 (d, *J* = 2.0 Hz), 42.81 (q, *J* = 27.2 Hz), 26.40, 25.28, 25.26; ¹⁹F NMR (377 MHz, CDCl₃) δ -60.01 (s, 3F); HRMS (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₉H₁₈F₃N₂O₂⁺ 363.1315; found 363.1292.



1,3-dibenzyl-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7a**) was prepared by adding 0.1 mmol of **6a**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired product in 62% (26.3 mg) yield as a colour less liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.39 – 7.04 (m, 12H), 6.93 (td, *J* = 7.6, 1.1 Hz, 1H), 6.77 (dd, *J* = 8.2, 1.2 Hz, 1H), 5.26 (t, *J* = 16.5 Hz, 2H), 5.08 (d, *J* = 16.5 Hz, 1H), 4.36 (d, *J* = 16.6 Hz, 1H), 2.57 (dq, *J* = 15.3, 10.7 Hz, 1H), 2.38 (dq, *J* = 15.3, 10.7 Hz, 1H), 1.75 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 154.57, 139.63, 137.46, 137.21, 129.02, 128.71, 128.56, 127.01, 126.85, 126.56, 126.54, 125.74, 124.57, 122.33, 114.30, 58.18,

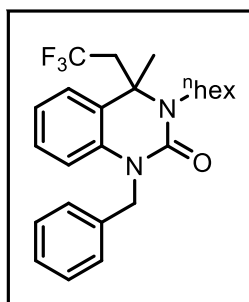
47.38, 46.79, 43.23, 42.97, 26.03; ^{19}F NMR (377 MHz, CDCl_3) δ -61.11 (s, 3F); HRMS (ESI $^+$) m/z: $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{24}\text{F}_3\text{N}_2\text{O}^+$ 425.1835, found 425.1829.



1-benzyl-3-ethyl-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-

dihydroquinazolin-2(1H)-one: The title compound (**7b**) was prepared by adding 0.1 mmol of **6b**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired product in 65% (23.5 mg) yield as

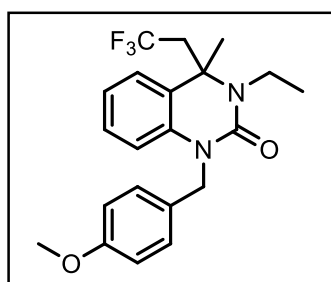
a colour less liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.23 (dd, $J = 8.1, 6.7$ Hz, 2H), 7.19 – 7.10 (m, 4H), 7.05 (ddd, $J = 8.6, 7.4, 1.5$ Hz, 1H), 6.90 (td, $J = 7.6, 1.2$ Hz, 1H), 6.67 (dd, $J = 8.2, 1.1$ Hz, 1H), 5.10 (d, $J = 8.2$ Hz, 2H), 3.88 (dq, $J = 14.1, 7.0$ Hz, 1H), 3.17 (dq, $J = 14.1, 7.0$ Hz, 1H), 2.60 (dq, $J = 15.4, 10.6$ Hz, 1H), 2.39 (dq, $J = 15.4, 10.5$ Hz, 1H), 1.83 (s, 3H), 1.20 (t, $J = 6.9$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 153.29, 137.65, 137.18, 128.86, 128.65, 126.89, 126.45, 124.96, 124.85, 121.88, 114.03, 58.02, 58.00, 46.95, 43.63, 43.38, 38.58, 27.36, 15.82; ^{19}F NMR (377 MHz, CDCl_3) δ -61.40 (s, 3F); HRMS (ESI $^+$) m/z: $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{20}\text{H}_{22}\text{F}_3\text{N}_2\text{O}^+$ 363.1679, found 363.1672.



1-benzyl-3-hexyl-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-

dihydroquinazolin-2(1H)-one: The title compound (**7c**) was prepared by adding 0.1 mmol of **6c**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired product in 59% (24.7 mg) yield as a colour less liquid. ^1H NMR (400 MHz,

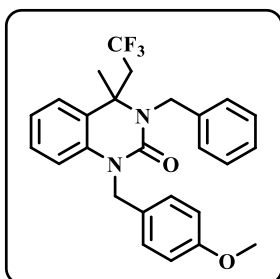
Chloroform-*d*) δ 7.29 – 7.11 (m, 6H), 7.05 (ddd, $J = 8.4, 7.5, 1.5$ Hz, 1H), 6.89 (td, $J = 7.6, 1.1$ Hz, 1H), 6.67 (dd, $J = 8.2, 1.1$ Hz, 1H), 5.22 – 4.97 (m, 2H), 3.78 (ddd, $J = 14.1, 10.8, 5.2$ Hz, 1H), 3.03 (ddd, $J = 14.1, 10.9, 5.0$ Hz, 1H), 2.57 (dq, $J = 15.3, 10.6$ Hz, 1H), 2.37 (dq, $J = 15.4, 10.6$ Hz, 1H), 1.82 (s, 3H), 1.71 (ddd, $J = 10.5, 5.4, 2.6$ Hz, 1H), 1.51 – 1.37 (m, 1H), 1.33 – 1.19 (m, 6H), 0.87 – 0.76 (m, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.63, 137.64, 137.24, 128.85, 128.65, 126.89, 126.43, 125.18, 124.78, 123.84, 121.92, 114.04, 57.87, 47.02, 44.04, 43.44, 43.19, 31.58, 30.56, 26.95, 26.90, 22.70, 14.03; ^{19}F NMR (377 MHz, Chloroform-*d*) δ -61.30 (s, 3F); HRMS (ESI $^+$) m/z: $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{30}\text{F}_3\text{N}_2\text{O}^+$ 419.2305, found 419.2300.



3-ethyl-1-(4-methoxybenzyl)-4-methyl-4-(2,2,2-

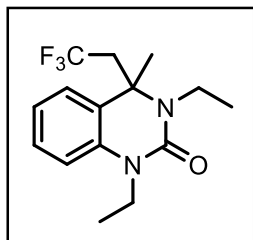
trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7d**) was prepared by adding 0.1 mmol of **6d**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired

product in 66% (25.9 mg) yield as a colour less gummy liquid. **¹H NMR** (400 MHz, CDCl₃) δ 7.16 – 7.09 (m, 3H), 7.06 (ddd, *J* = 8.5, 7.3, 1.5 Hz, 1H), 6.89 (td, *J* = 7.6, 1.2 Hz, 1H), 6.80 – 6.75 (m, 2H), 6.71 (dd, *J* = 8.3, 1.2 Hz, 1H), 5.04 (s, 2H), 3.87 (dq, *J* = 14.1, 7.0 Hz, 1H), 3.70 (s, 3H), 3.16 (dq, *J* = 14.1, 7.0 Hz, 1H), 2.57 (dq, *J* = 15.4, 10.6 Hz, 1H), 2.37 (dq, *J* = 15.4, 10.6 Hz, 1H), 1.82 (s, 3H), 1.19 (t, *J* = 7.0 Hz, 3H); **¹³C NMR** (101 MHz, CDCl₃) δ 158.55, 153.33, 137.19, 129.67, 128.82, 127.76, 125.04, 124.80, 121.84, 114.08, 114.03, 57.97, 55.26, 46.34, 43.60, 43.35, 38.56, 27.25, 15.82; **¹⁹F NMR** (377 MHz, CDCl₃) δ -61.39 (s, 3F); **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₂₁H₂₄F₃N₂O₂⁺ 393.1784, found 393.1781.



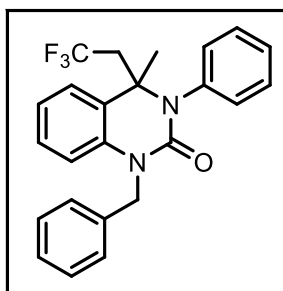
3-benzyl-1-(4-methoxybenzyl)-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7e**) was prepared by adding 0.1 mmol of **6e**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired product in 64% (29 mg) yield as a colour less gummy liquid.

¹H NMR (400 MHz, CDCl₃) δ 7.24 – 7.19 (m, 4H), 7.18 – 7.08 (m, 5H), 6.97 – 6.88 (m, 1H), 6.86 – 6.72 (m, 3H), 5.28 (d, *J* = 16.6 Hz, 1H), 5.18 – 4.99 (m, 2H), 4.36 (d, *J* = 16.6 Hz, 1H), 3.71 (s, 3H), 2.54 (m, 1H), 2.42 – 2.31 (m, 1H), 1.74 (s, 3H); **¹³C NMR** (101 MHz, CDCl₃) δ 158.63, 154.59, 139.65, 137.22, 129.50, 128.97, 128.55, 127.88, 126.82, 126.55, 125.85, 124.50, 122.27, 114.31, 114.13, 58.10, 55.27, 46.77, 42.94, 29.72, 25.89; **¹⁹F NMR** (377 MHz, CDCl₃) δ -61.09 (s, 3F); **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₂₆H₂₆F₃N₂O₂⁺ 455.1941, found 455.1943.



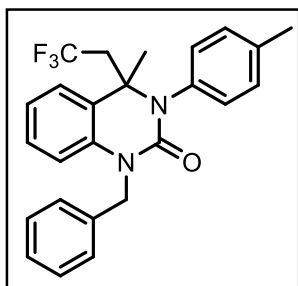
1,3-diethyl-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7f**) was prepared by adding 0.1 mmol of **6f**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel (quenched with trimethylamine) column chromatography after 6 h of the reaction time to get the desired product in 55% (16.5 mg) yield as a yellowish white liquid.

¹H NMR (400 MHz, CDCl₃) δ 7.25 – 7.19 (m, 1H), 7.15 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.94 (td, *J* = 7.6, 1.1 Hz, 1H), 6.84 (dd, *J* = 8.2, 1.2 Hz, 1H), 4.04 – 3.76 (m, 3H), 3.12 (dq, *J* = 14.1, 7.0 Hz, 1H), 2.52 (dq, *J* = 15.3, 10.7 Hz, 1H), 2.31 (dq, *J* = 15.3, 10.6 Hz, 1H), 1.80 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.0 Hz, 3H); **¹³C NMR** (101 MHz, CDCl₃) δ 152.93, 136.97, 128.90, 125.37, 124.91, 121.57, 113.00, 57.57, 57.54, 43.25, 42.99, 38.25, 37.64, 26.56, 26.54, 15.87, 12.51; **¹⁹F NMR** (377 MHz, CDCl₃) δ -61.47 (s, 3F); **HRMS** (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₅H₂₀F₃N₂O⁺ 301.1522, found: 301.1515.



1-benzyl-4-methyl-3-phenyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7g**) was prepared by adding 0.1 mmol of **6g**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 6 h of the reaction time to get the desired product in 81% (33.2 mg) yield as a white solid. **¹H NMR** (400 MHz, CDCl₃) δ 7.44 –

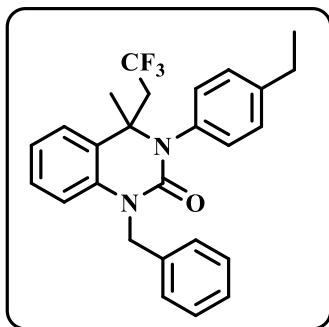
7.29 (m, 4H), 7.28 – 7.03 (m, 8H), 6.97 (td, $J = 7.6, 1.2$ Hz, 1H), 6.82 (dd, $J = 8.2, 1.1$ Hz, 1H), 5.32 – 4.97 (m, 2H), 2.85 (dq, $J = 15.2, 10.7$ Hz, 1H), 2.46 (dq, $J = 15.2, 10.4$ Hz, 1H), 1.53 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.17, 138.56, 137.66, 137.50, 131.45, 130.60, 129.28, 129.10, 128.67, 128.26, 127.00, 126.68, 125.31, 124.98, 122.34, 114.51, 58.50, 47.42, 43.67, 43.42, 27.38; ^{19}F NMR (377 MHz, CDCl_3) δ -60.30 (s, 3F); HRMS (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{22}\text{F}_3\text{N}_2\text{O}^+$ 411.1679, found 411.1680.



1-benzyl-4-methyl-3-(p-tolyl)-4-(2,2,2-trifluoroethyl)-3,4-

dihydroquinazolin-2(1H)-one: The title compound (**7h**) was prepared by adding 0.1 mmol of **6h**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 6 h of the reaction time to get the desired

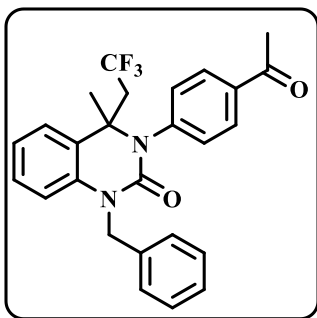
product in 77% (32.7 mg) yield as a white solid. ^1H NMR (400 MHz, CDCl_3) δ 7.25 – 7.11 (m, 10H), 6.96 (td, $J = 7.5, 1.1$ Hz, 2H), 6.81 (dd, $J = 8.3, 1.1$ Hz, 1H), 5.26 – 4.96 (m, 2H), 2.85 (dd, $J = 15.2, 10.7$ Hz, 1H), 2.53 – 2.38 (m, 1H), 2.31 (s, 3H), 1.53 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.26, 138.13, 137.67, 137.57, 135.81, 131.12, 130.24, 129.93, 129.04, 128.64, 126.97, 126.73, 125.32, 125.01, 122.27, 114.46, 58.44, 58.42, 47.41, 43.59, 43.34, 27.35, 21.16; ^{19}F NMR (377 MHz, CDCl_3) δ -60.29 (s, 3F); HRMS (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{24}\text{F}_3\text{N}_2\text{O}^+$ 425.1835, found 425.1832.



1-benzyl-3-(4-ethylphenyl)-4-methyl-4-(2,2,2-trifluoroethyl)-

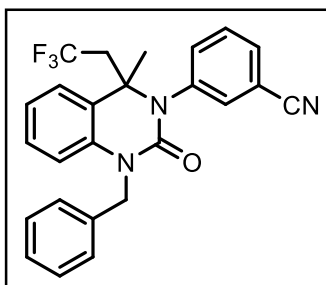
3,4-dihydroquinazolin-2(1H)-one: The title compound (**7i**) was prepared by adding 0.1 mmol of **6i**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO_3 and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 6 h of the reaction time to get the desired

product in 69% (30 mg) yield as a colour less liquid. ^1H NMR (400 MHz, CDCl_3) δ 7.33 – 7.27 (m, 6H), 7.26 – 7.17 (m, 4H), 7.08 – 6.98 (m, 2H), 6.88 (dd, $J = 8.3, 1.1$ Hz, 1H), 5.26 (d, $J = 16.5$ Hz, 1H), 5.13 (d, $J = 16.4$ Hz, 1H), 2.93 (m, 1H), 2.69 (q, $J = 7.6$ Hz, 2H), 2.60 – 2.47 (m, 1H), 1.60 (s, 3H), 1.27 (d, $J = 7.6$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 154.27, 144.31, 137.67, 137.56, 135.94, 131.17, 130.28, 129.04, 128.72, 128.70, 128.64, 126.97, 126.70, 125.31, 125.02, 122.27, 115.29, 114.45, 58.48, 47.43, 43.61, 43.35, 28.50, 27.40, 15.40; ^{19}F NMR (377 MHz, CDCl_3) δ -60.30 (s, 3F); HRMS (ESI⁺) m/z : $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{26}\text{F}_3\text{N}_2\text{O}^+$ 439.1992, found 439.1987.

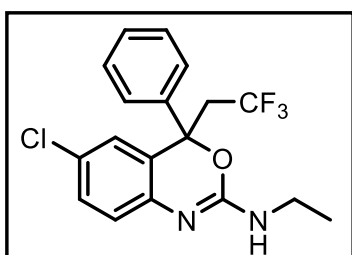


3-(4-acetylphenyl)-1-benzyl-4-methyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one: The title compound (**7j**) was prepared by adding 0.1 mmol of **6j**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 6 h of the reaction time to get the desired

product in 72% (32.5 mg) yield as a colour less gummy liquid. ¹H NMR (400 MHz, CDCl₃) δ 8.05 (m, 2H), 7.59 – 7.48 (m, 1H), 7.37 – 7.18 (m, 8H), 7.06 (m, 1H), 6.91 (dd, *J* = 8.3, 1.2 Hz, 1H), 5.26 (d, *J* = 16.5 Hz, 1H), 5.13 (d, *J* = 16.5 Hz, 1H), 2.89 (m, 1H), 2.63 (s, 3H), 2.59 – 2.48 (m, 1H), 1.61 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 197.32, 153.87, 143.05, 137.45, 137.24, 136.74, 131.85, 131.01, 129.33, 129.28, 128.72, 127.12, 126.65, 125.14, 124.88, 122.61, 114.67, 58.63, 58.61, 47.43, 44.06, 43.80, 43.55, 43.29, 27.34, 26.76; ¹⁹F NMR (377 MHz, CDCl₃) δ -60.33 (s, 3F); HRMS (ESI⁺) *m/z*: [M + H]⁺ calcd for C₂₆H₂₄F₃N₂O₂⁺ 453.1784, found 453.1785.

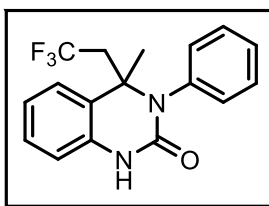


3-(1-benzyl-4-methyl-2-oxo-4-(2,2,2-trifluoroethyl)-1,4-dihydroquinazolin-3(2H)-yl)benzonitrile: The title compound (**7k**) was prepared by adding 0.1 mmol of **6k**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 6 h of the reaction time to get the desired product in 75% (32.6 mg) yield as a colour less sticky liquid. ¹H NMR (400 MHz, CDCl₃) δ 7.68 – 7.29 (m, 4H), 7.29 – 7.10 (m, 7H), 7.00 (td, *J* = 7.6, 1.1 Hz, 1H), 6.85 (d, *J* = 8.2 Hz, 1H), 5.30 – 4.95 (m, 2H), 2.75 (dq, *J* = 15.8, 10.3, 5.2 Hz, 1H), 2.45 (dtt, *J* = 20.5, 13.6, 6.6 Hz, 1H), 1.54 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 153.86, 139.61, 137.34, 137.05, 136.37, 135.85, 135.17, 134.55, 131.99, 131.94, 130.28, 129.43, 128.78, 127.21, 126.59, 126.56, 124.84, 122.83, 114.78, 113.61, 58.69, 47.57, 43.81, 43.55, 27.62, 27.39; ¹⁹F NMR (377 MHz, CDCl₃) δ -60.33 (s, 3F); HRMS (ESI⁺) *m/z*: [M + H]⁺ calcd for C₂₅H₂₁F₃N₃O⁺ 436.1631, found 436.1625.



6-chloro-N-ethyl-4-phenyl-4-(2,2,2-trifluoroethyl)-4H-benzo[d][1,3]oxazin-2-amine: The title compound (**5p**) was prepared by adding 0.1 mmol of **4p**, 0.15 mmol of **2a**, 2 mol% of PC, 0.15 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under blue LED according to the general procedure described above (**2.2a**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired product in 81% (29.8 mg) yield as a white solid.

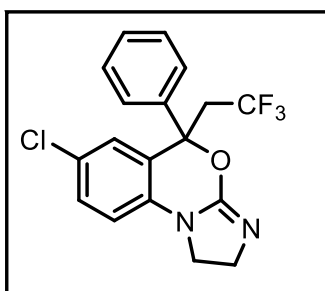
¹H NMR (400 MHz, DMSO-*d*₆) δ 7.68 (d, *J* = 2.7 Hz, 1H), 7.47 – 7.26 (m, 6H), 7.20 (dd, *J* = 8.5, 2.3 Hz, 1H), 6.79 (d, *J* = 8.4 Hz, 1H), 3.69 (dq, *J* = 16.0, 10.7 Hz, 1H), 3.40 (m, 1H), 3.22 (h, *J* = 6.5 Hz, 2H), 1.09 (t, *J* = 7.2 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 153.35, 142.11, 141.65, 129.23, 128.79, 128.55, 127.19, 125.58, 125.29, 125.06, 124.41, 123.99, 80.55, 42.31, 42.05, 35.90; ¹⁹F NMR (377 MHz, DMSO-*d*₆) δ -57.04 (s, 3F); HRMS (ESI⁺) *m/z*: [M + H]⁺ calcd for C₁₈H₁₇F₃N₂ClO⁺ 369.0976; found 369.0971.



4-methyl-3-phenyl-4-(2,2,2-trifluoroethyl)-3,4-dihydroquinazolin-2(1H)-one:

The title compound (**8**) was prepared according to the general procedure **2.2c** as described above.

$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.37 (dddd, $J = 24.1, 20.0, 8.8, 3.6$ Hz, 4H), 7.22 – 7.15 (m, 2H), 7.06 (dt, $J = 7.0, 2.4$ Hz, 1H), 6.98 (td, $J = 7.6, 1.2$ Hz, 1H), 6.67 (dd, $J = 7.8, 1.2$ Hz, 1H), 2.86 (dq, $J = 15.2, 10.6$ Hz, 1H), 2.55 – 2.32 (m, 1H), 1.51 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 153.63, 137.54, 135.79, 131.58, 130.58, 129.42, 129.29, 129.24, 128.51, 125.29, 122.43, 114.28, 59.75, 43.54, 43.28, 43.02, 42.77, 27.27; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -60.36 (s, 3F); **HRMS** (ESI⁺) m/z : [M + H]⁺ calcd for C₁₇H₁₆F₃N₂O⁺ 321.1209; found 321.1208.



7-chloro-5-phenyl-5-(2,2,2-trifluoroethyl)-1,2-dihydro-5H-benzo[d]imidazo[2,1-b][1,3]oxazine:

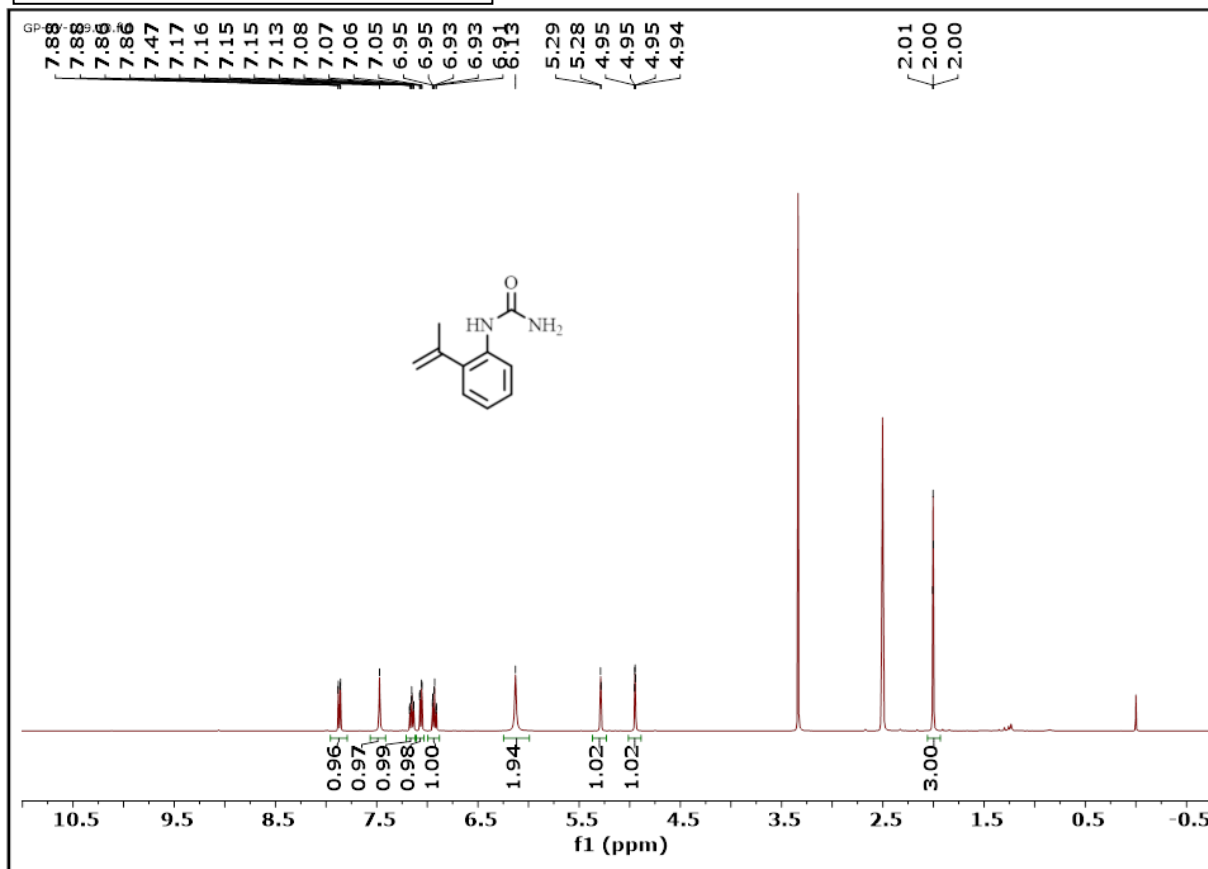
The title compound (**9**) was prepared by adding 0.1 mmol of 4q, 0.15 mmol of 2a, 2 mol% of PC, 0.3 mmol of NaHCO₃ and 2 mL of ACN to a reaction vial and stirred under 18 W blue LED according to the general procedure described above (**2.2d**) and purified by using silica gel column chromatography after 24 h of the reaction time to get the desired product in 73% (26.7mg) yield as colour less

liquid. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.32 – 7.20 (m, 7H), 6.49 (d, $J = 8.3$ Hz, 1H), 3.91 – 3.56 (m, 4H), 3.07 (qd, $J = 9.7, 3.7$ Hz, 2H); $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 155.84, 140.18, 135.18, 129.86, 128.92, 128.84, 125.67, 125.53, 125.45, 122.88, 122.75, 112.54, 48.89, 46.47, 43.81, 43.53; $^{19}\text{F NMR}$ (377 MHz, Chloroform-*d*) δ -58.20 (s, 3F); **HRMS** (ESI⁺) m/z : [M + H]⁺ calcd for C₁₈H₁₅ClF₃N₂O⁺ 367.0820, 369.0790; found 367.0825, 369.0795.

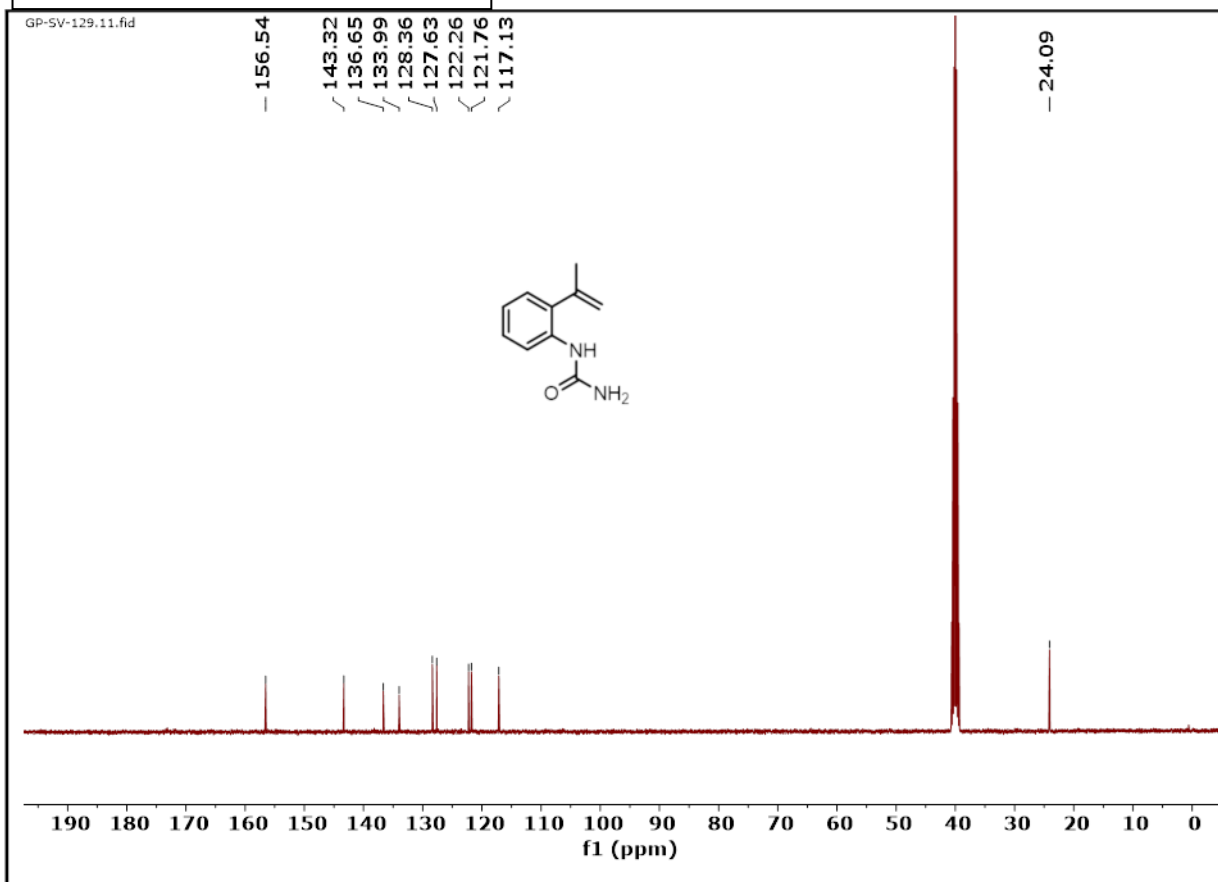
References:

1. B. Li, Y. Park and S. Chang, *J. Am. Chem. Soc.*, 2014, **136**, 1125.

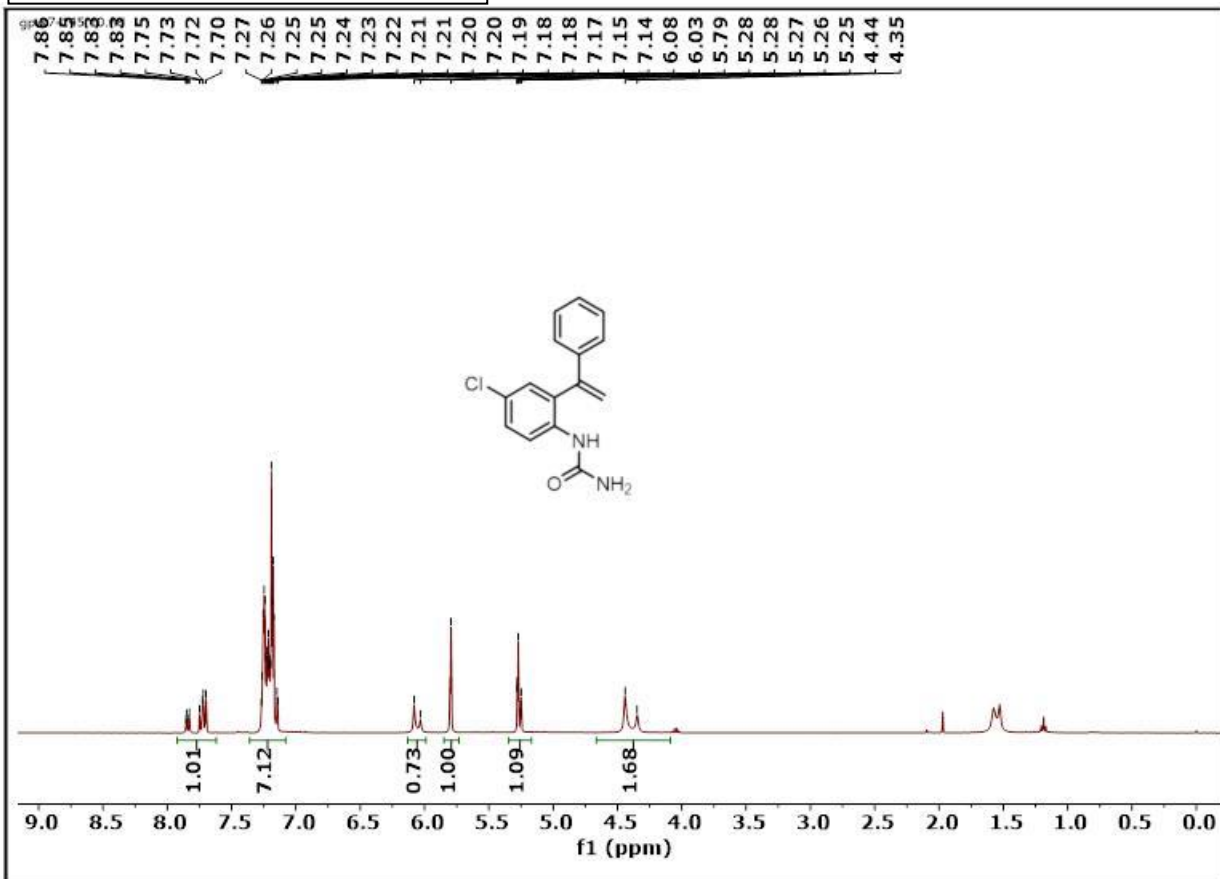
1a (¹HNMR 400 MHz, DMSO-d₆)



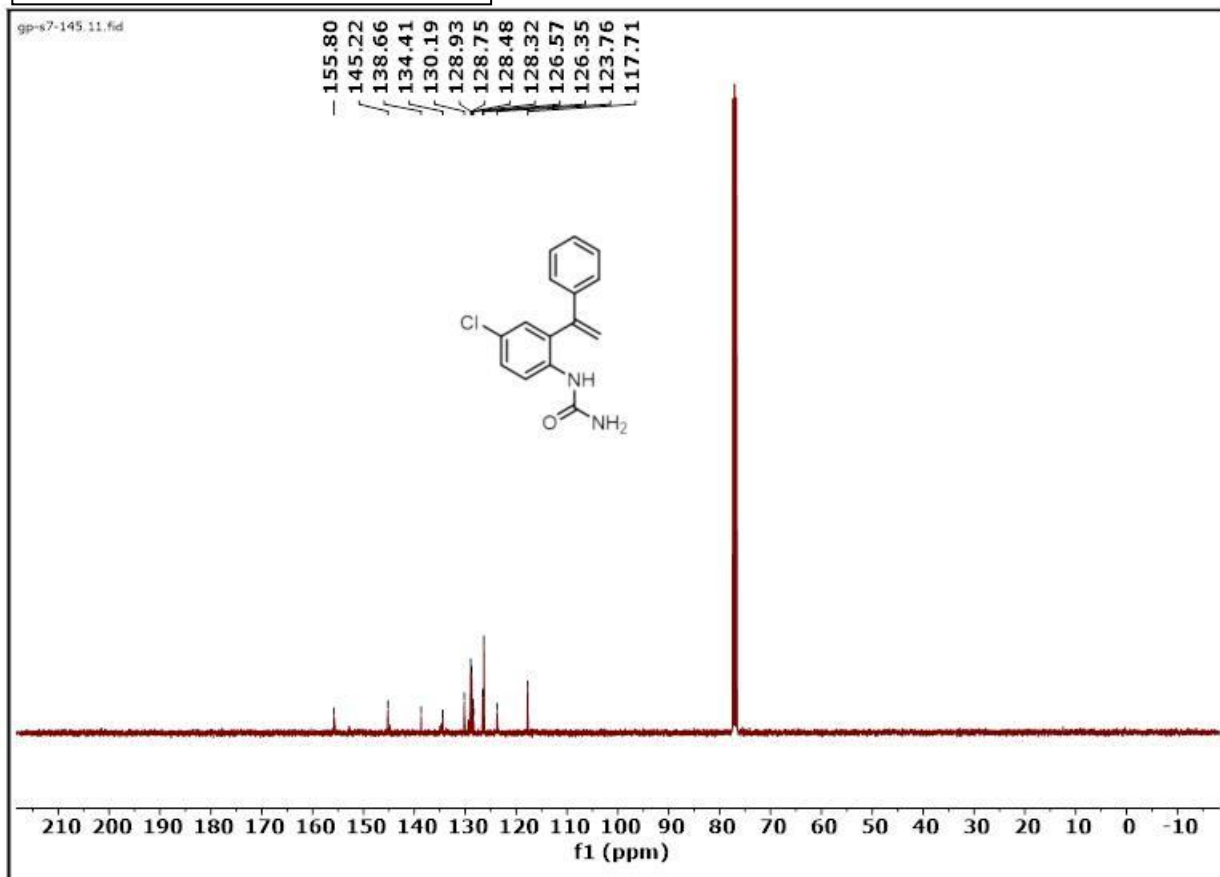
1a (¹³CNMR 101 MHz, DMSO-d₆)



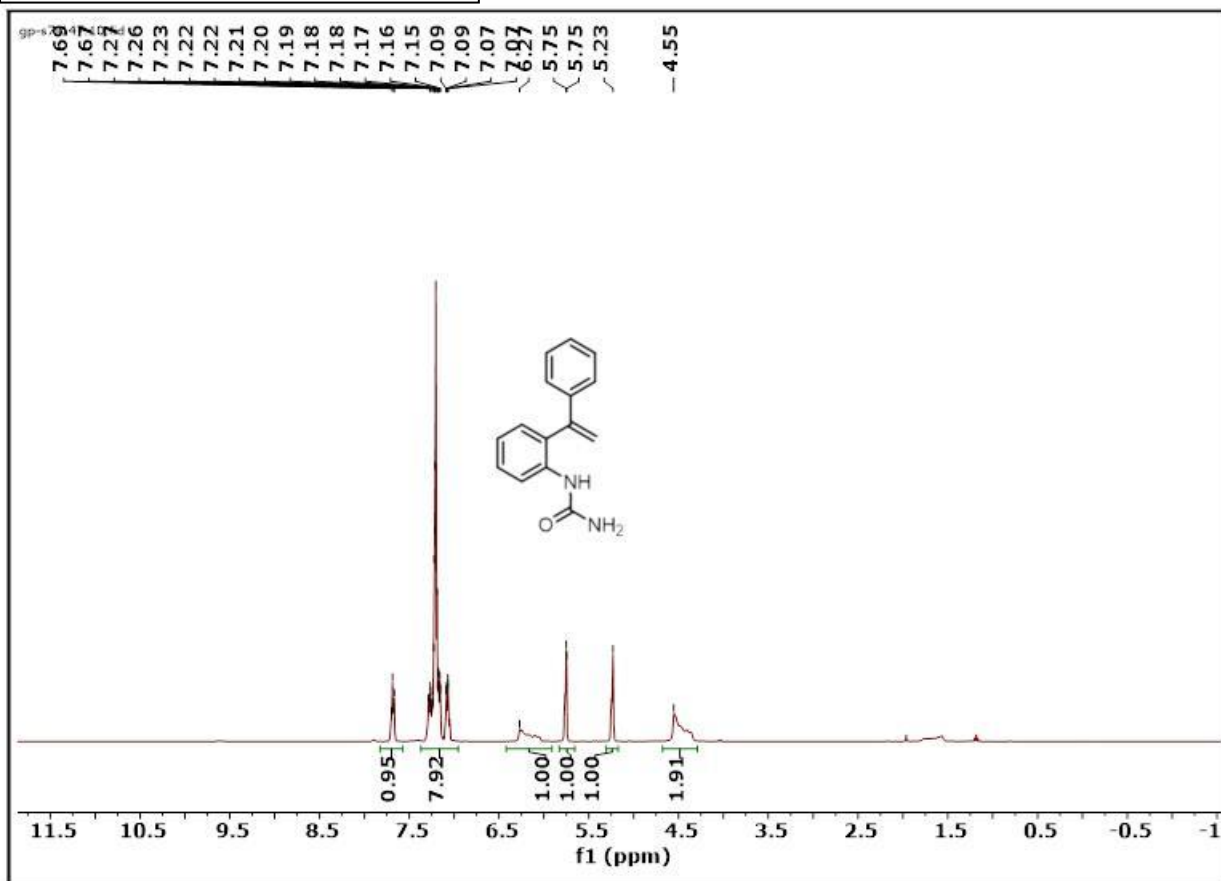
1b (^1H NMR 400 MHz, CDCl_3)



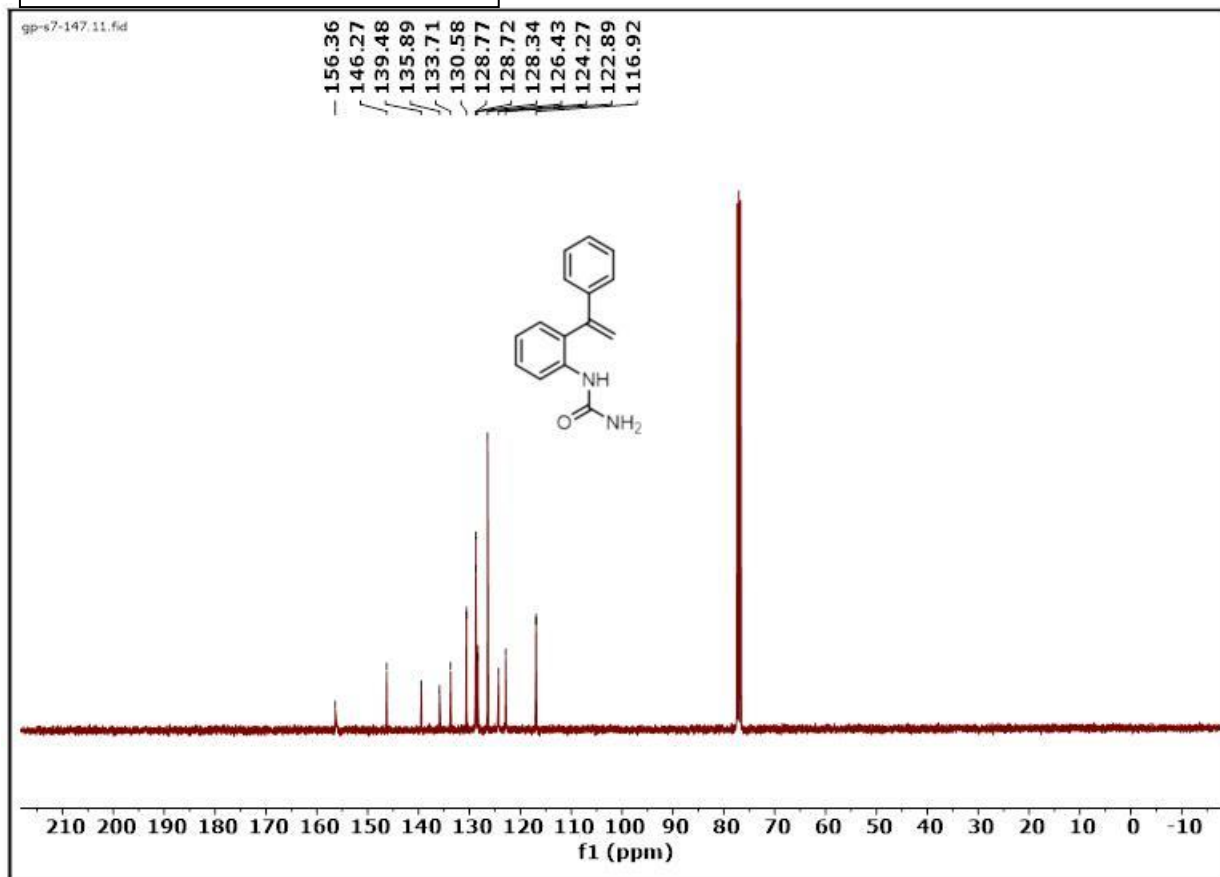
1b (^{13}C NMR 101 MHz, CDCl_3)



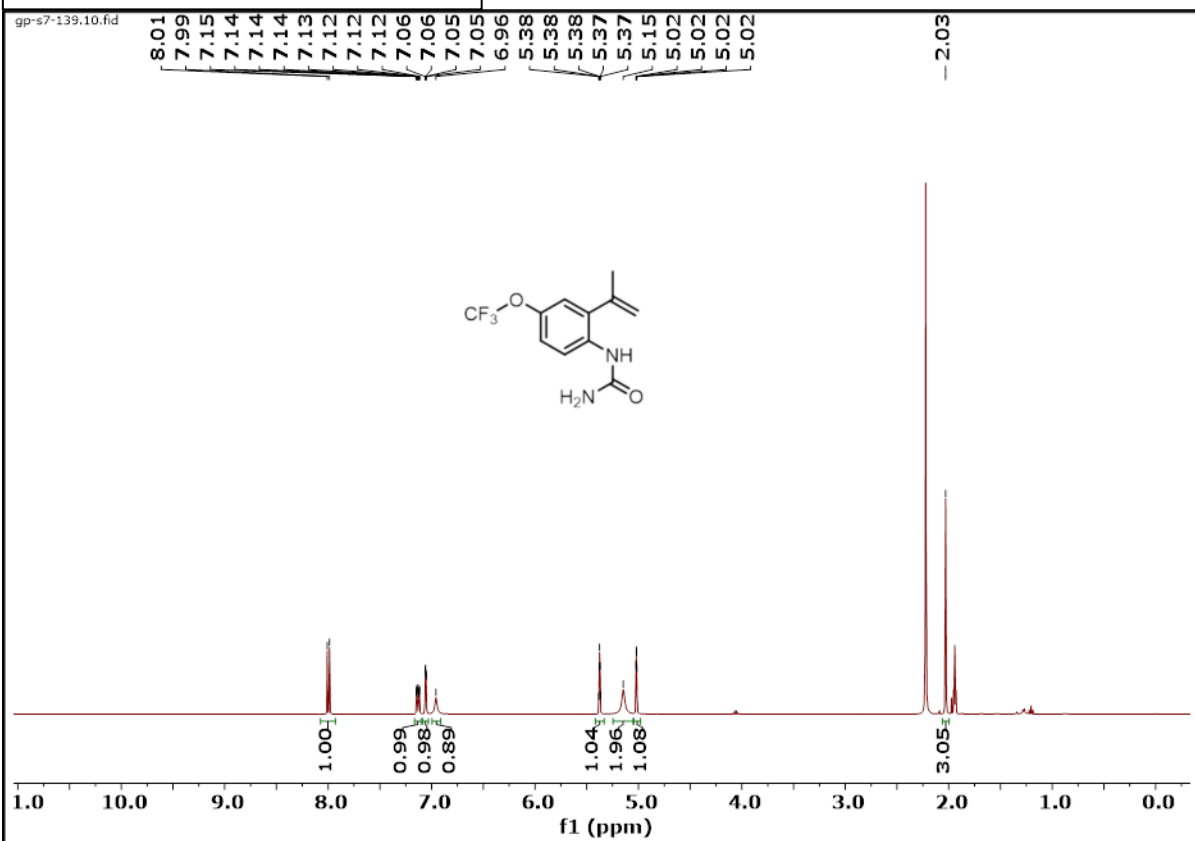
1c (^1H NMR 400 MHz, CDCl_3)



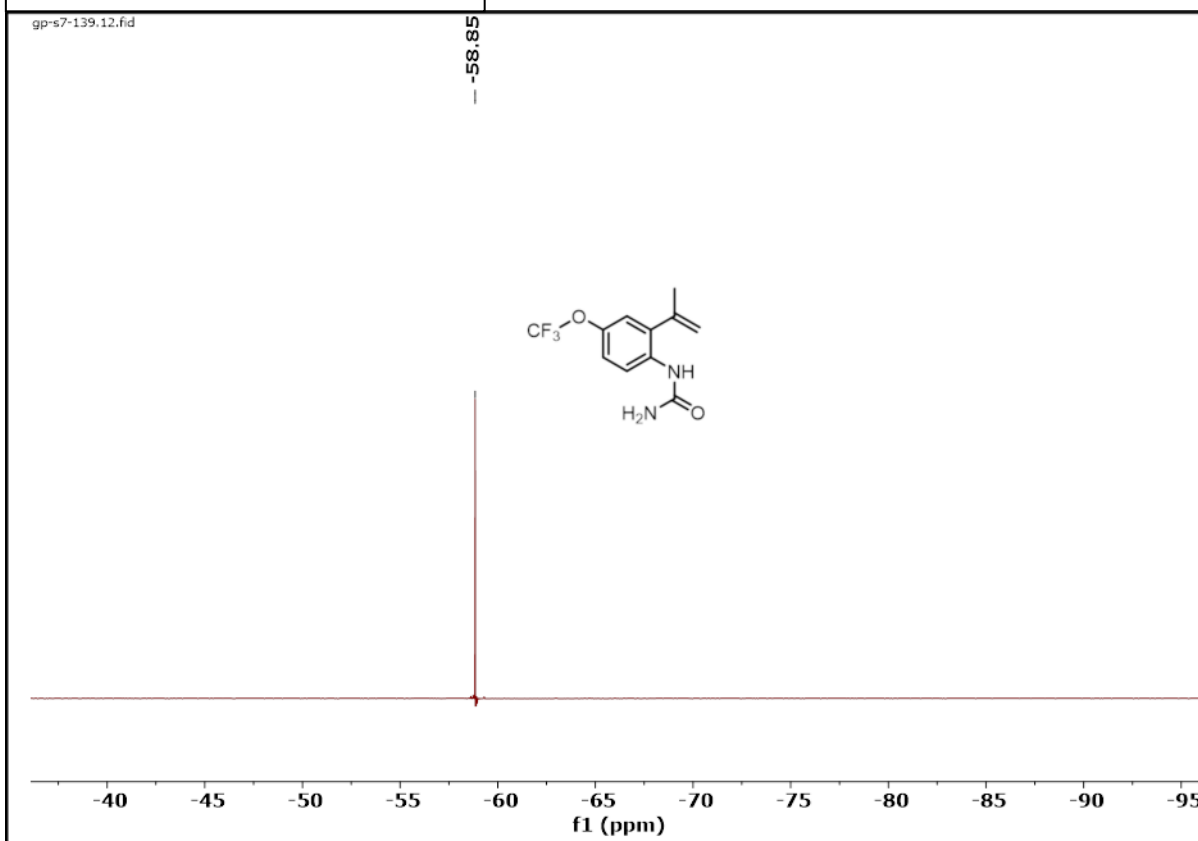
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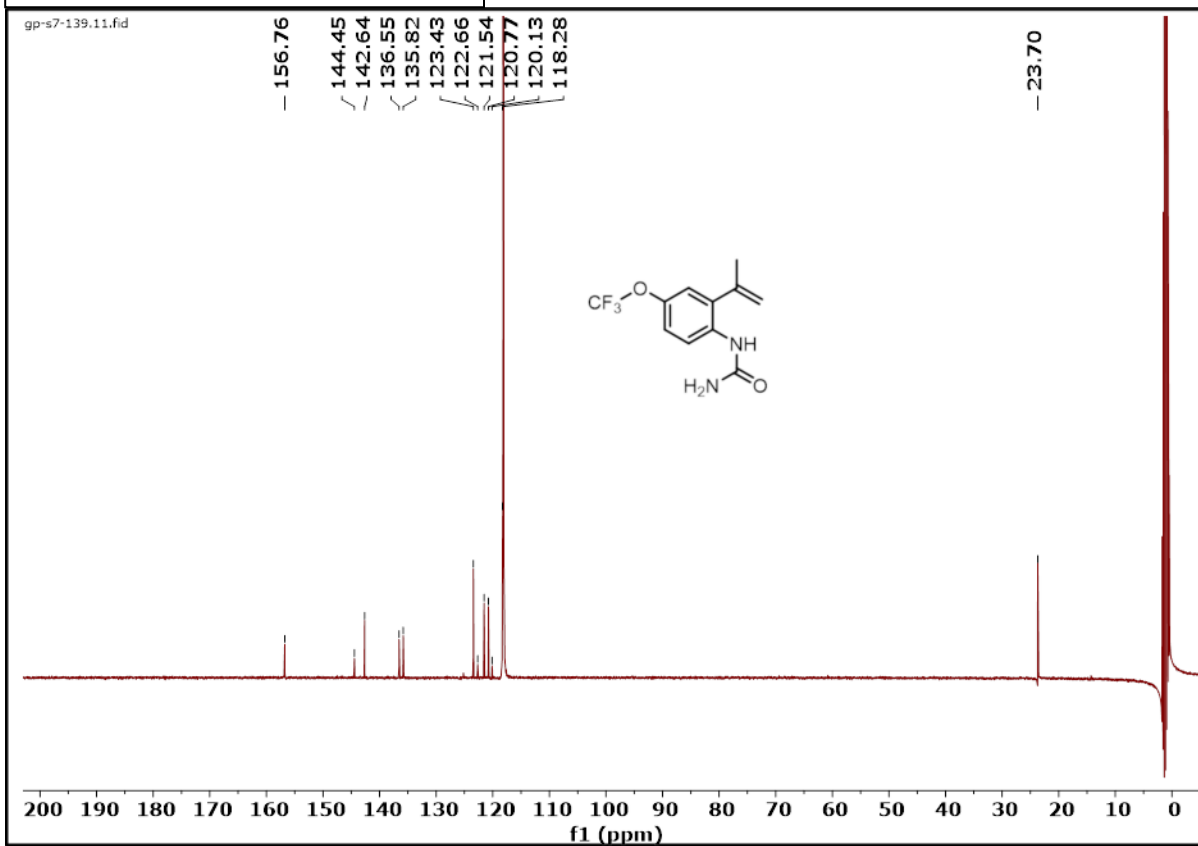
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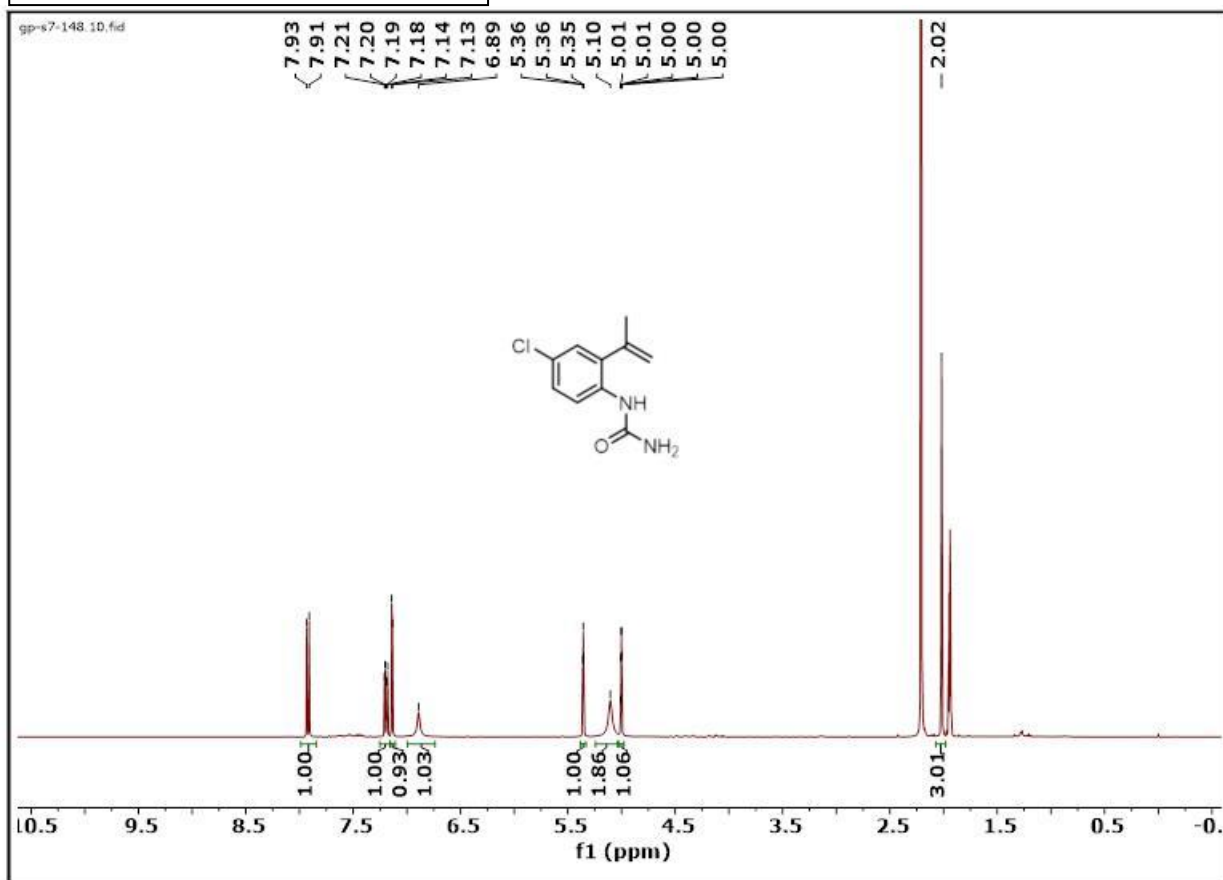
1d (^{19}F NMR 377 MHz, CD_3CN)



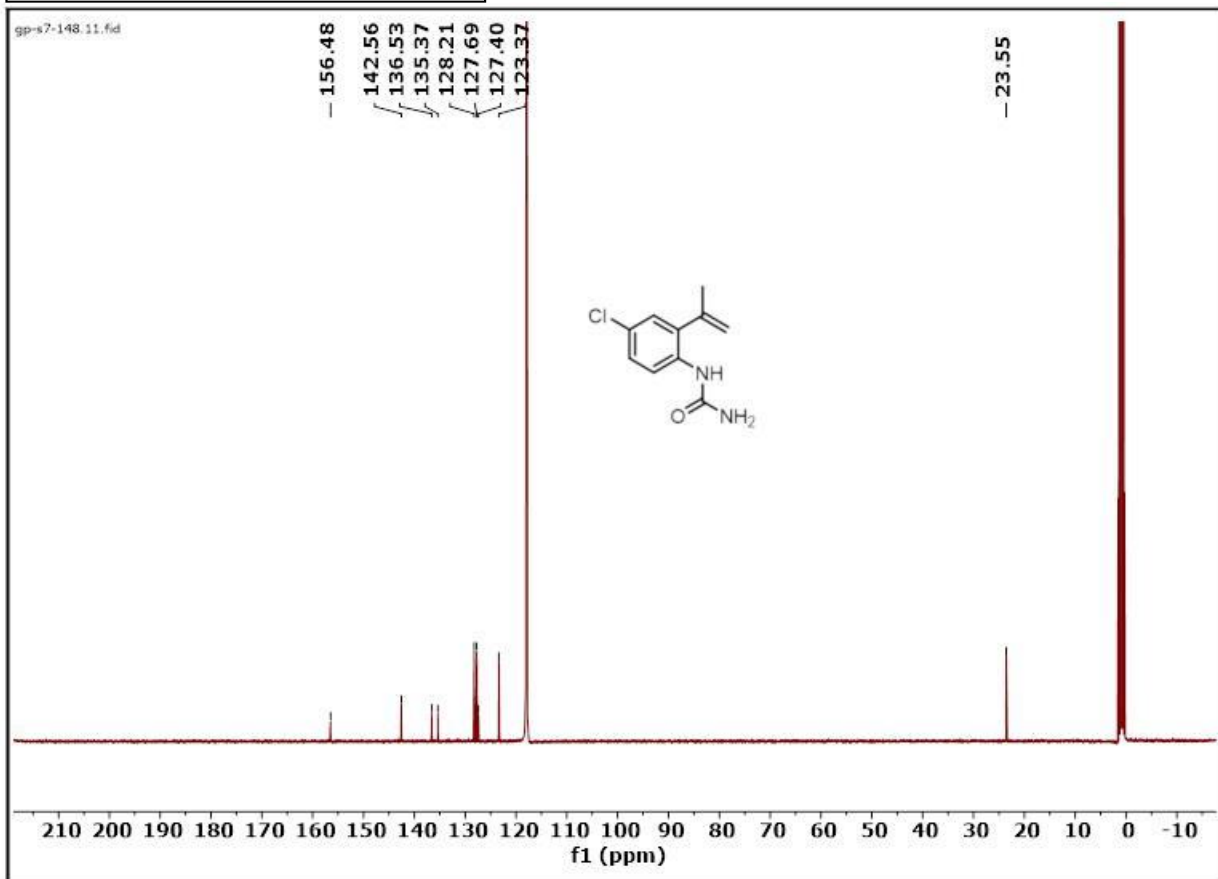
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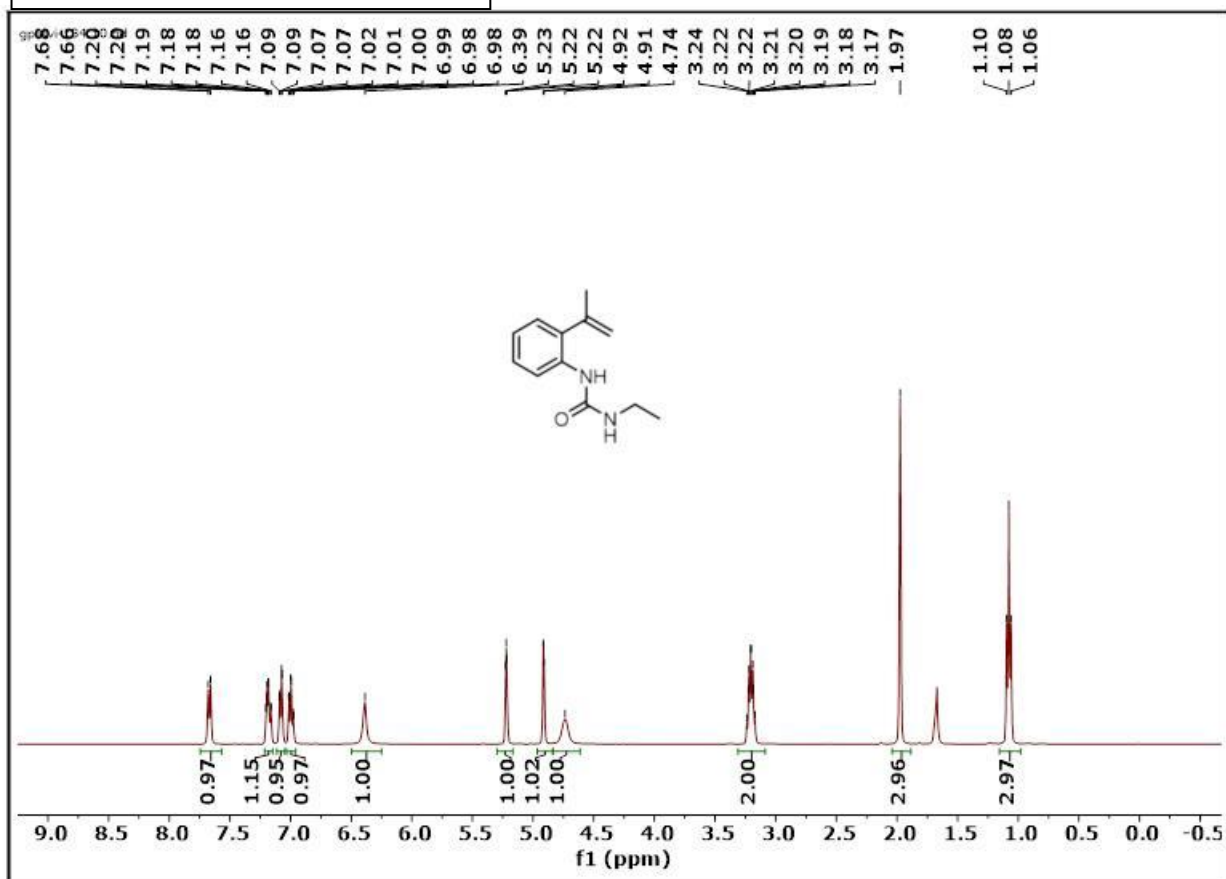
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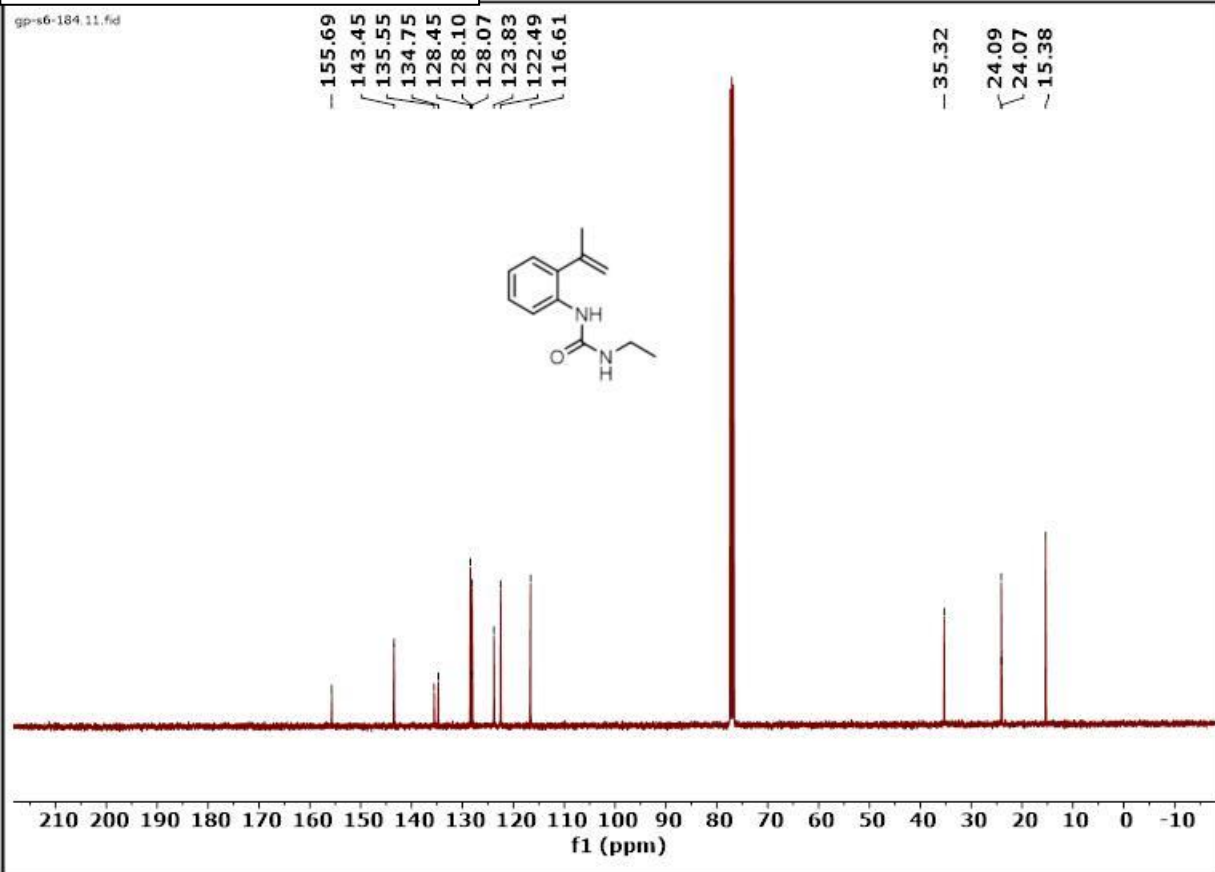
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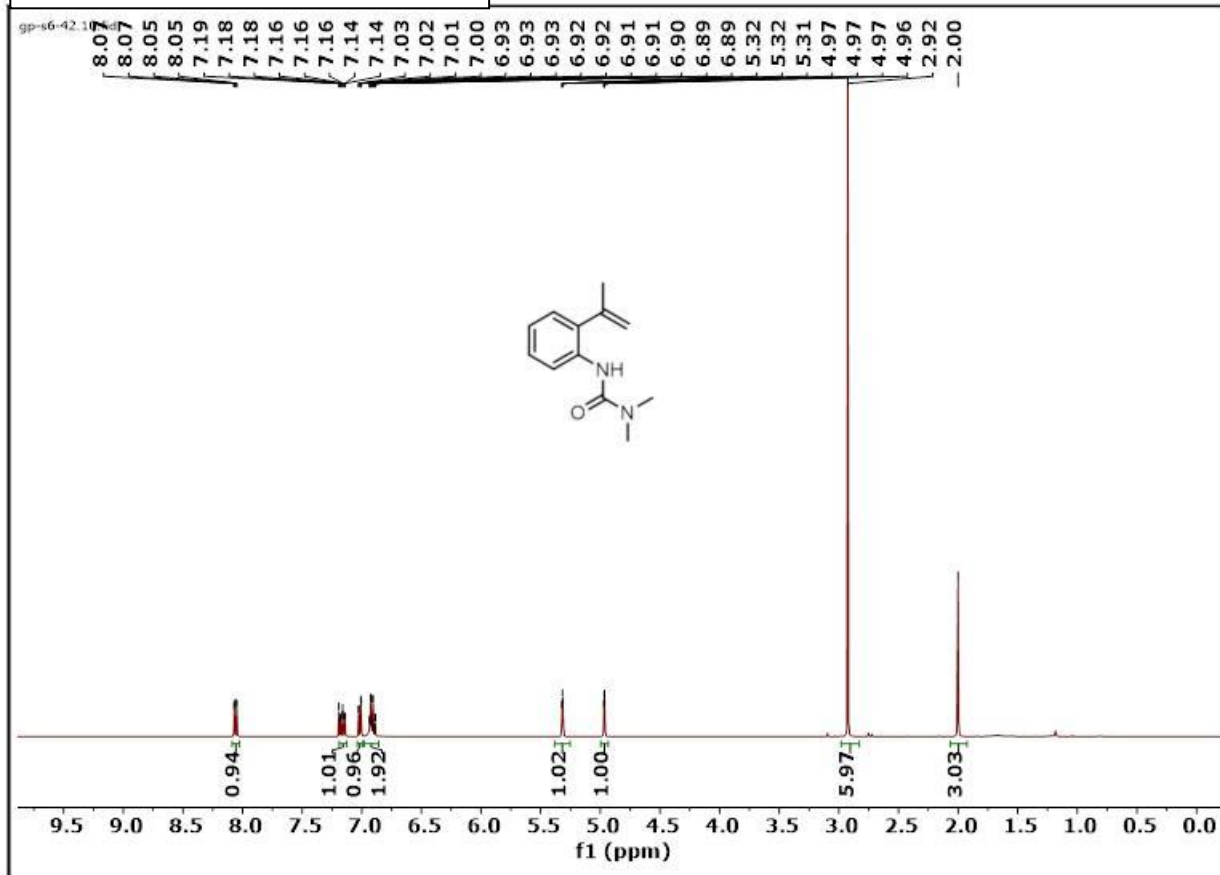
4a (^1H NMR 400 MHz, CDCl_3)



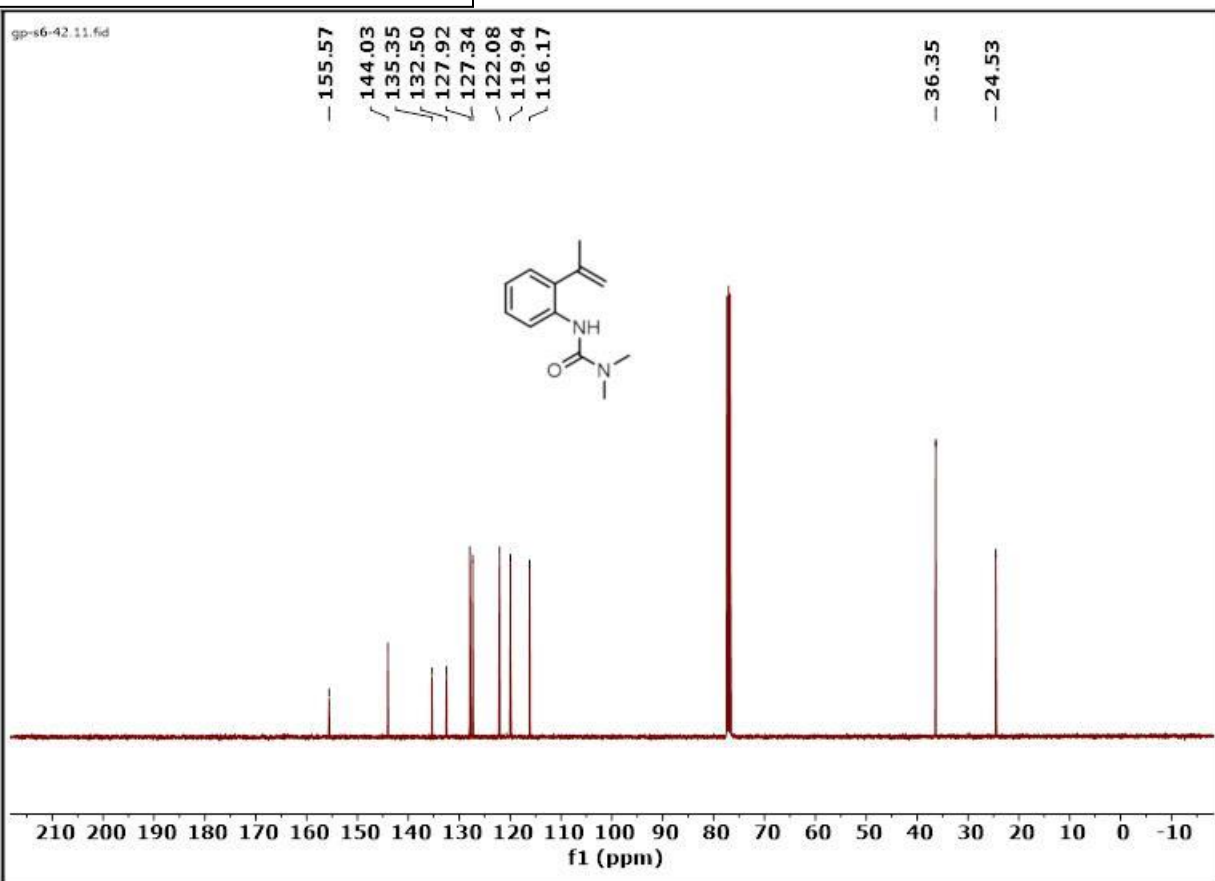
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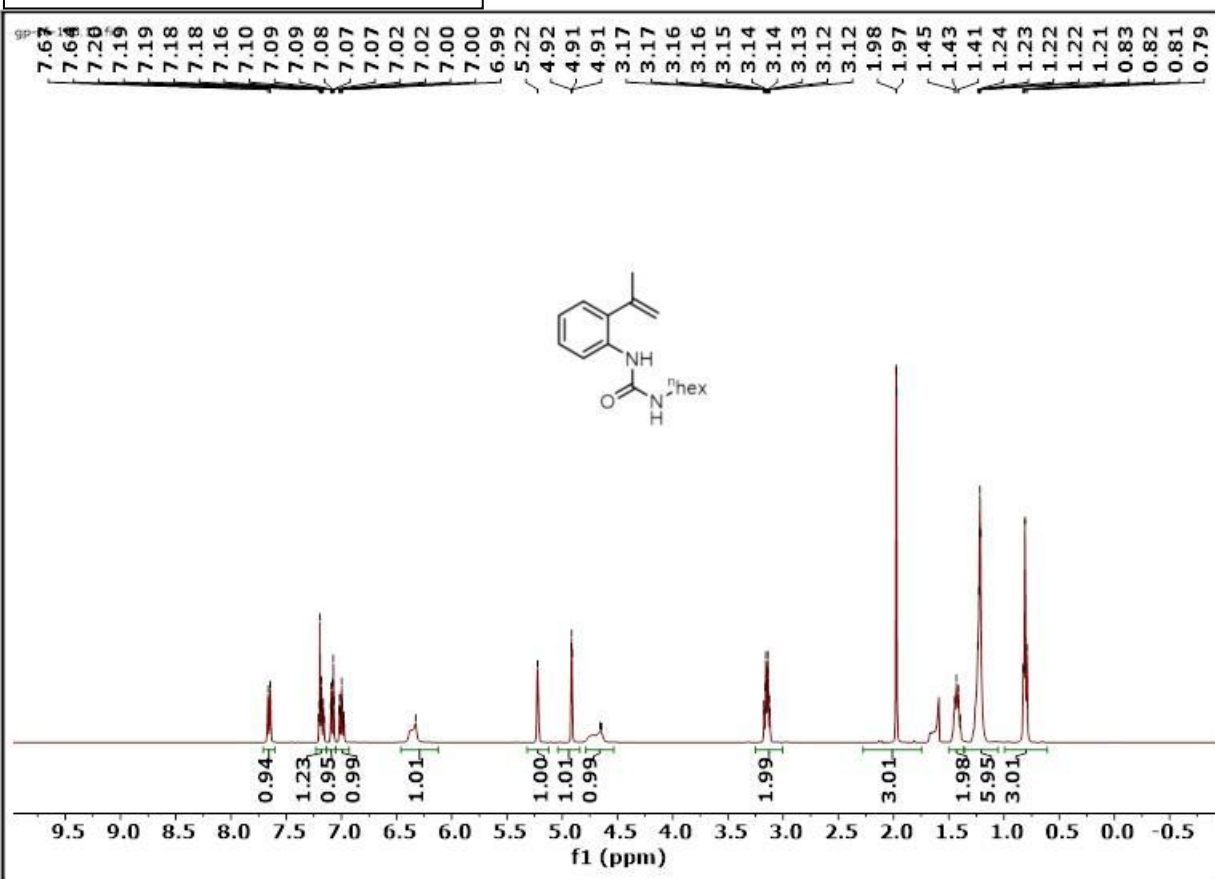
4b (¹H NMR 400 MHz, CDCl₃)



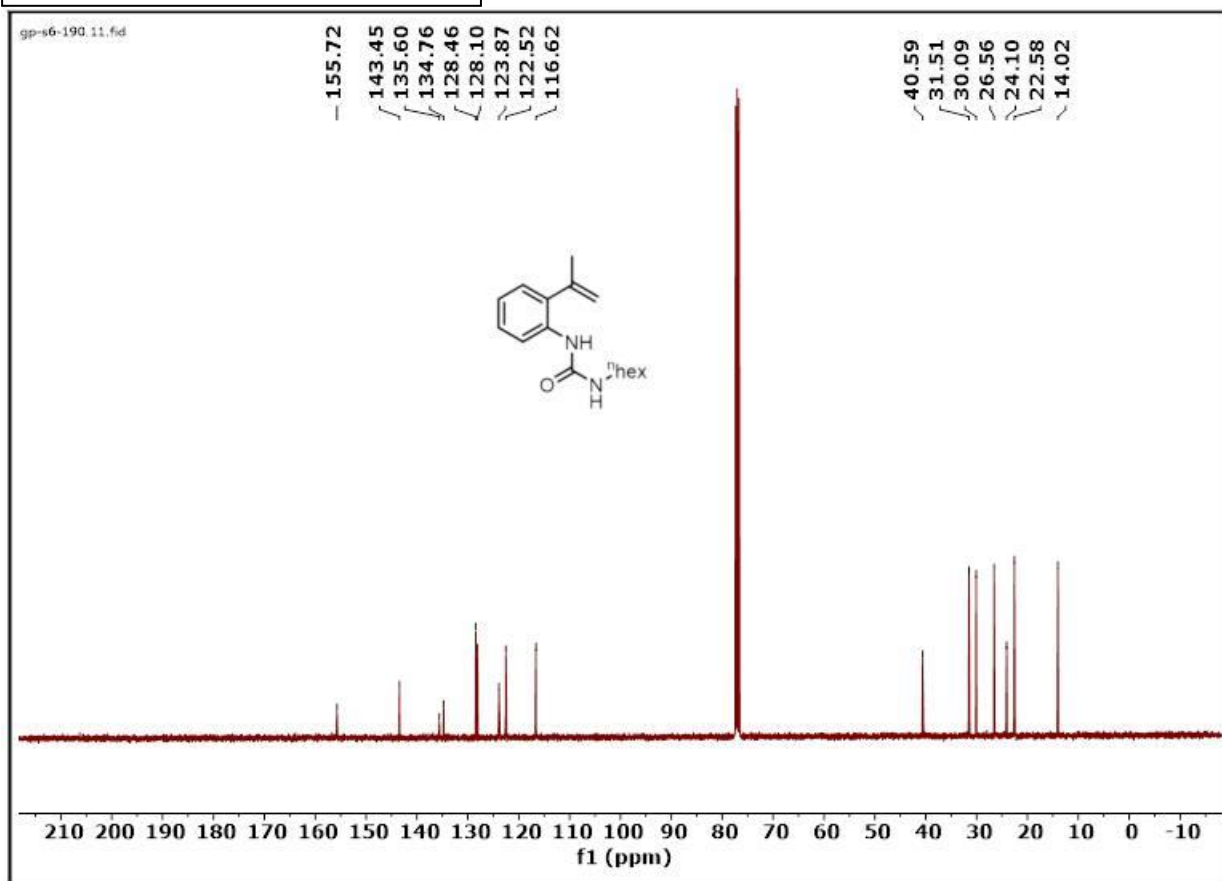
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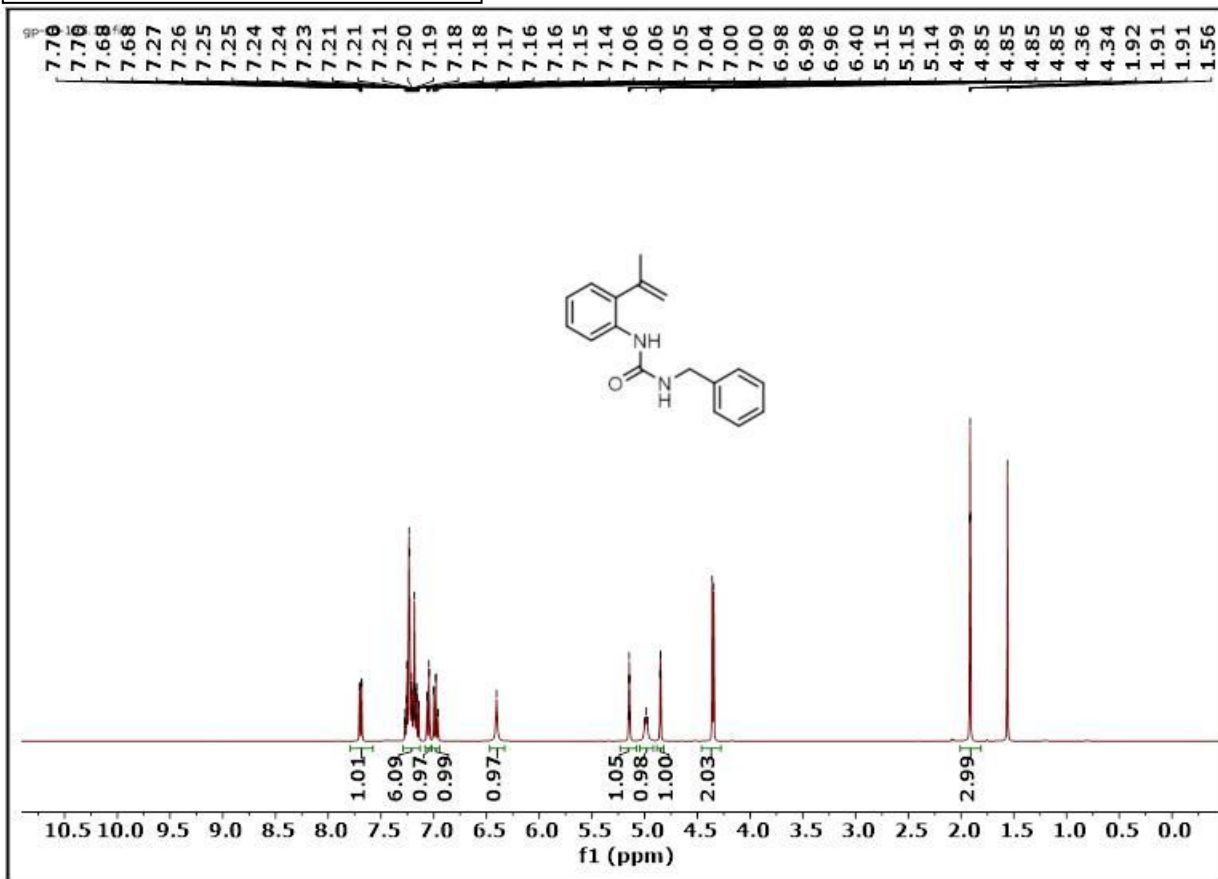
4c (^1H NMR 400 MHz, CDCl_3)



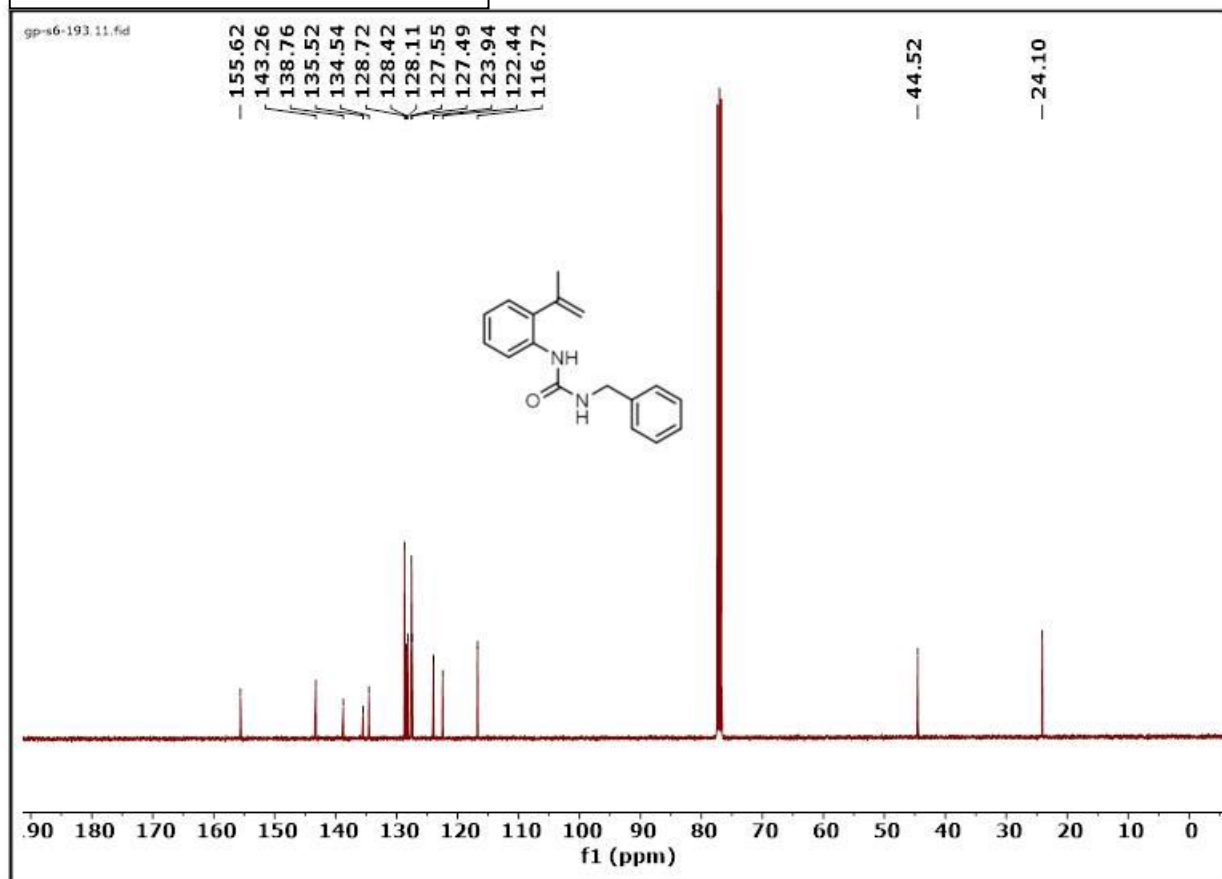
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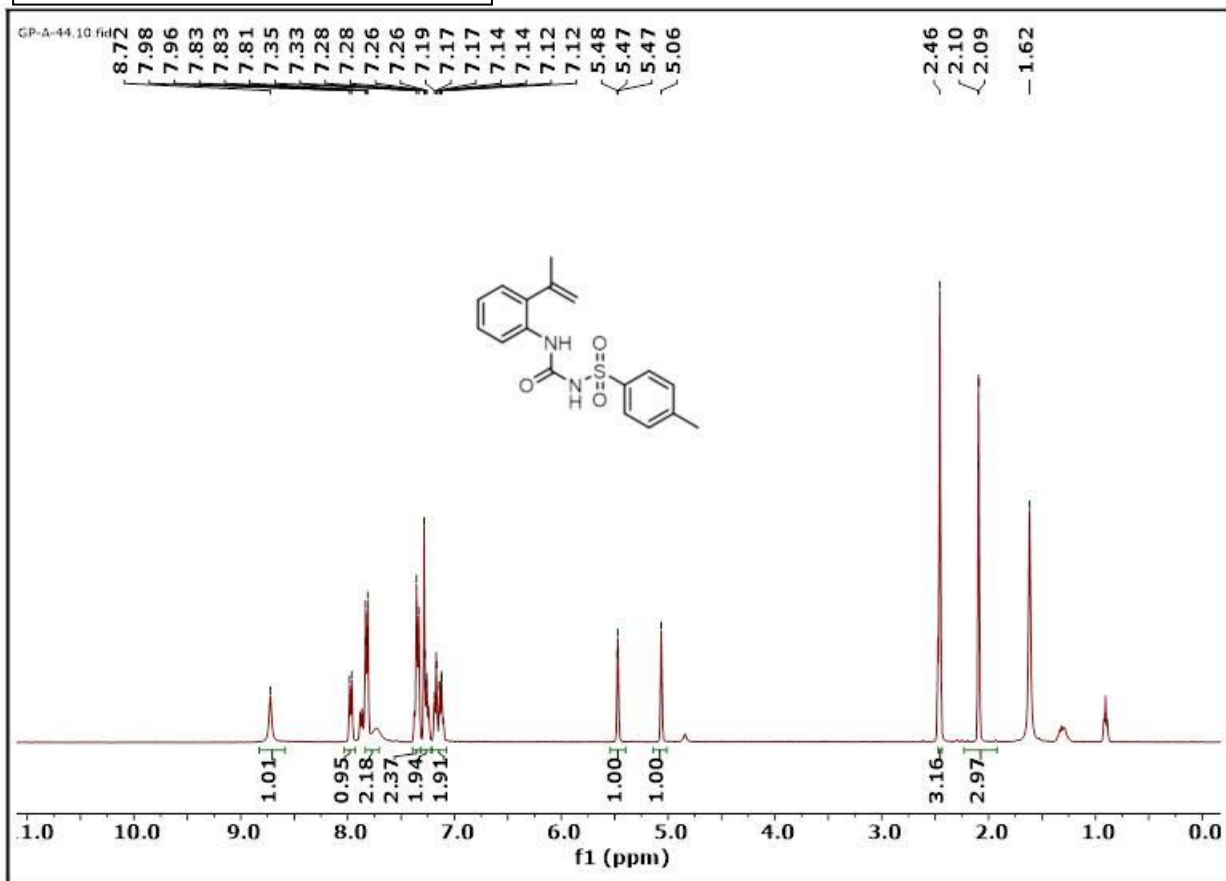
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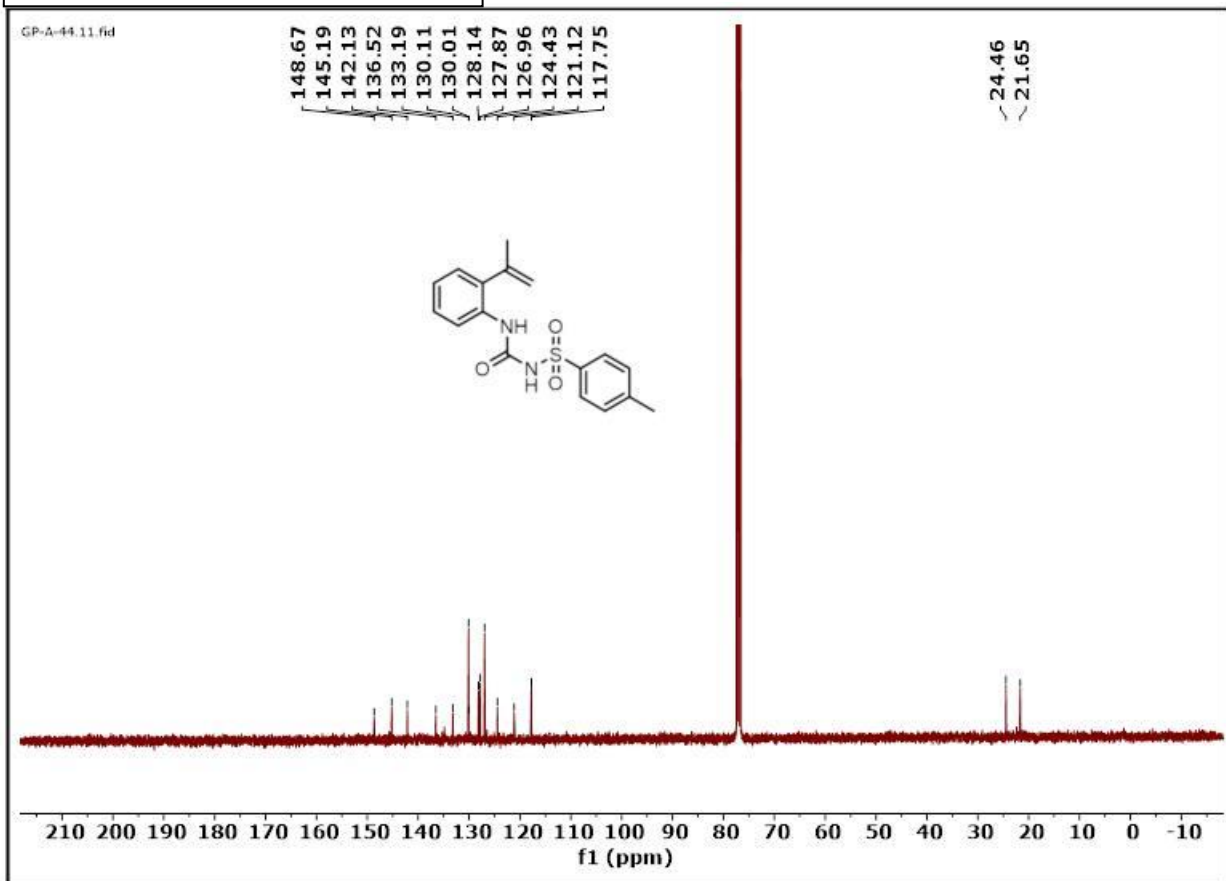
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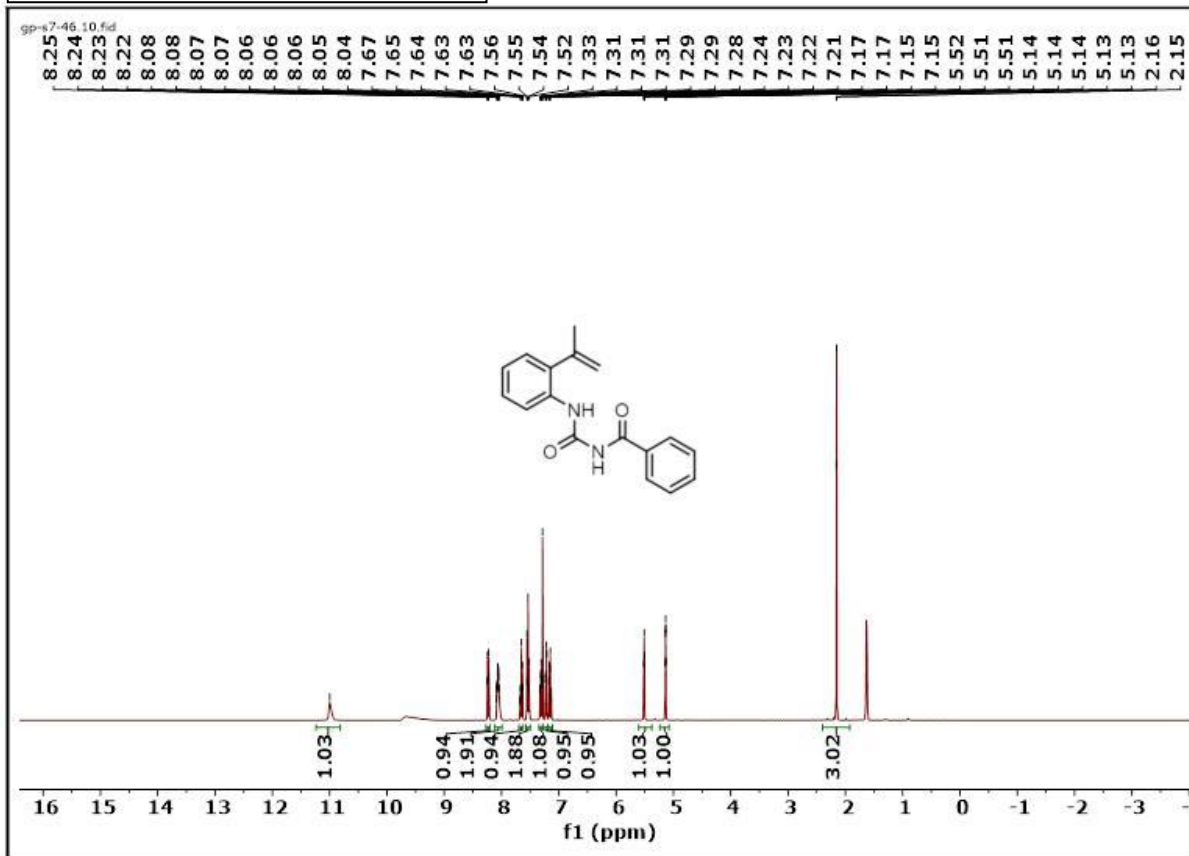
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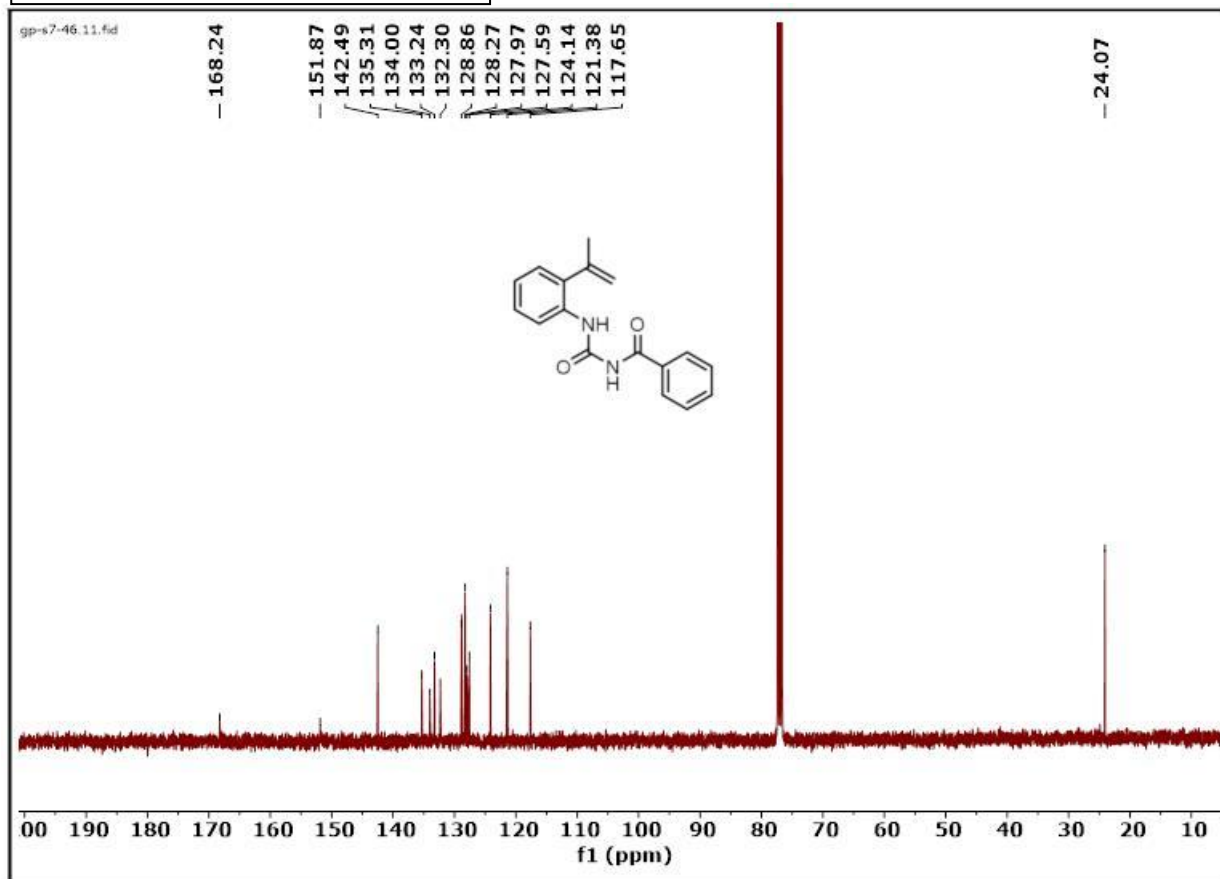
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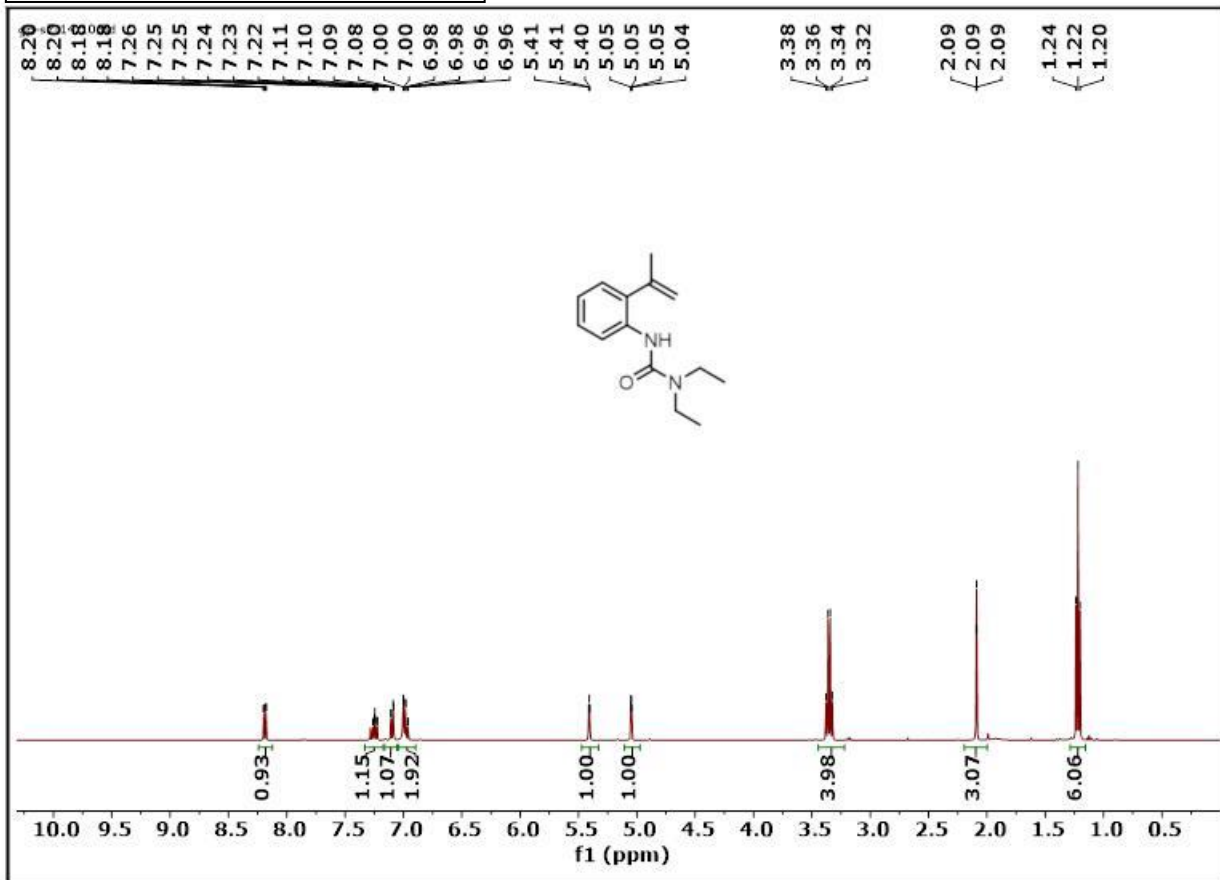
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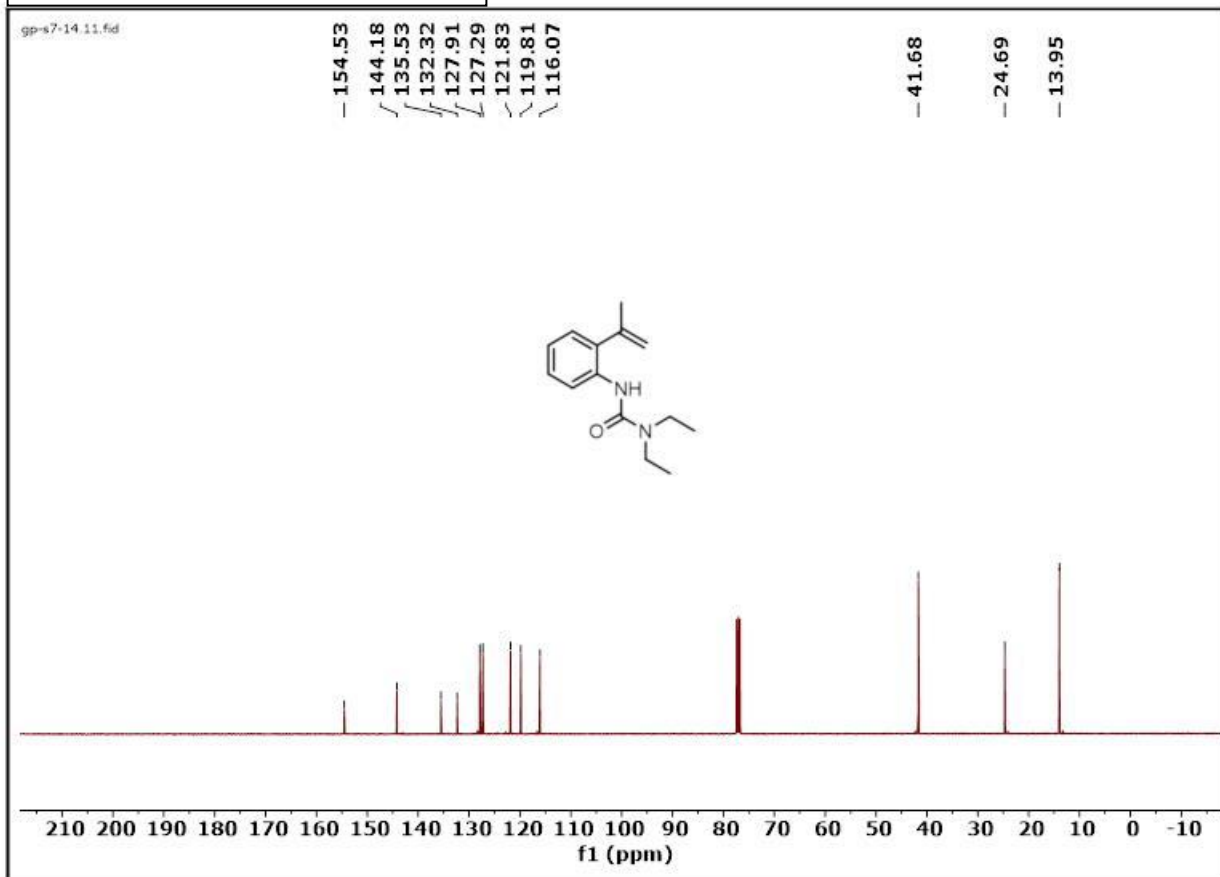
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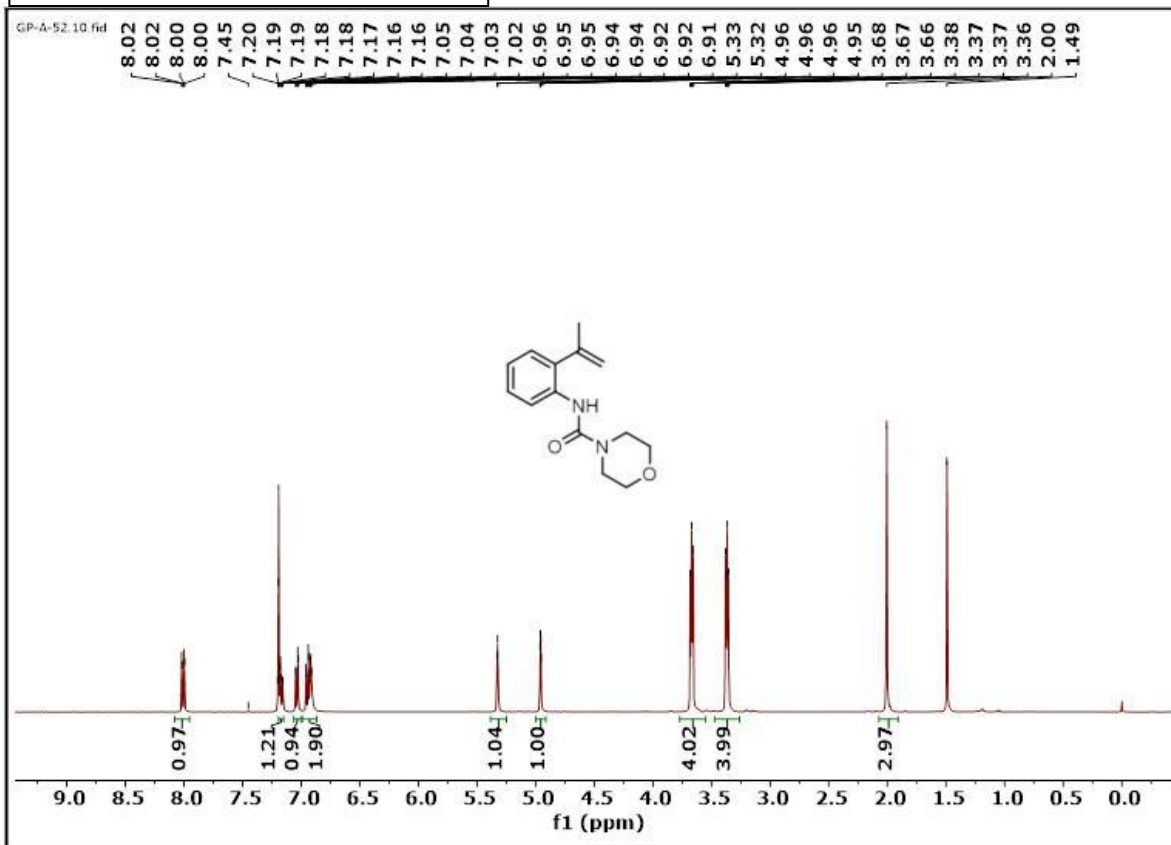
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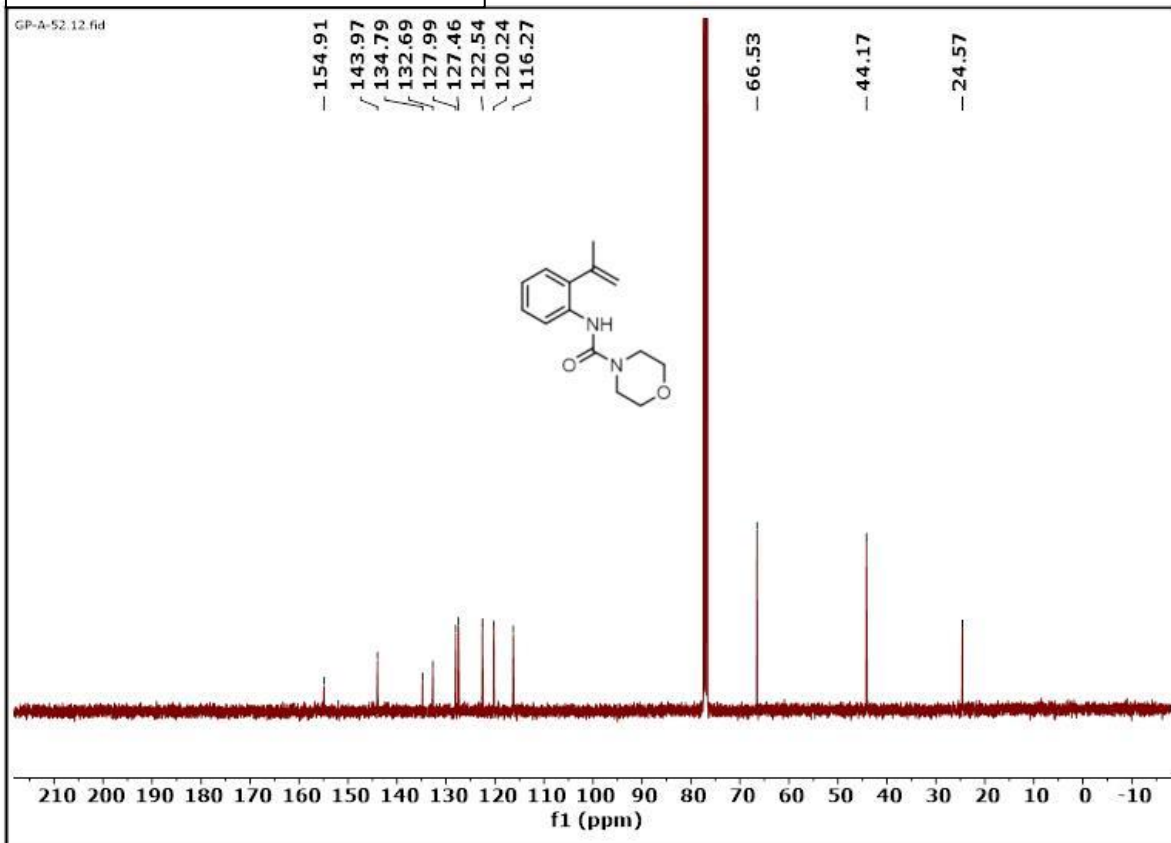
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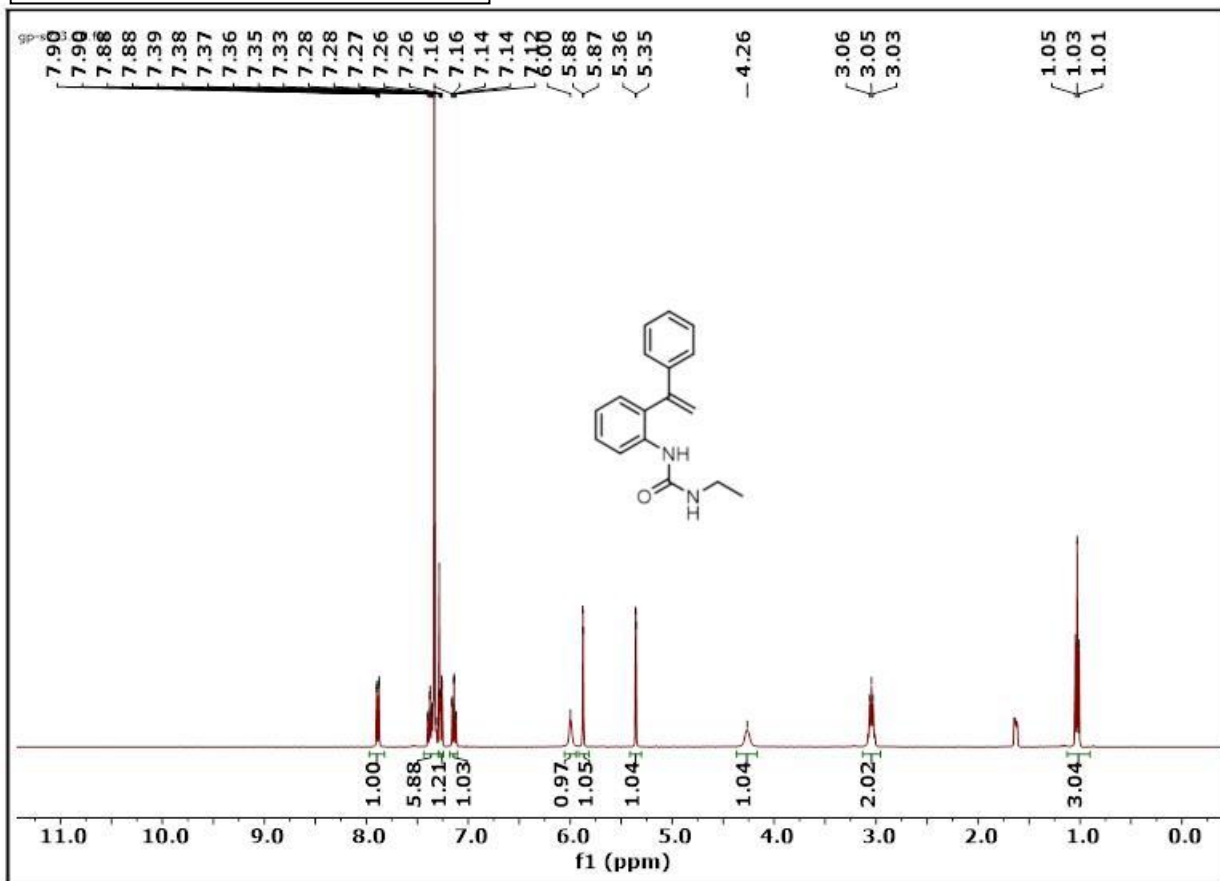
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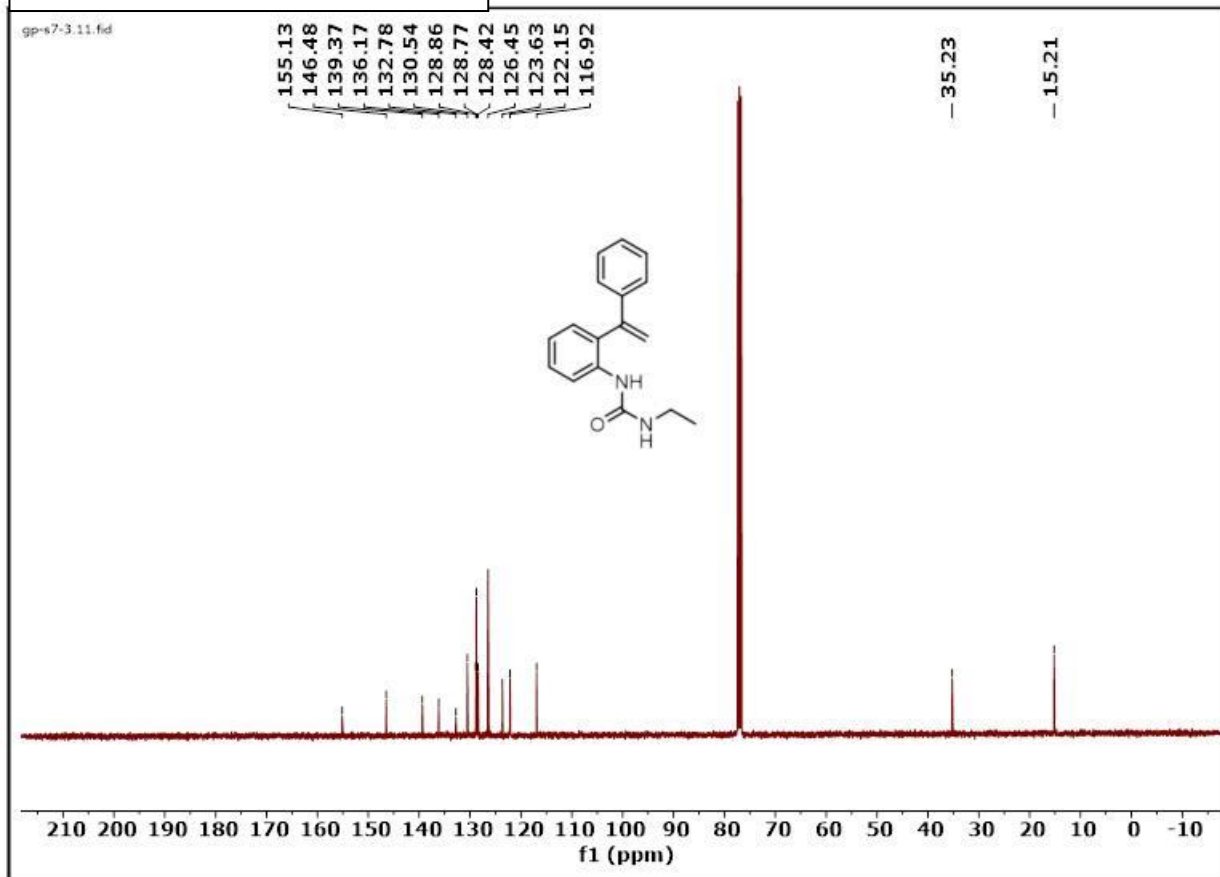
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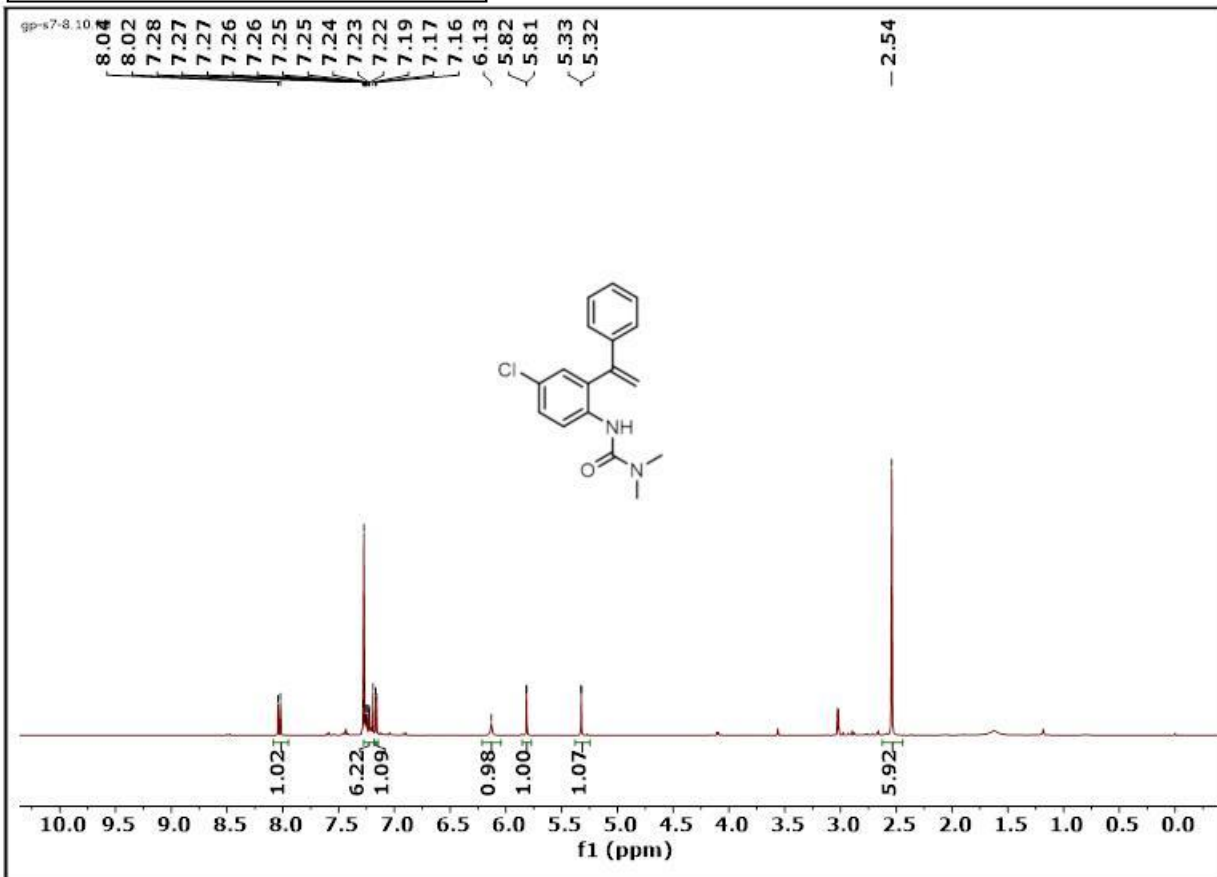
4i (¹H NMR 400 MHz, CDCl₃)



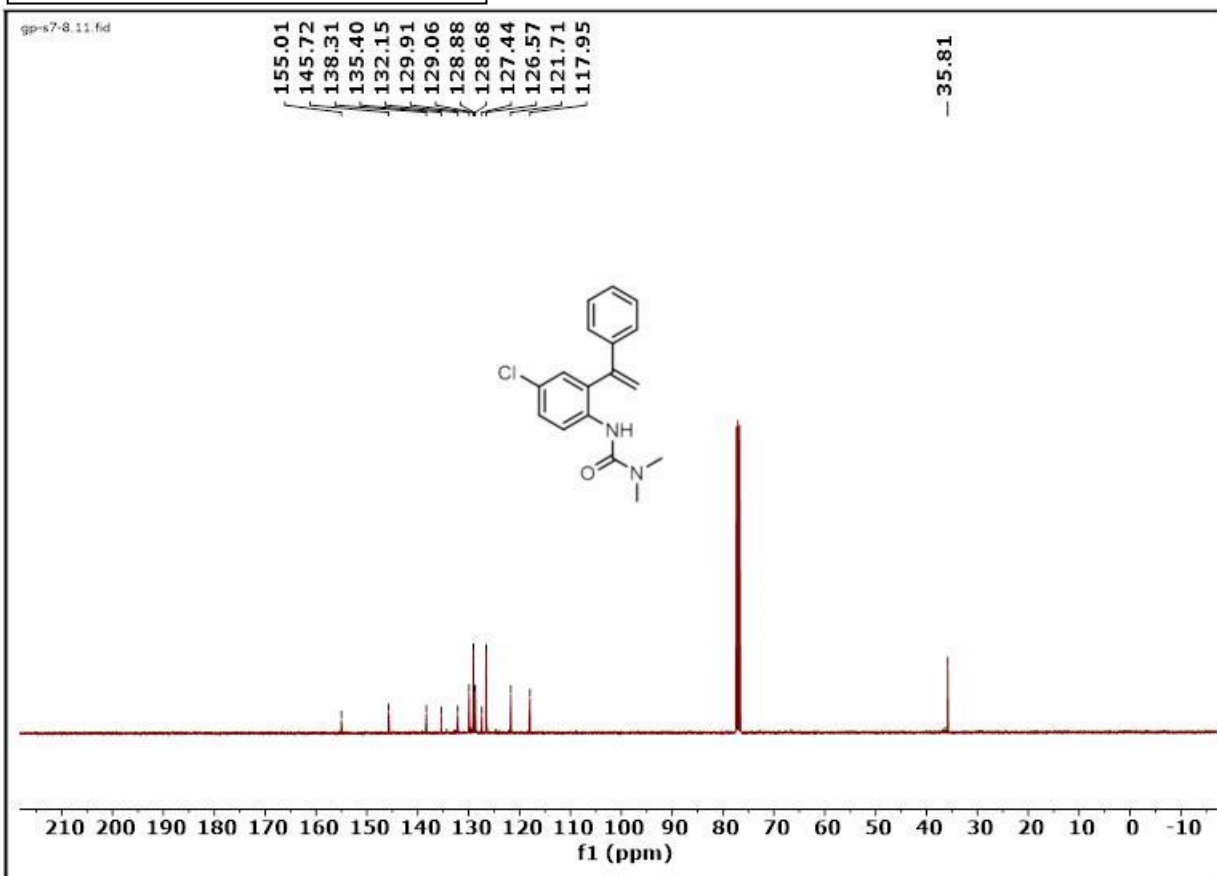
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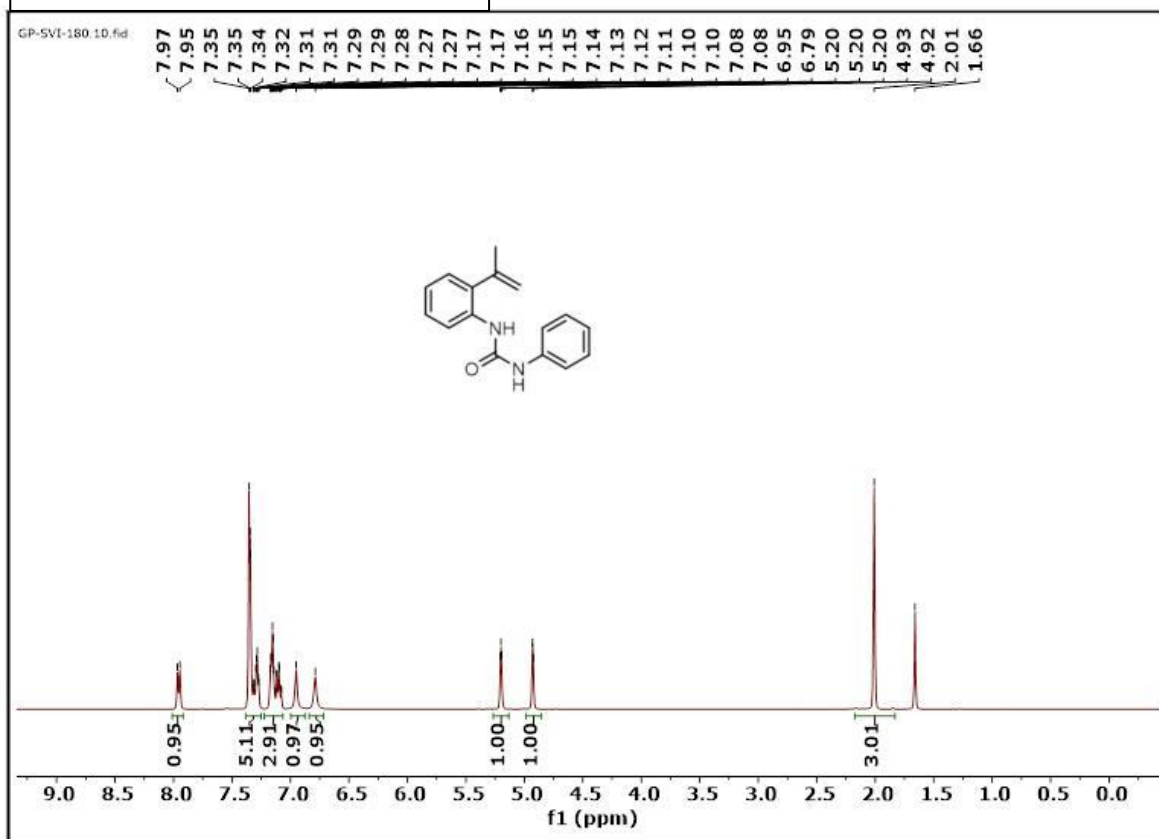
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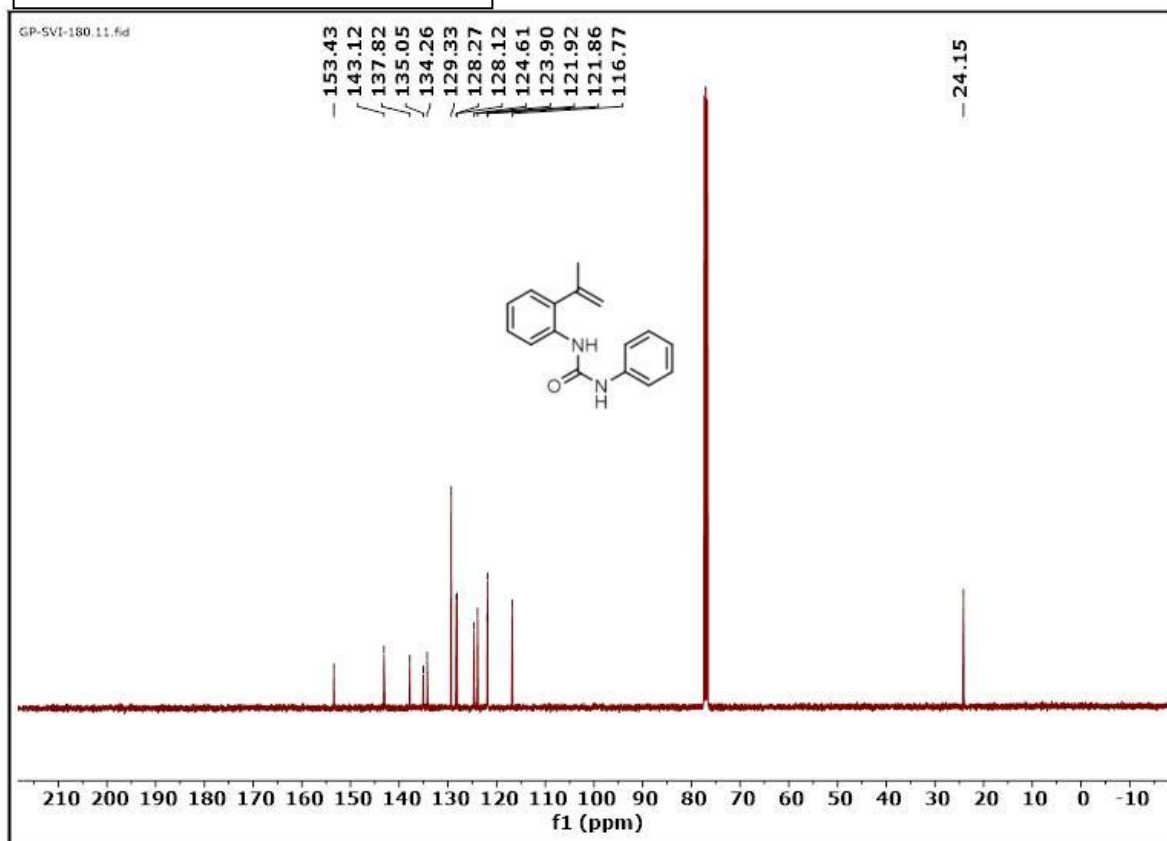
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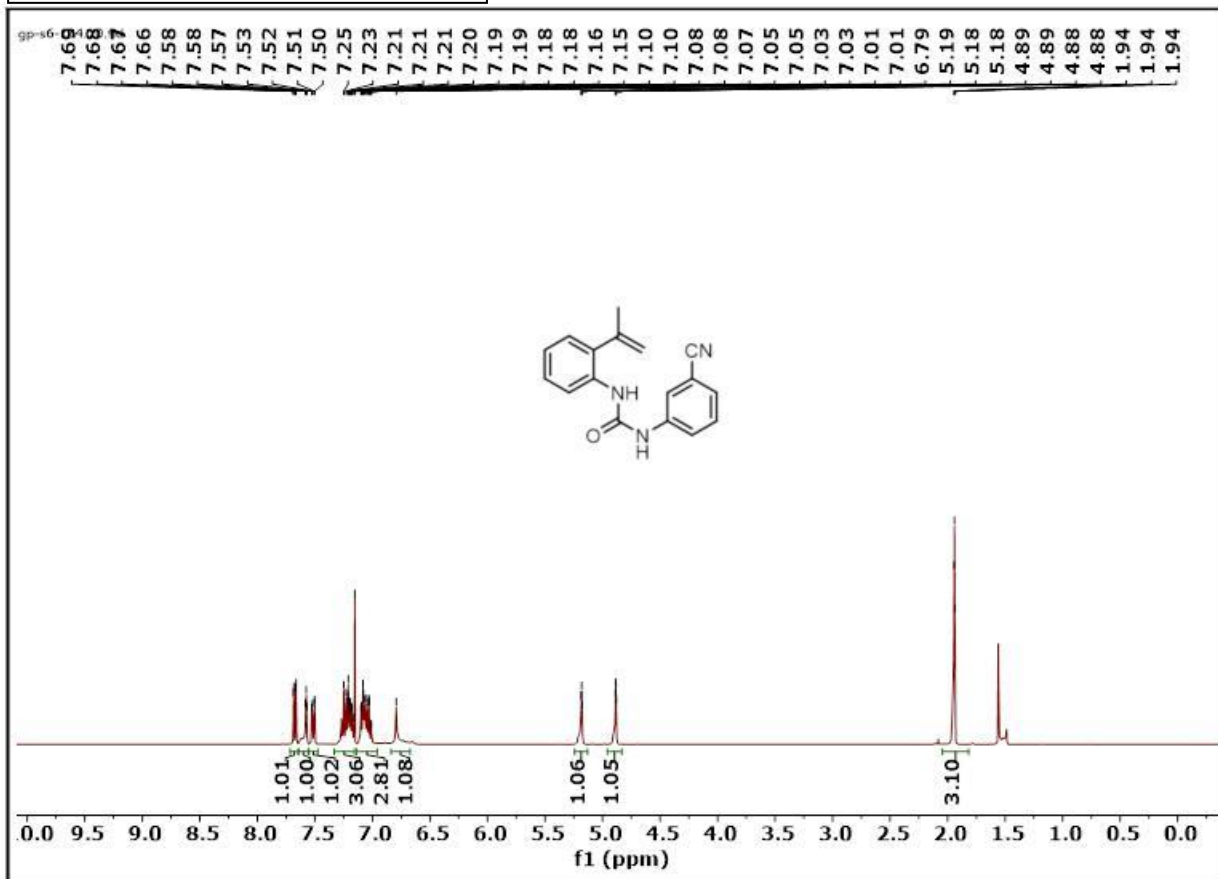
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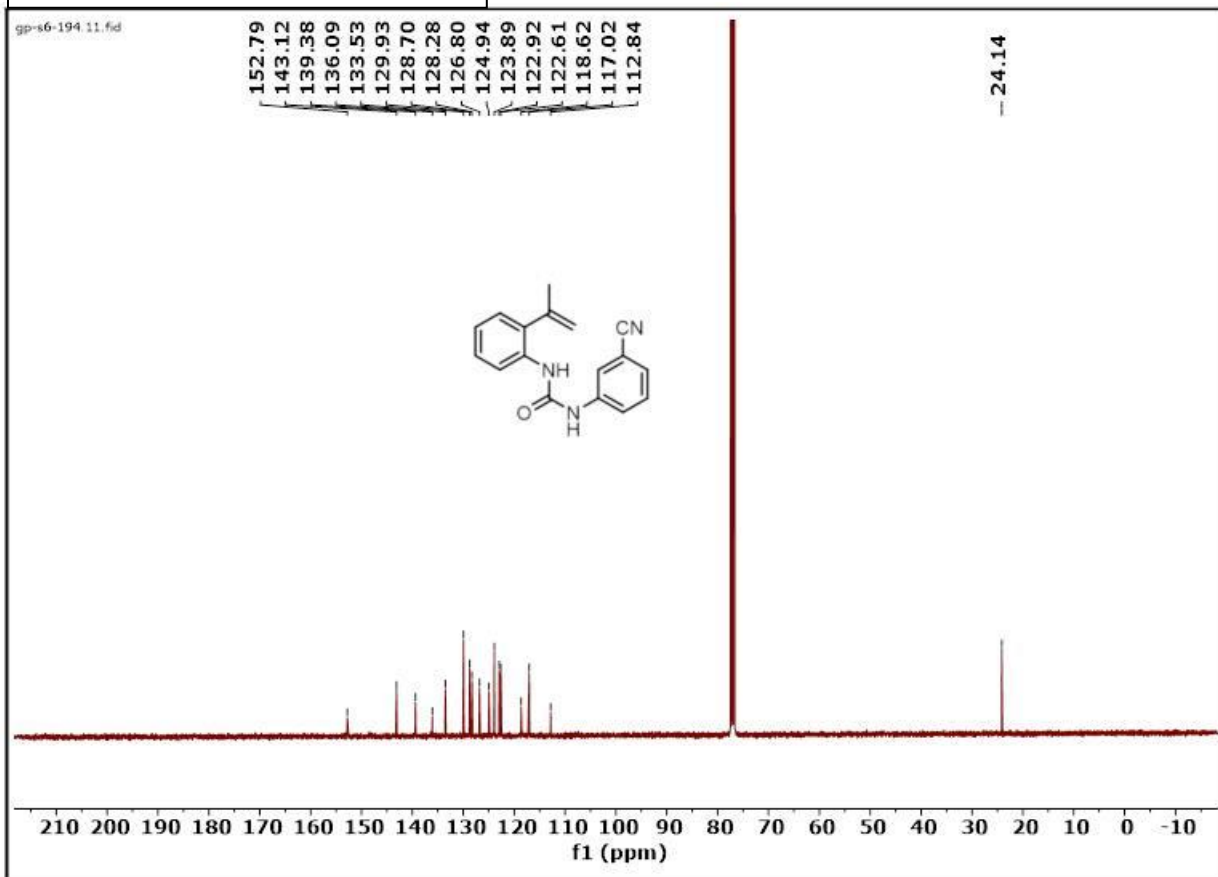
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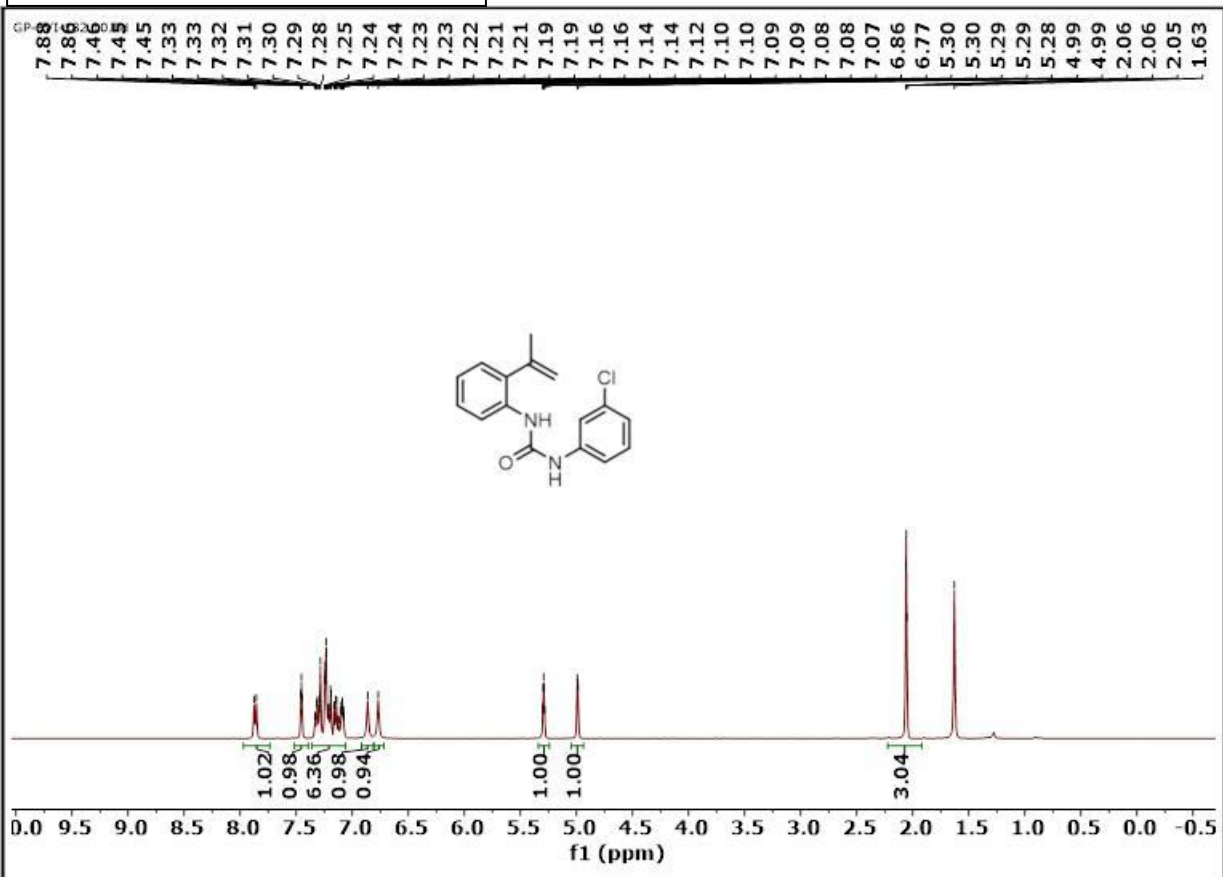
41 (¹H NMR 400 MHz, CDCl₃)



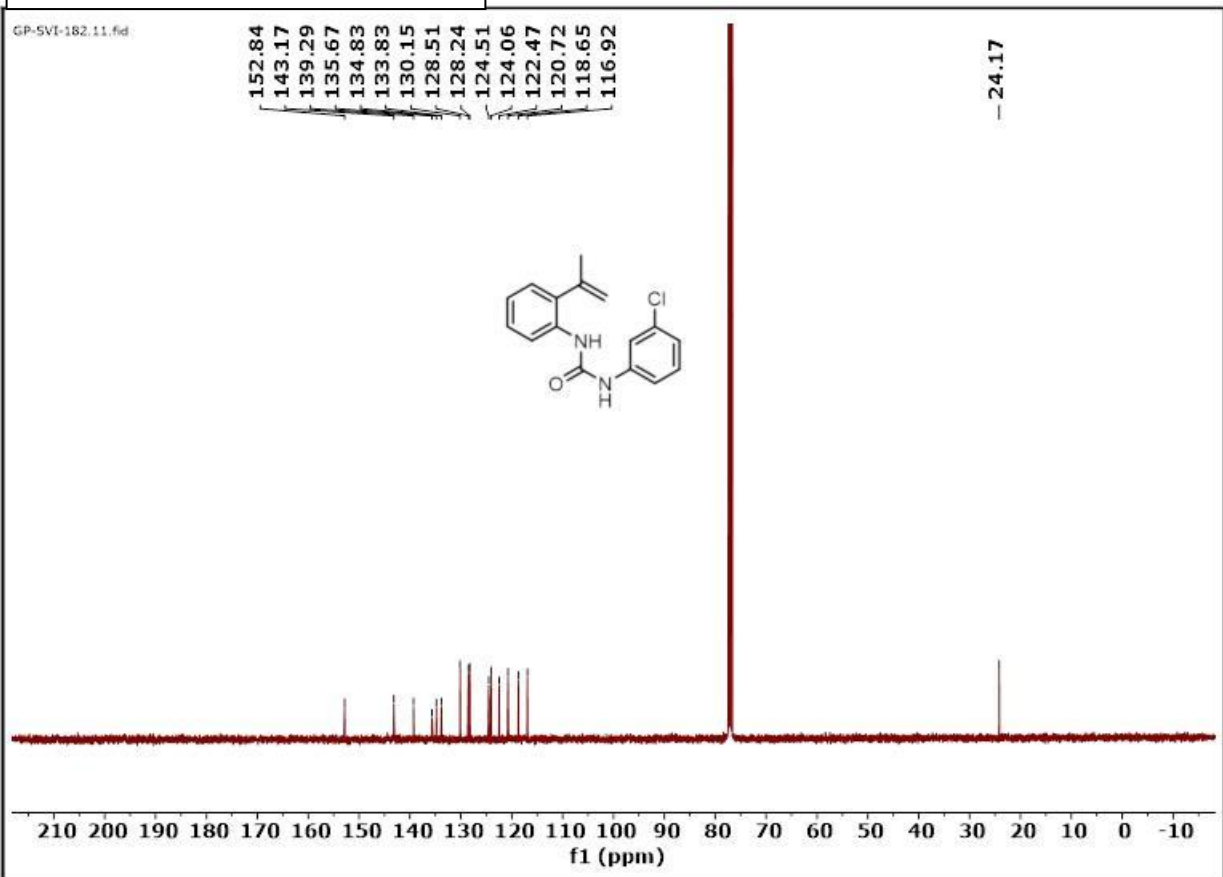
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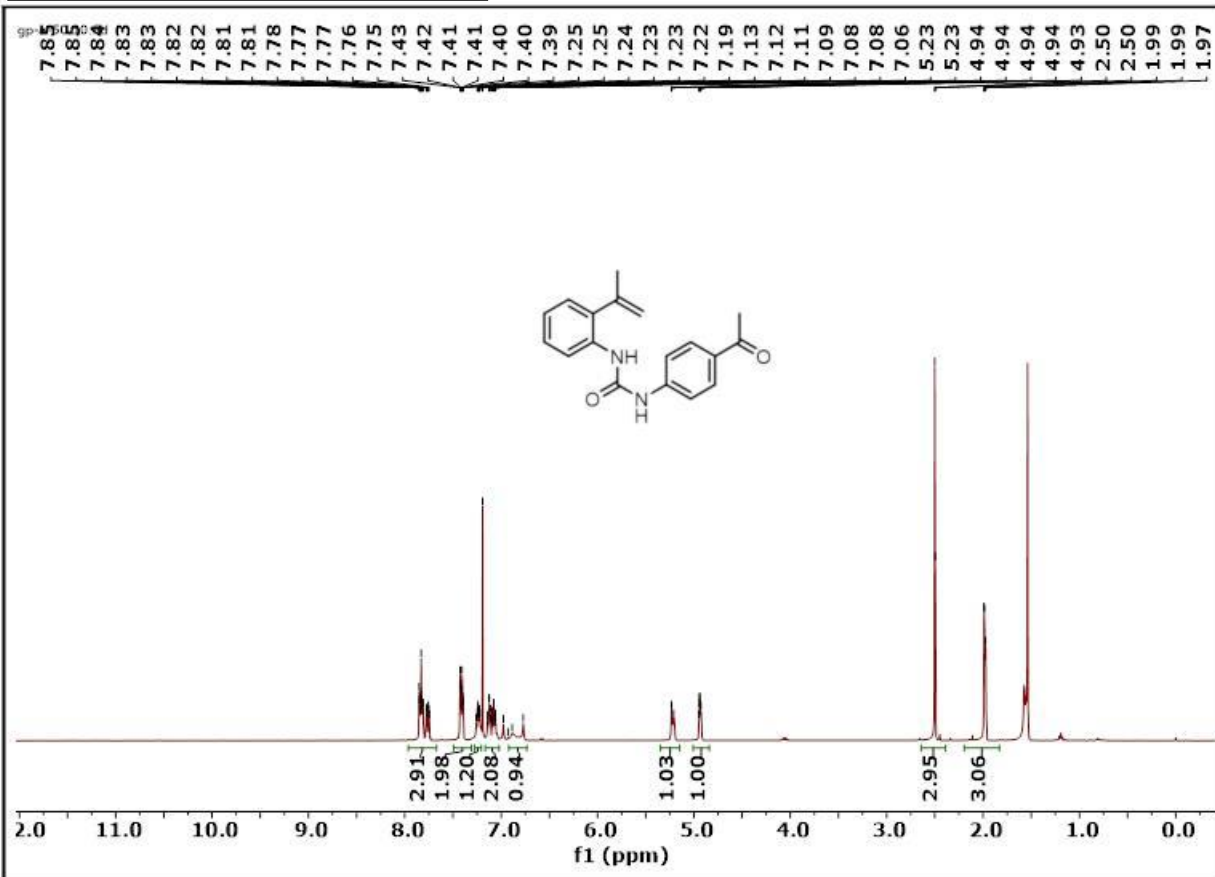
4m (¹HNMR 400 MHz, CDCl₃)



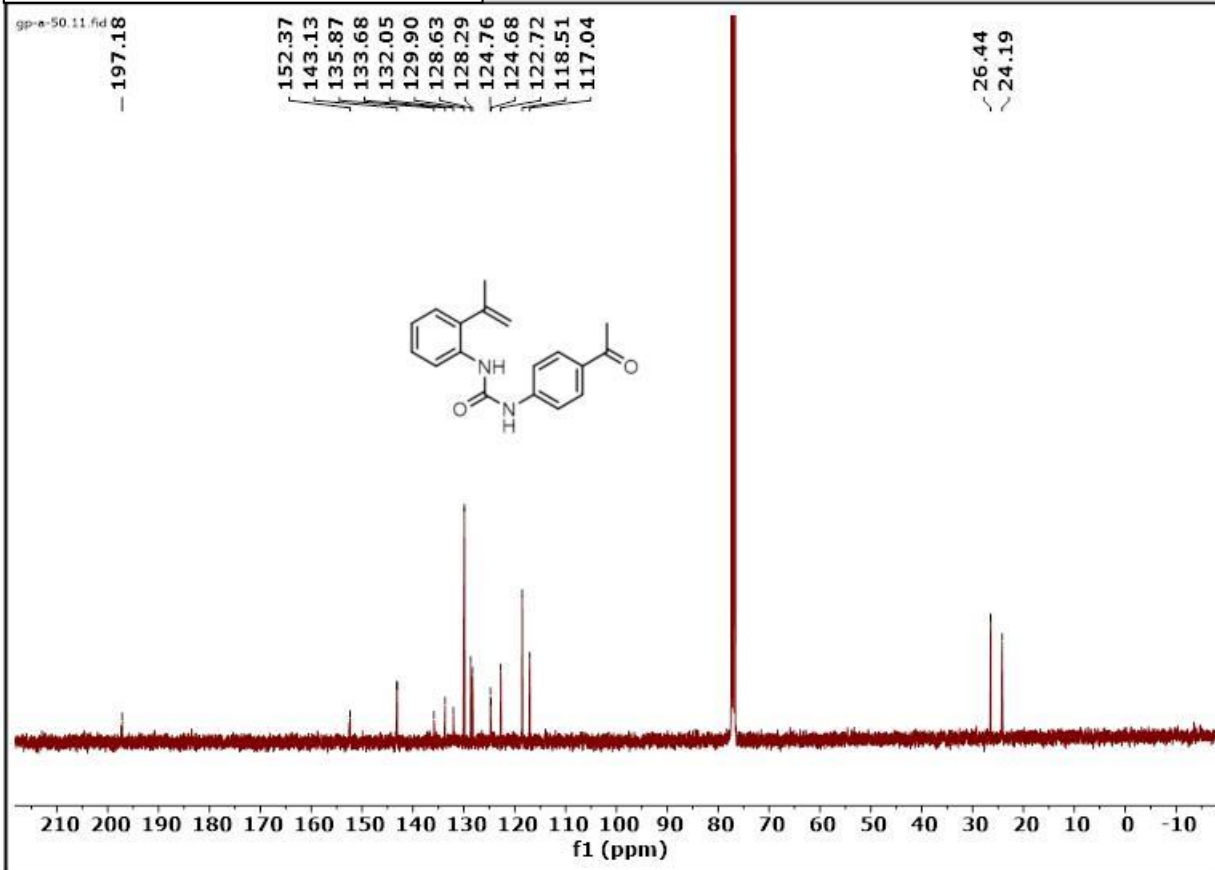
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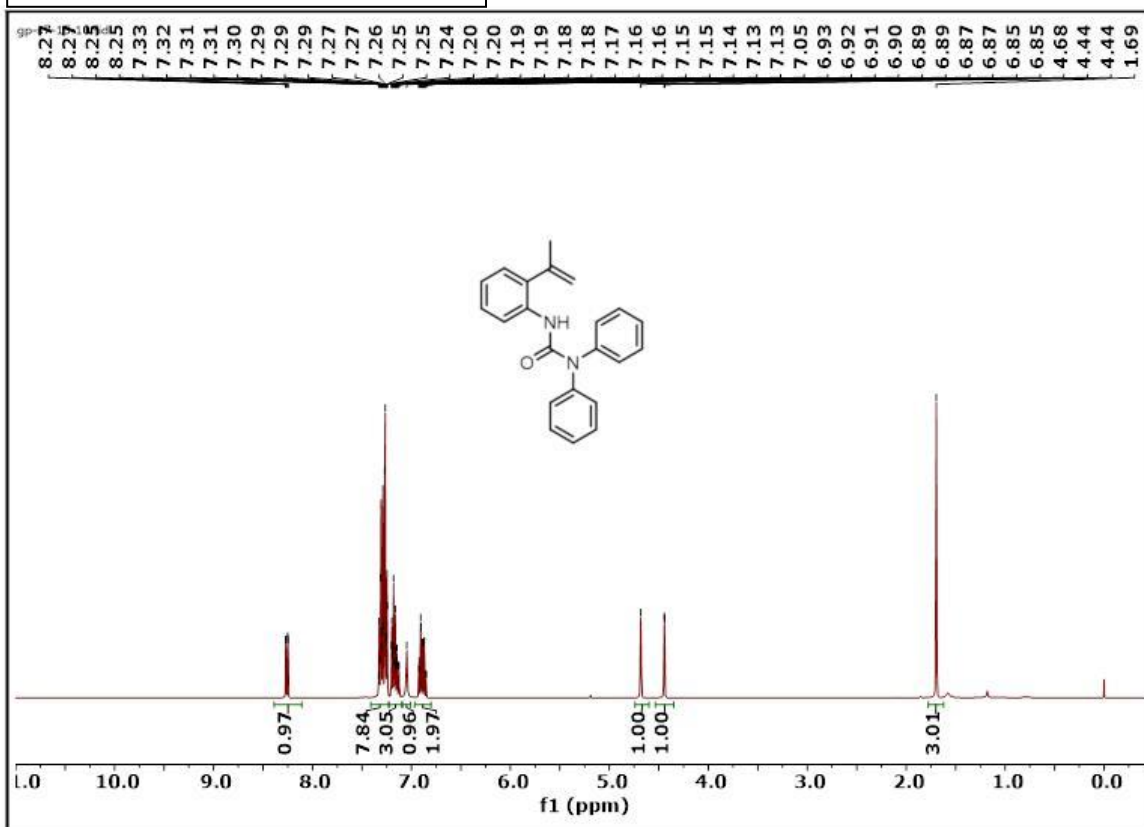
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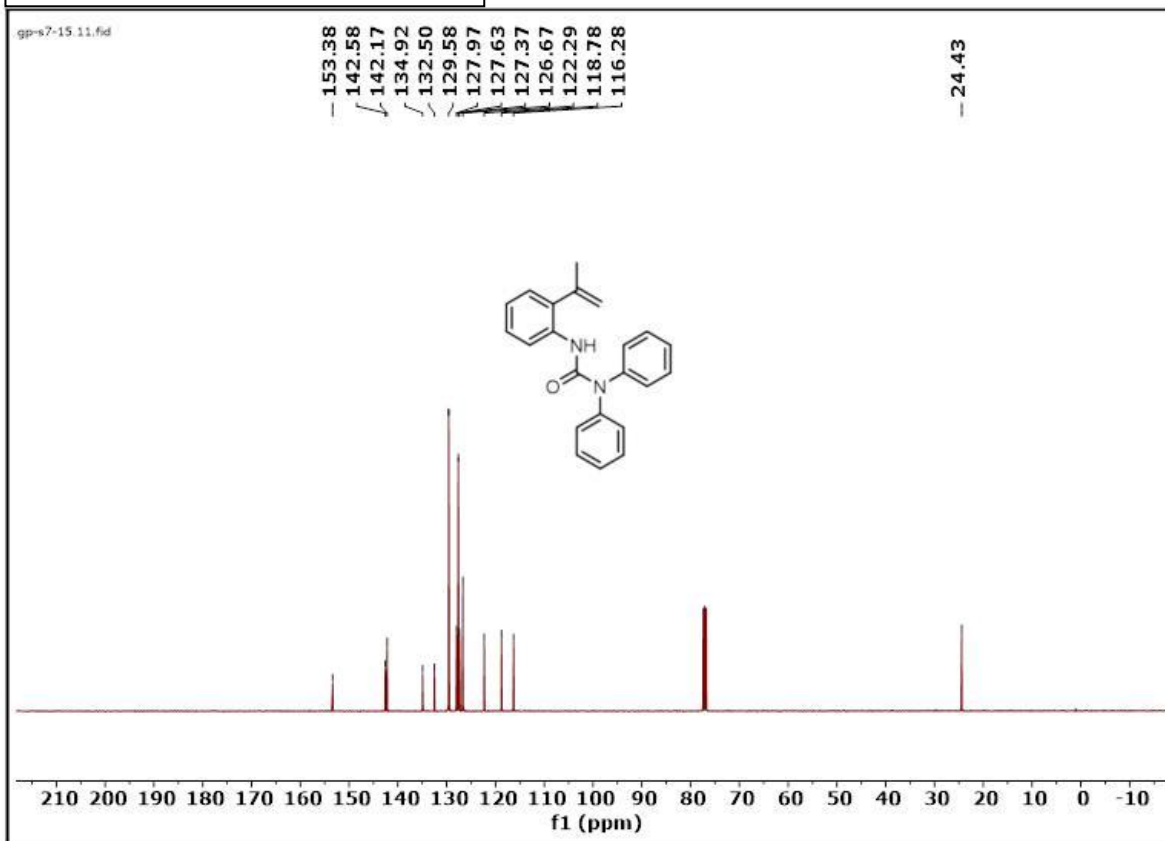
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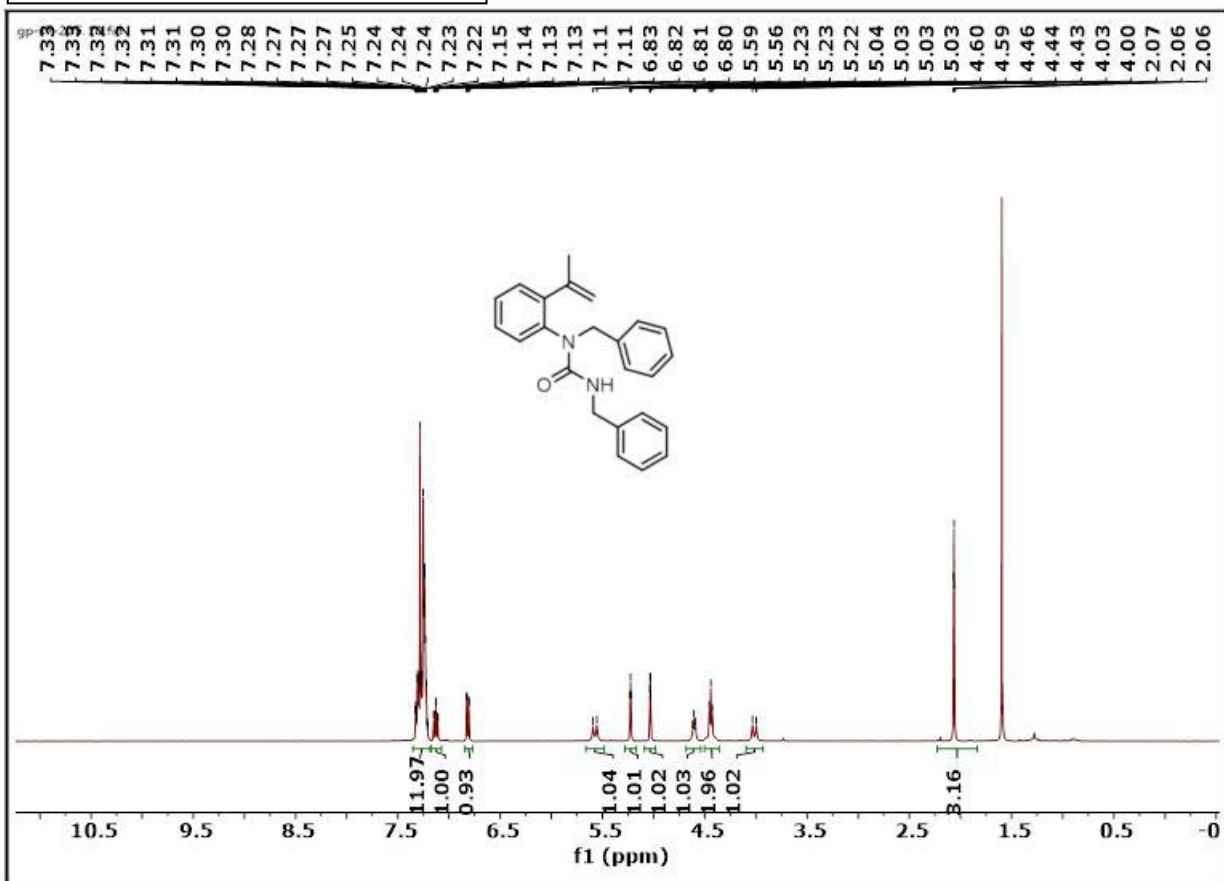
4o (^1H NMR 400 MHz, CDCl_3)



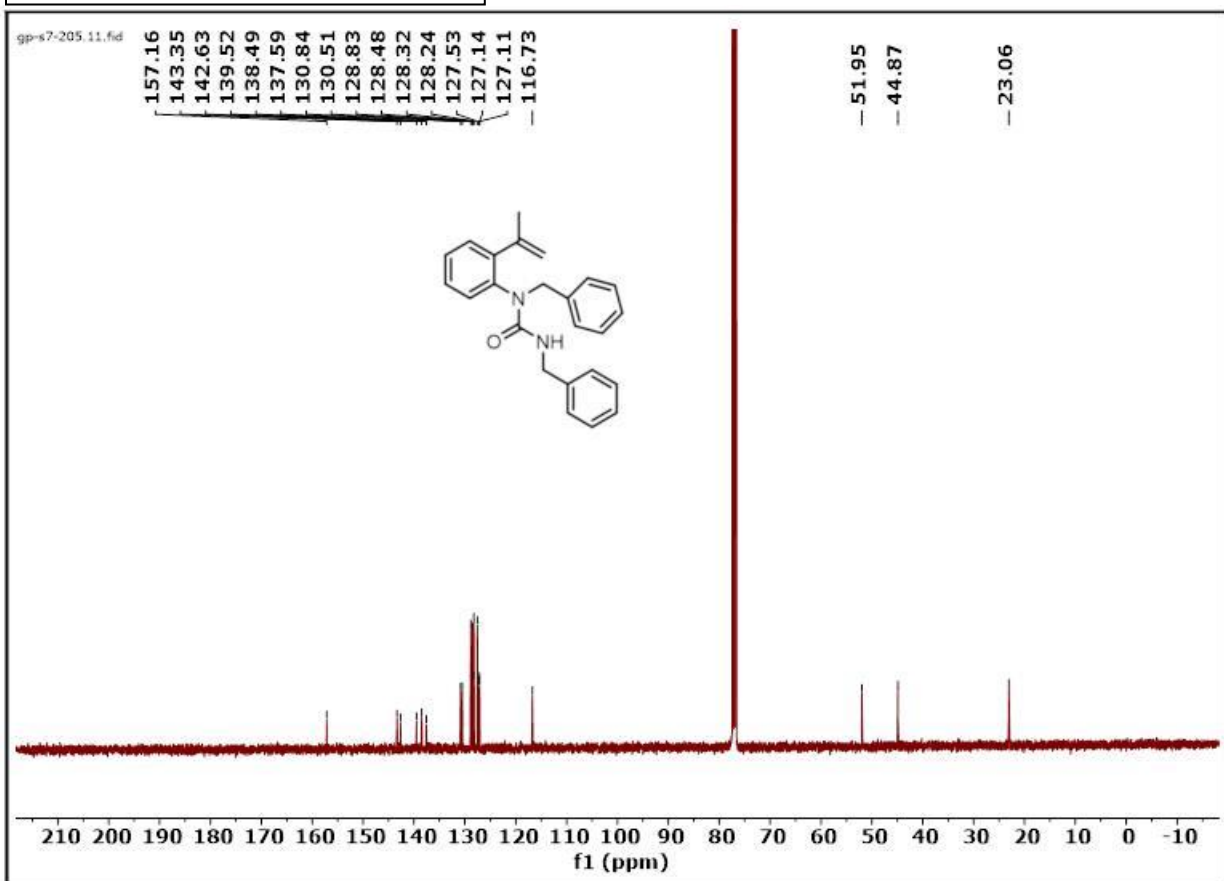
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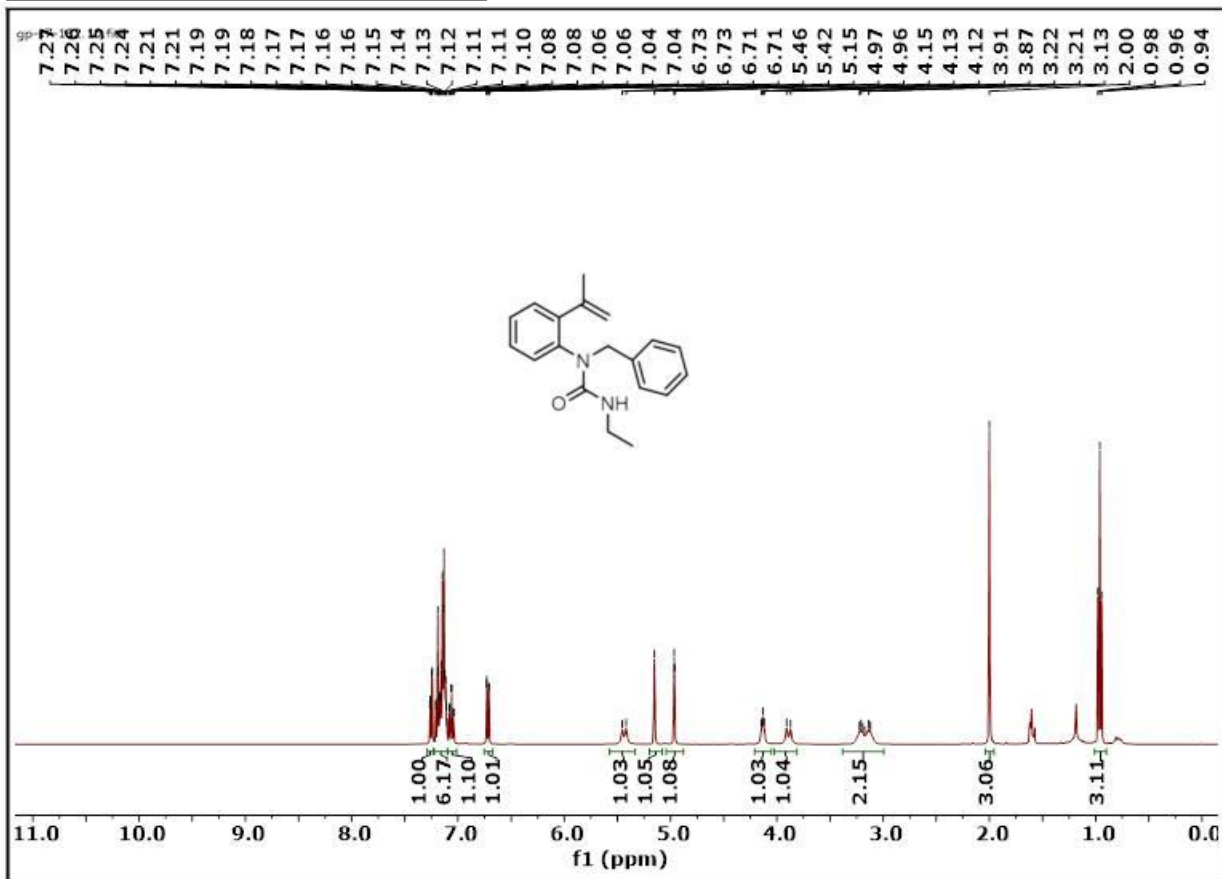
6a (^1H NMR 400 MHz, CDCl_3)



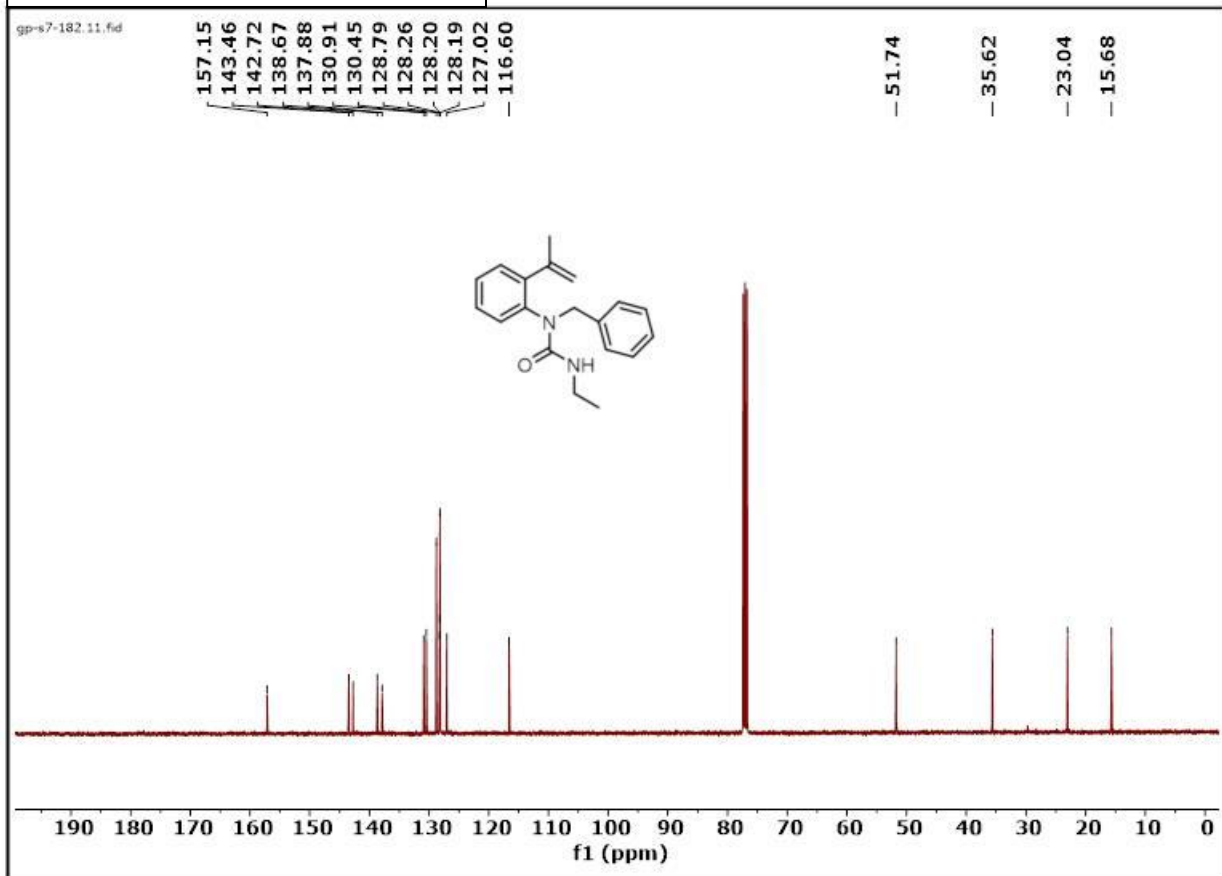
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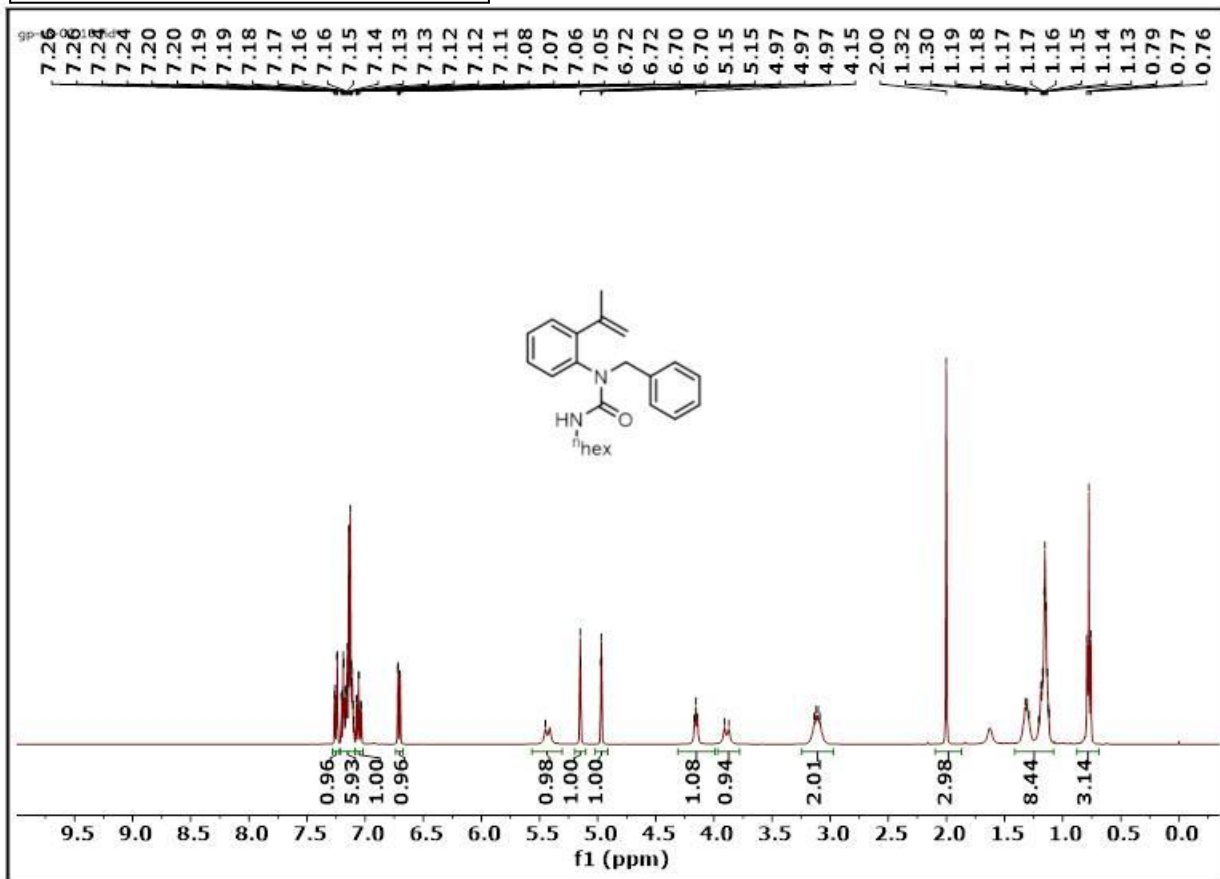
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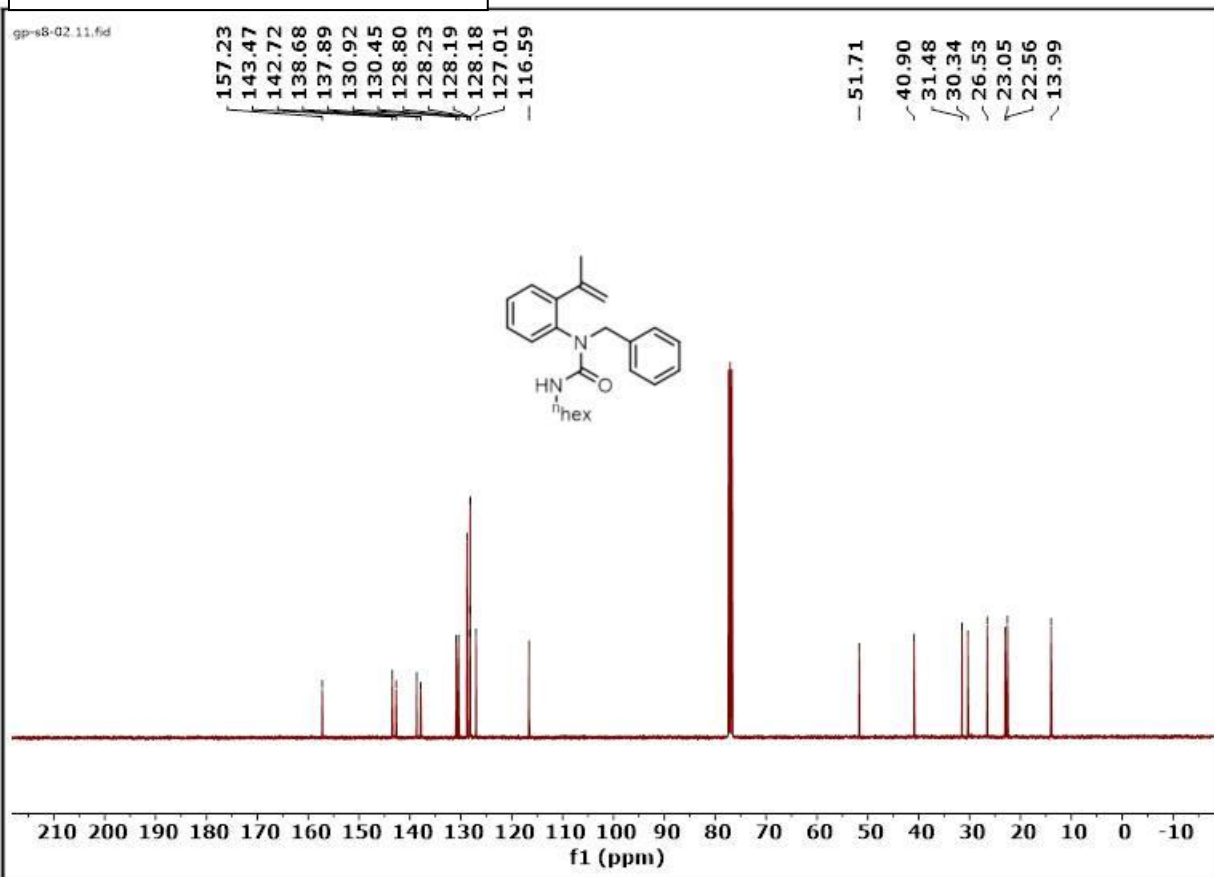
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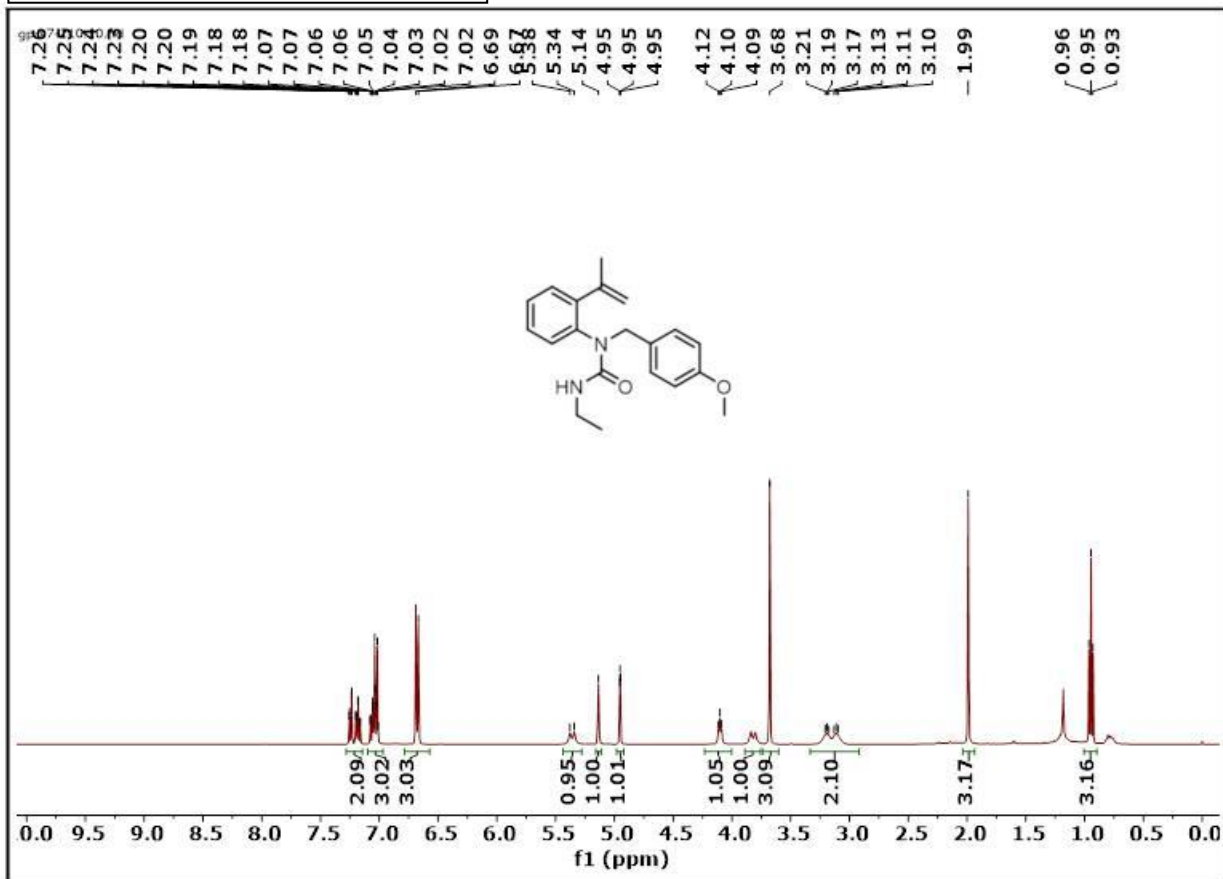
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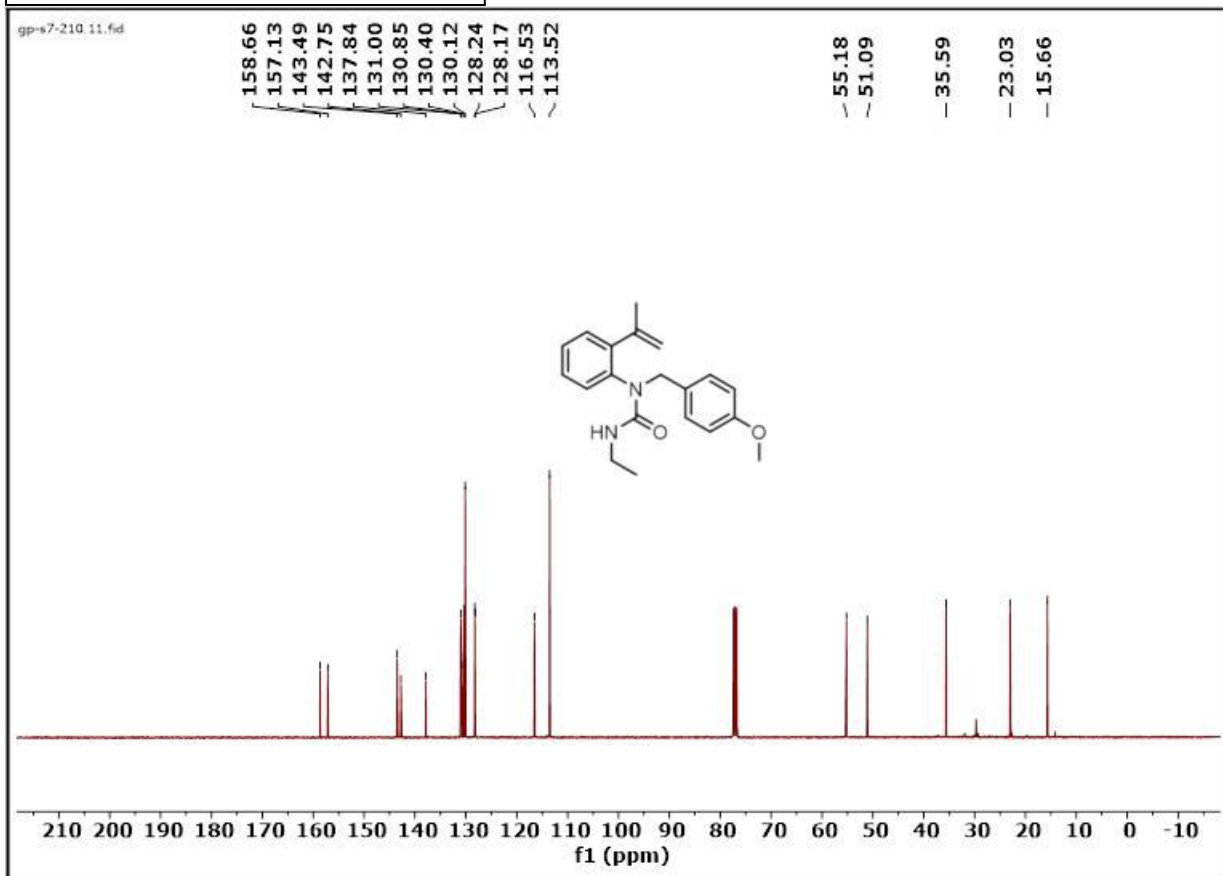
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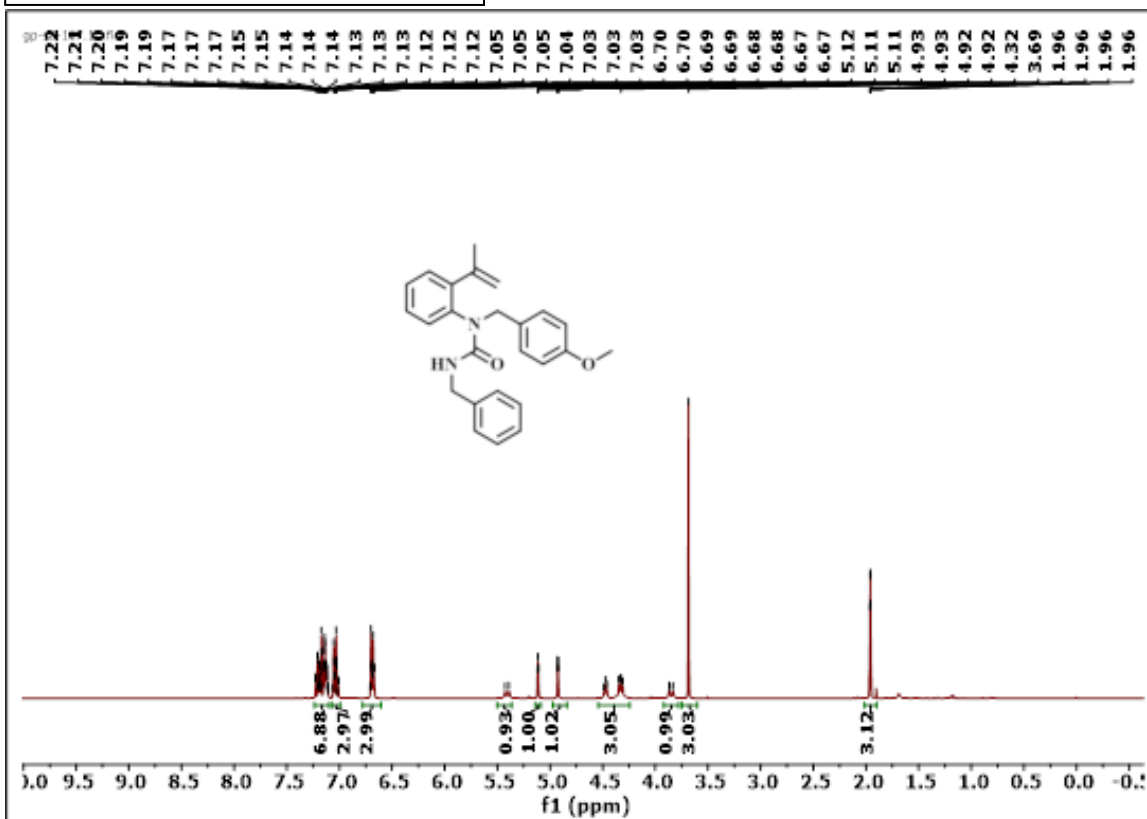
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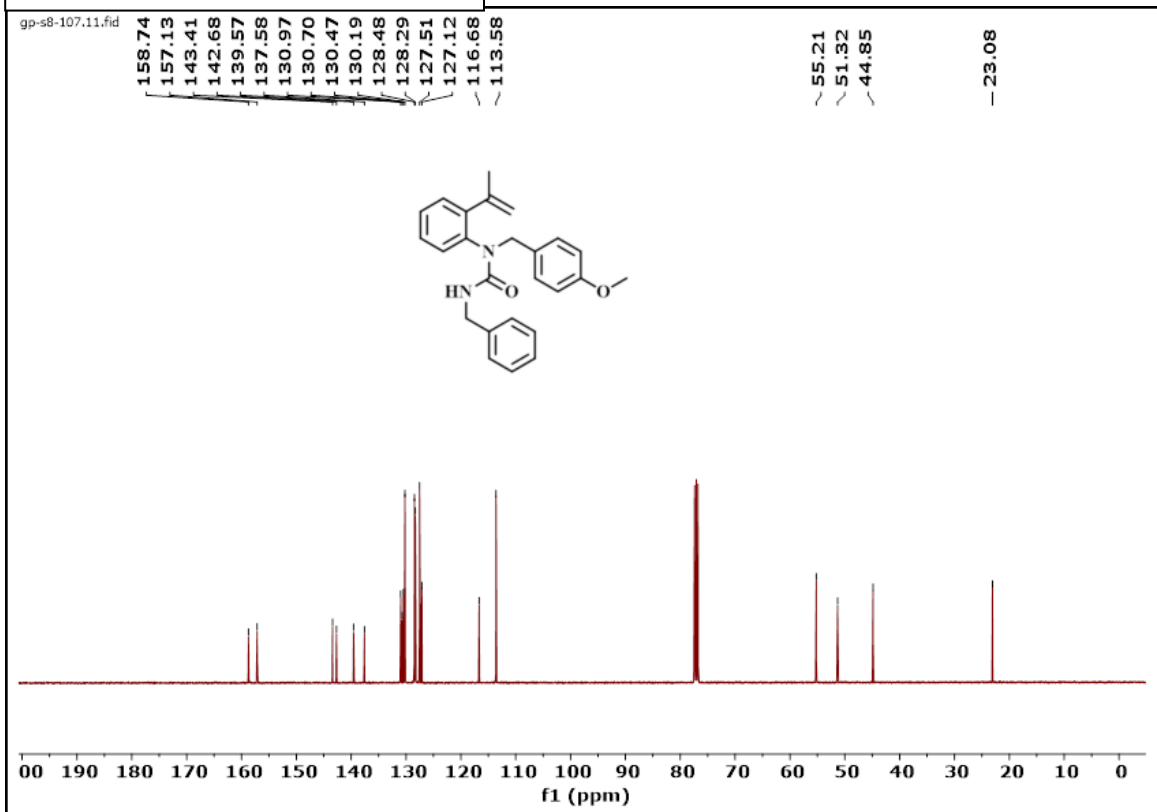
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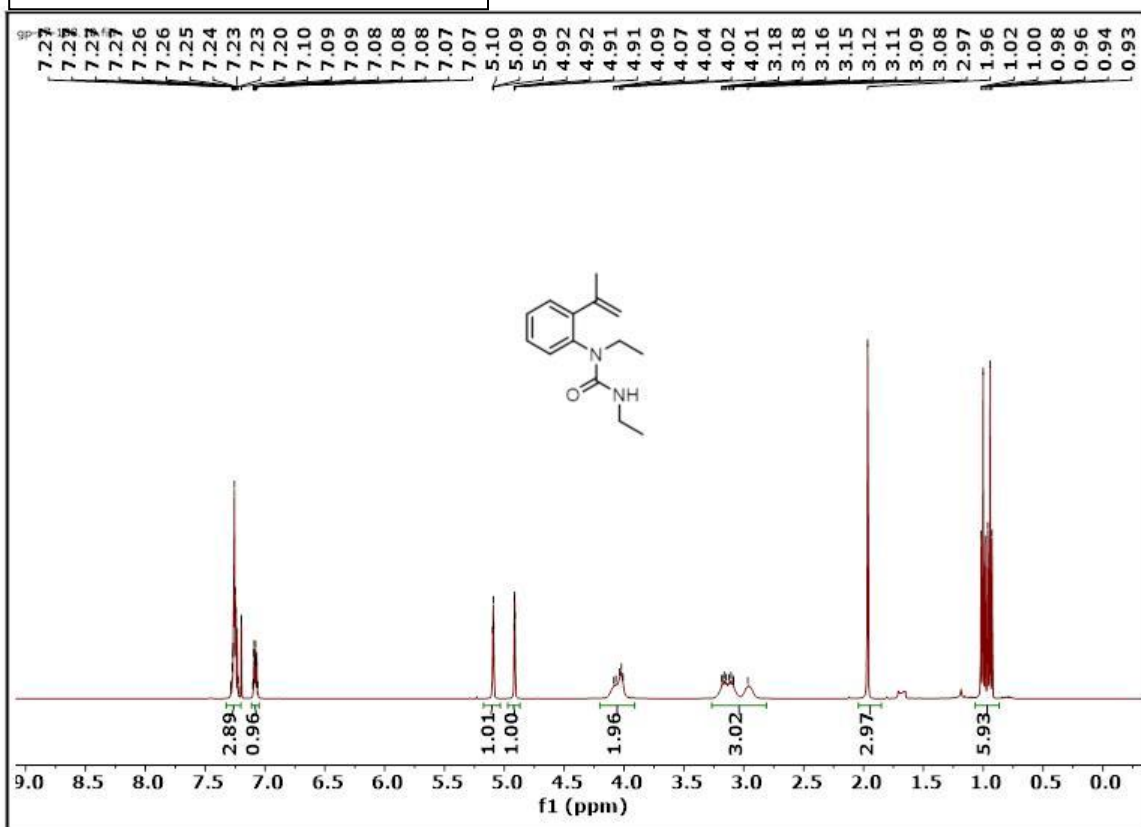
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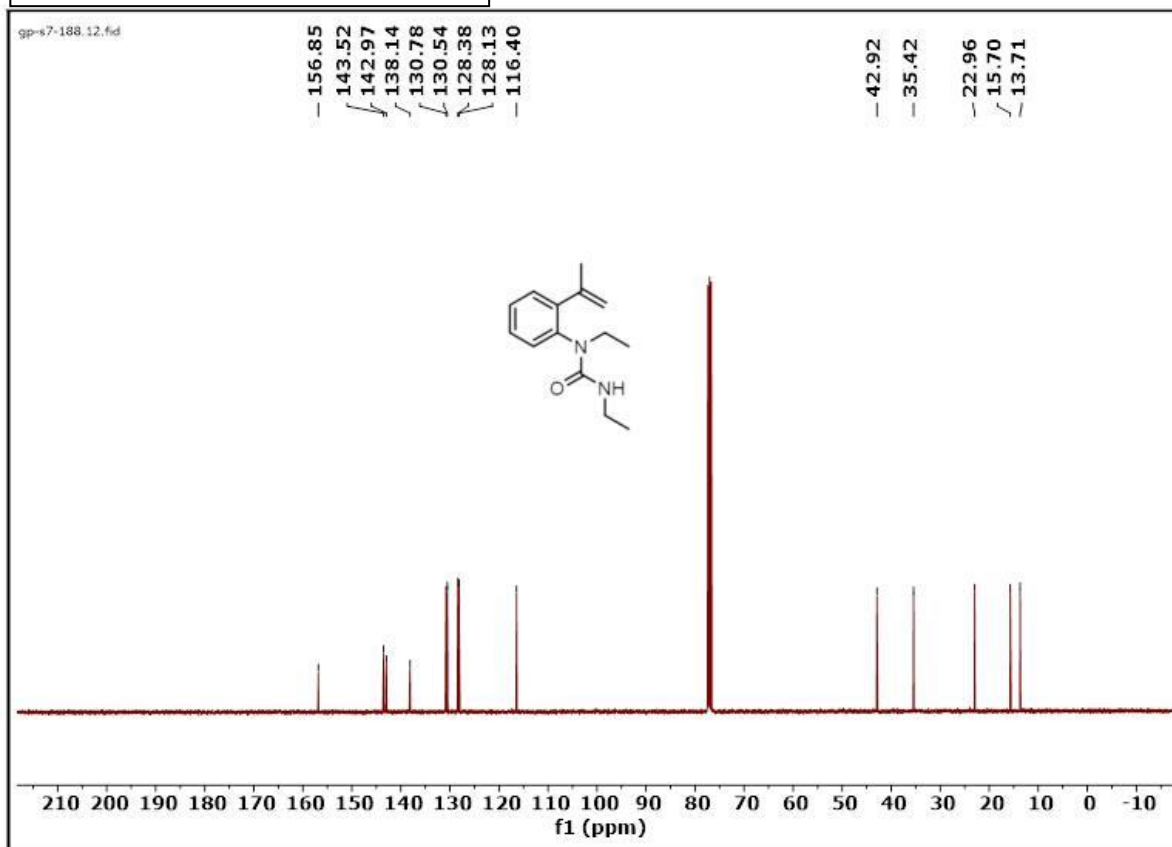
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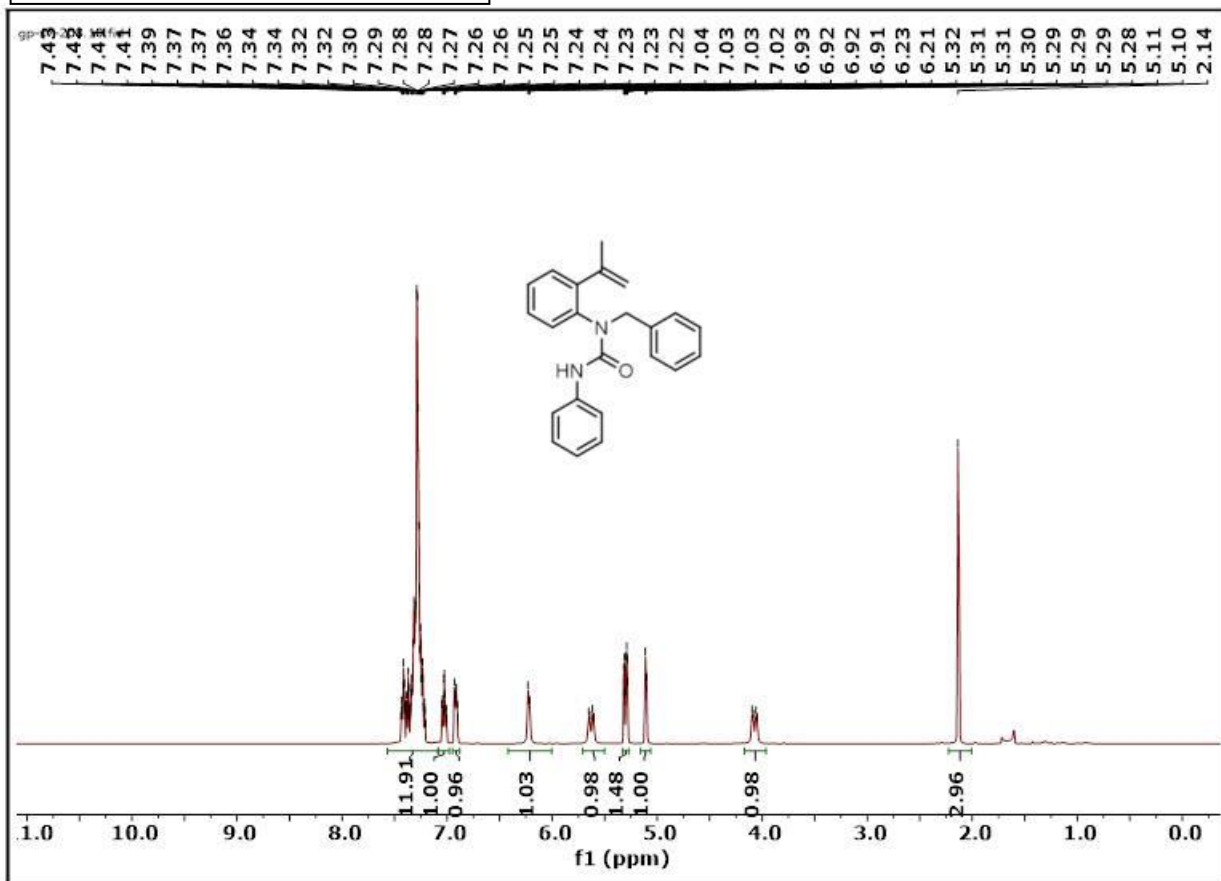
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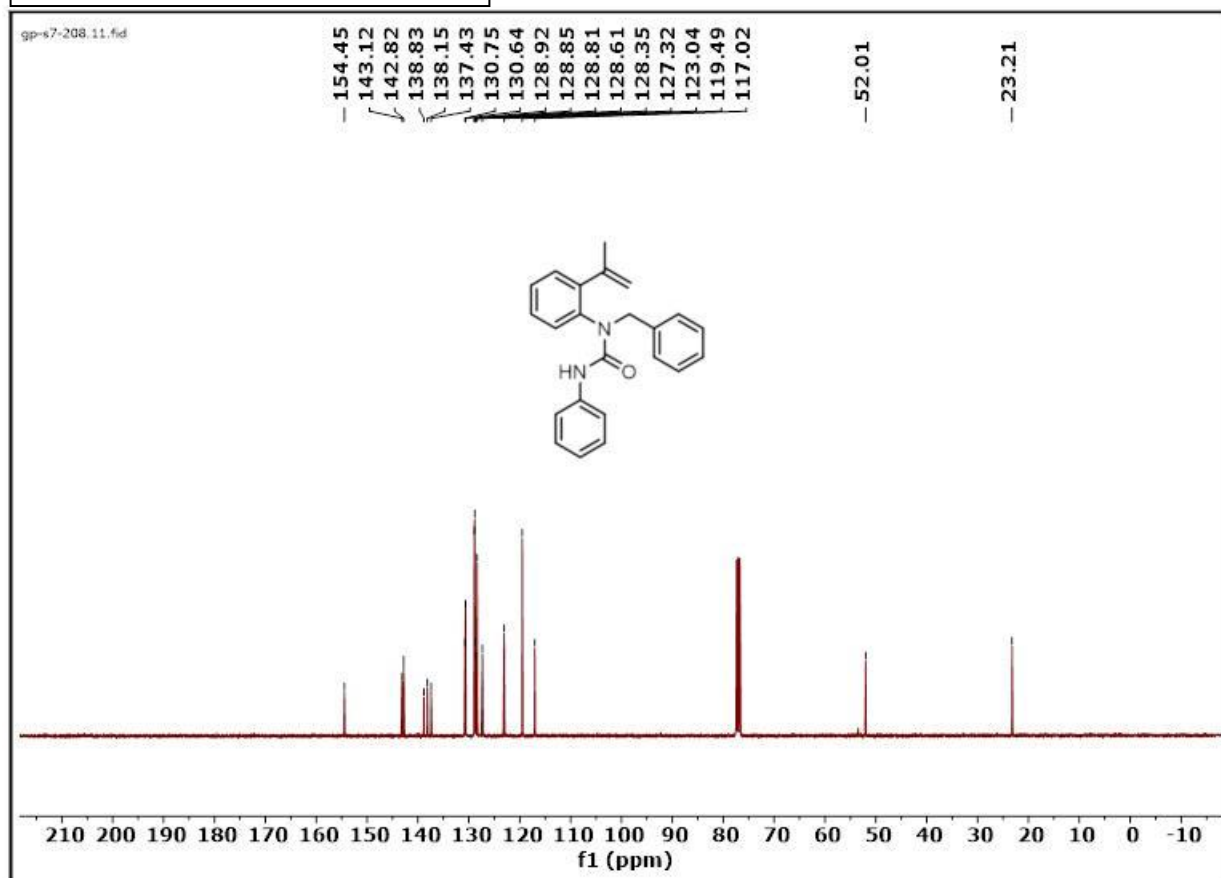
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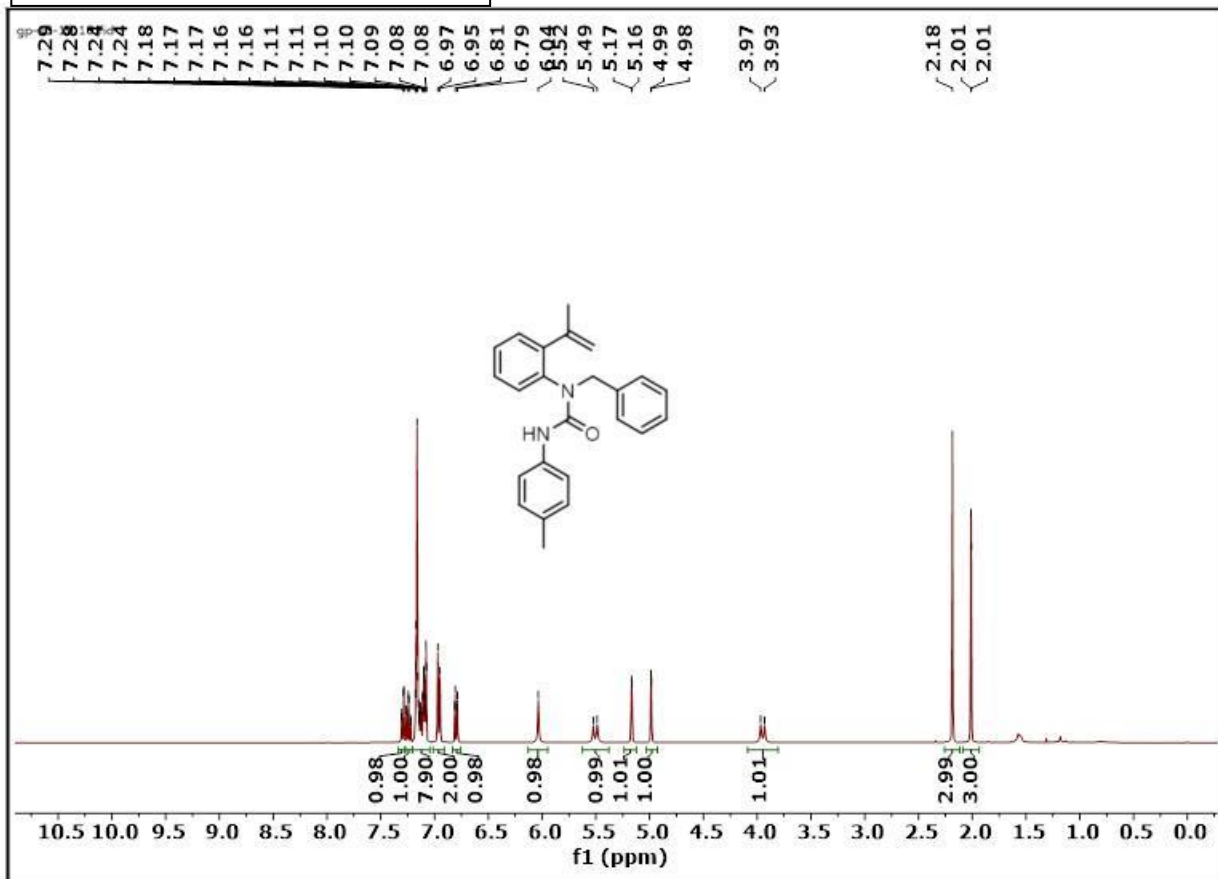
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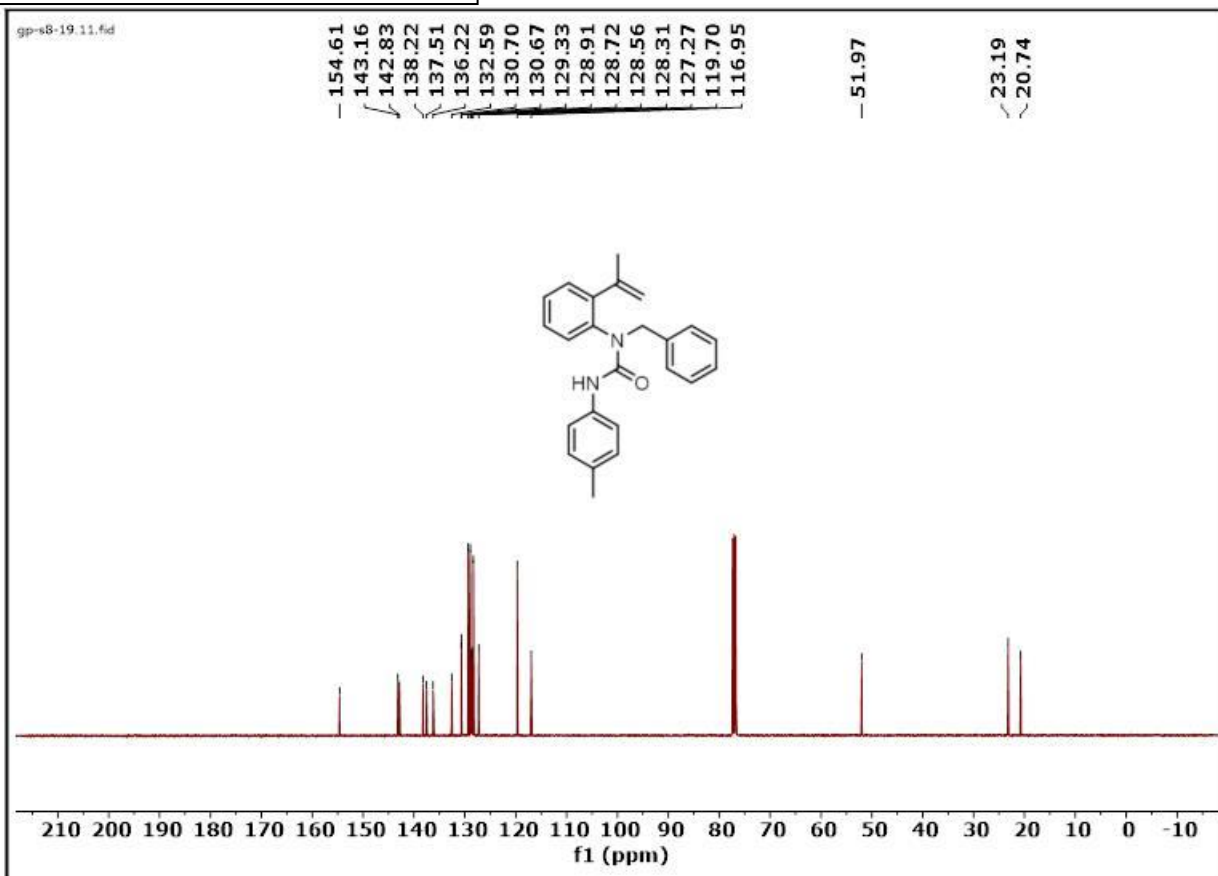
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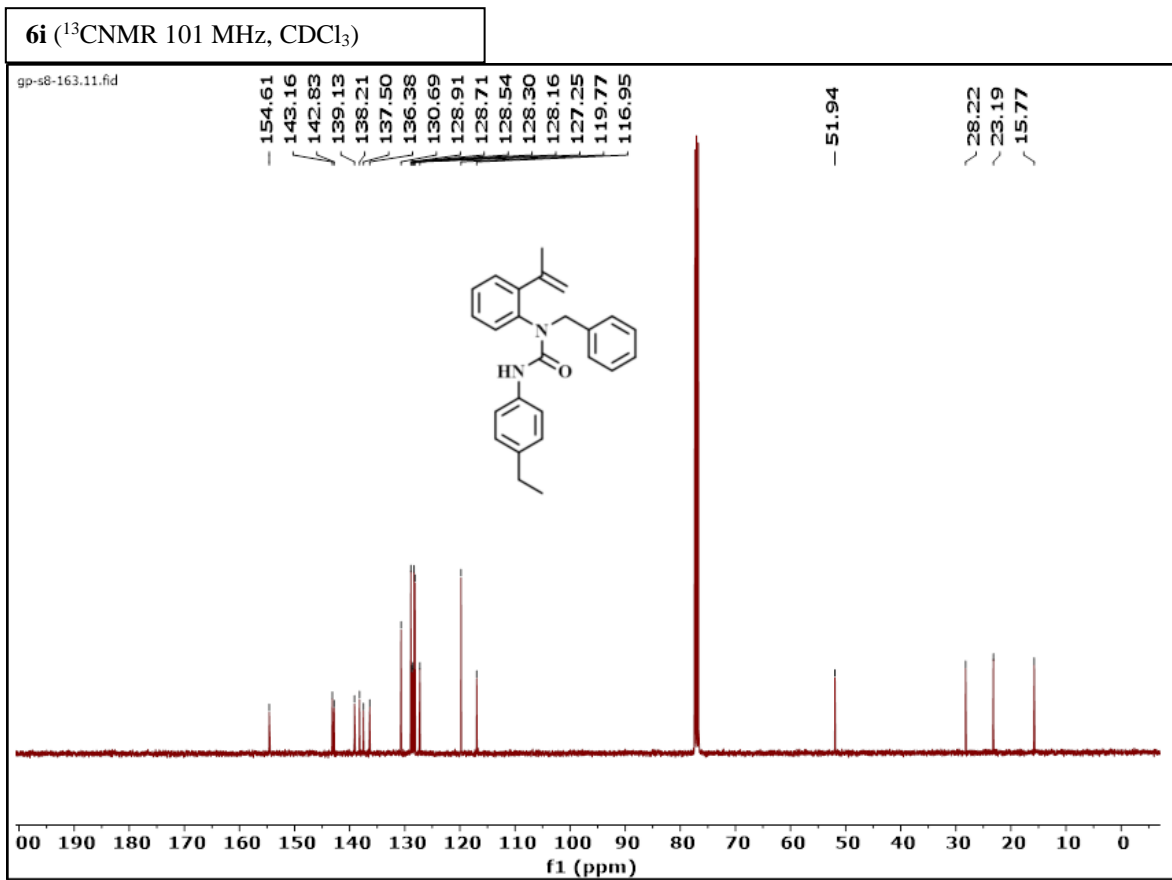
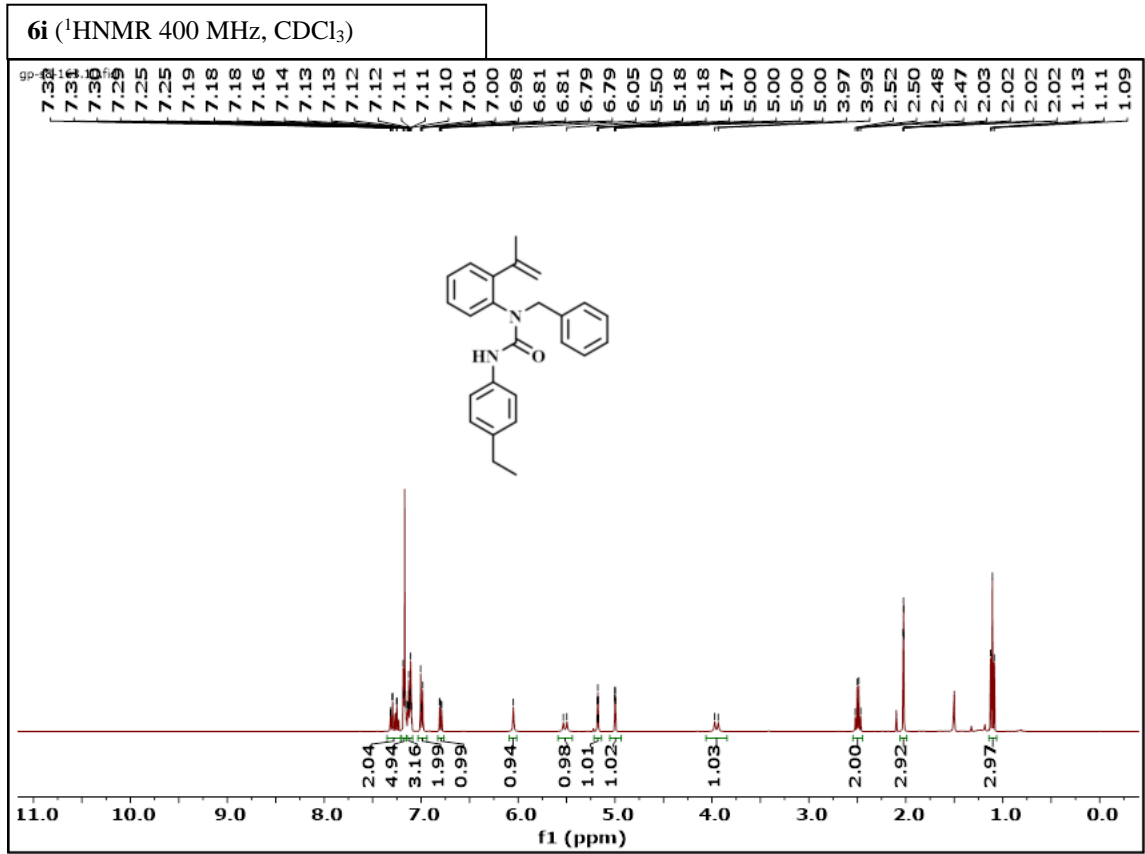


6h (¹H NMR 400 MHz, CDCl₃)

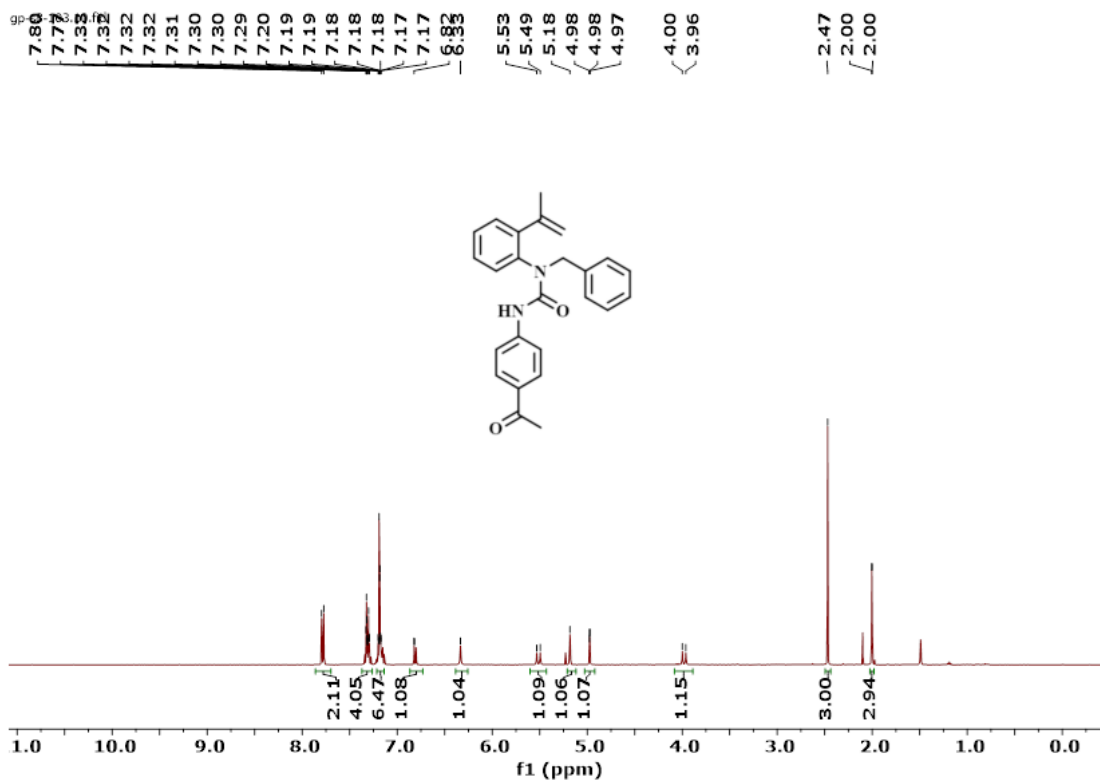


6h (¹³C NMR 101 MHz, CDCl₃)

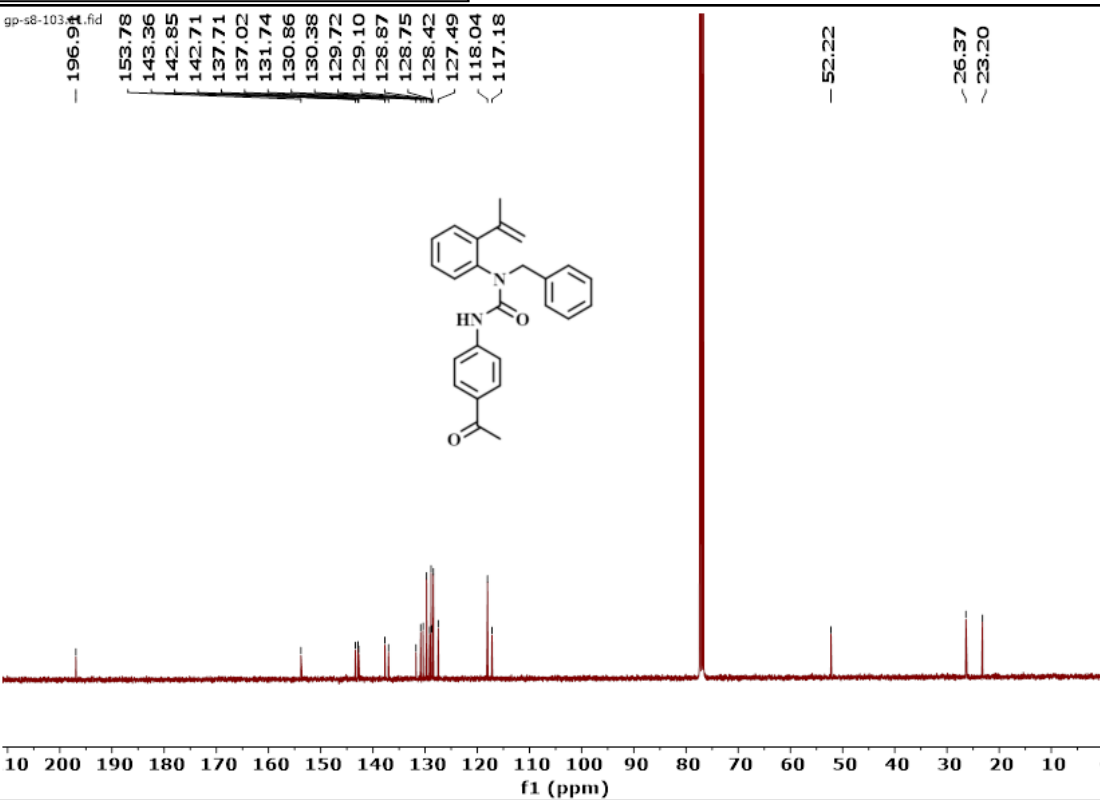




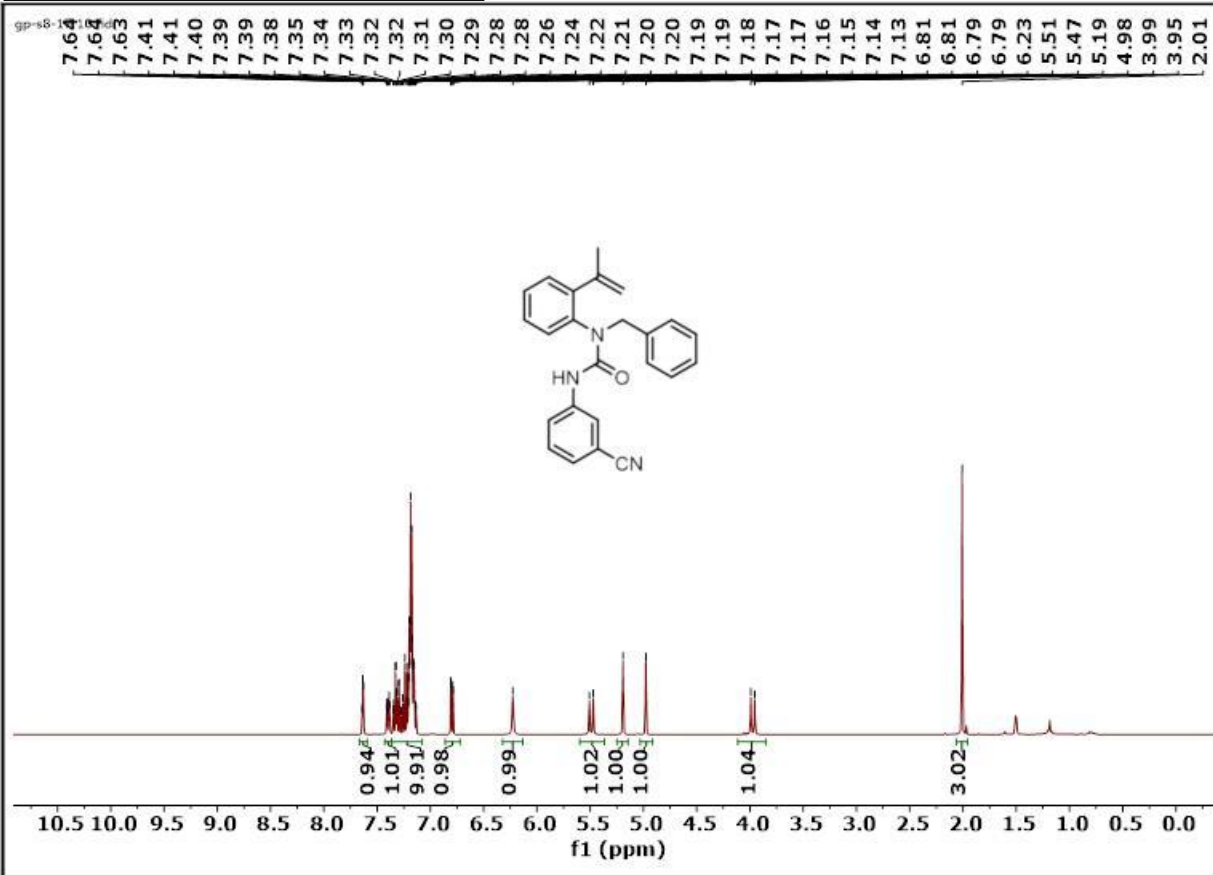
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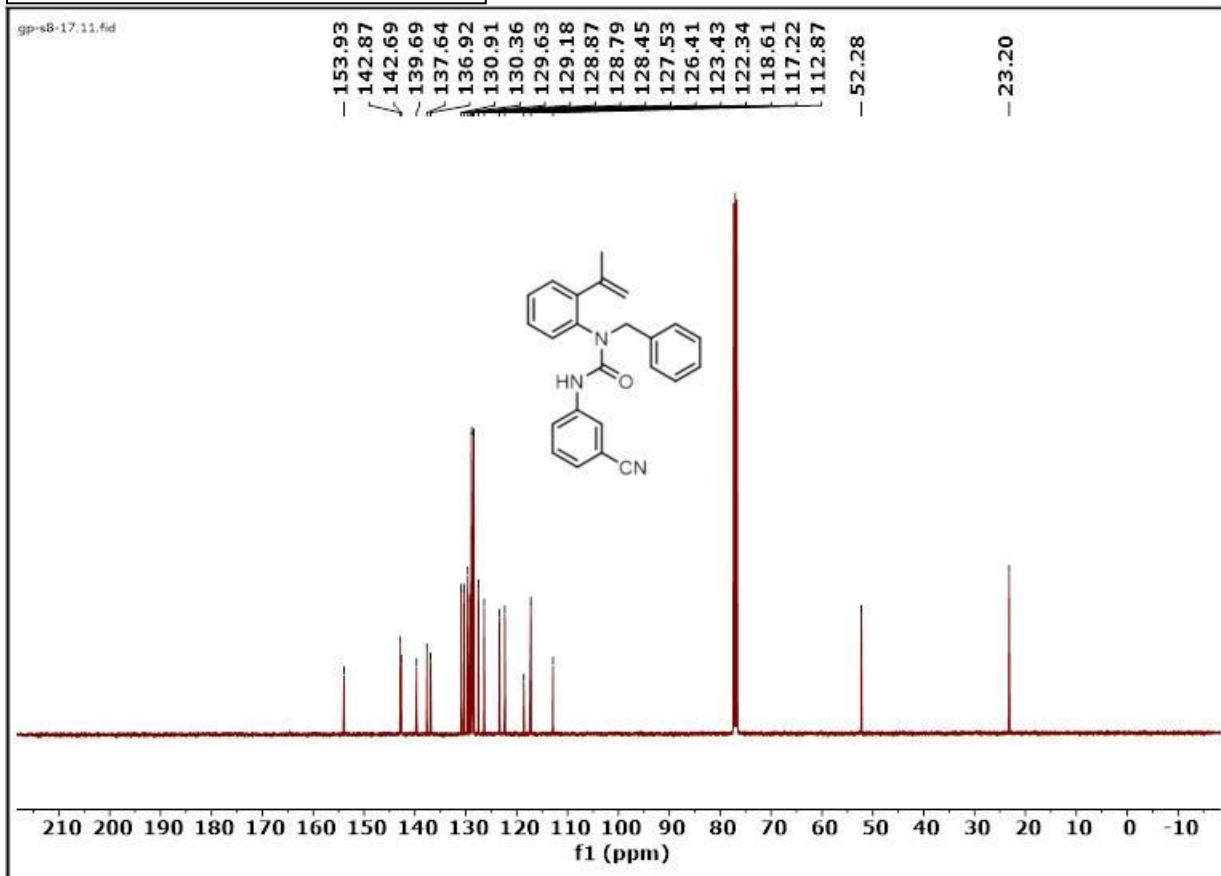
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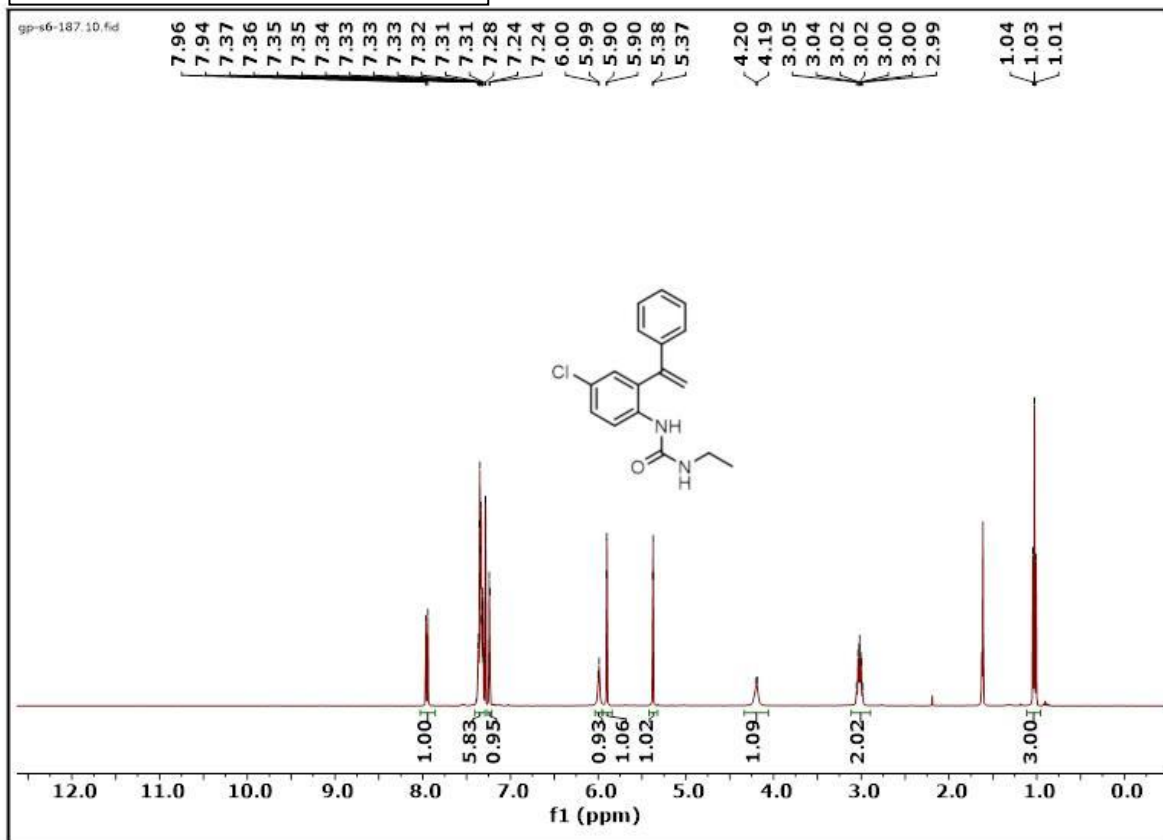
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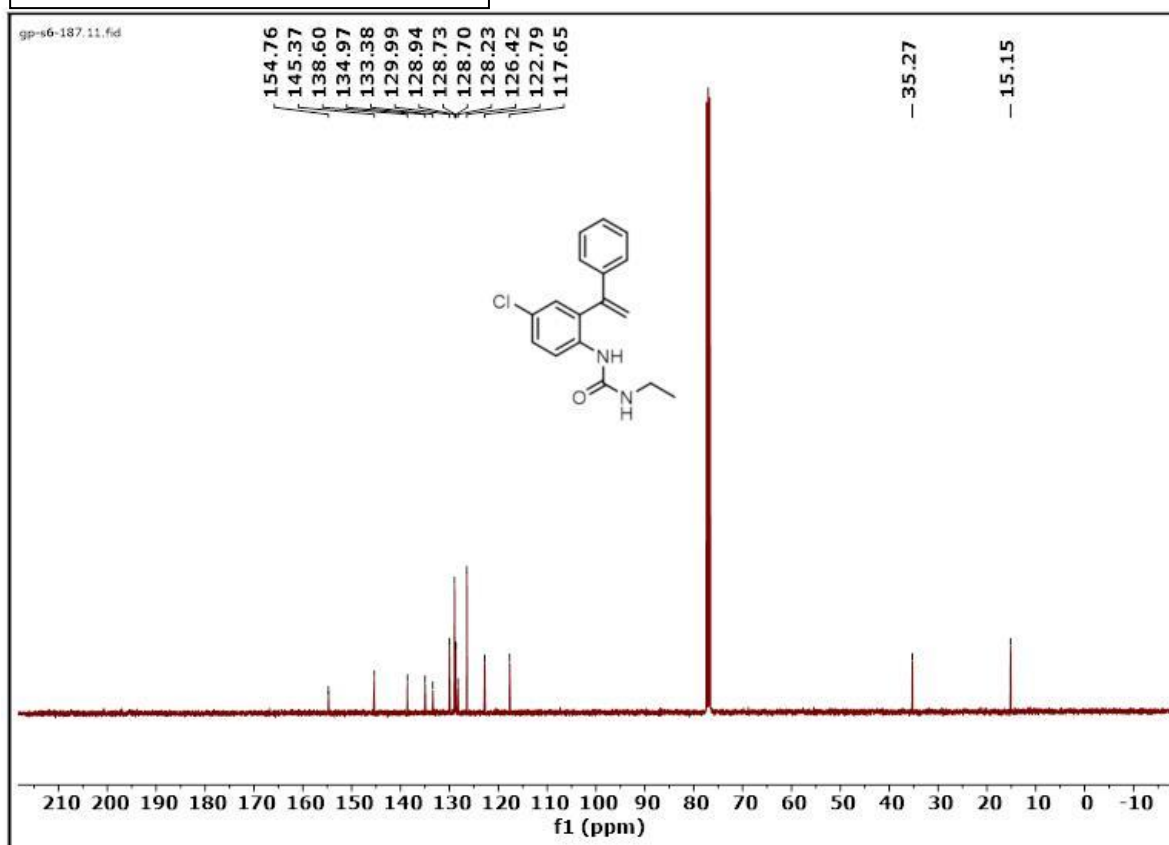
6k (^{13}C NMR 101 MHz, CDCl_3)



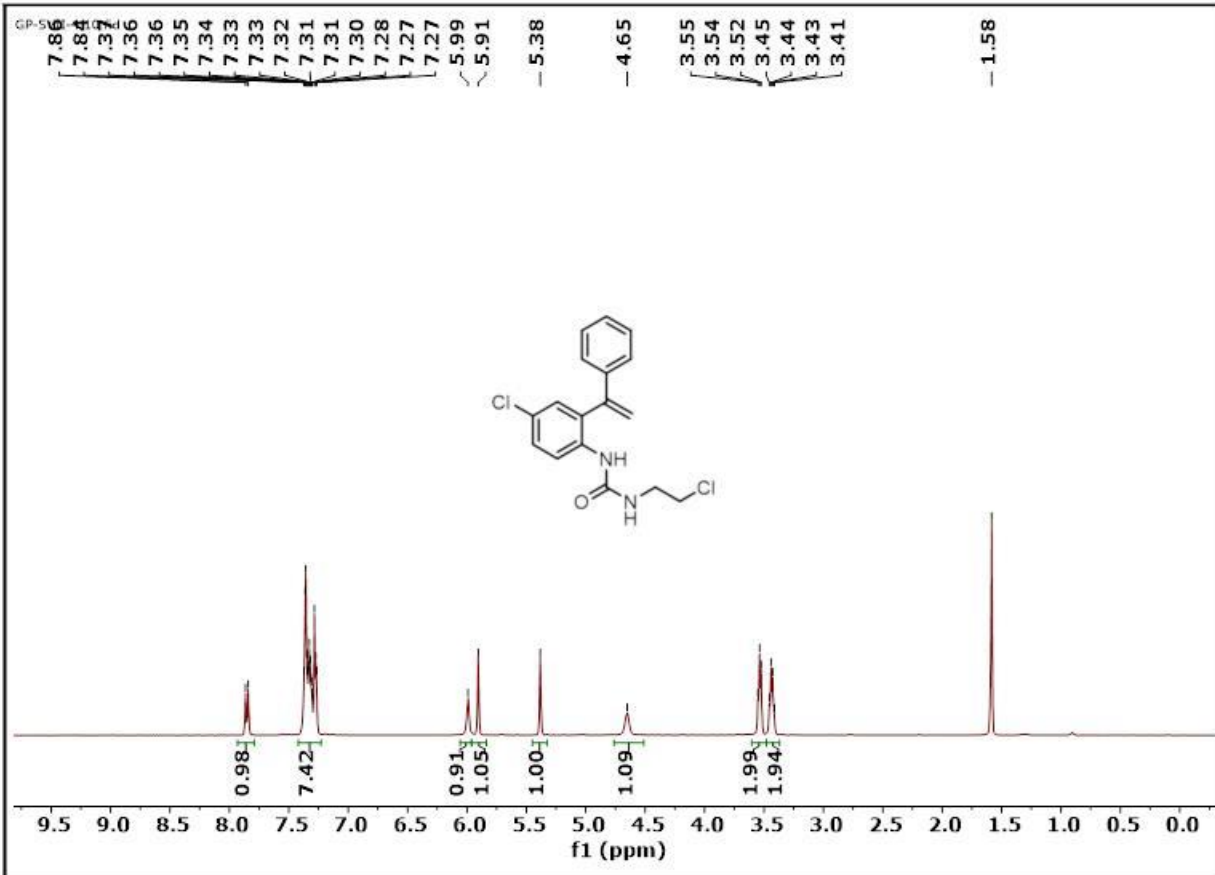
4p (^1H NMR 400 MHz, CDCl_3)



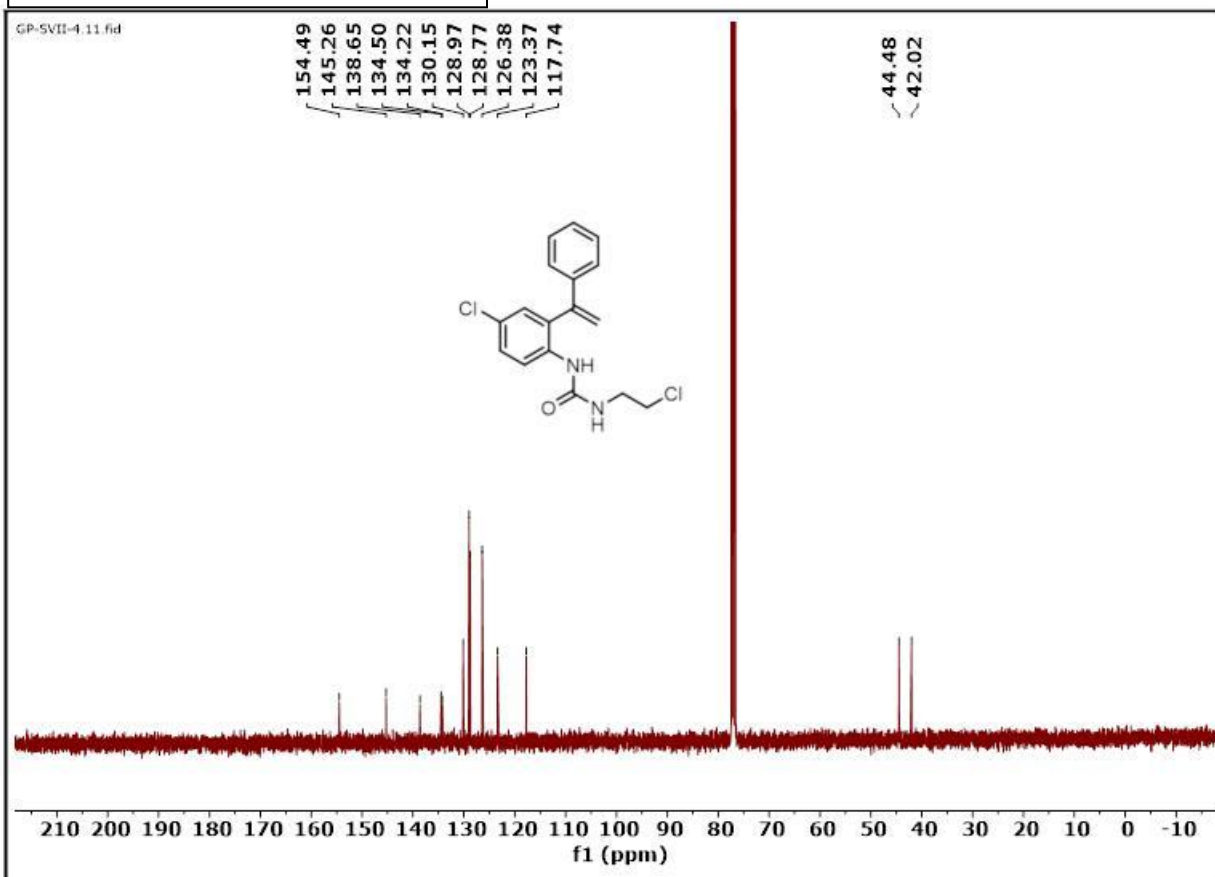
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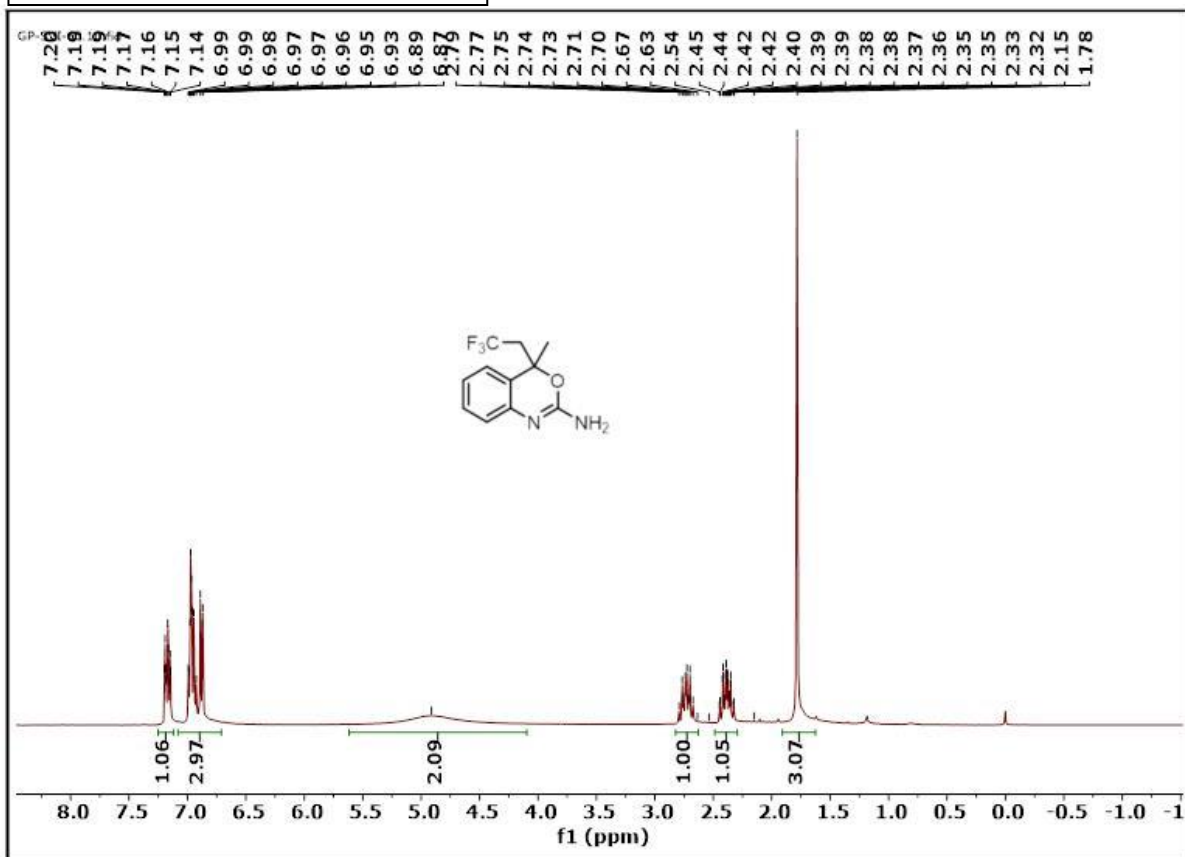
4q (^1H NMR 400 MHz, CDCl_3)



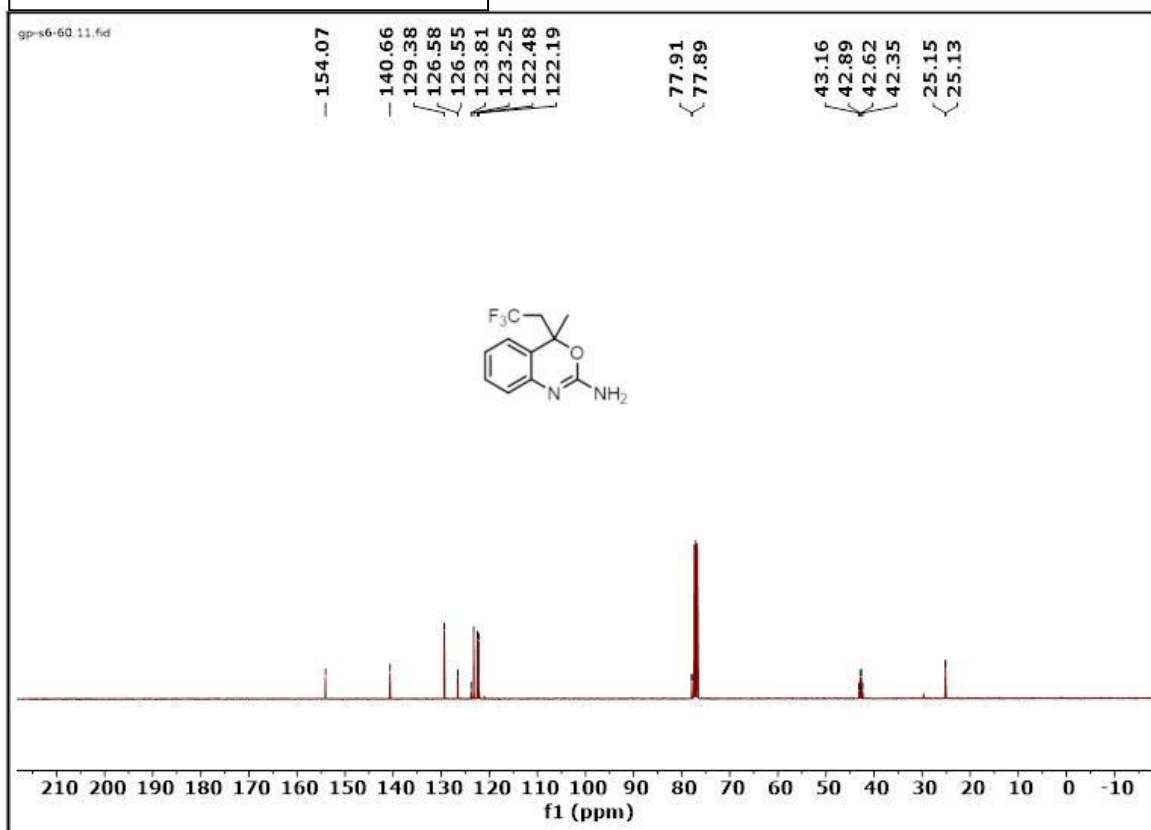
4q (^{13}C NMR 101 MHz, CDCl_3)



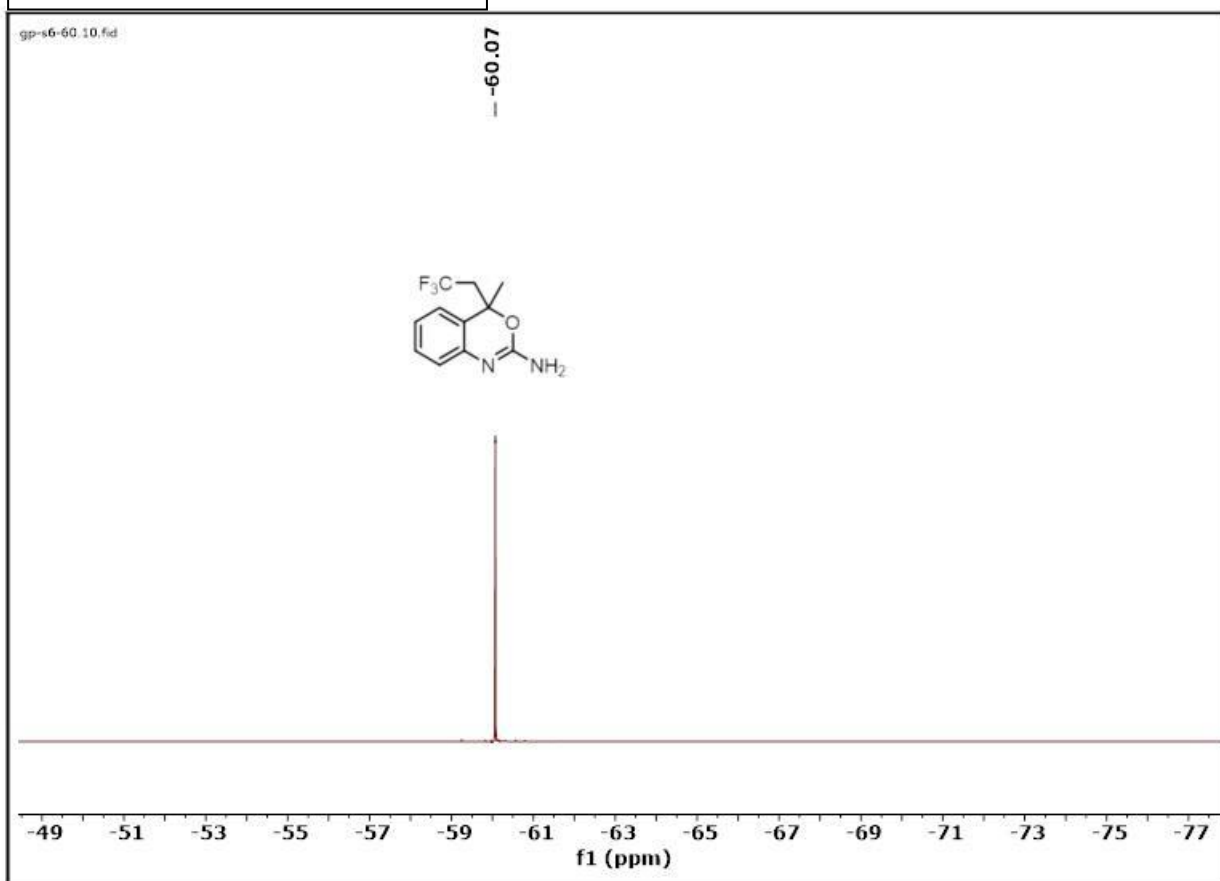
3a (^1H NMR 400 MHz, CDCl_3)



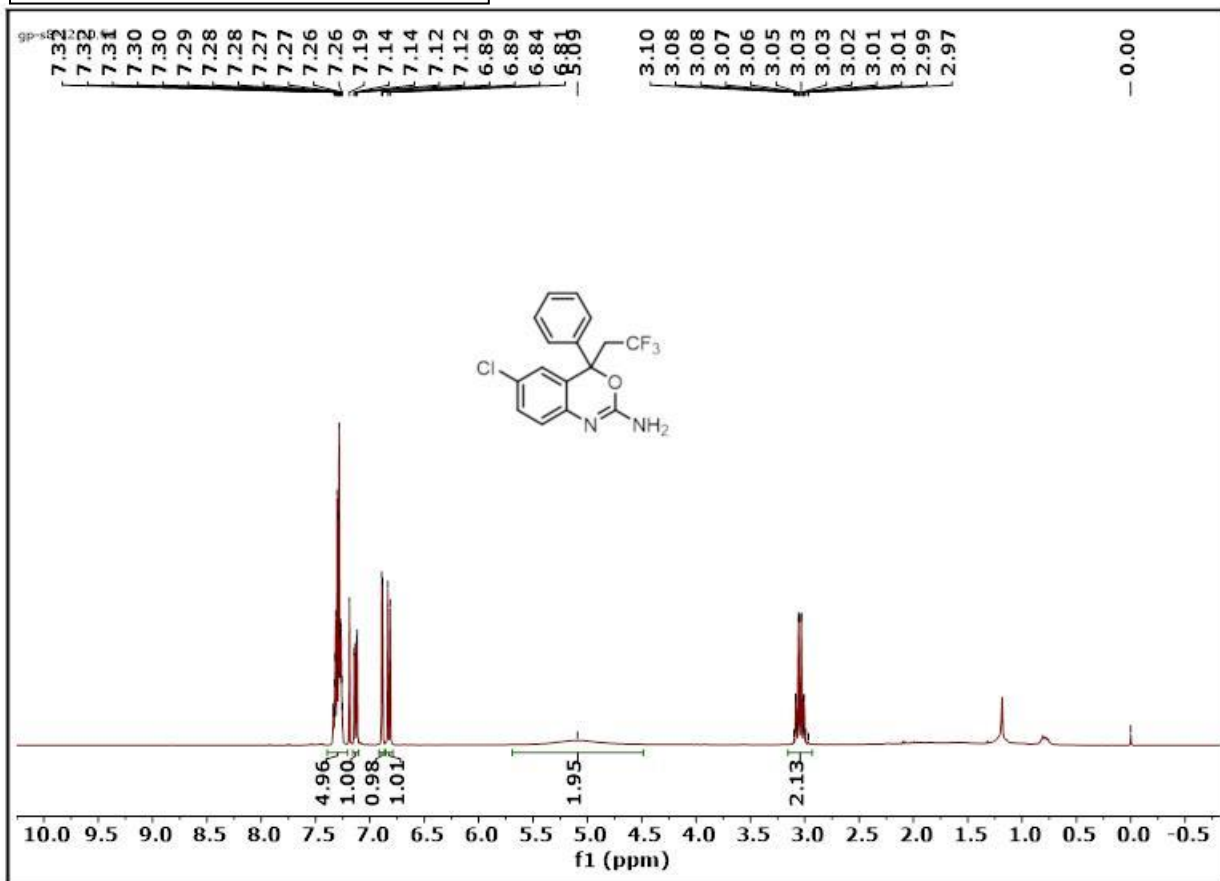
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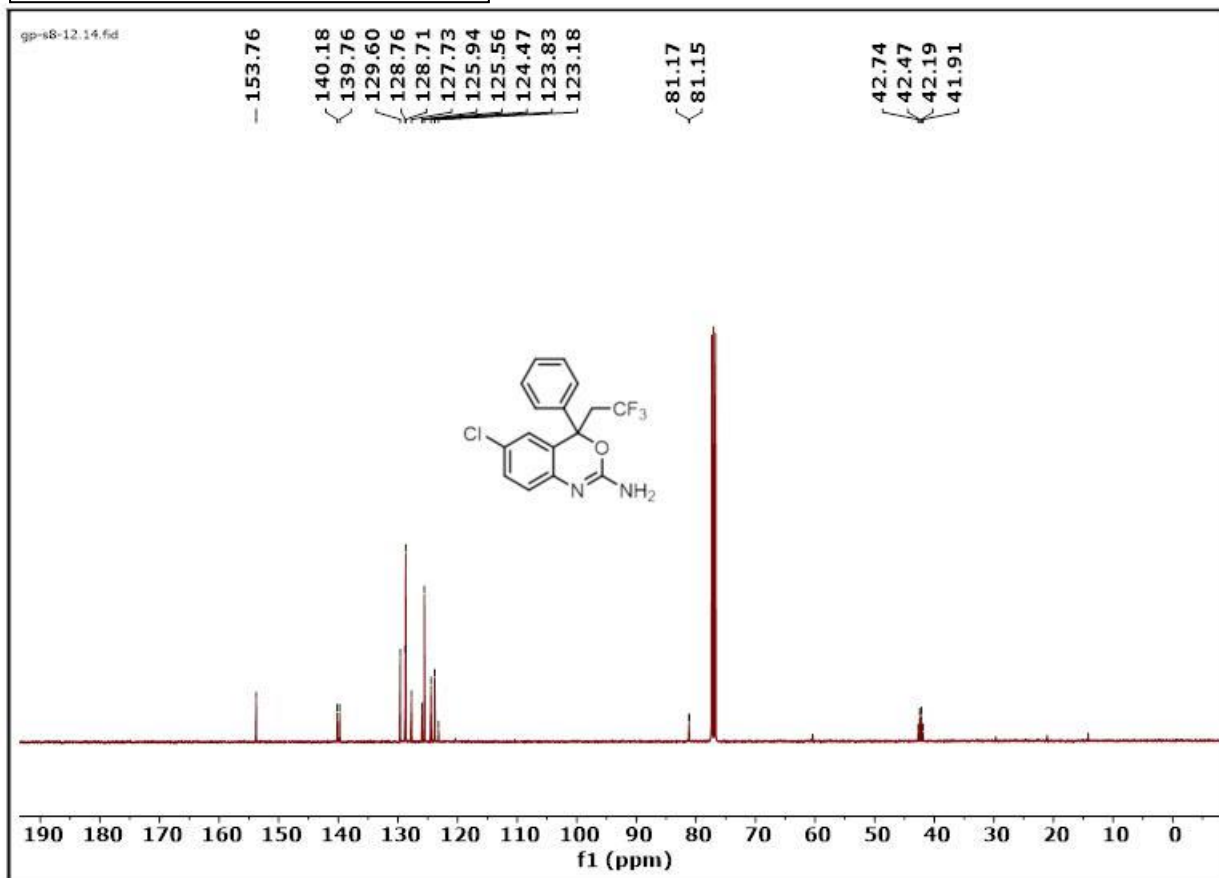
3a (^{19}F NMR 377 MHz, CDCl_3)



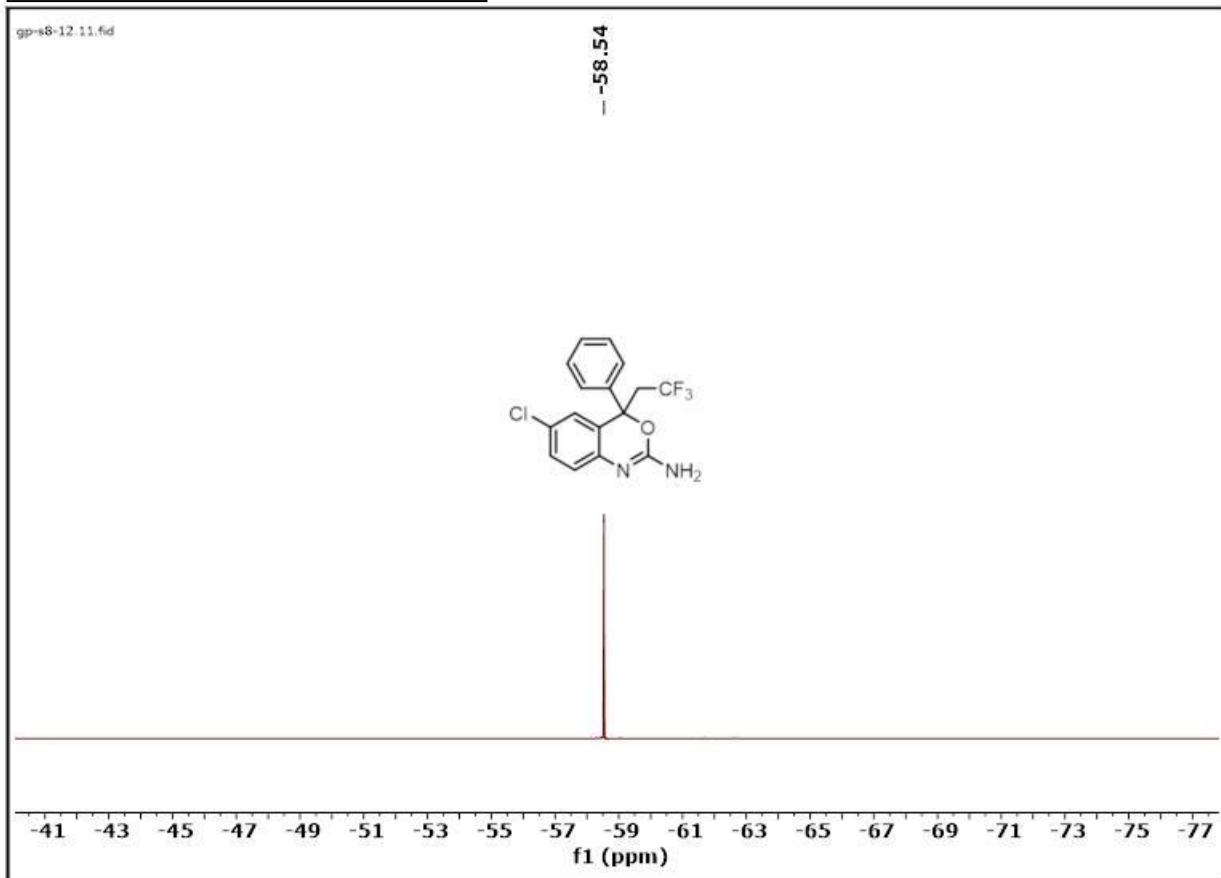
3b (¹H NMR 400 MHz, CDCl₃)



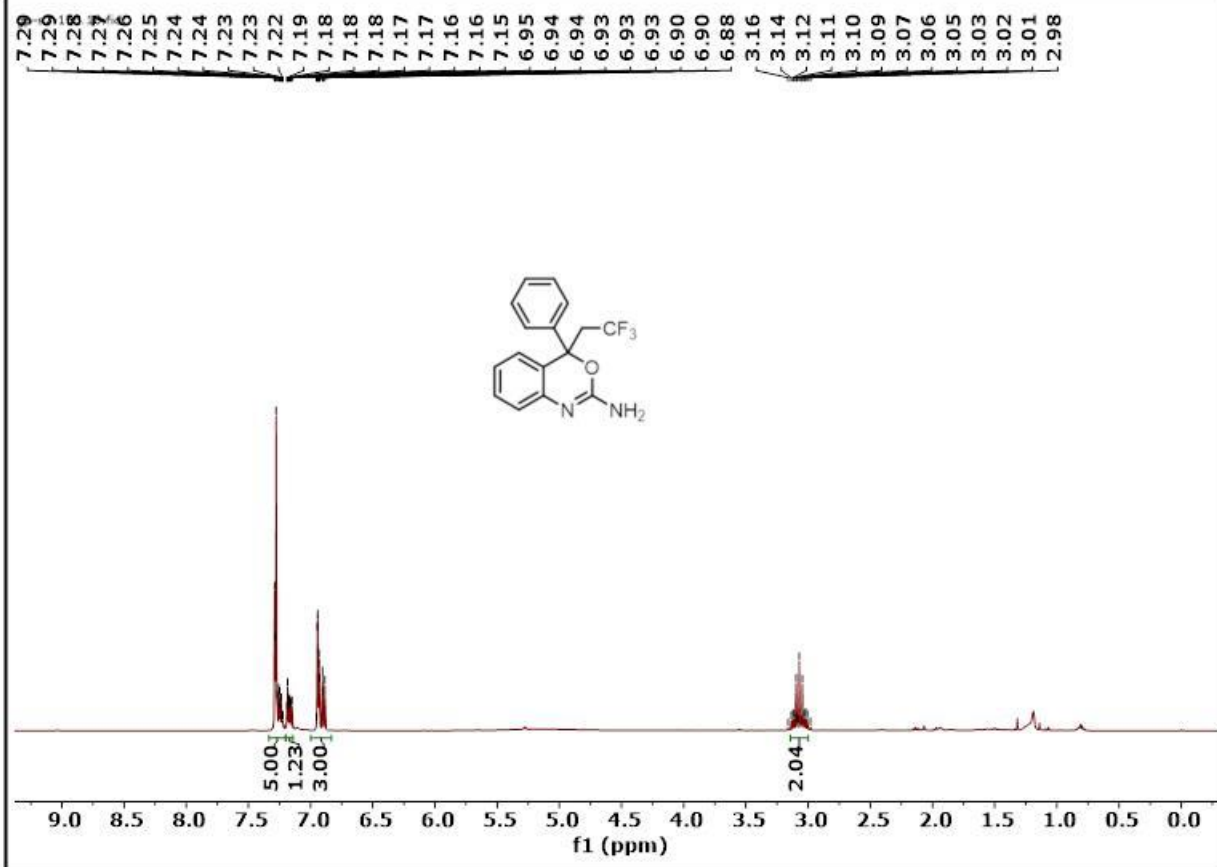
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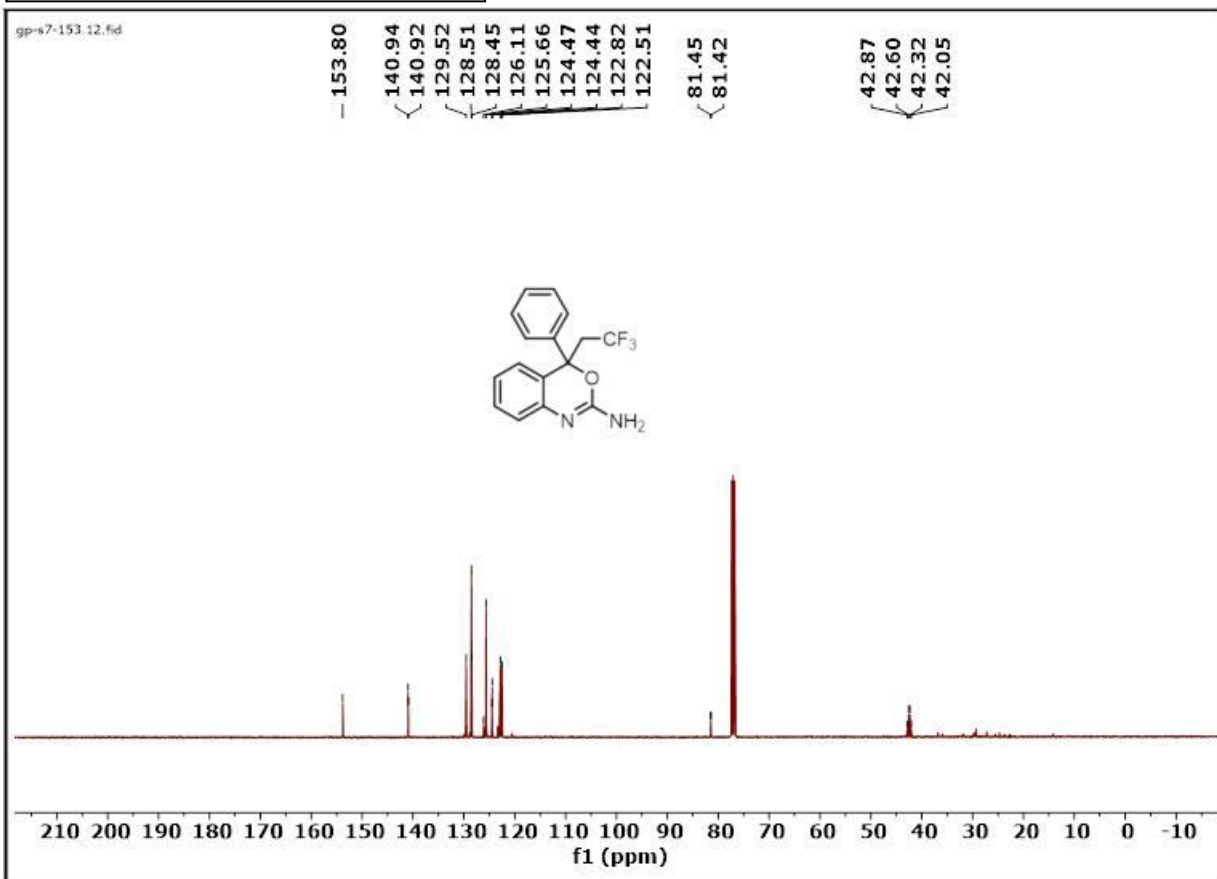
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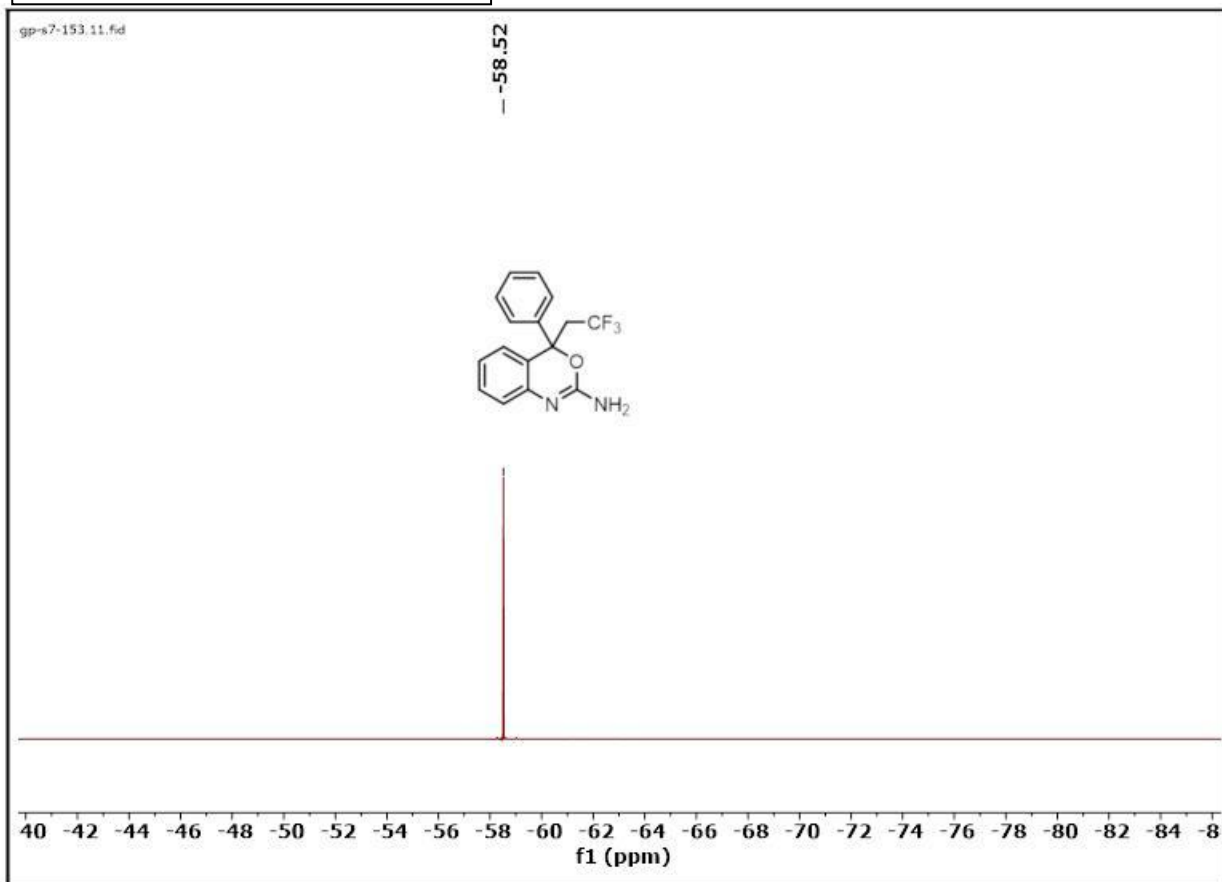
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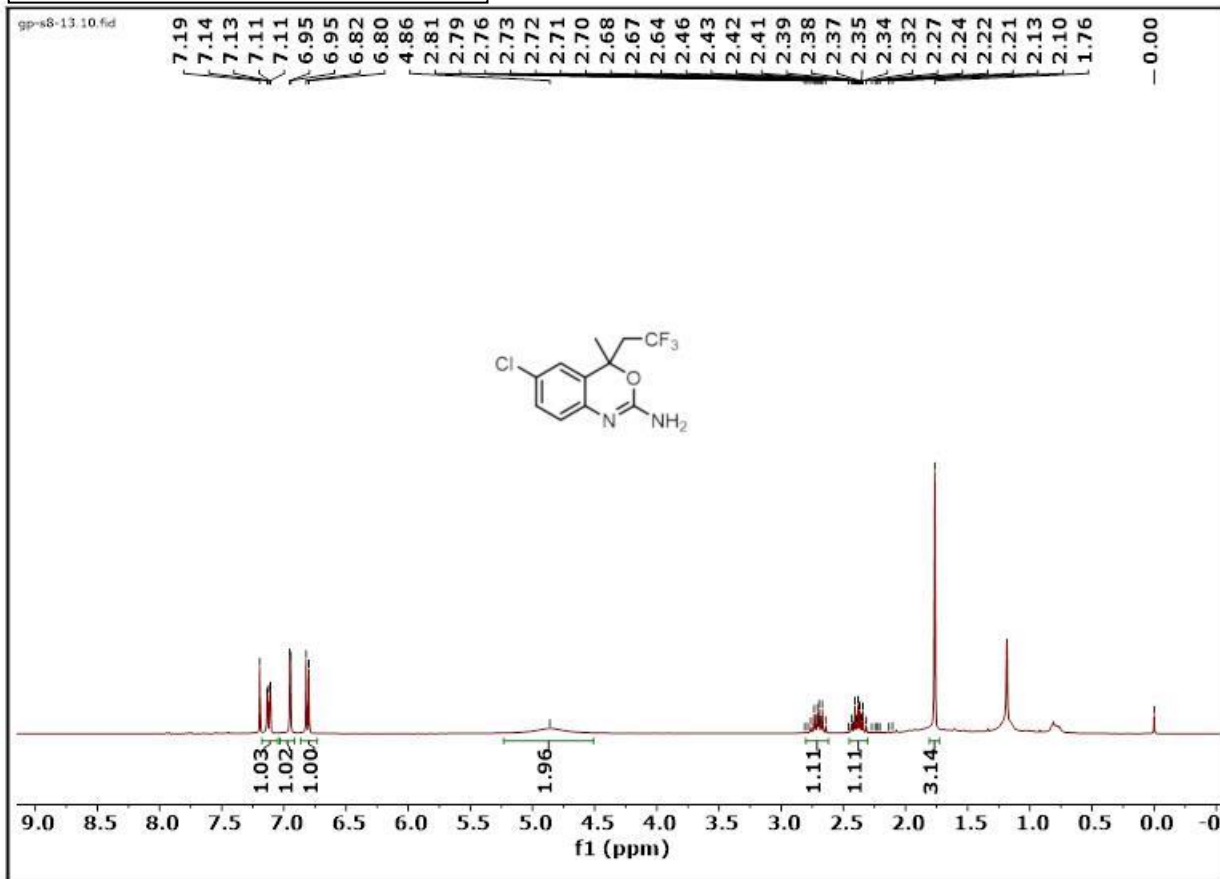
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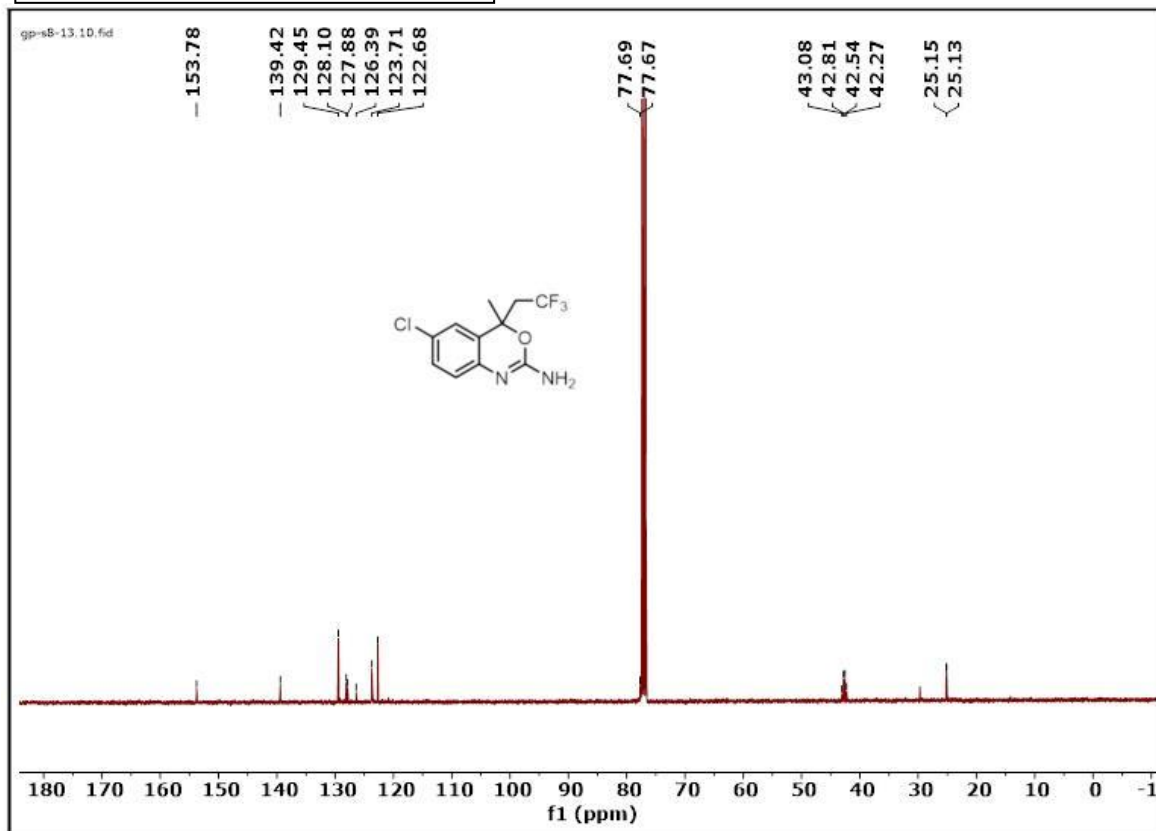
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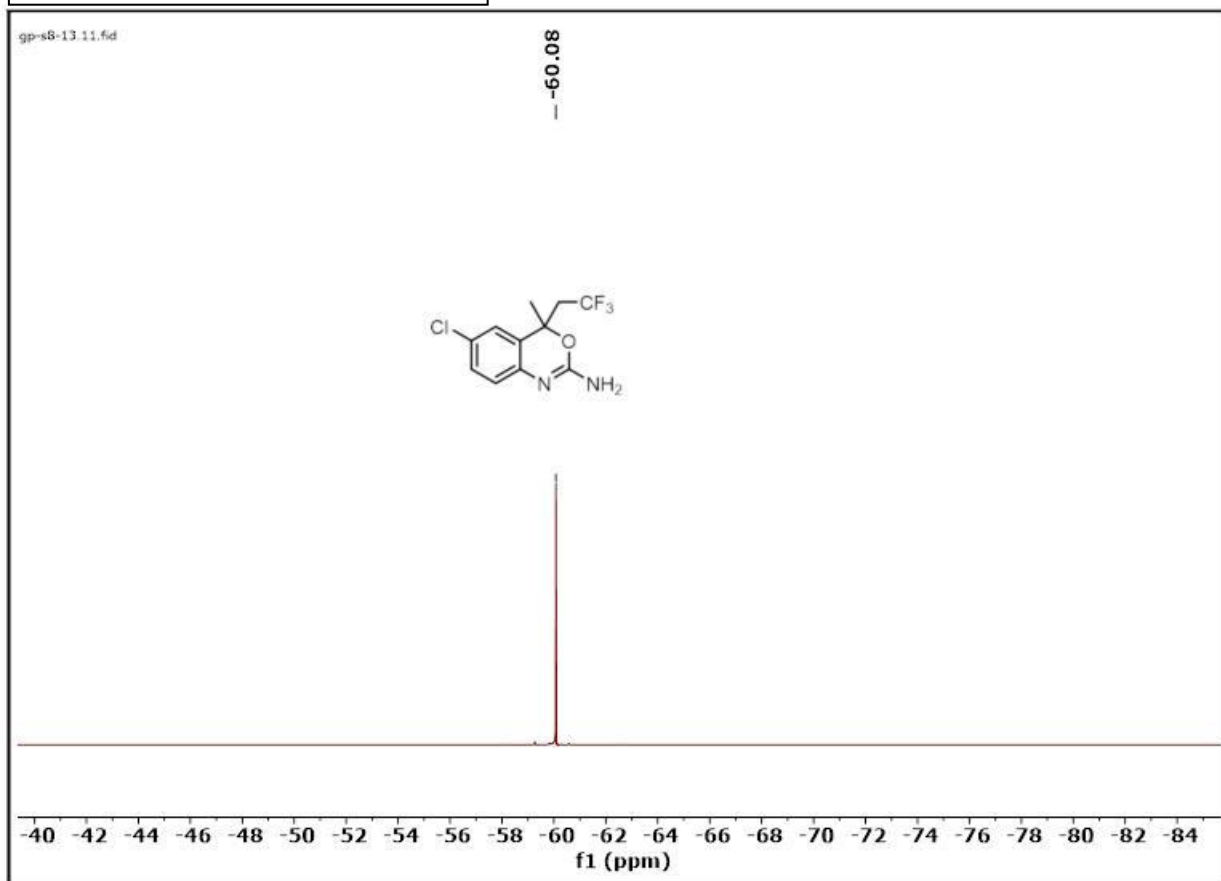
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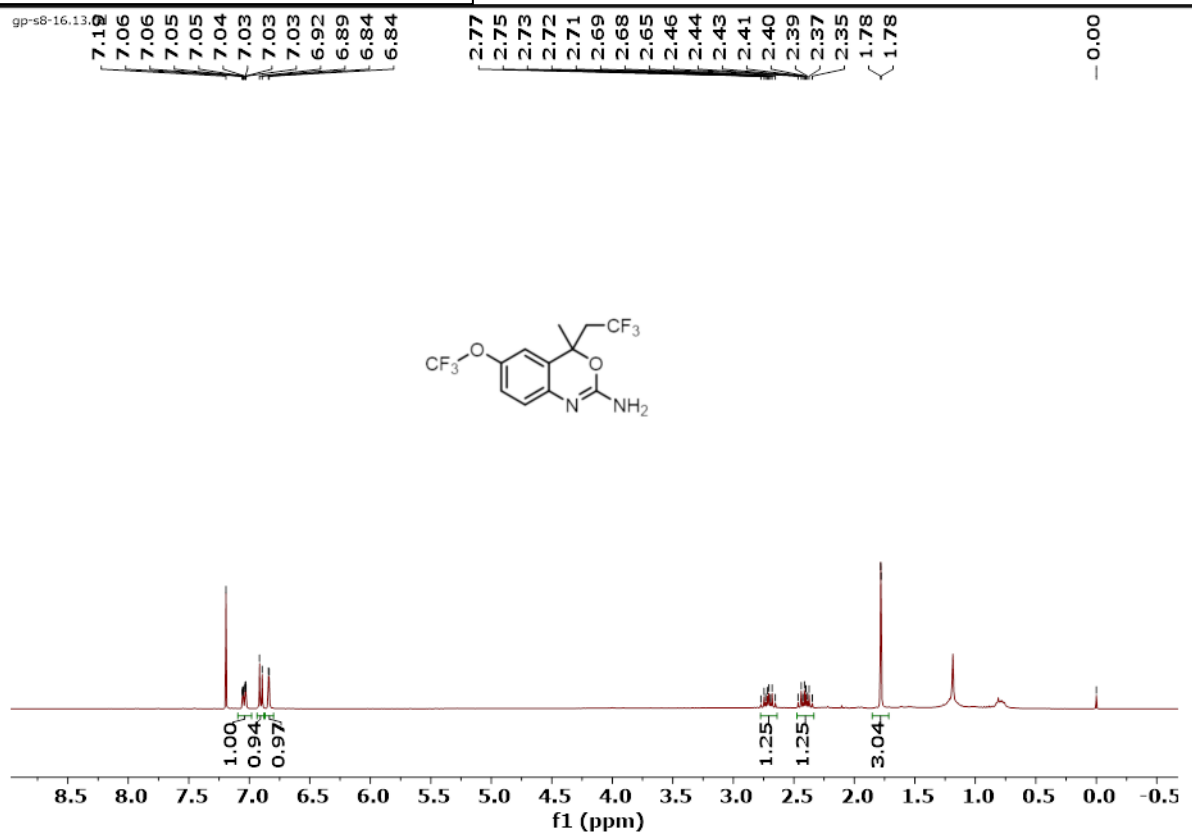
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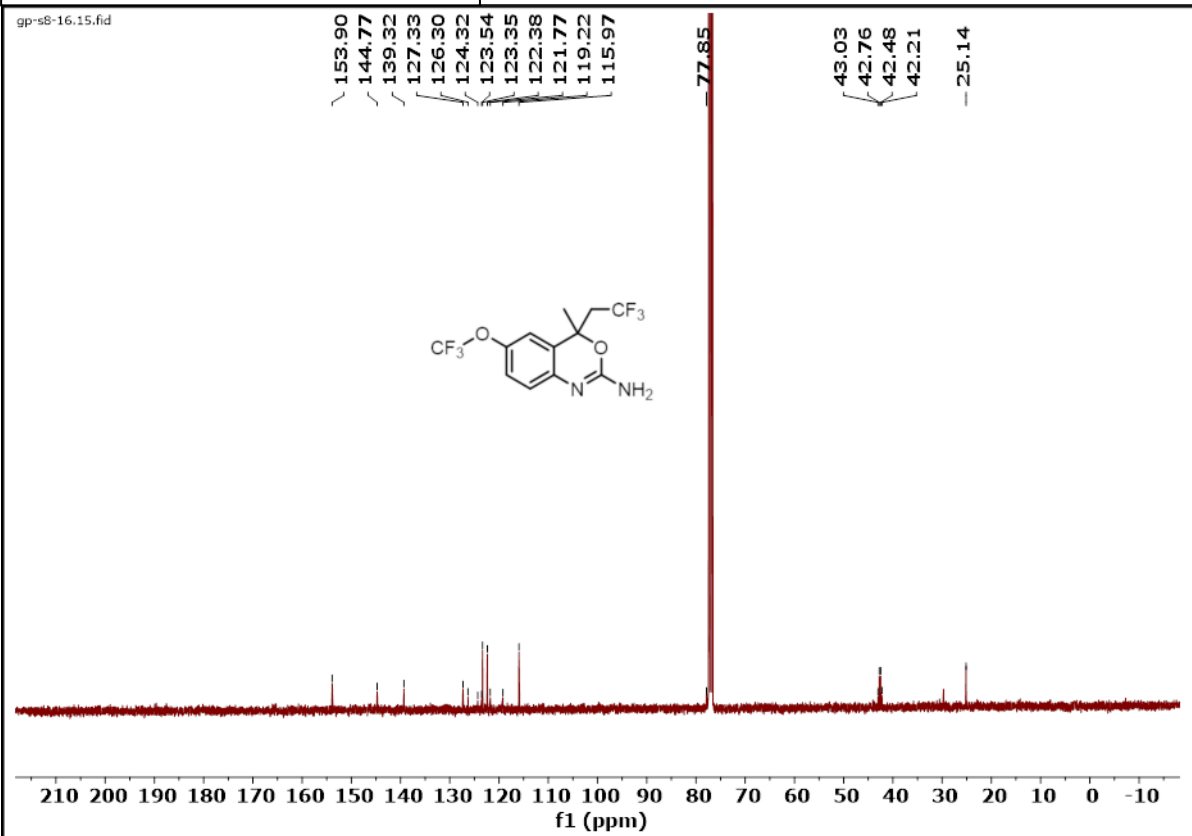
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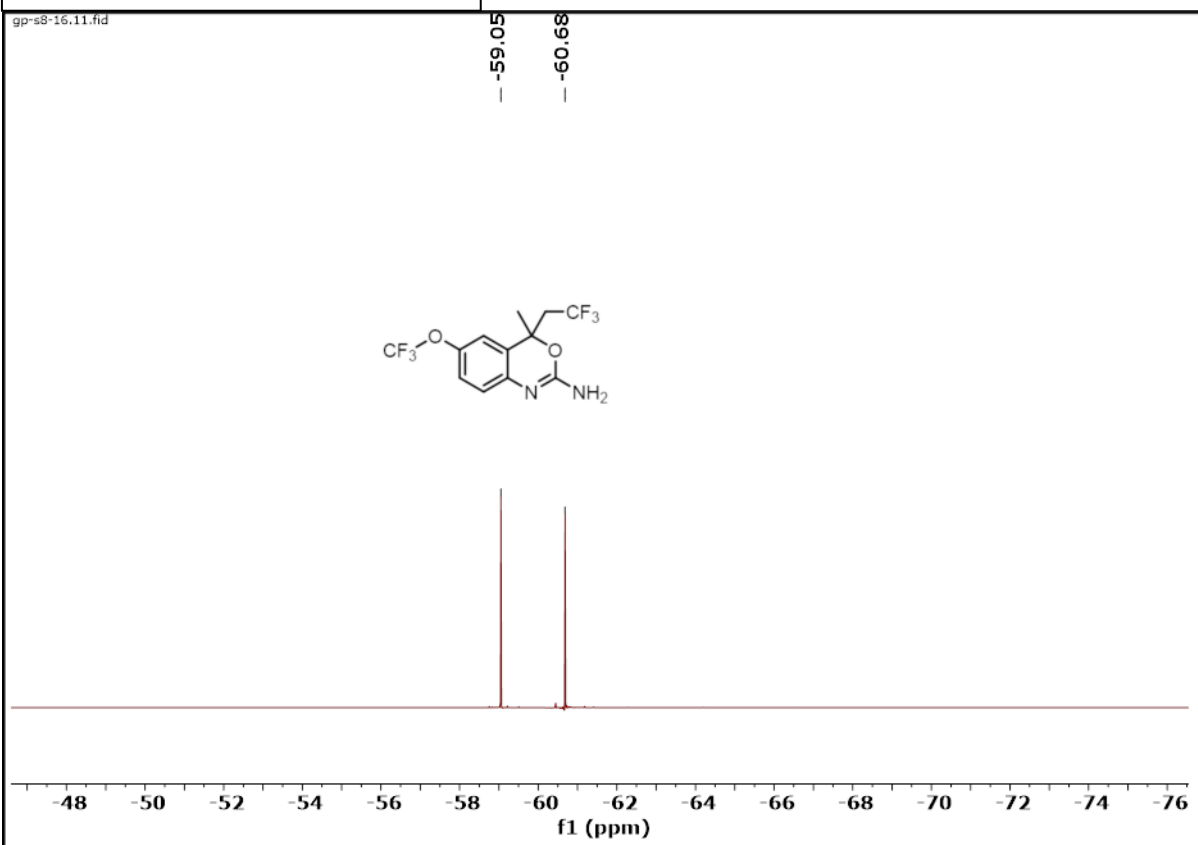


3d (^{13}C NMR 101 MHz, CDCl_3)

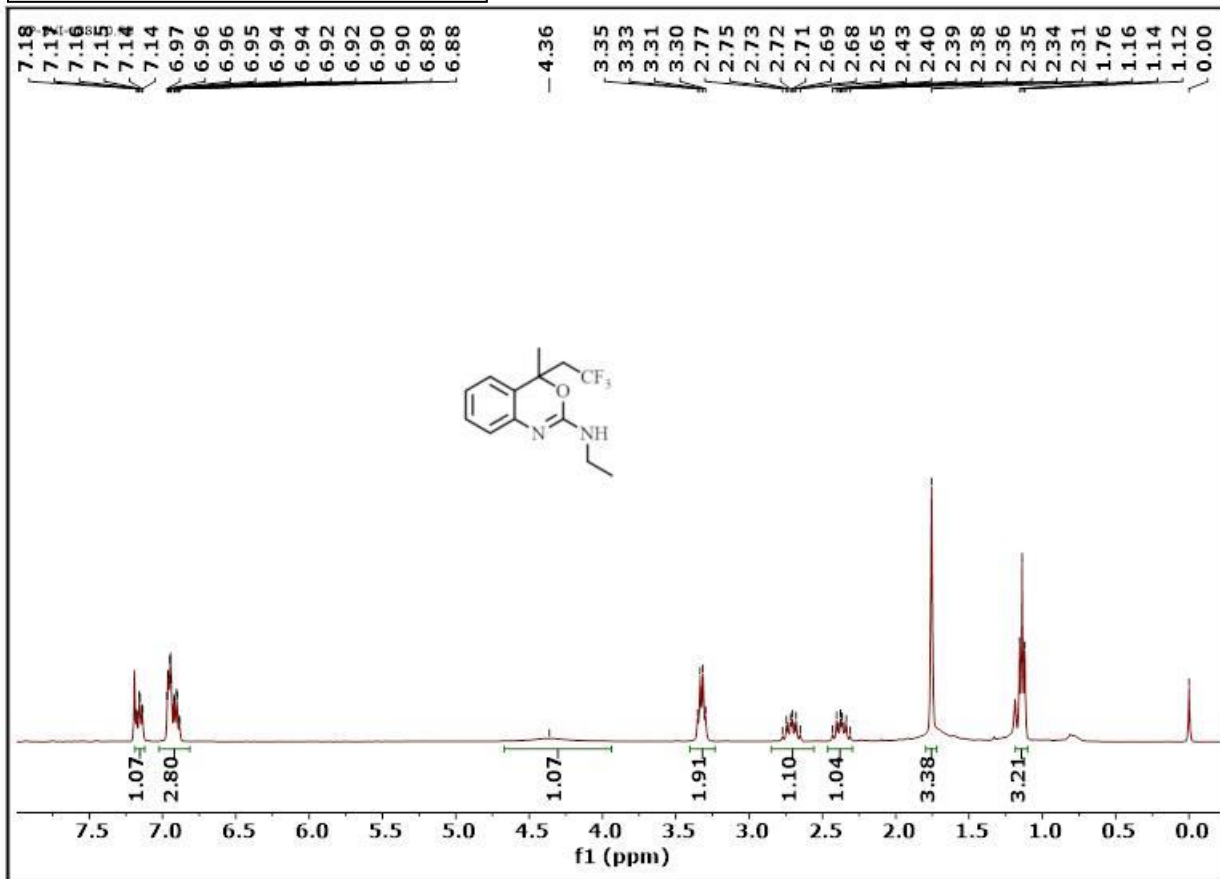


3d (^{19}F NMR 377 MHz, CDCl_3)

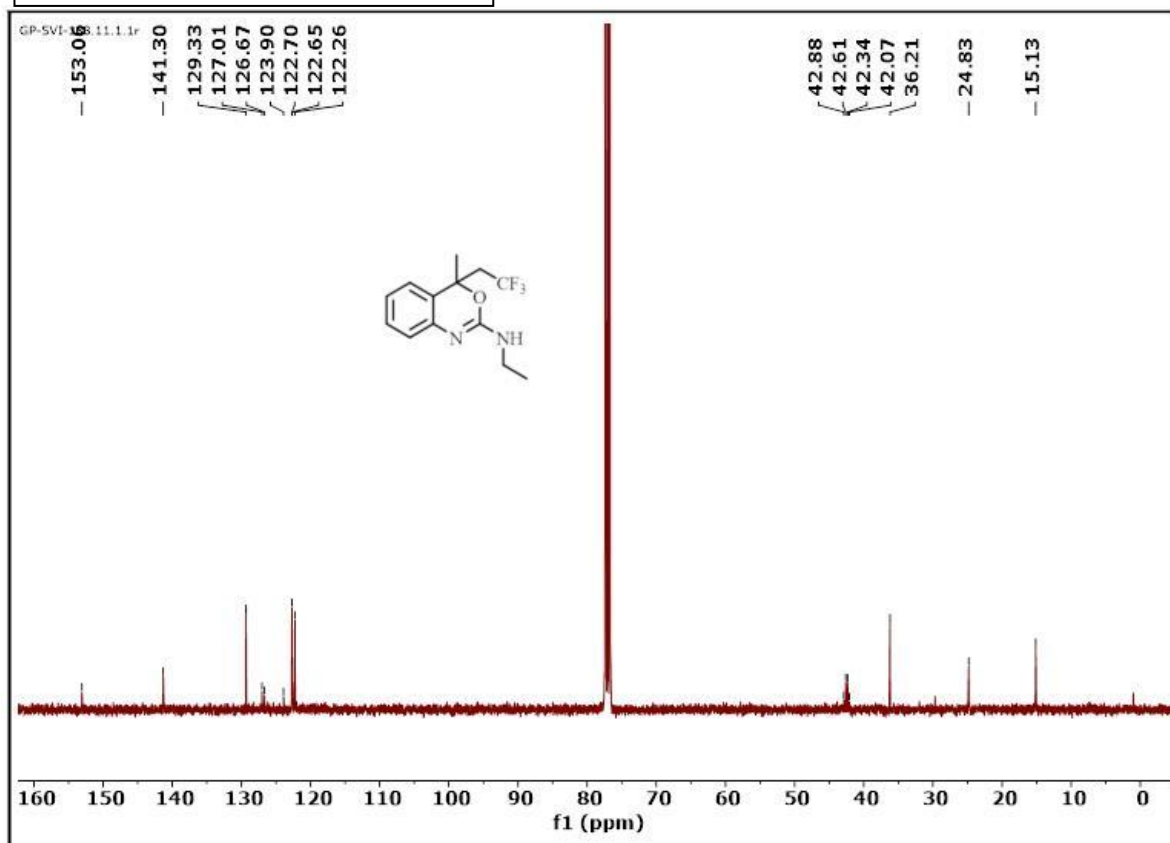
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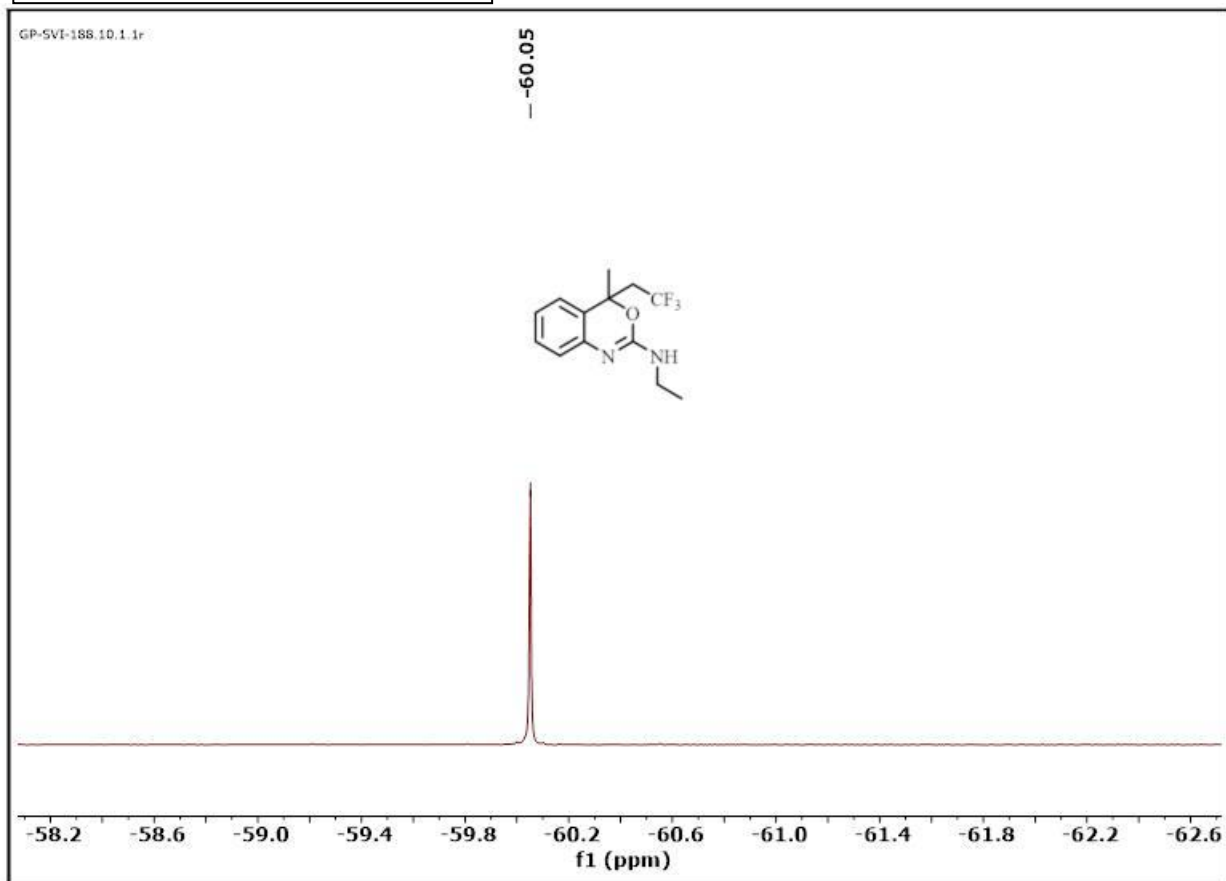
5a (^1H NMR 400 MHz, CDCl_3)



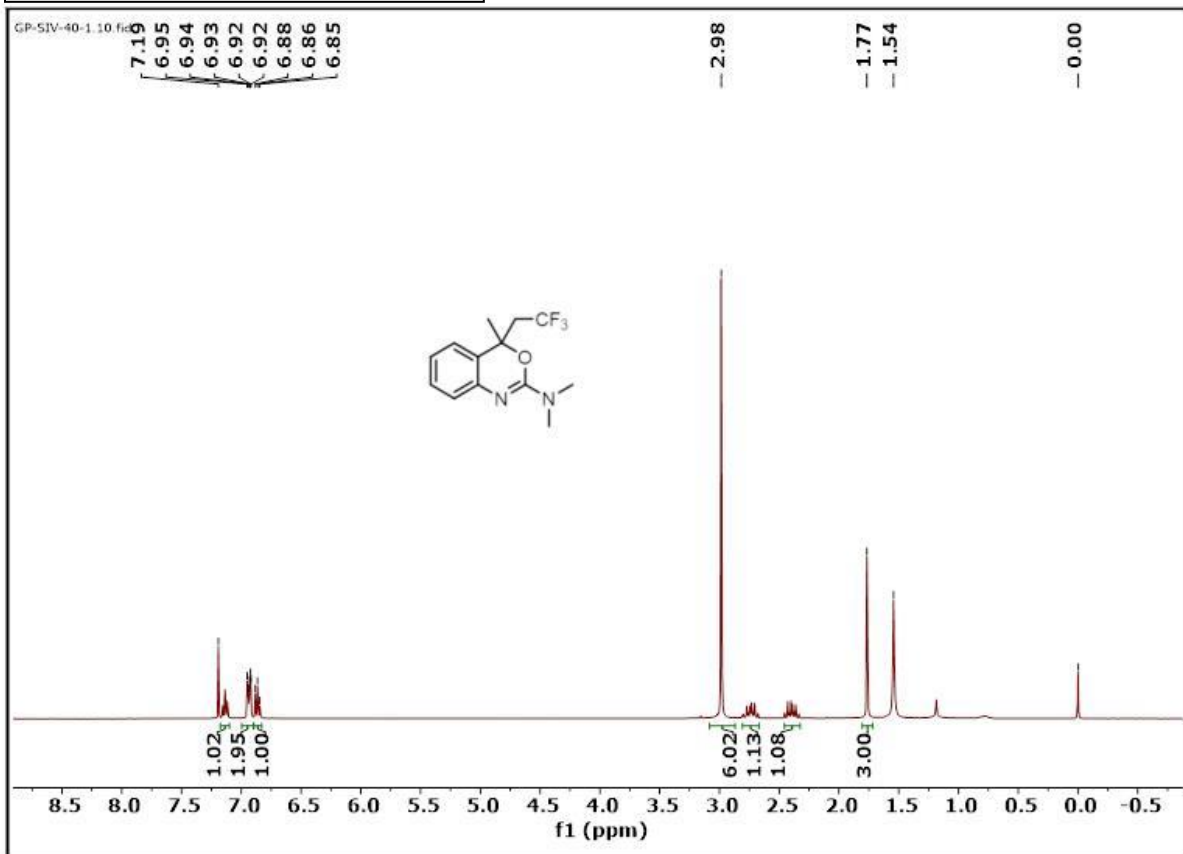
5a (^{13}C NMR 101 MHz, CDCl_3)



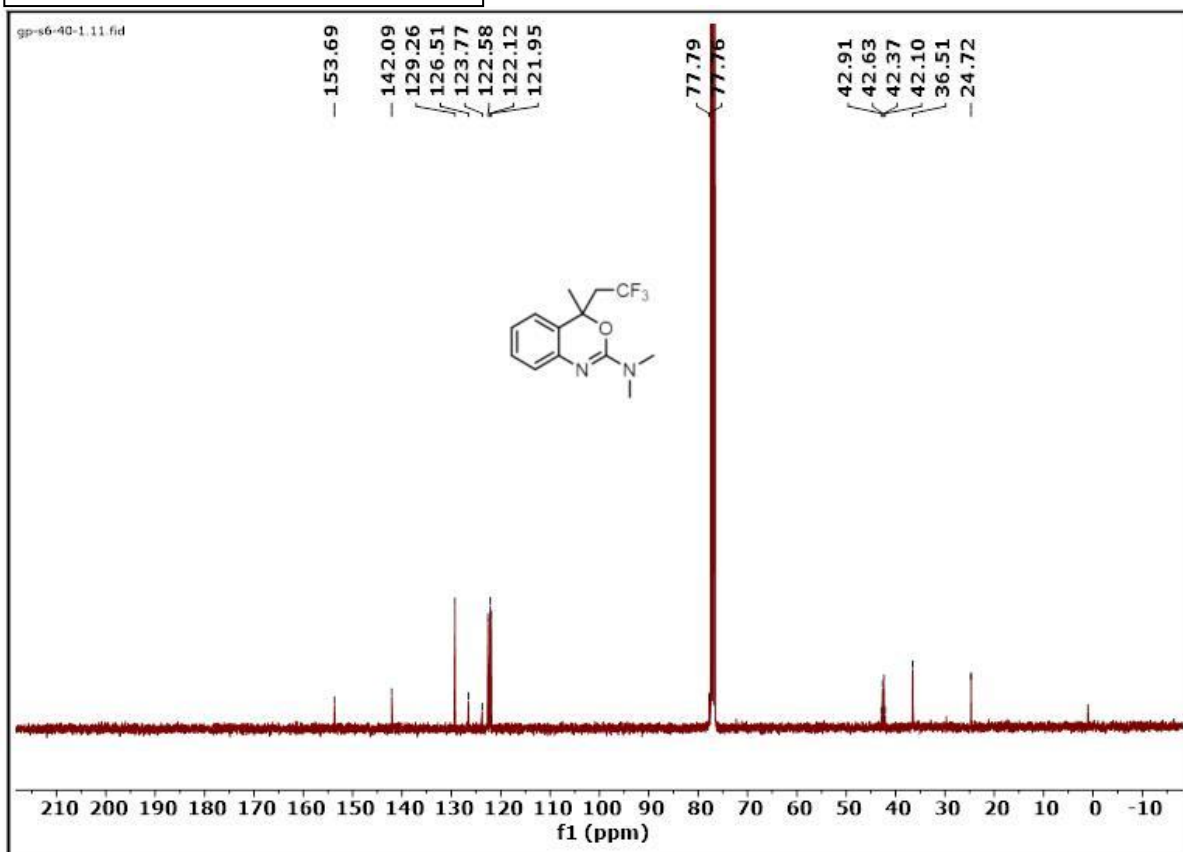
5a (^{19}F NMR 377 MHz, CDCl_3)



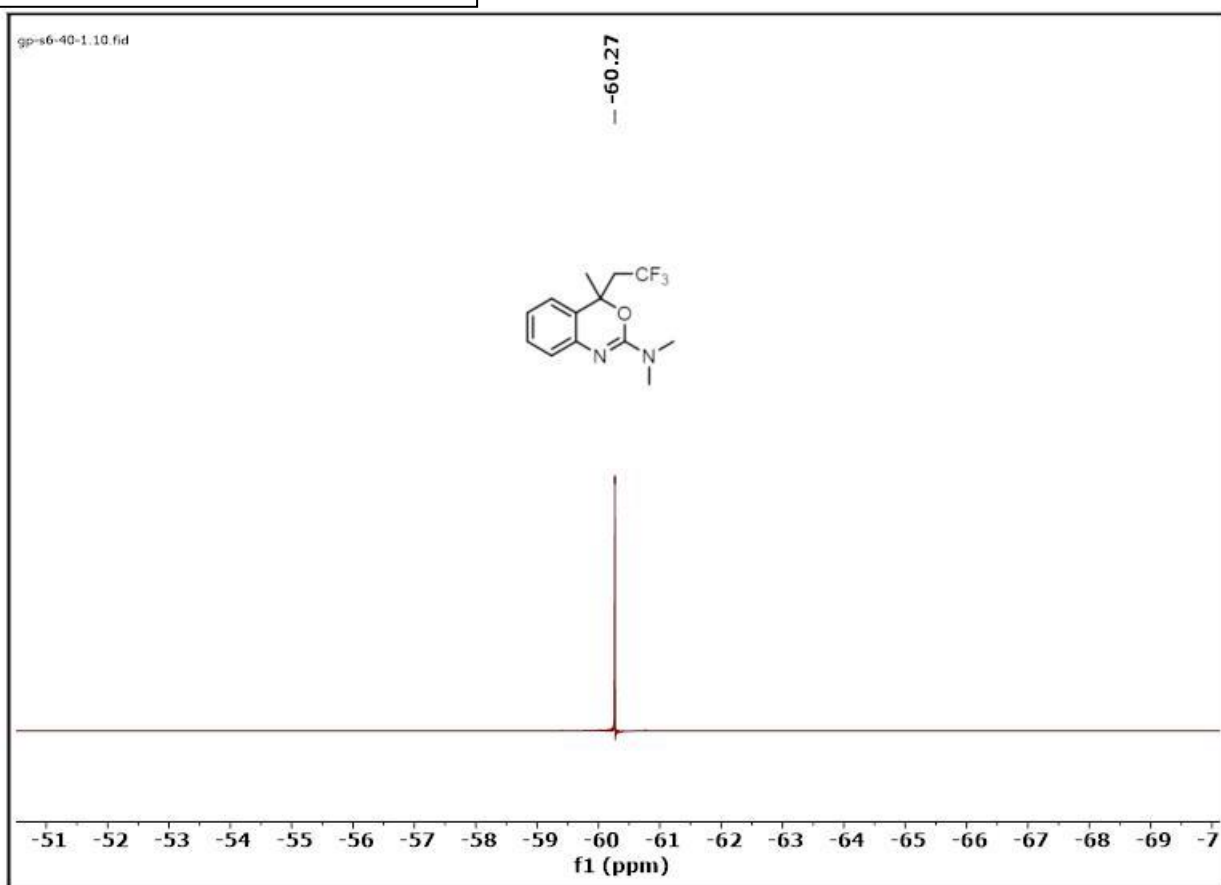
5b (^1H NMR 400 MHz, CDCl_3)



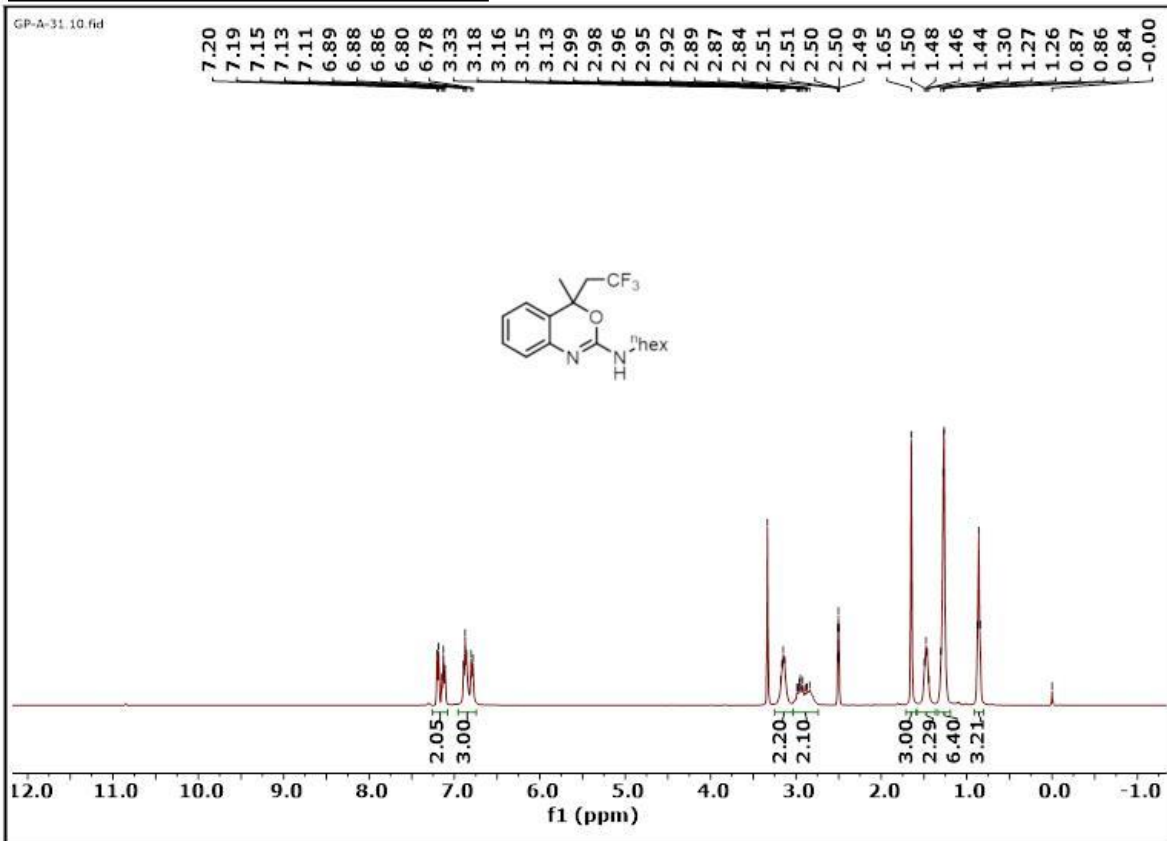
5b (^{13}C NMR 101 MHz, CDCl_3)



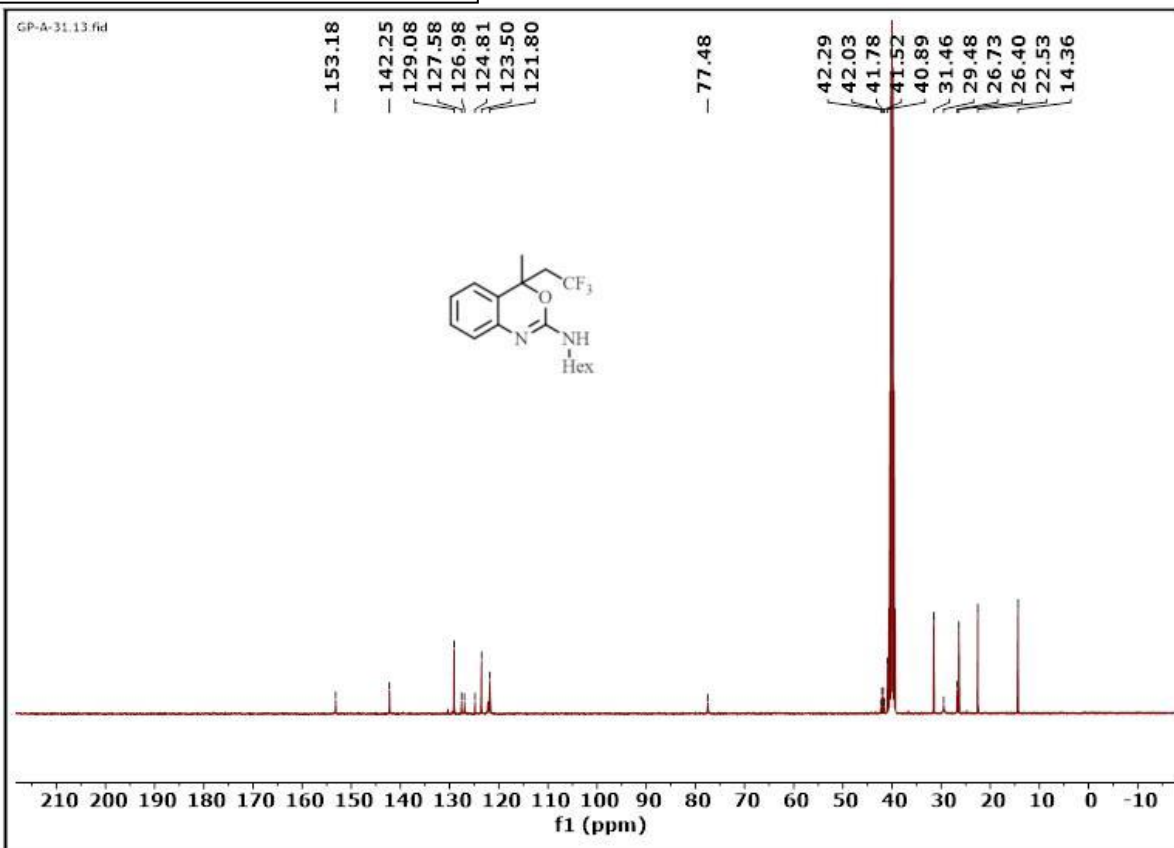
5b (^{19}F NMR 377 MHz, CDCl_3)



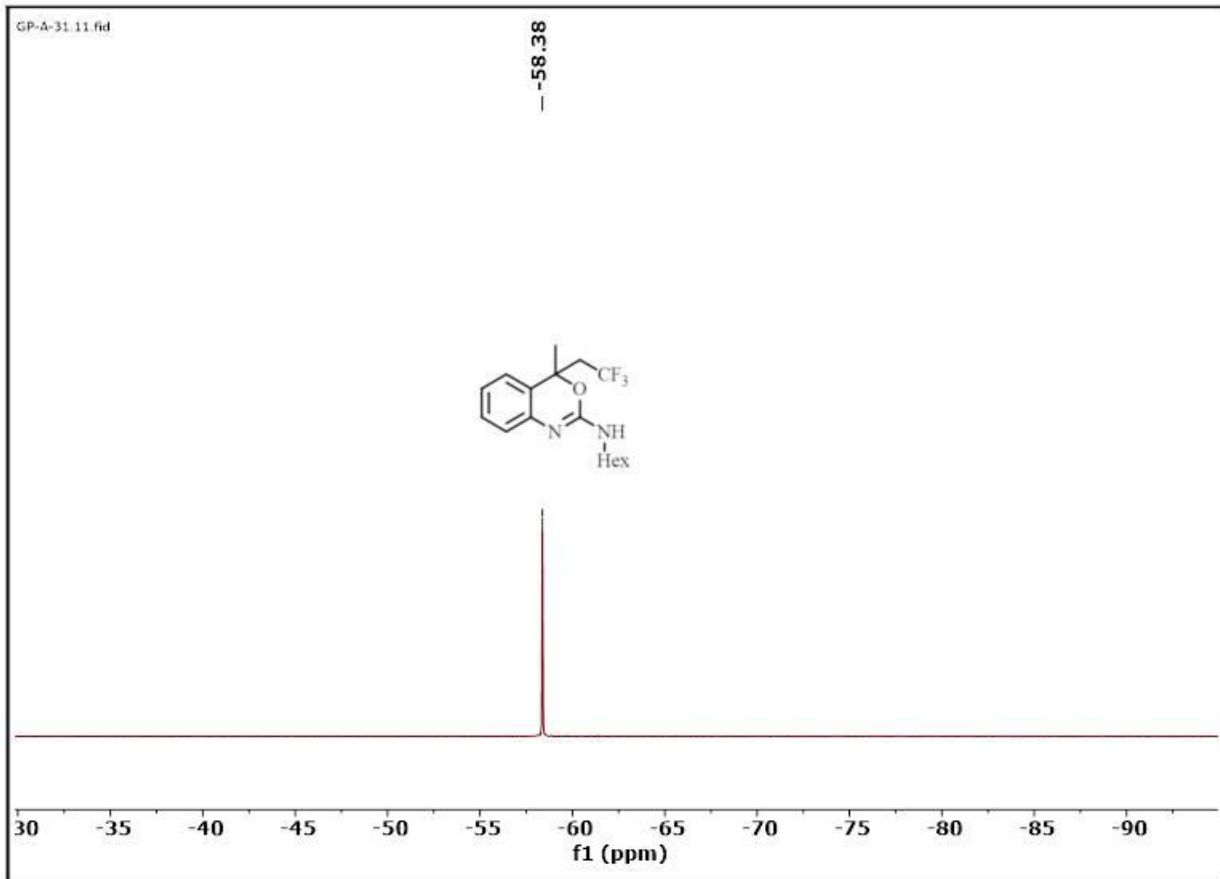
5c (¹H NMR 400 MHz, DMSO-d₆)



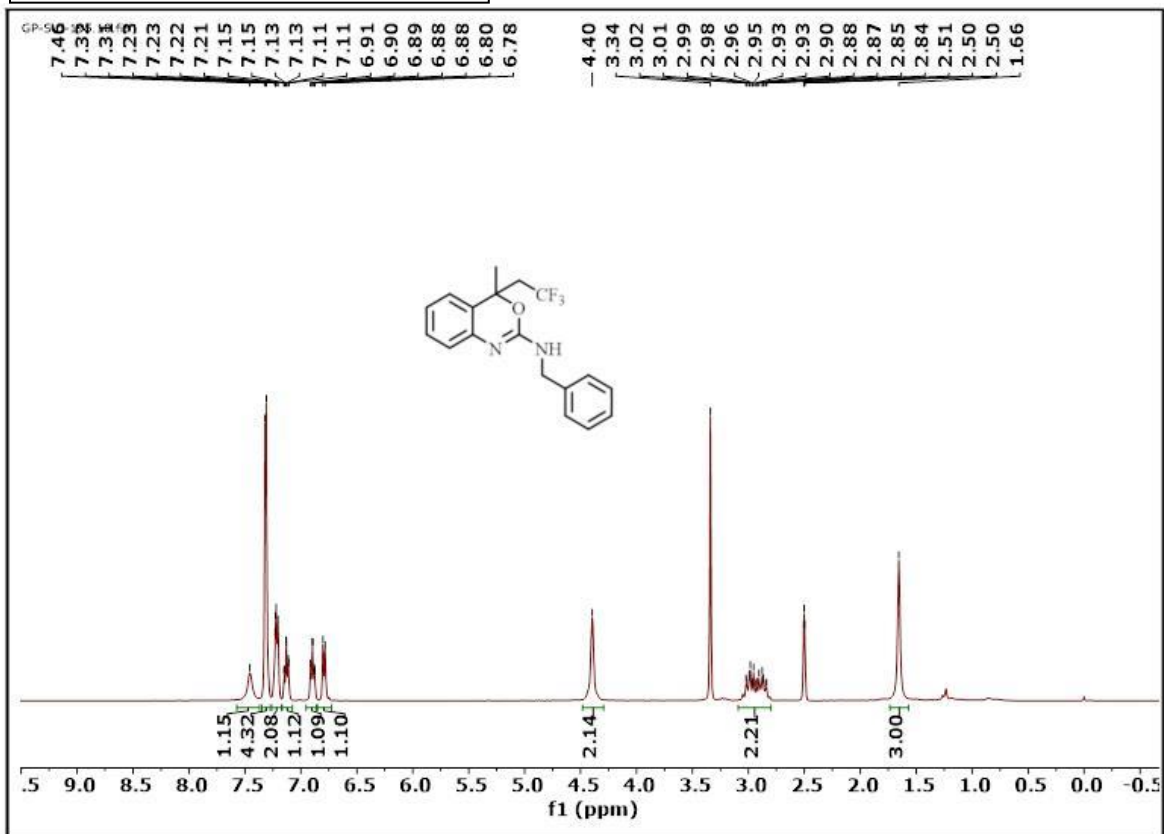
5c (¹³C NMR 101 MHz, DMSO-d₆)



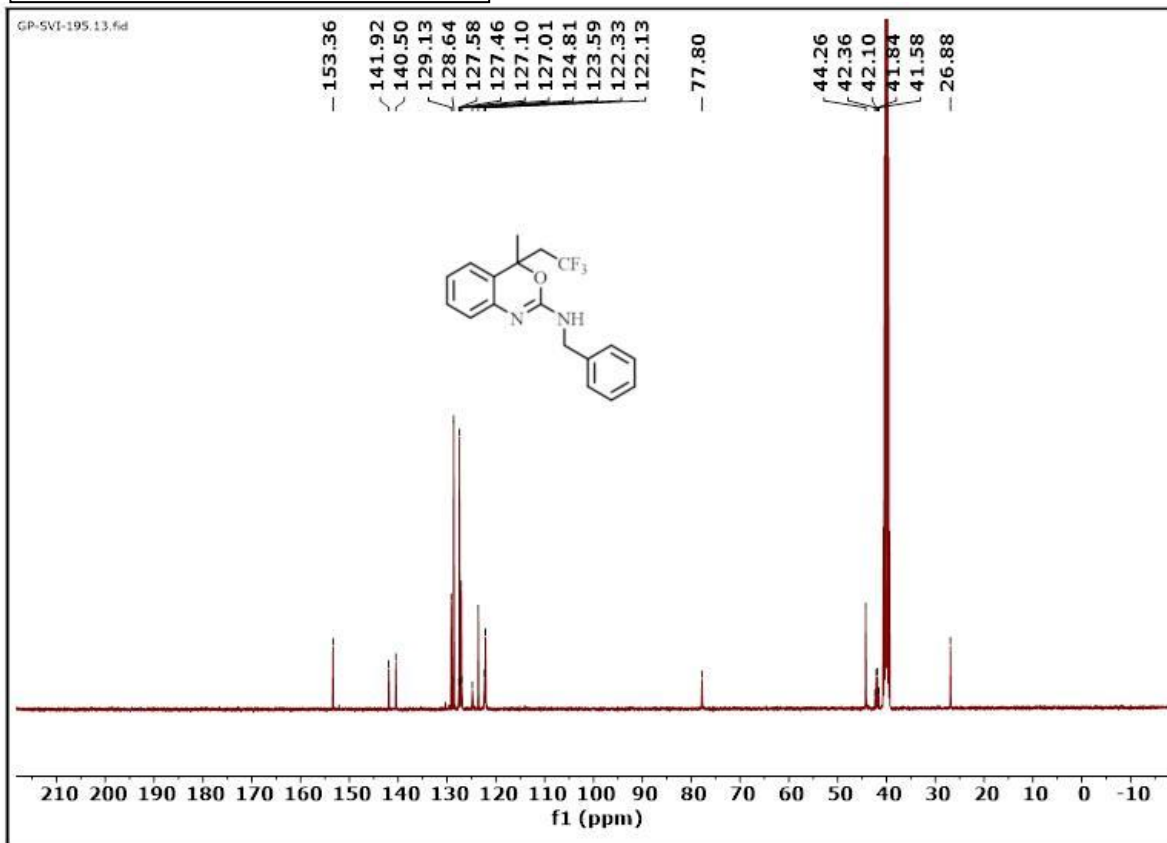
5c (¹⁹F NMR 377 MHz, DMSO-d₆)



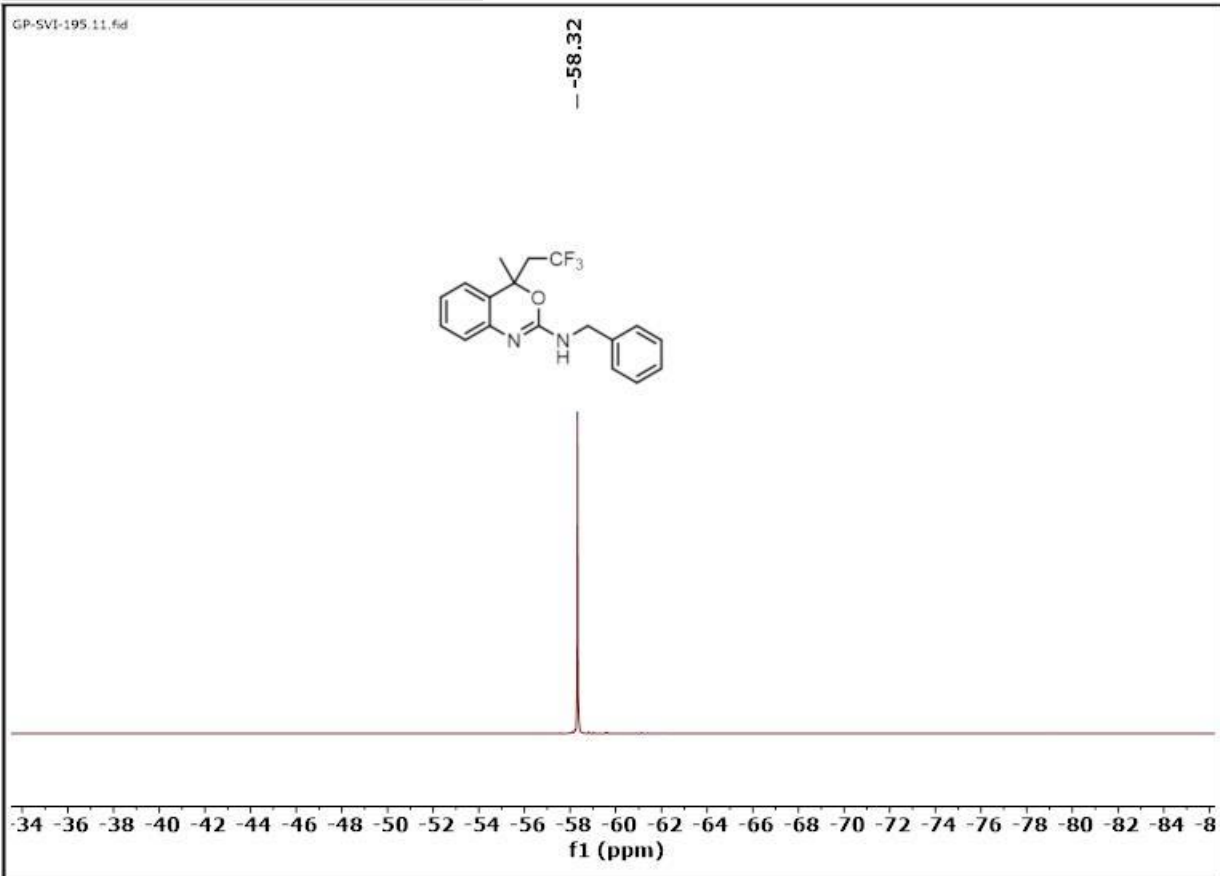
5d (^1H NMR 400 MHz, DMSO-d_6)



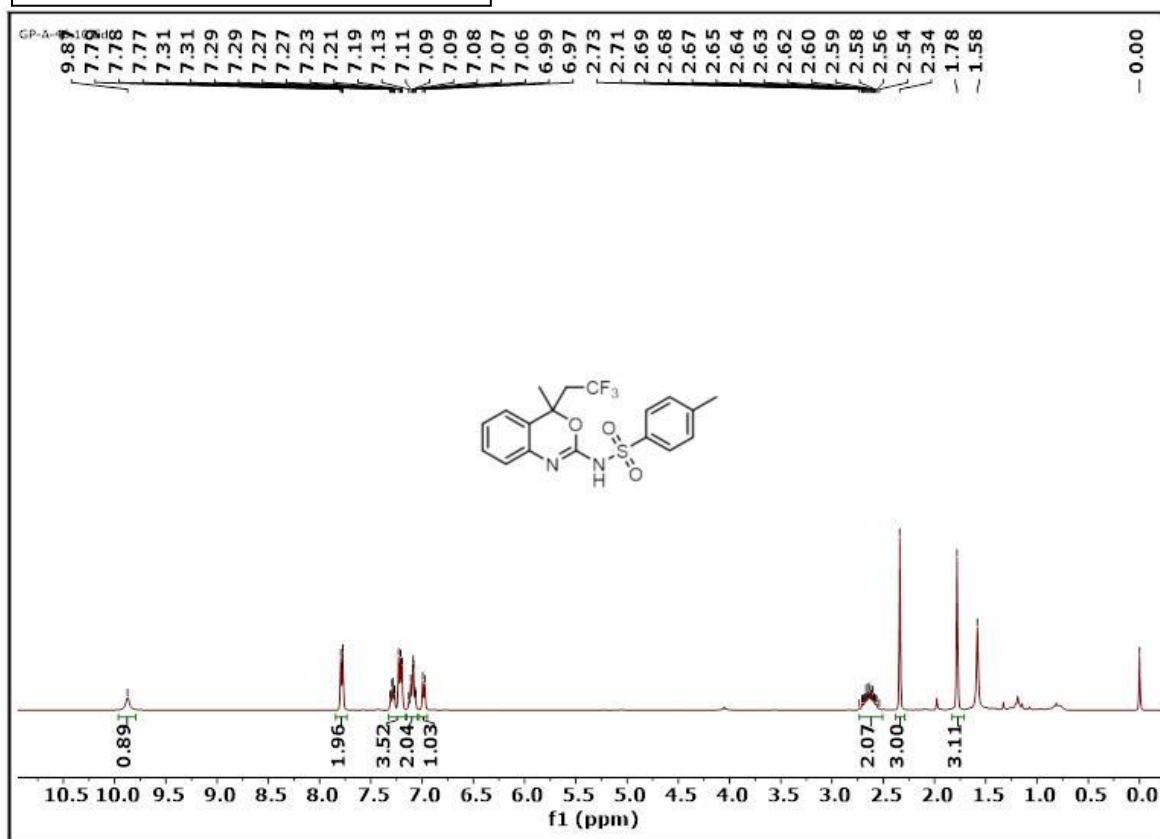
5d (^{13}C NMR 101 MHz, DMSO-d_6)



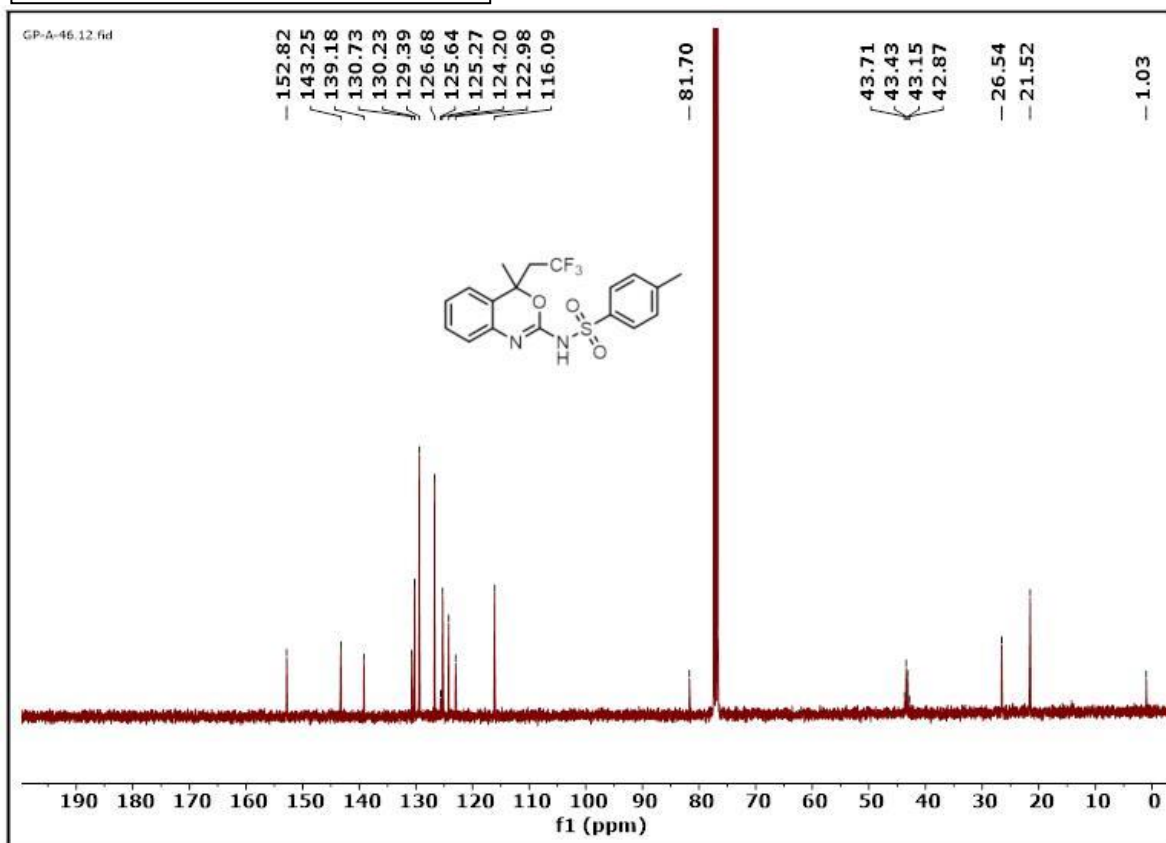
5d (^{19}F NMR 377 MHz, DMSO- d_6)



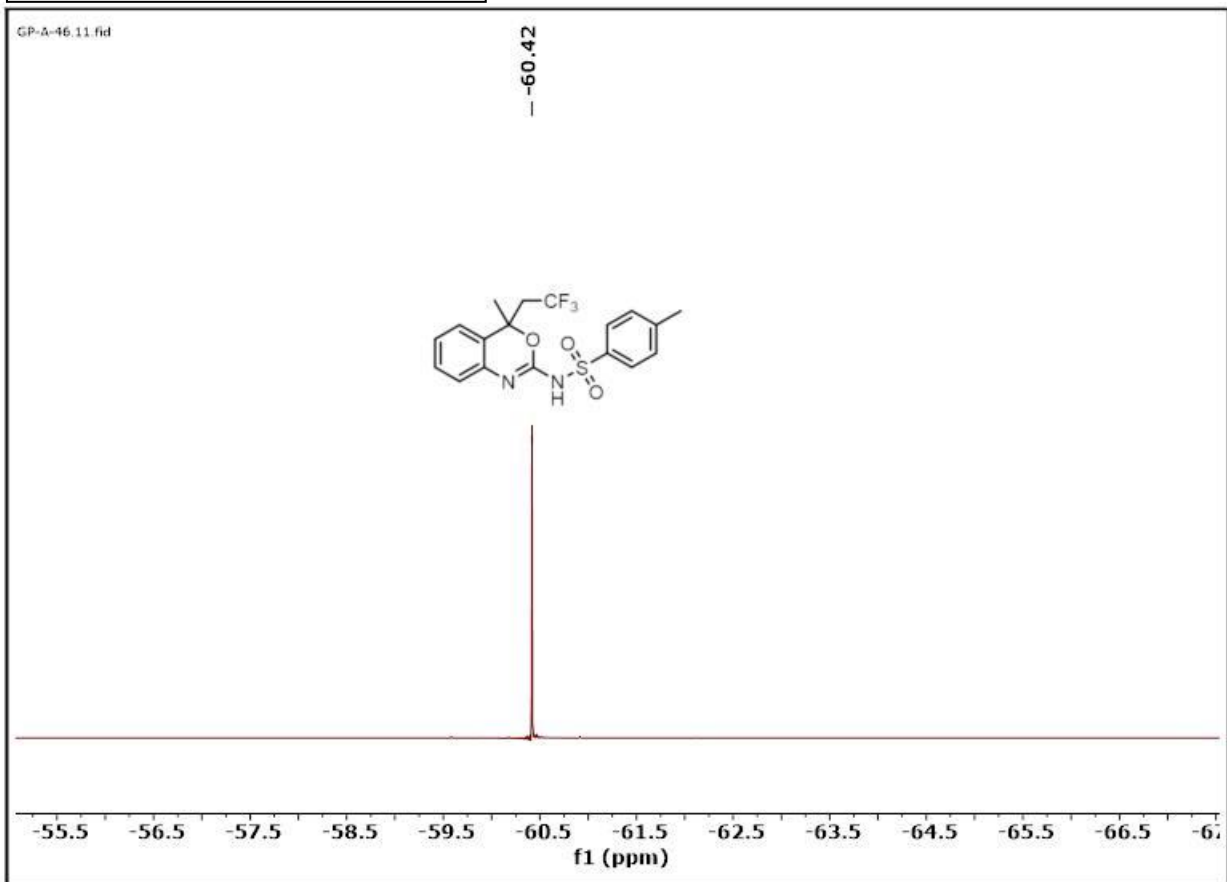
5e (¹H NMR 400 MHz, CDCl₃)



5e (¹³C NMR 101 MHz, CDCl₃)



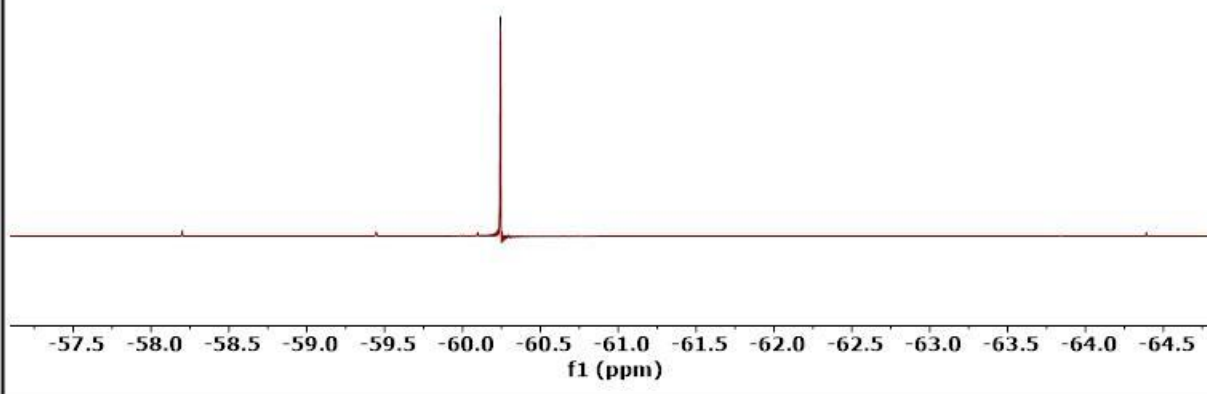
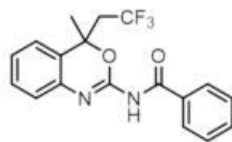
5e (^{19}F NMR 377 MHz, CDCl_3)



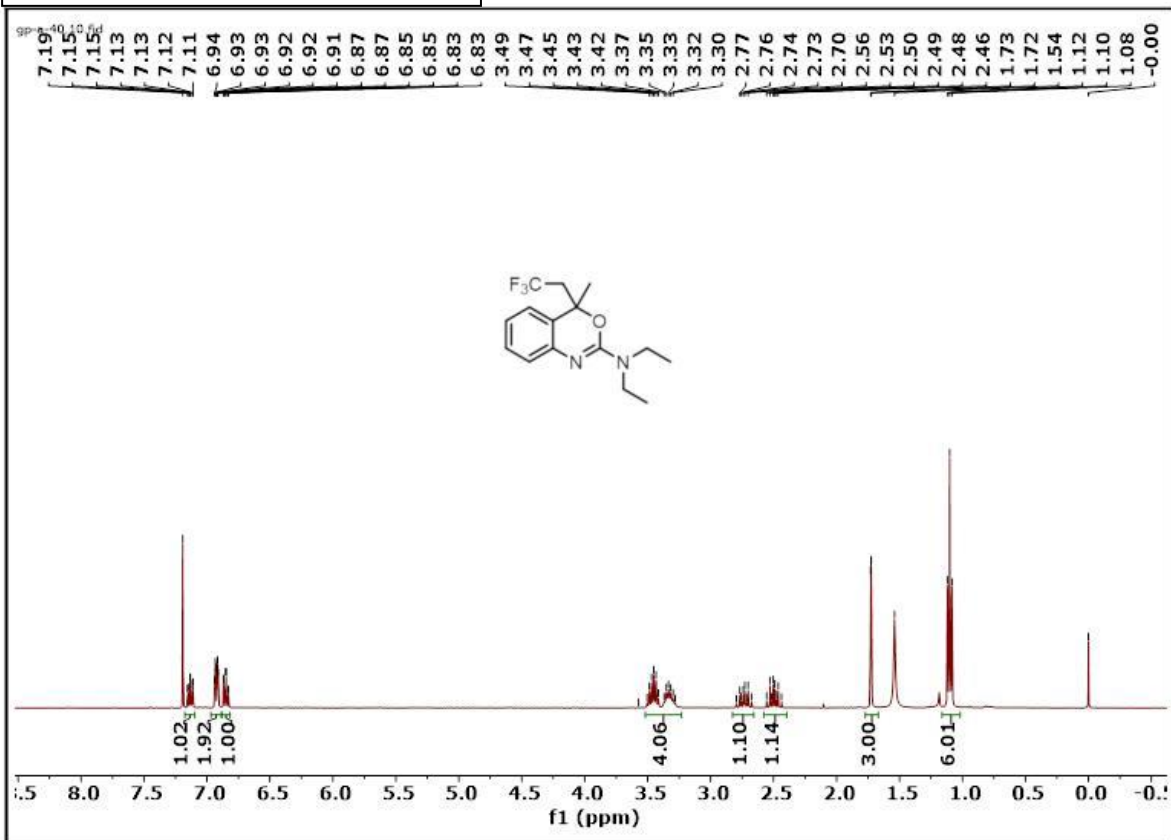
5f (¹⁹F NMR 377 MHz, CDCl₃)

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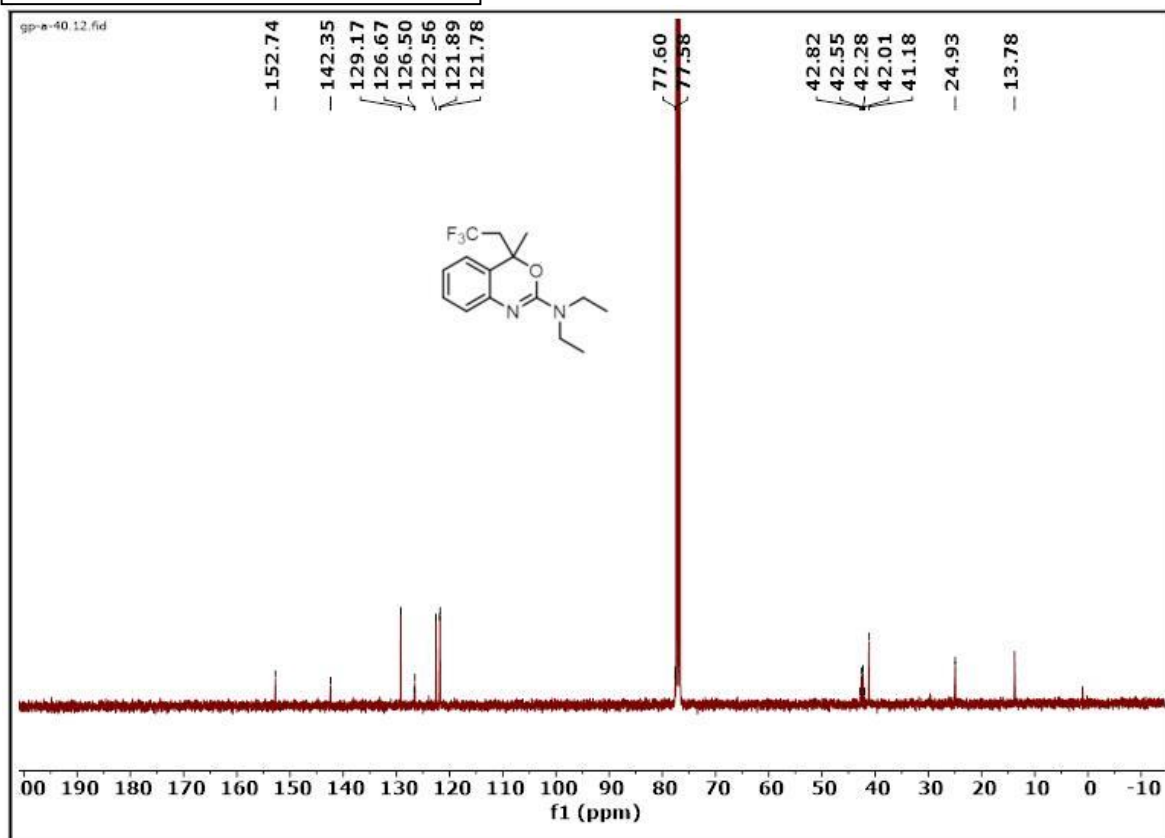
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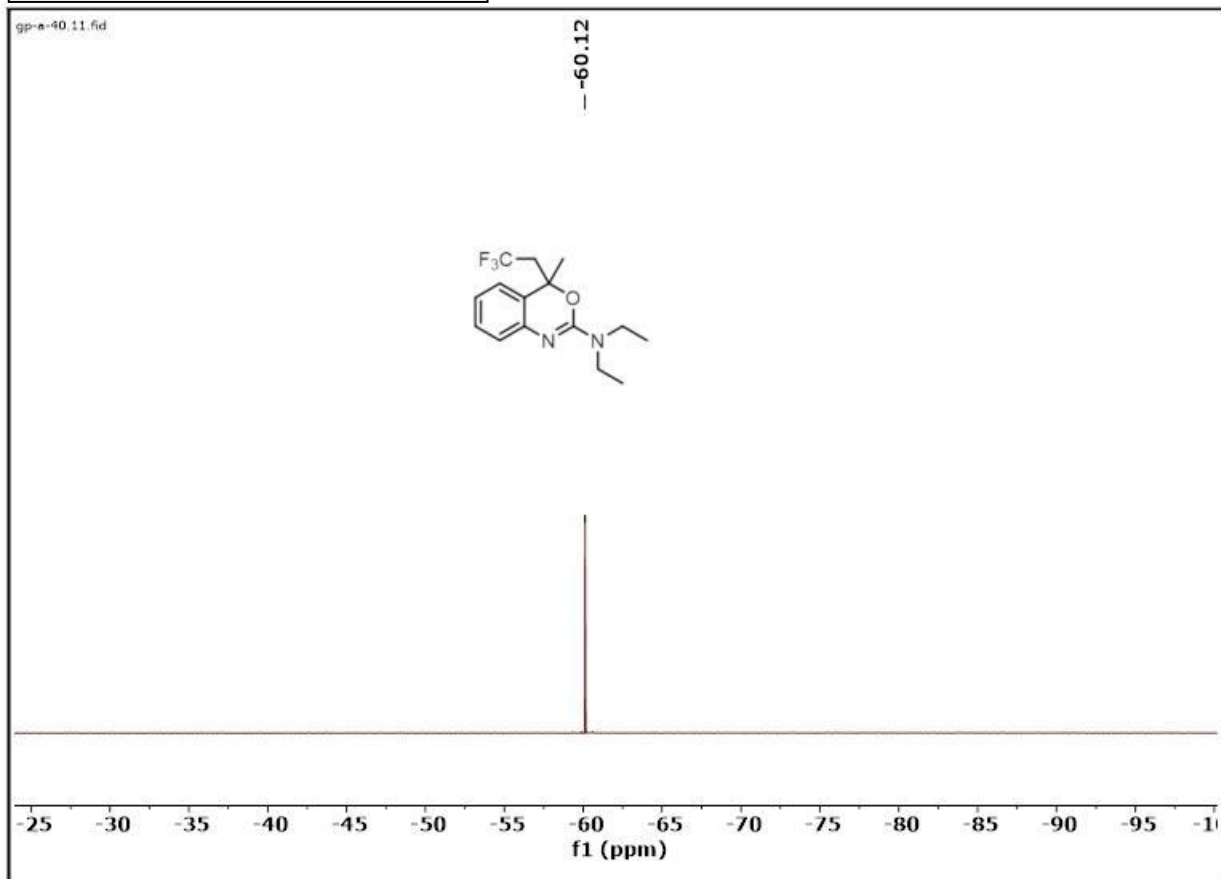
5g (¹HNMR 400 MHz, CDCl₃)



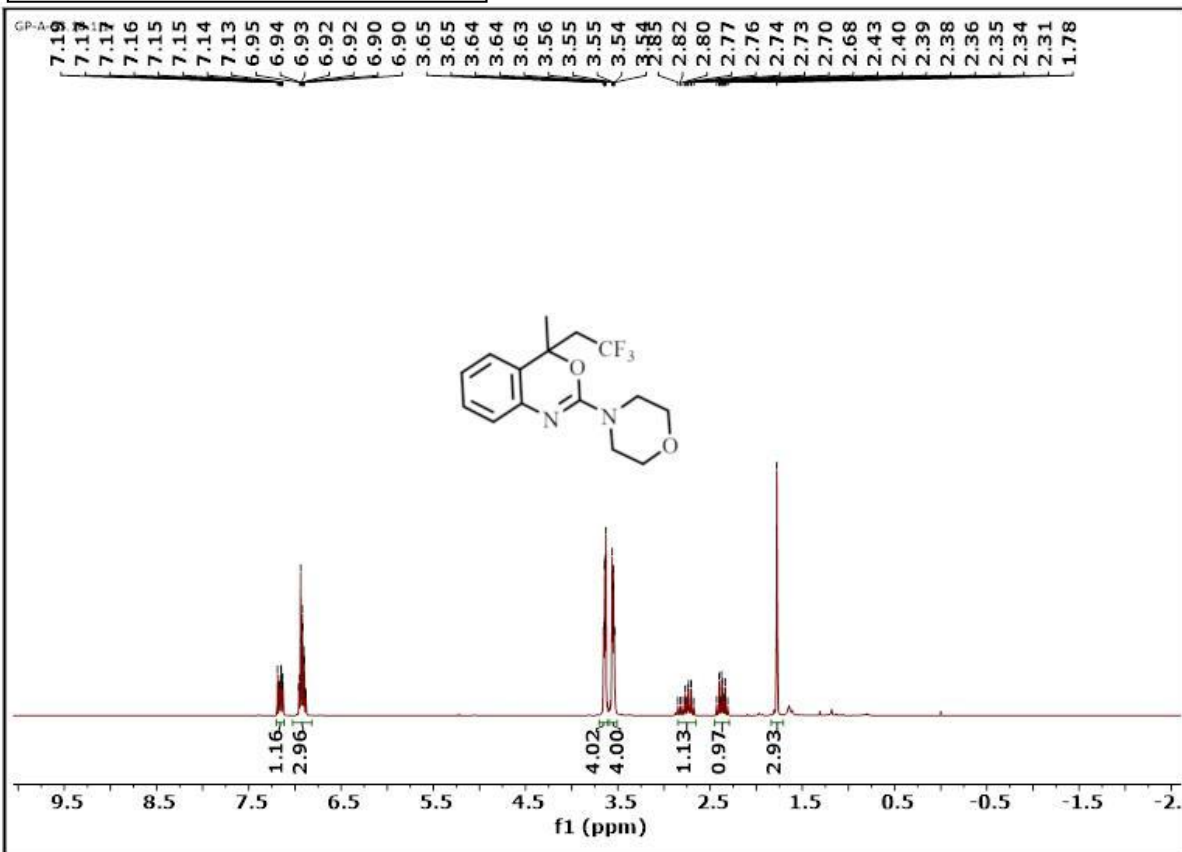
5g (¹³CNMR 101 MHz, CDCl₃)



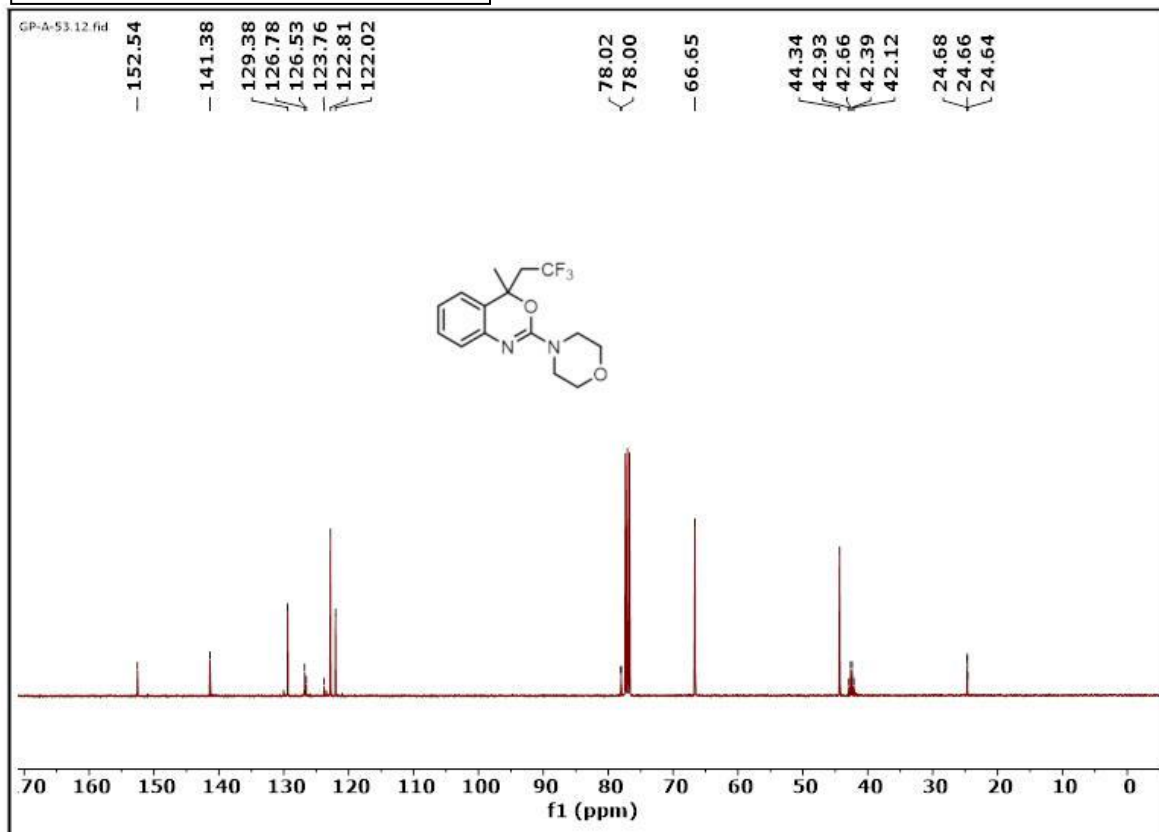
5g (^{19}F NMR 377 MHz, CDCl_3)



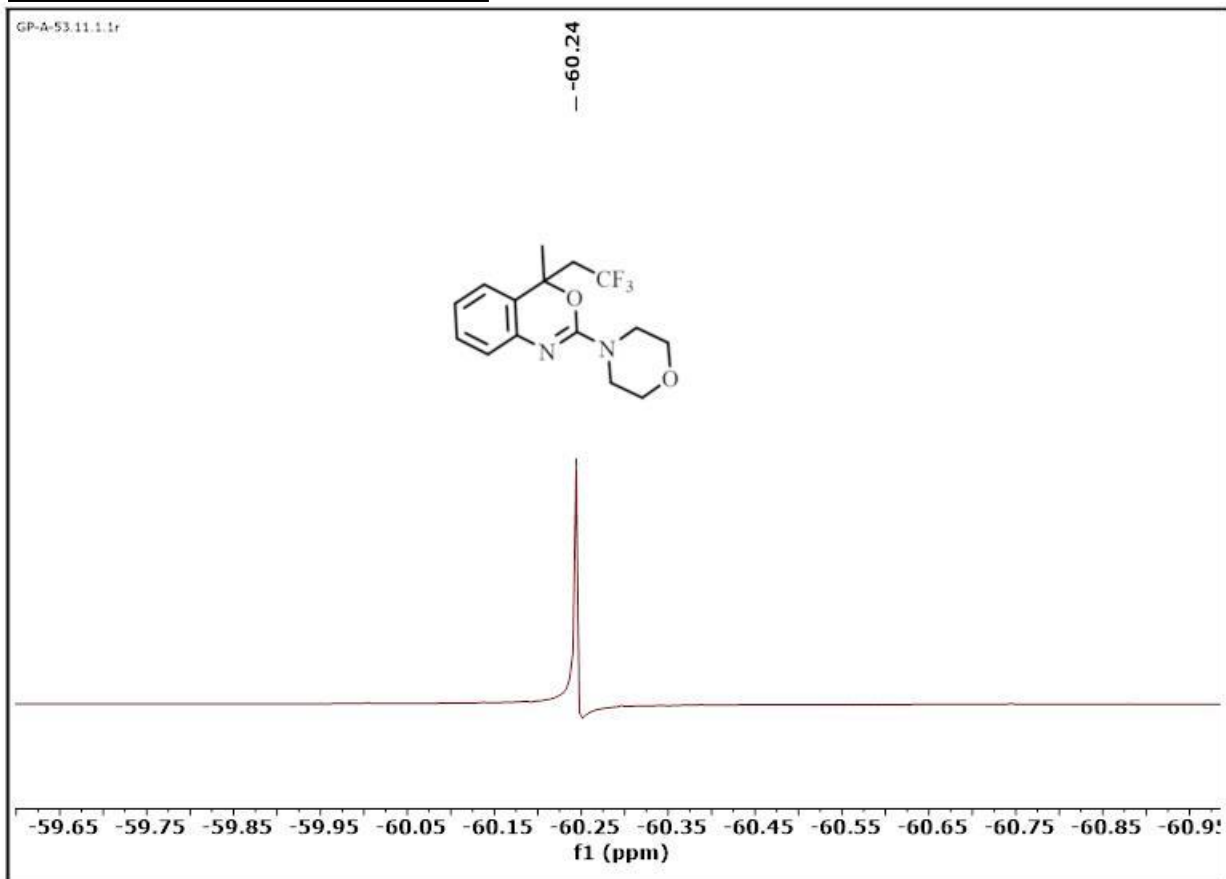
5h (¹H NMR 400 MHz, CDCl₃)



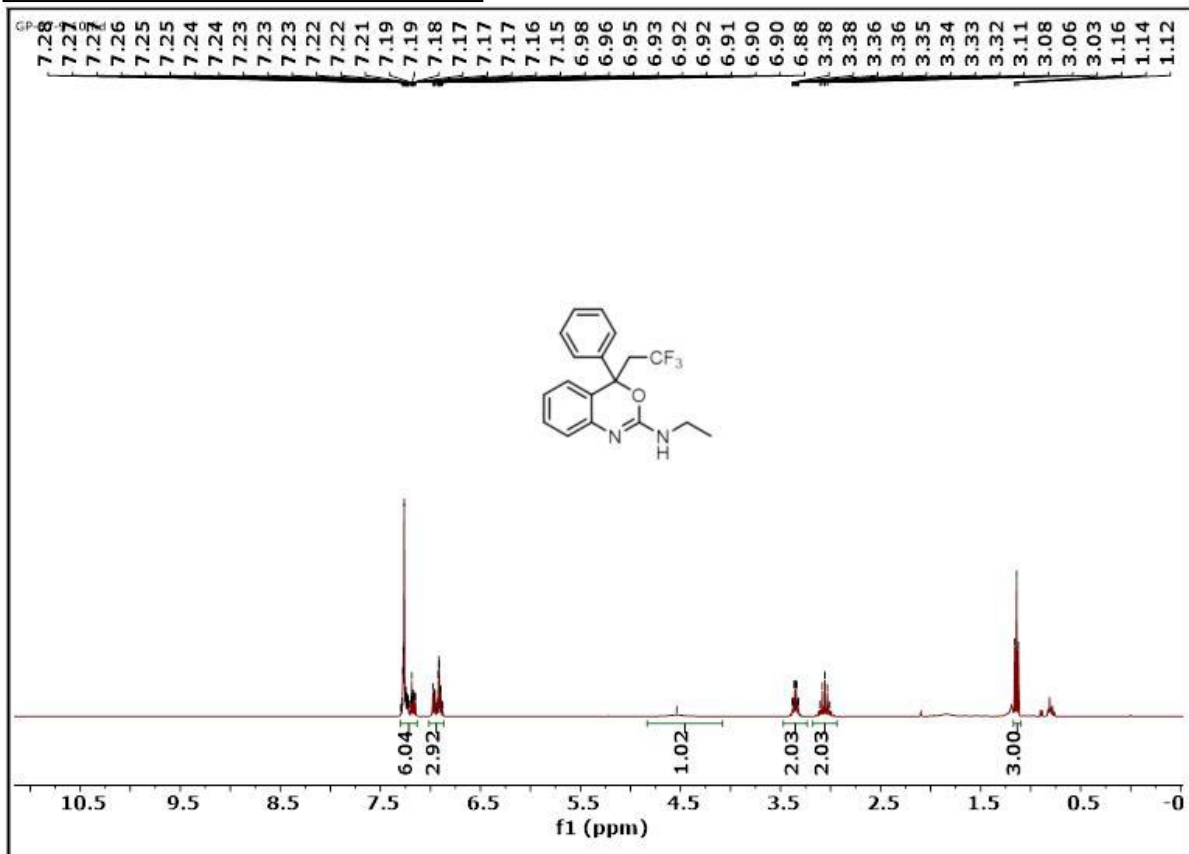
5h (¹³C NMR 101 MHz, CDCl₃)



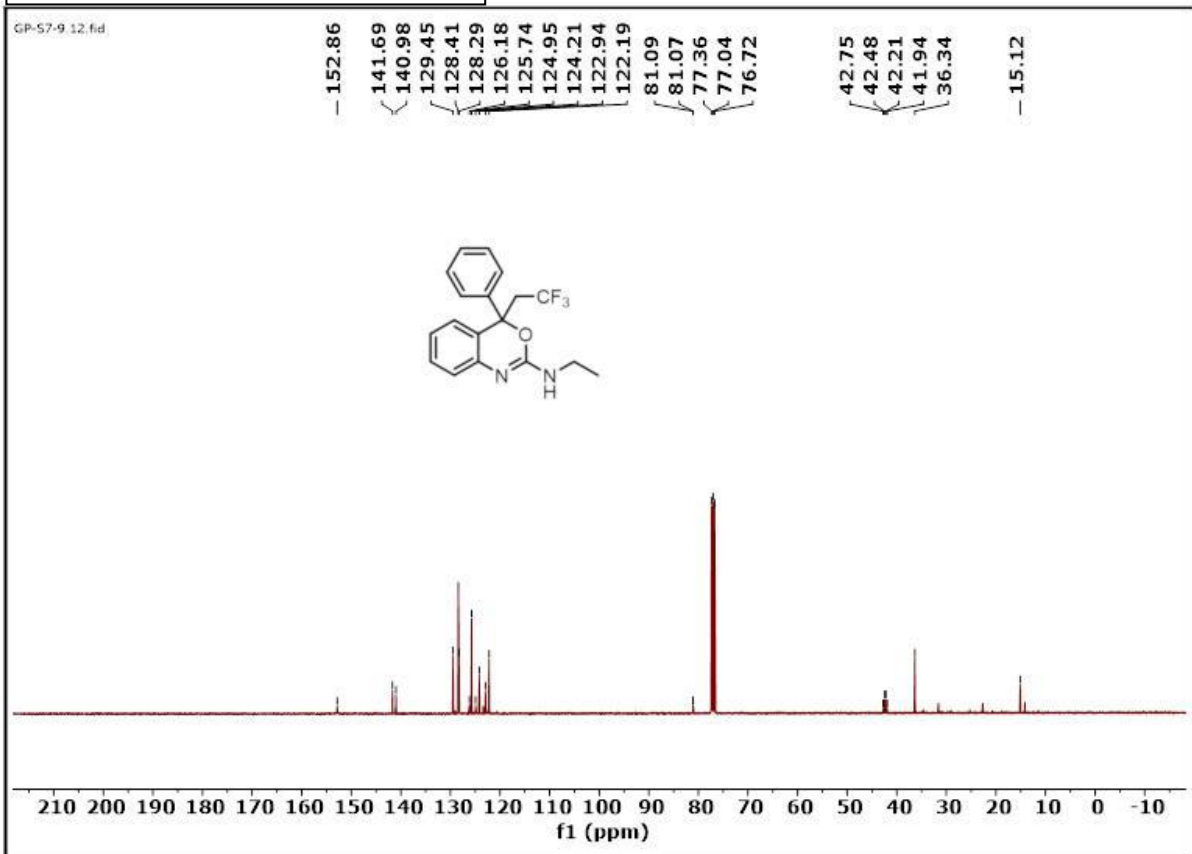
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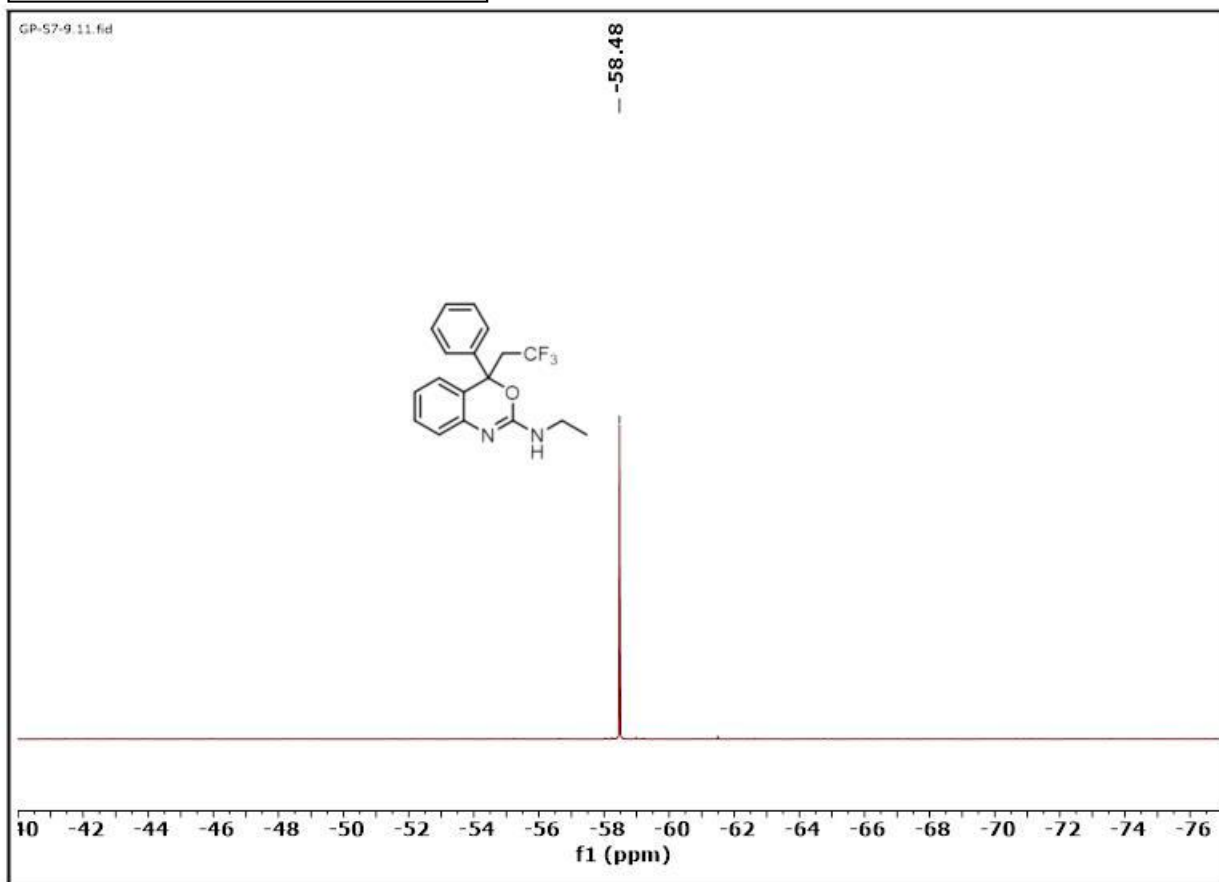
5i (¹HNMR 400 MHz, CDCl₃)



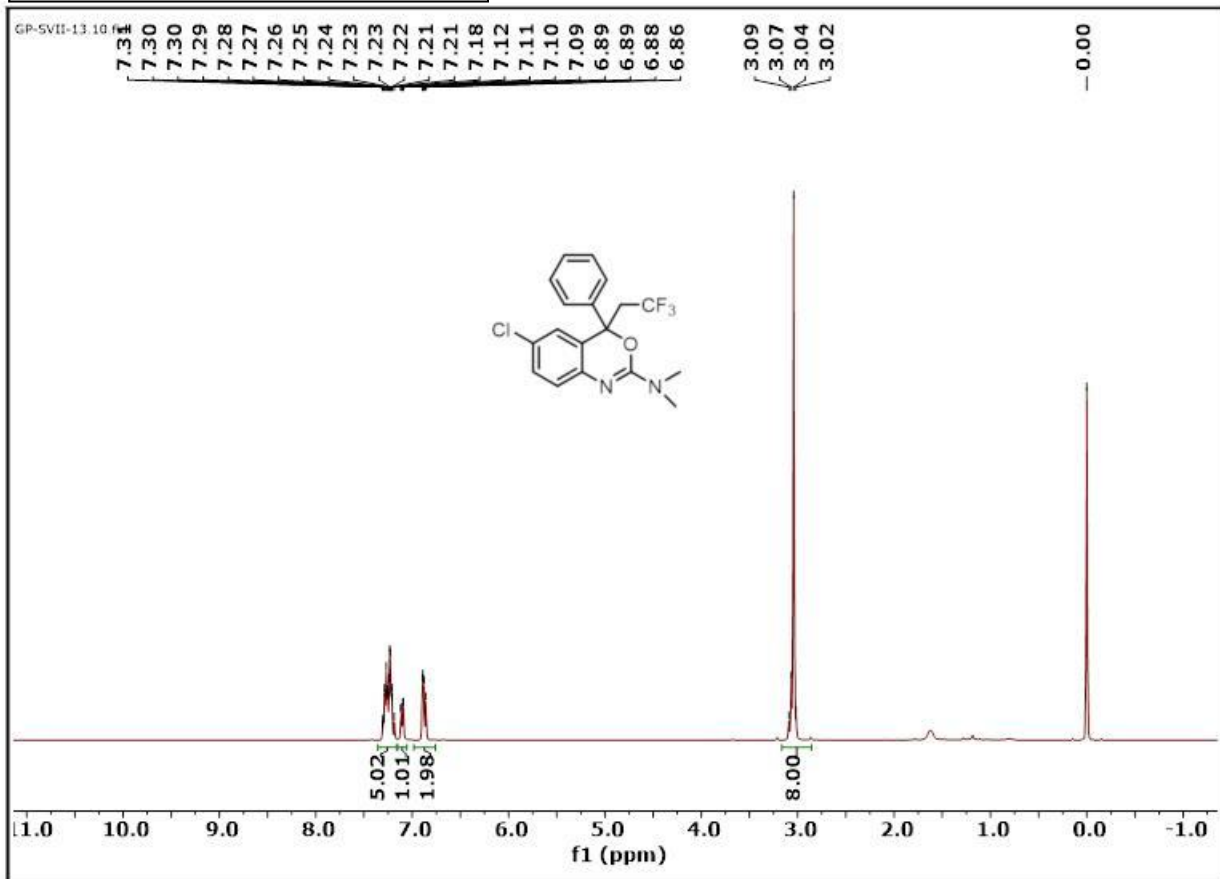
5i (¹³CNMR 101 MHz, CDCl₃)



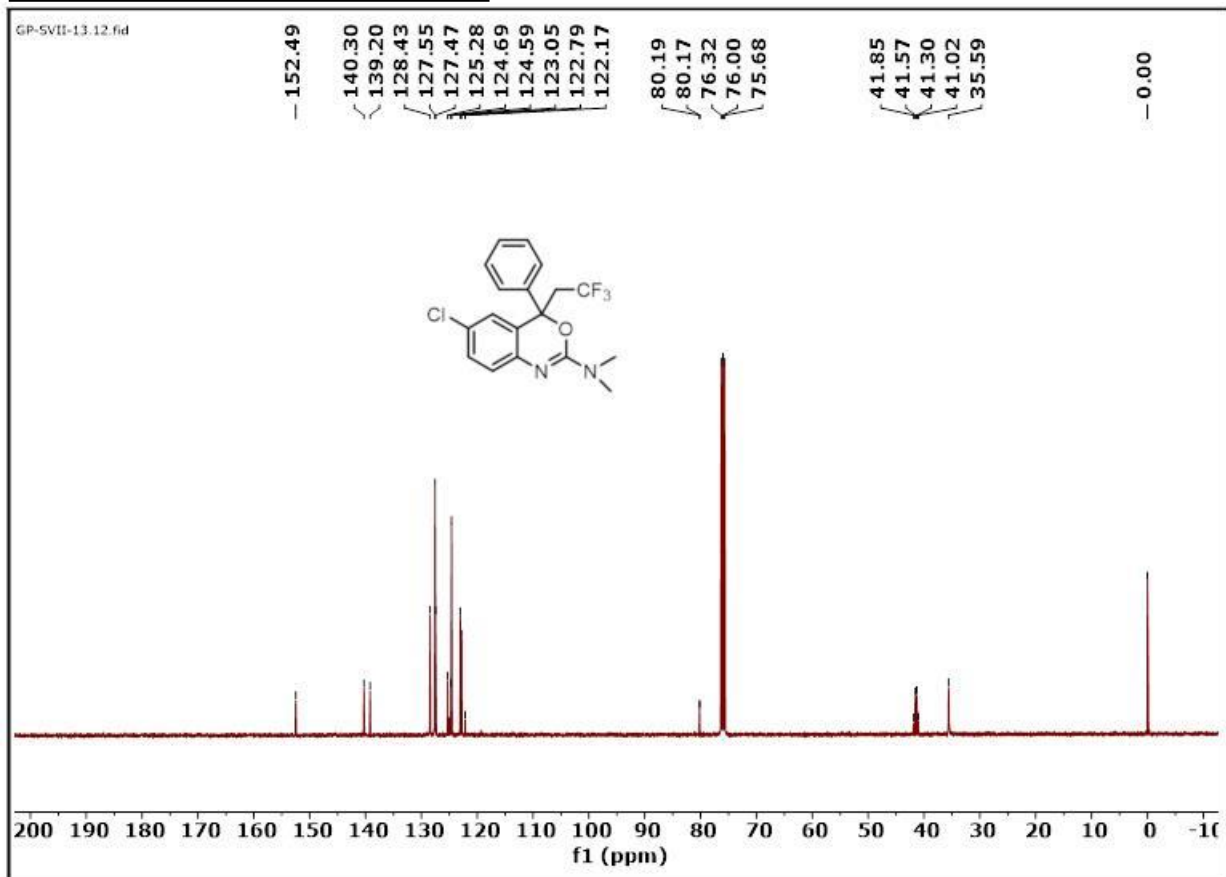
5i (¹⁹F NMR 377 MHz, CDCl₃)



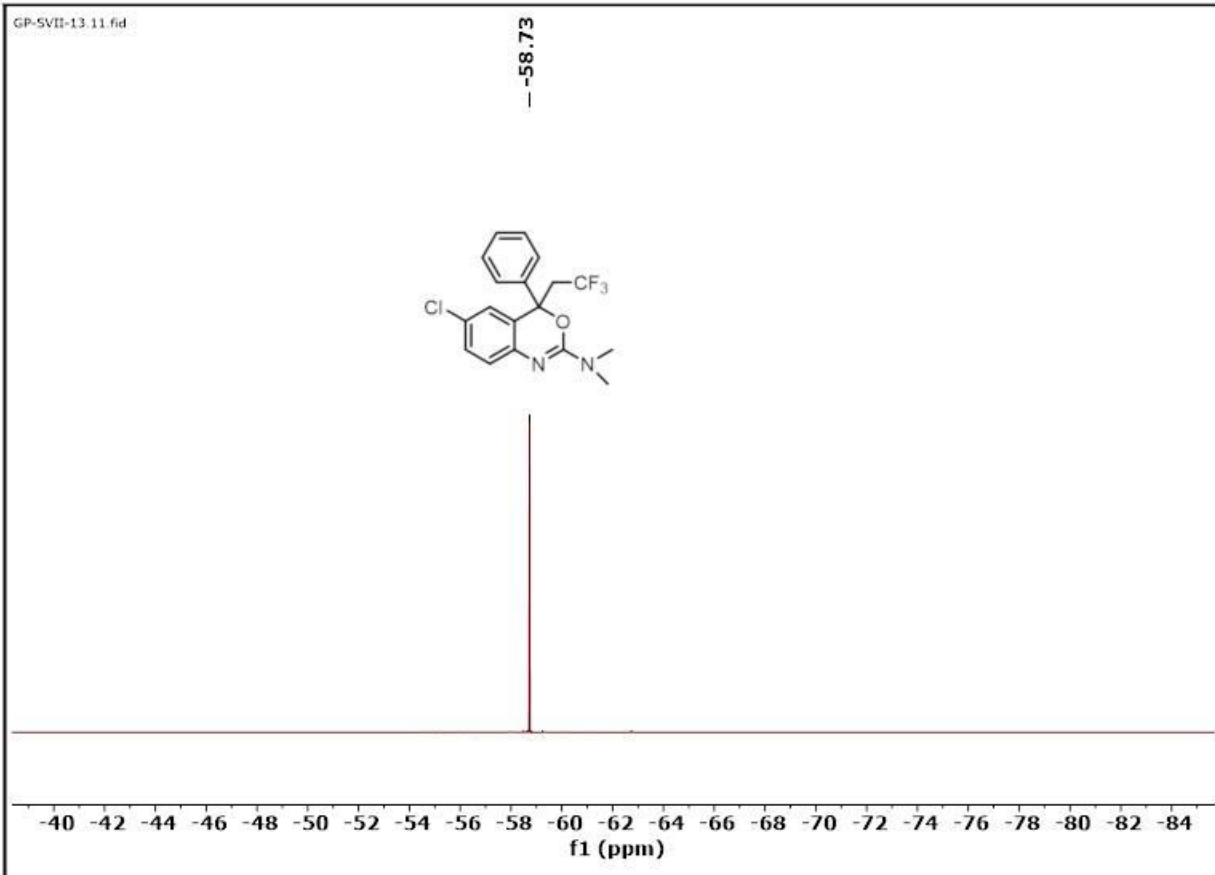
5j (^1H NMR 400 MHz, CDCl_3)



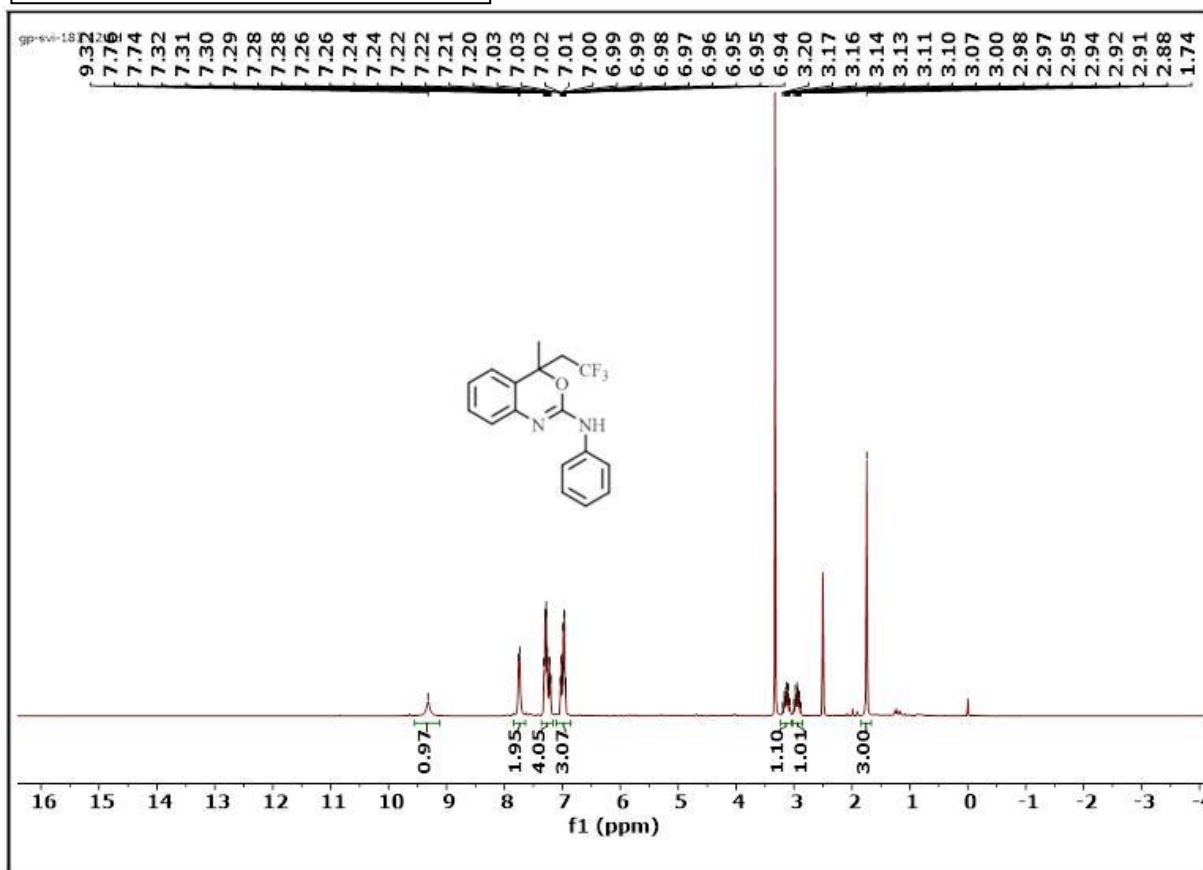
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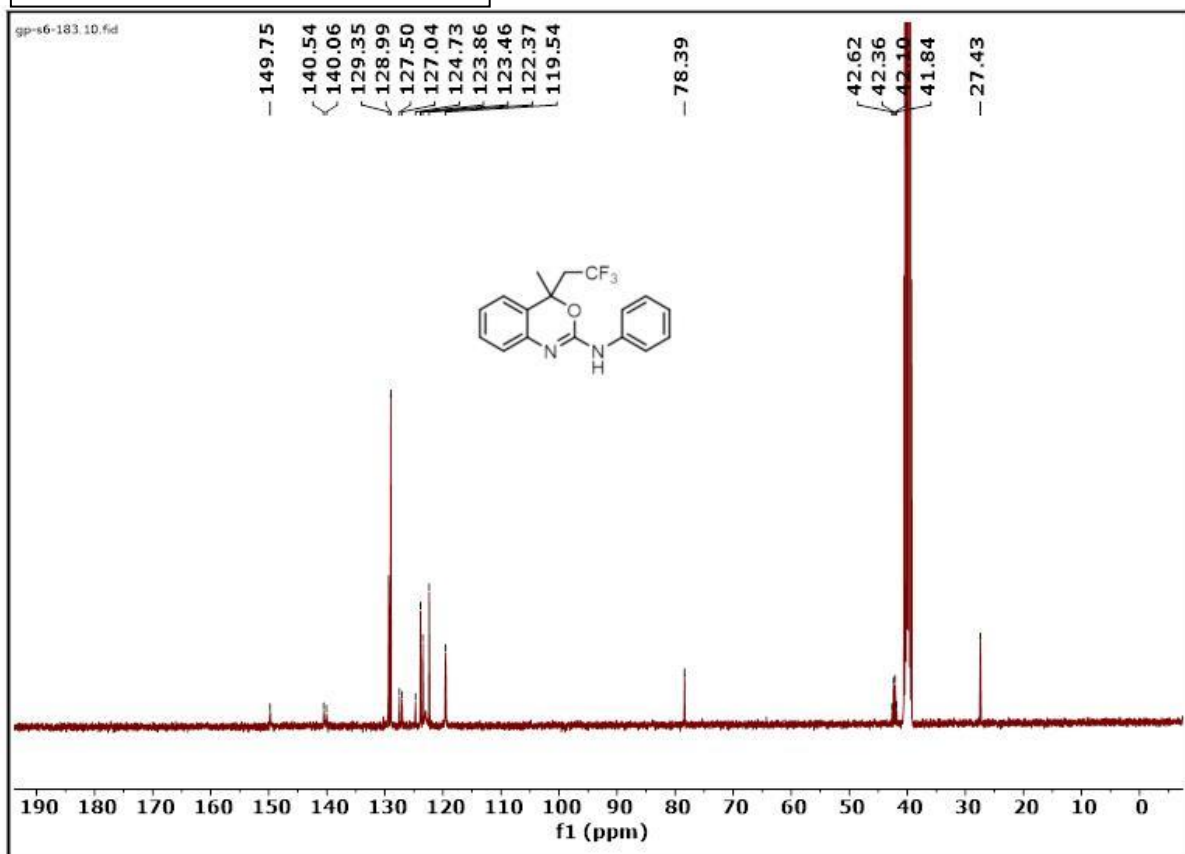
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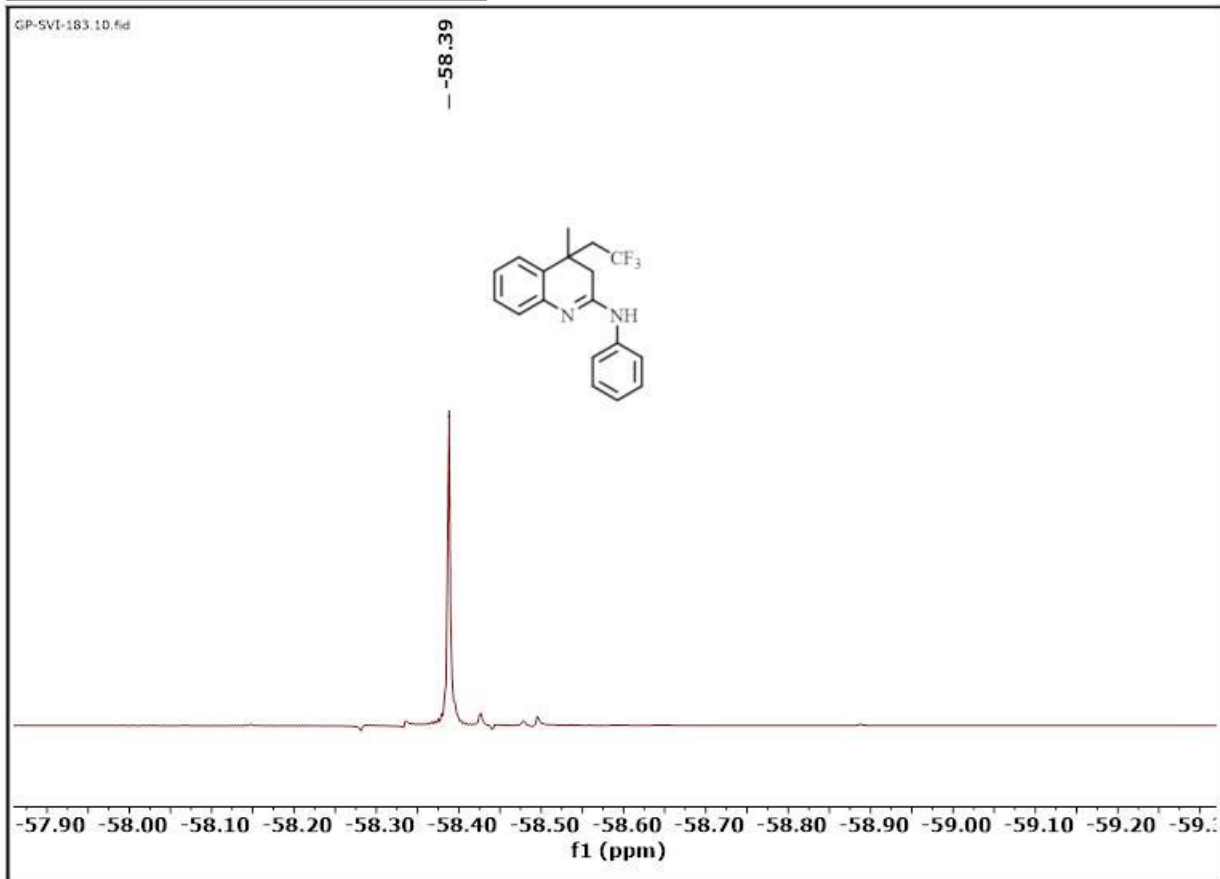
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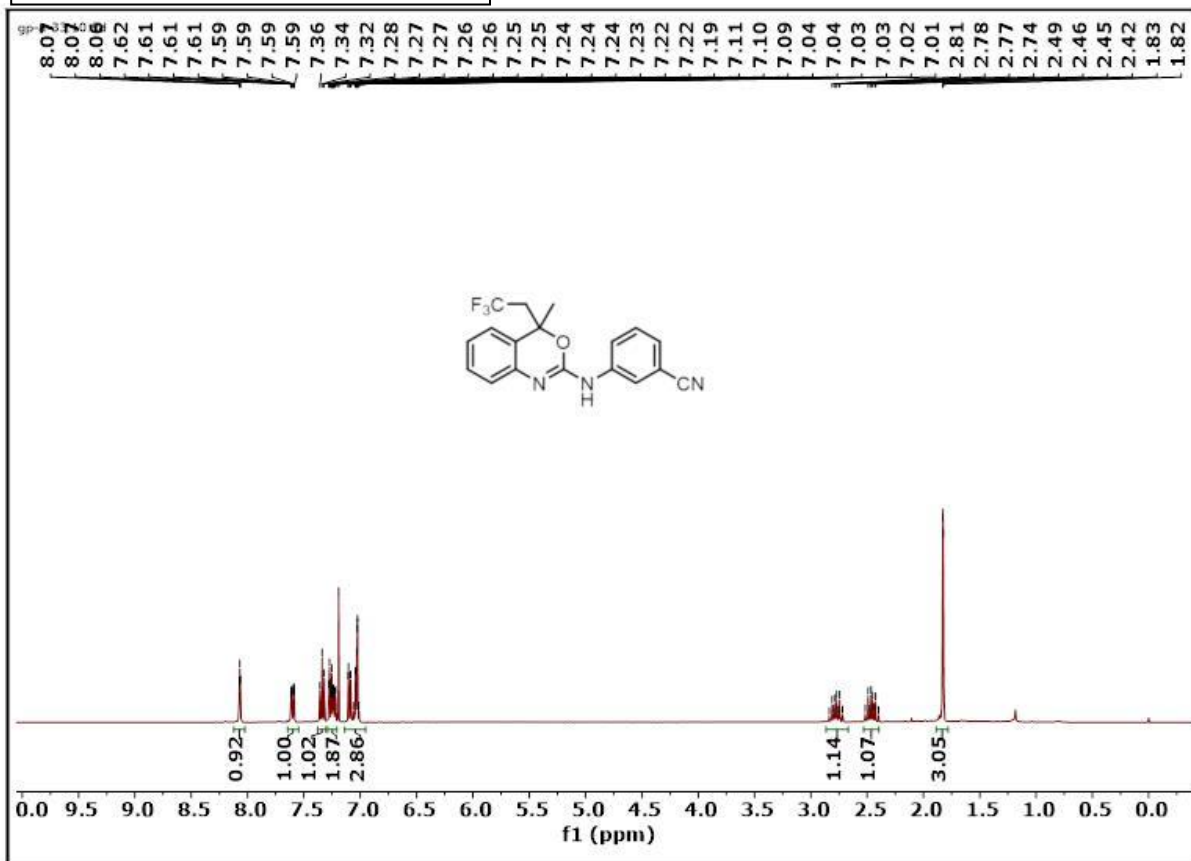
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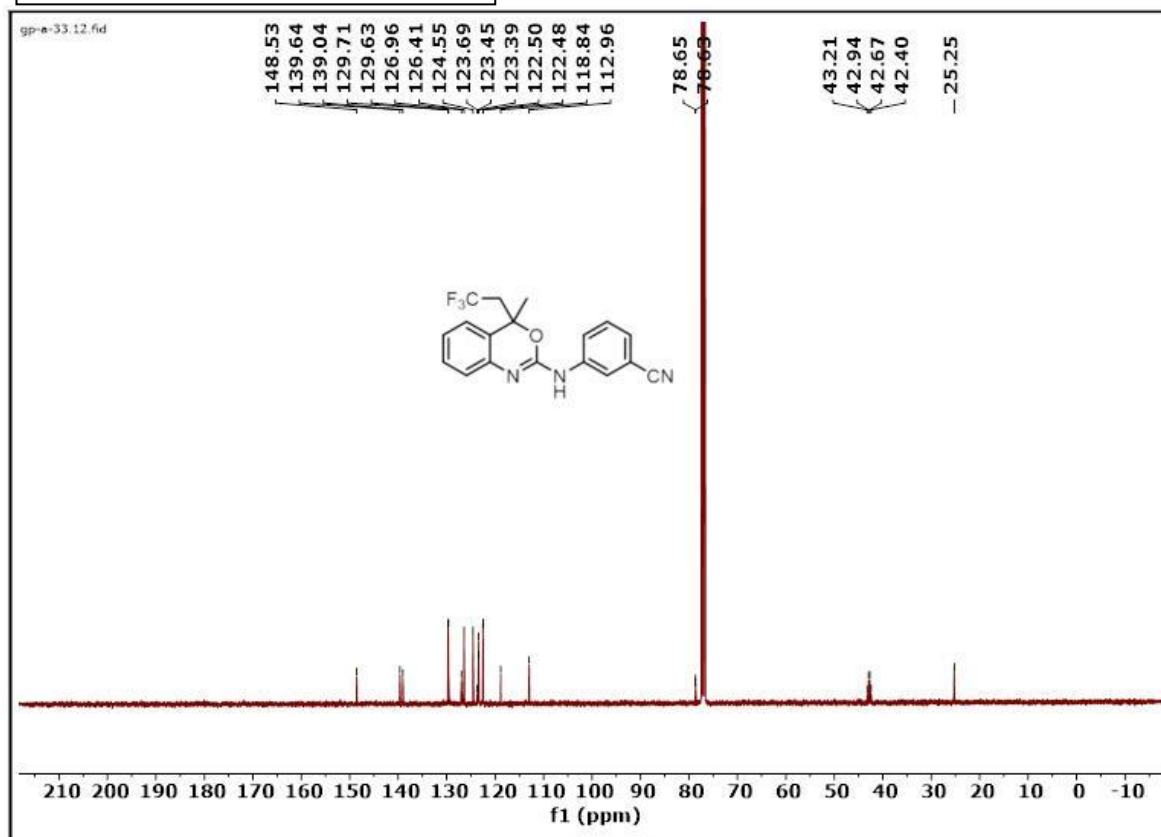
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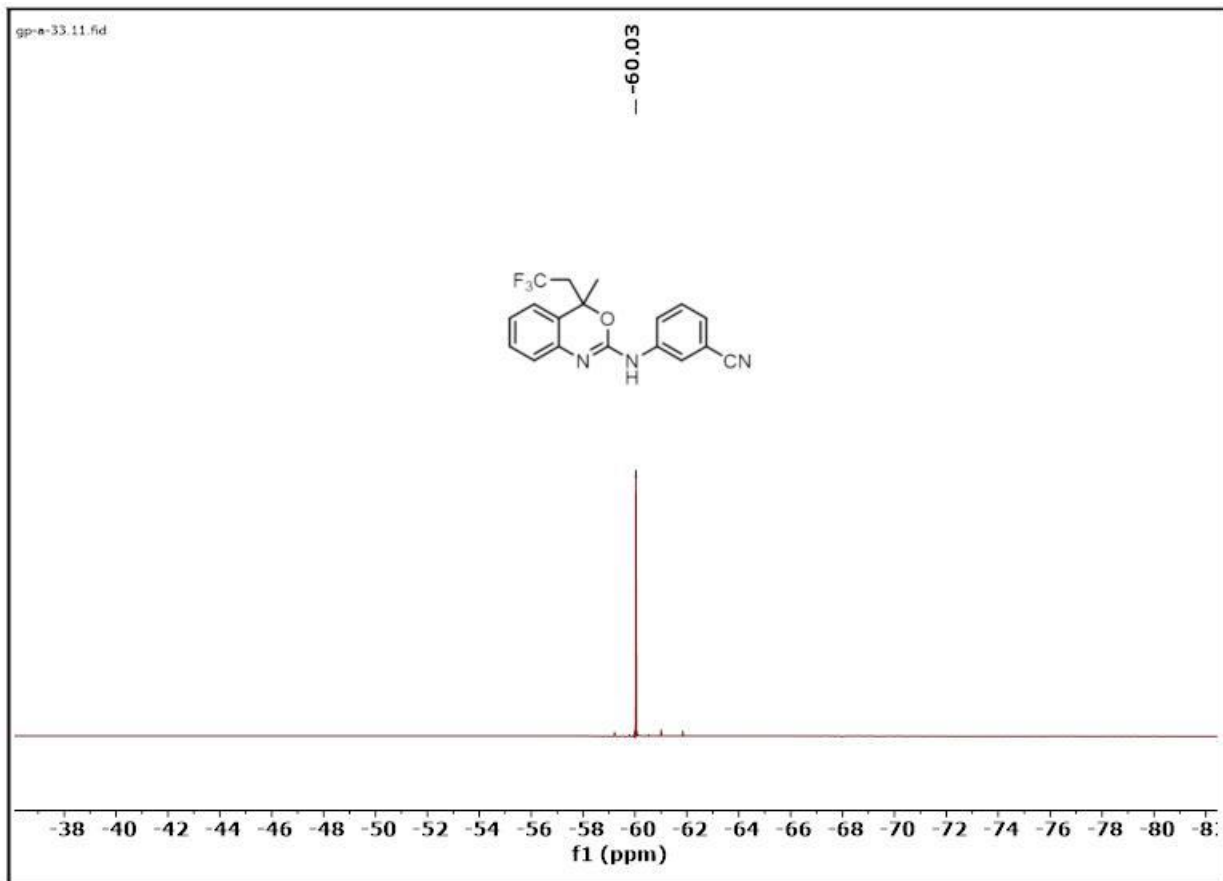
51 (^1H NMR 400 MHz, CDCl_3)



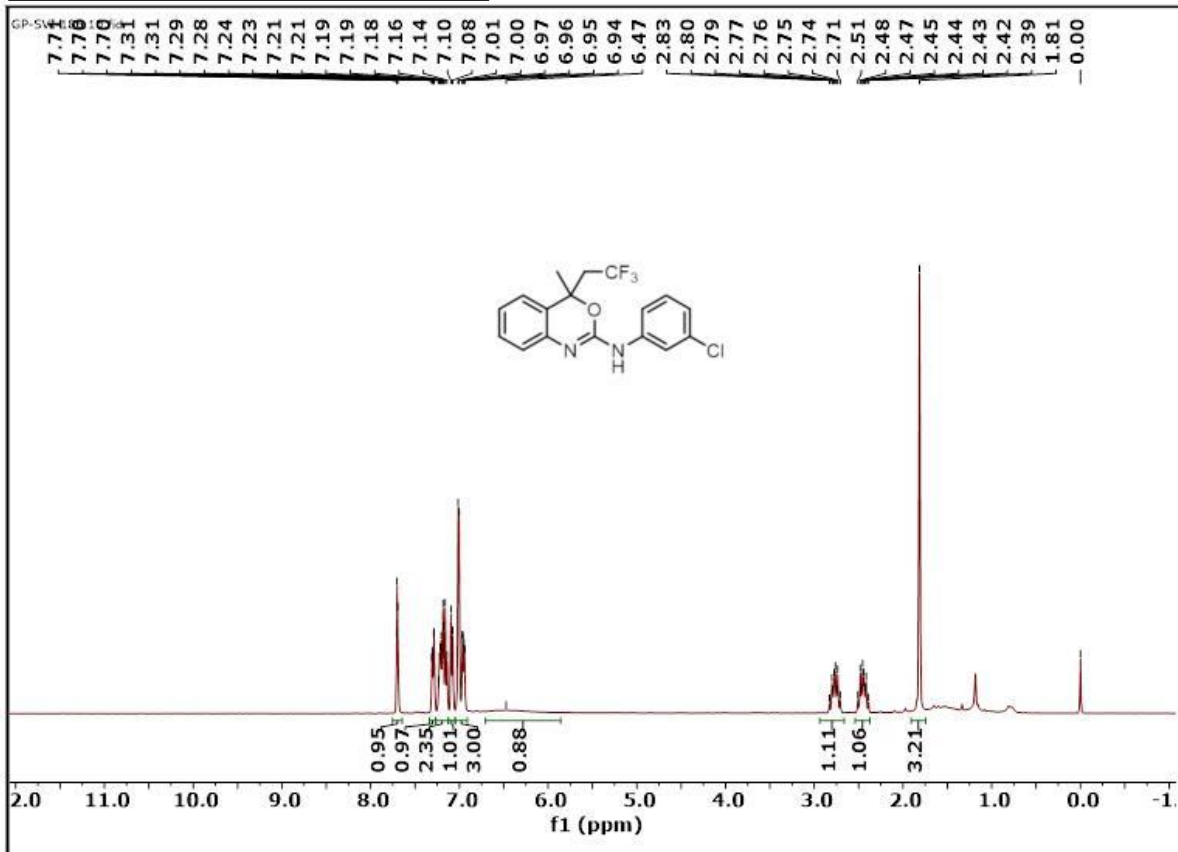
51 (^{13}C NMR 101 MHz, CDCl_3)



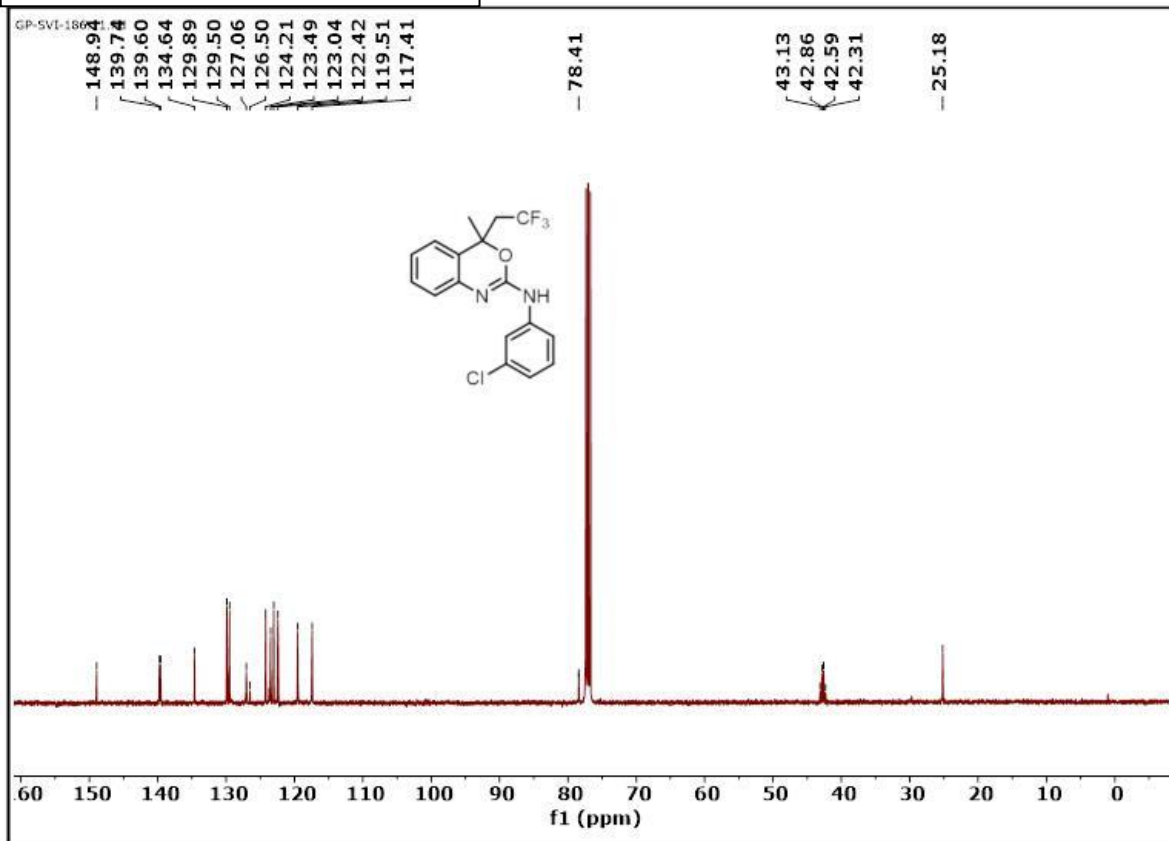
51 (^{19}F NMR 377 MHz, CDCl_3)



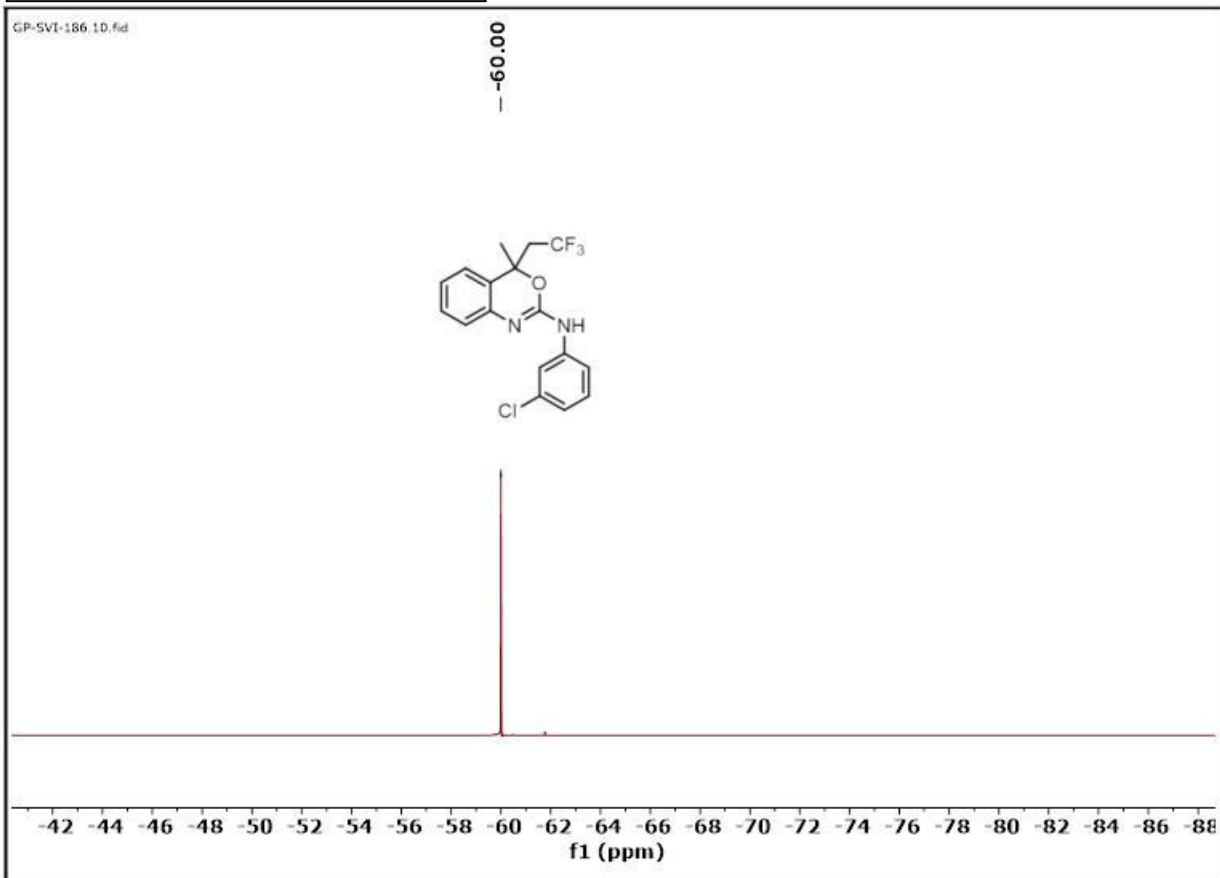
5m (¹H NMR 400 MHz, CDCl₃)



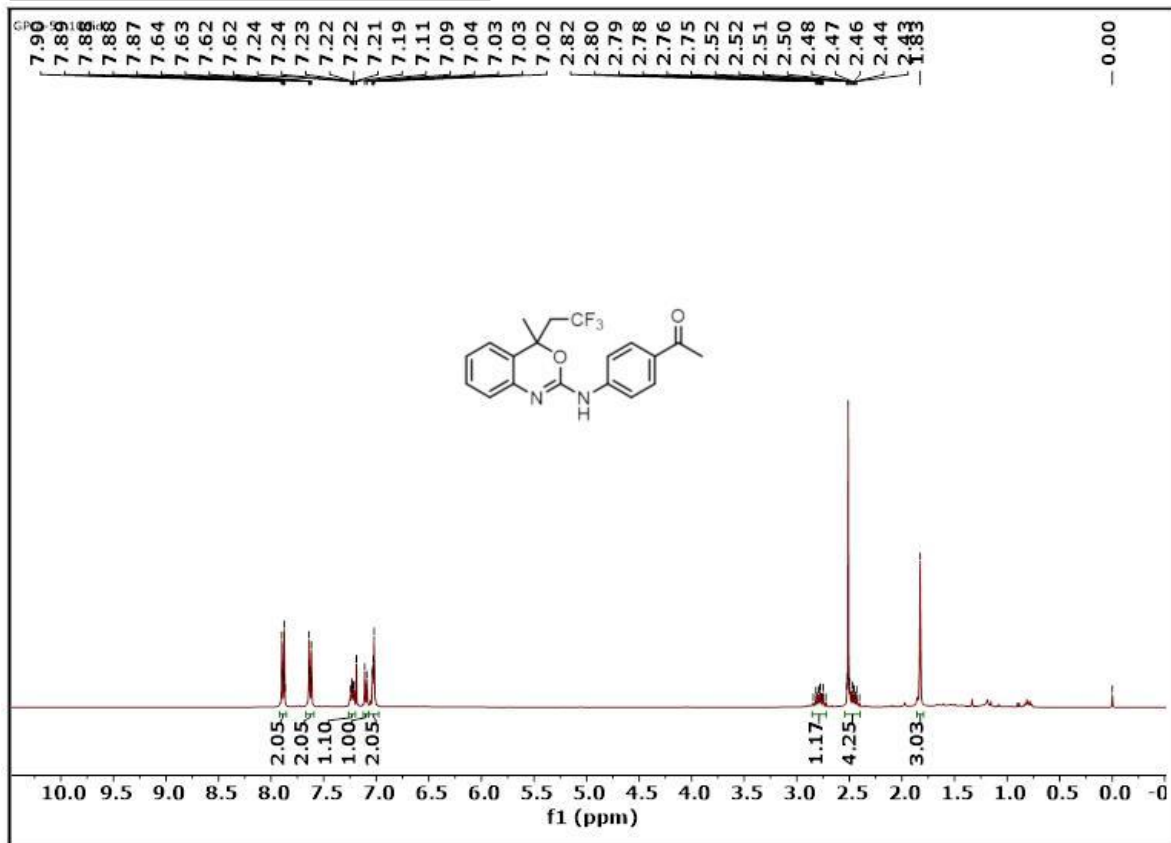
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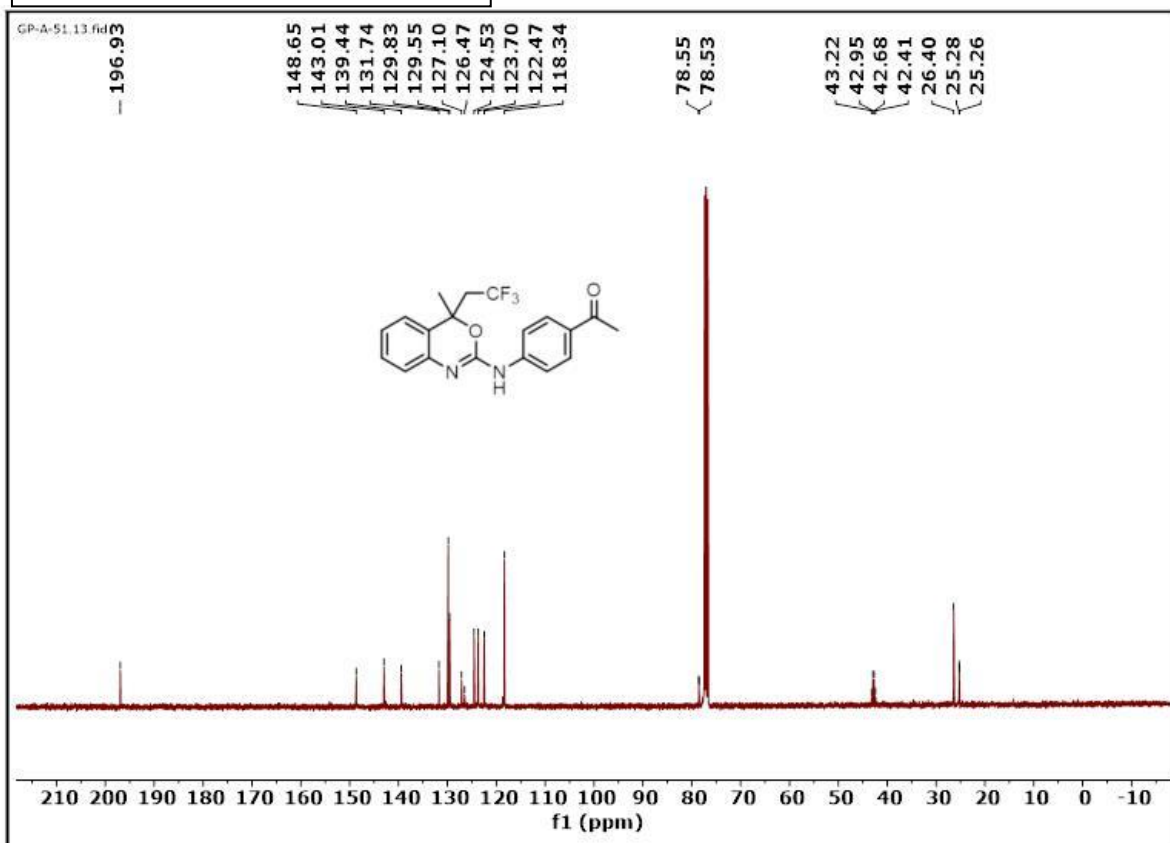
5m (^{19}F NMR 377 MHz, CDCl_3)



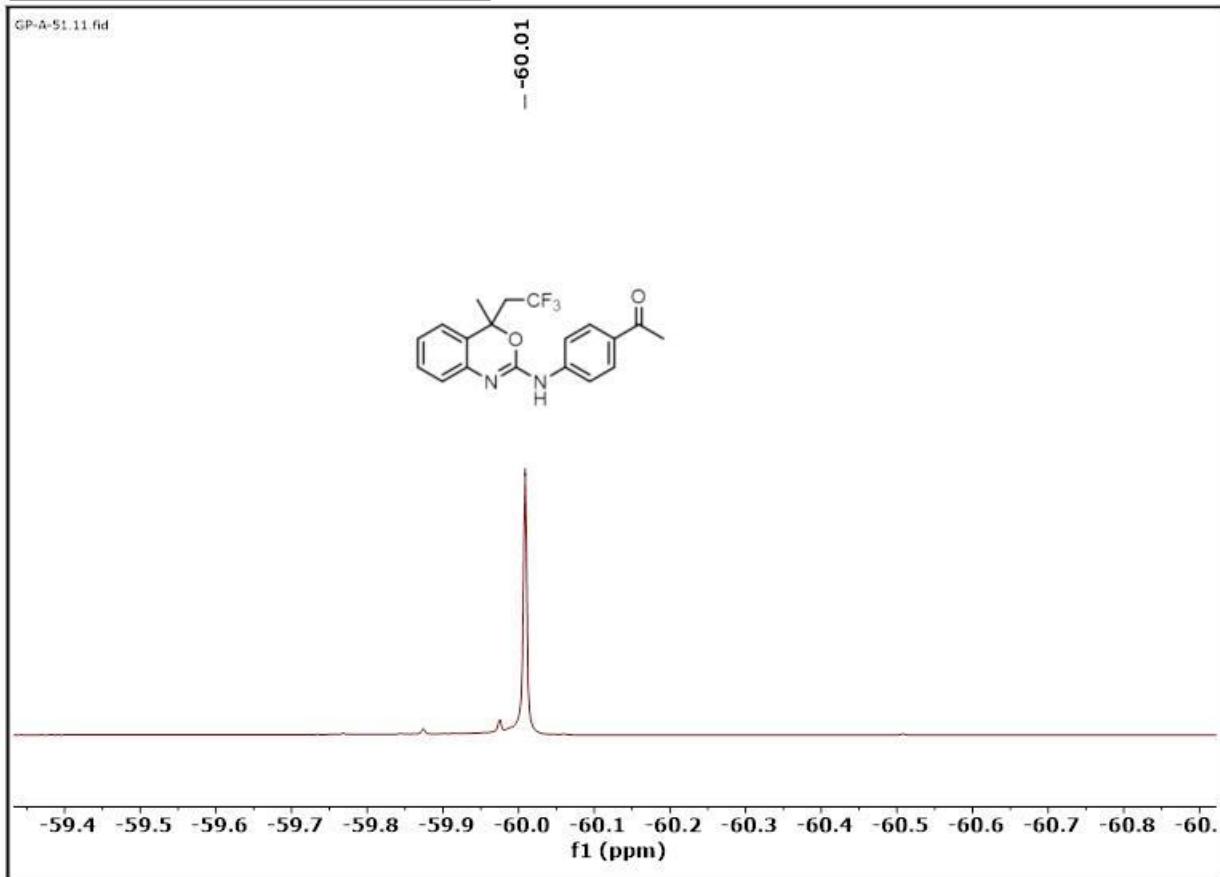
5n (^1H NMR 400 MHz, CDCl_3)



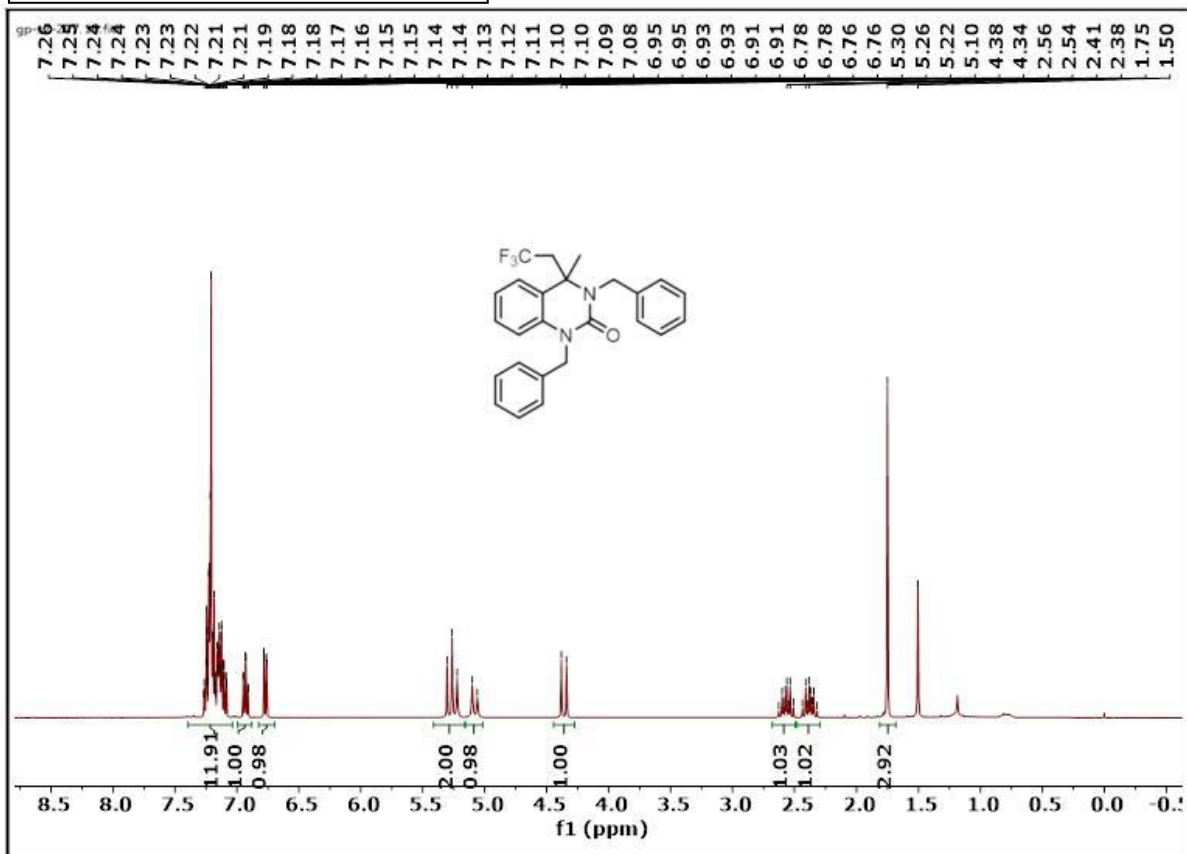
5n (^{13}C NMR 101 MHz, CDCl_3)



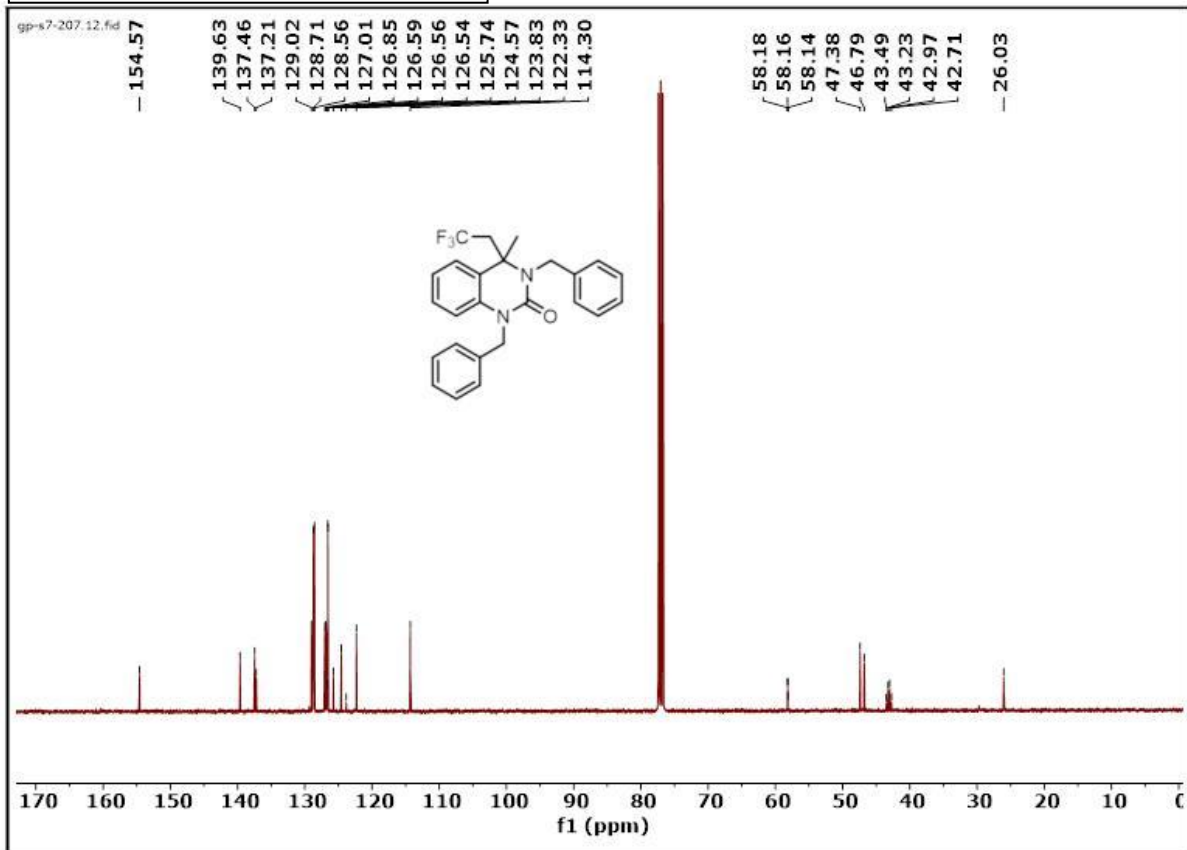
5n (^{19}F NMR 377 MHz, CDCl_3)



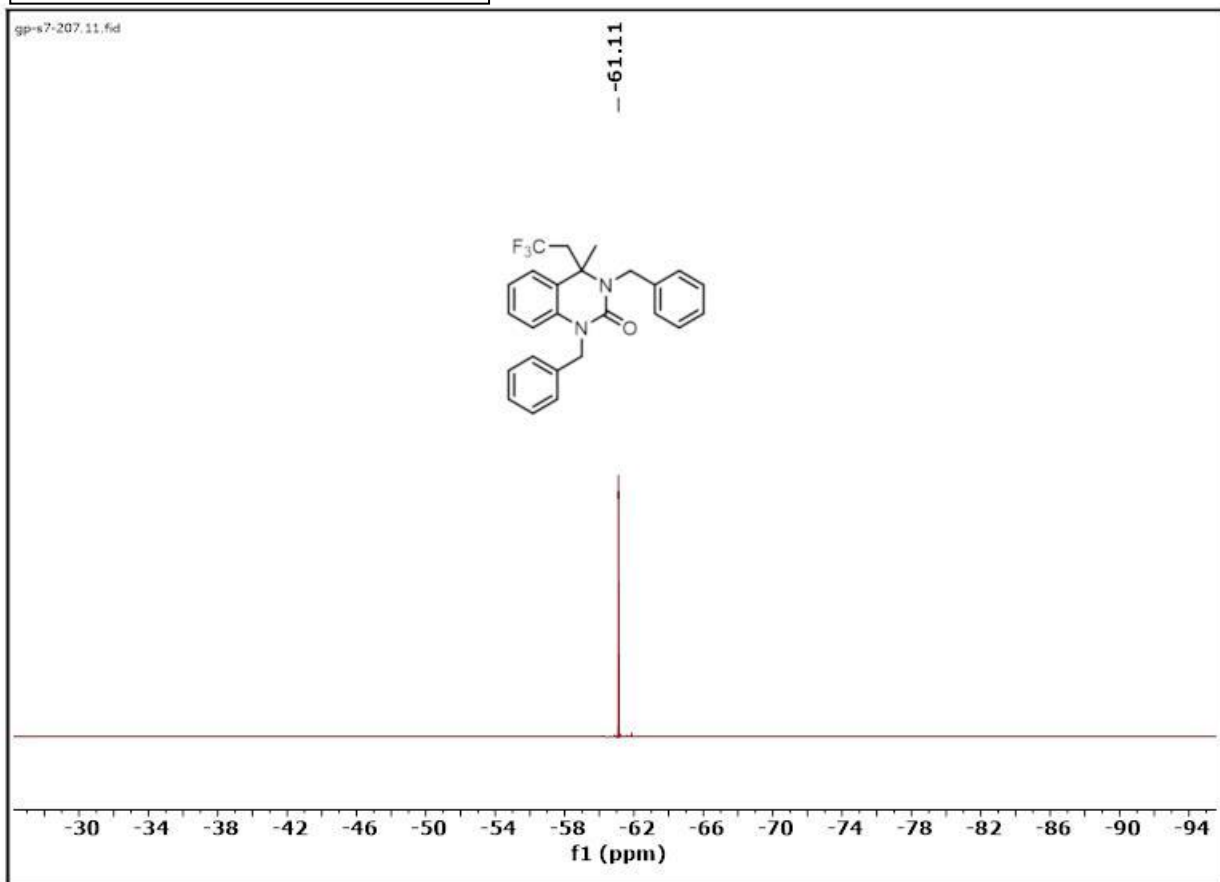
7a (¹H NMR 400 MHz, CDCl₃)



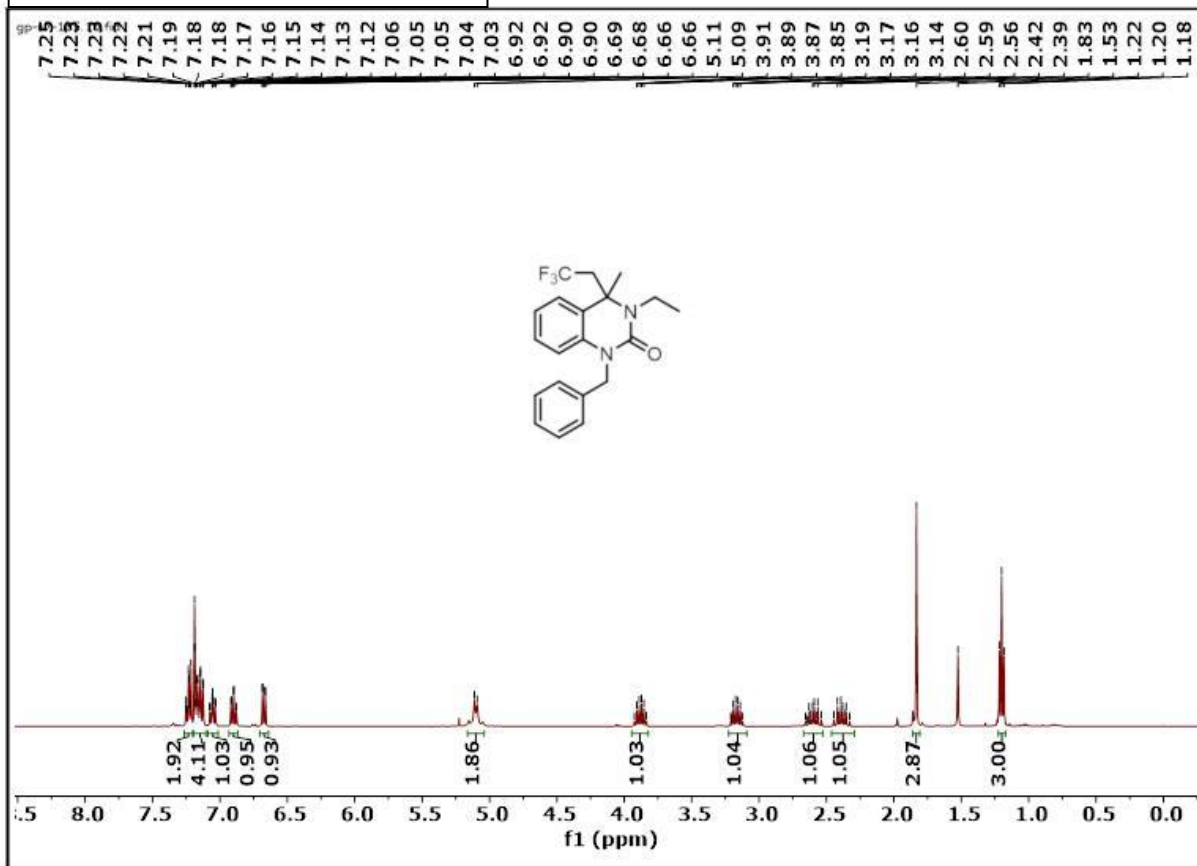
7a (¹³C NMR 101 MHz, CDCl₃)



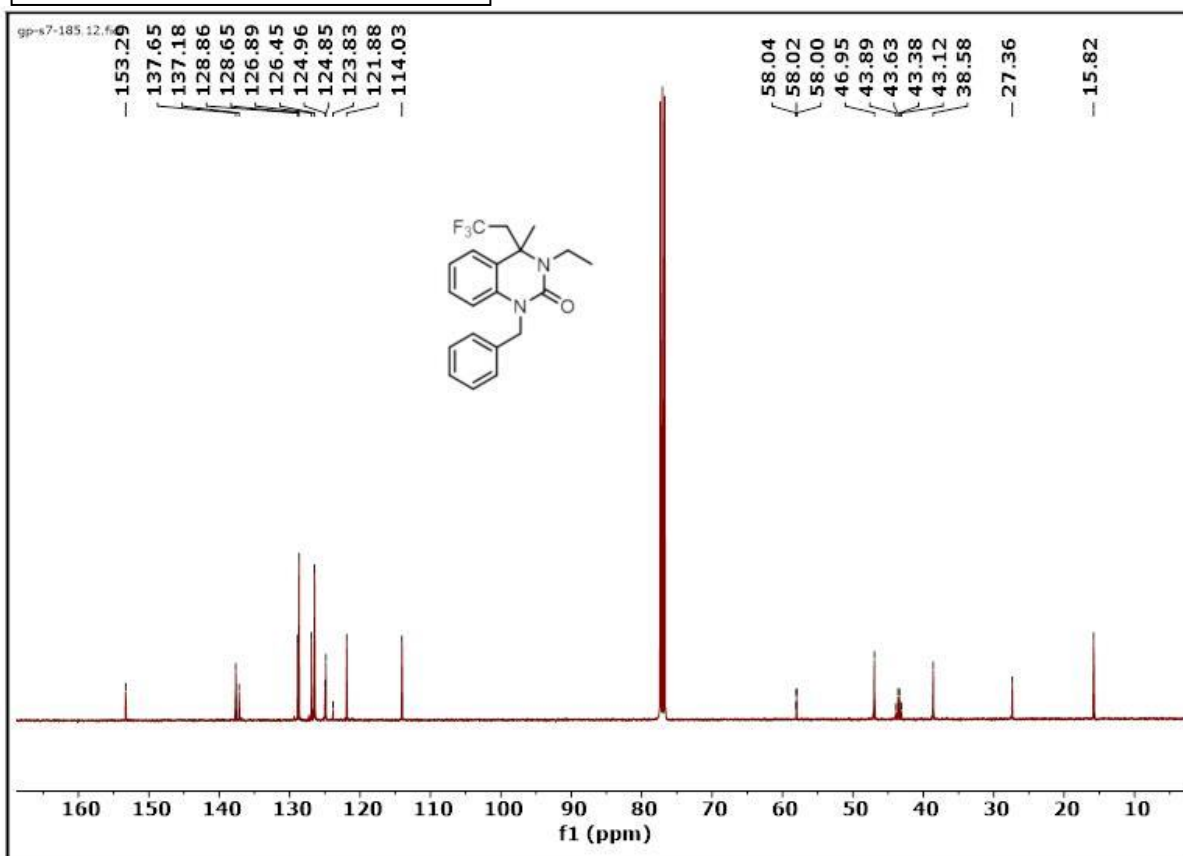
7a (¹⁹F NMR 377 MHz, CDCl₃)



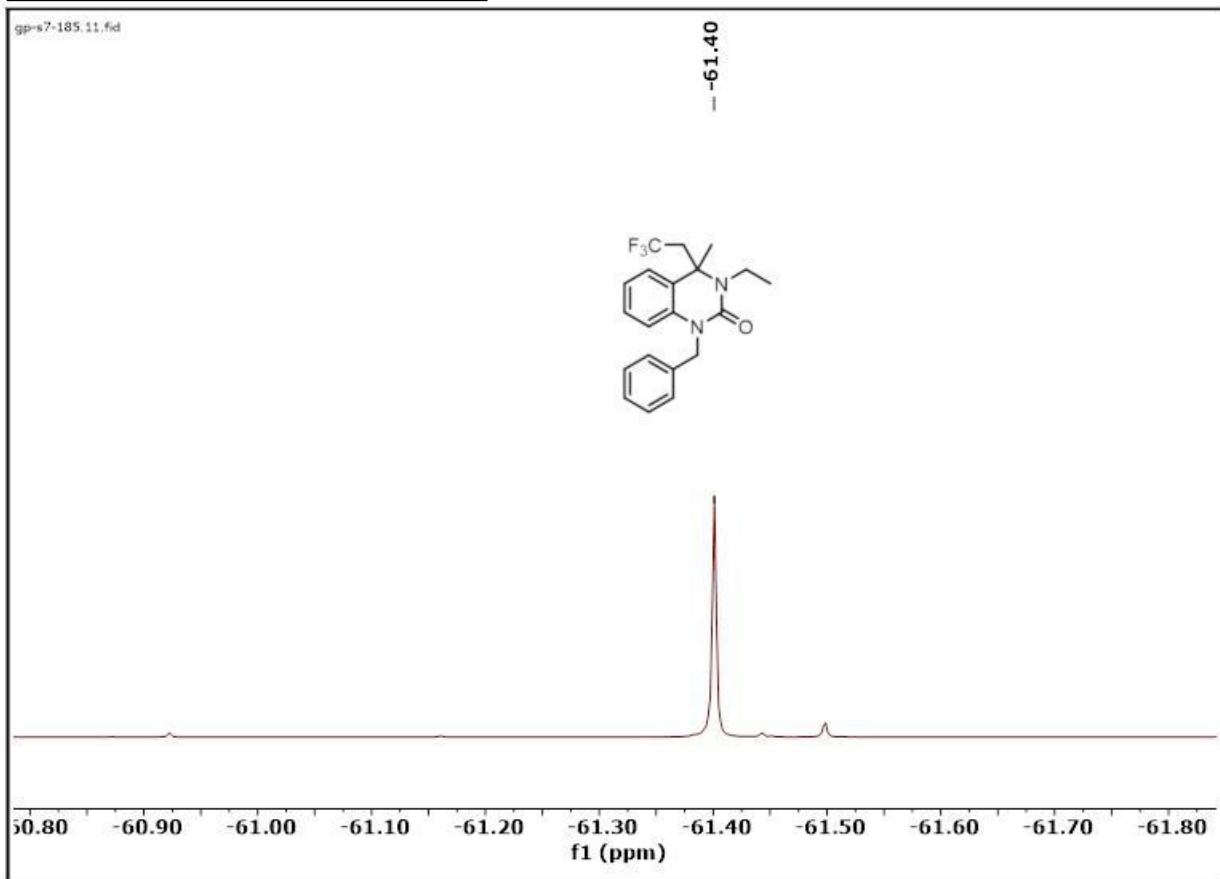
7b (^1H NMR 400 MHz, CDCl_3)



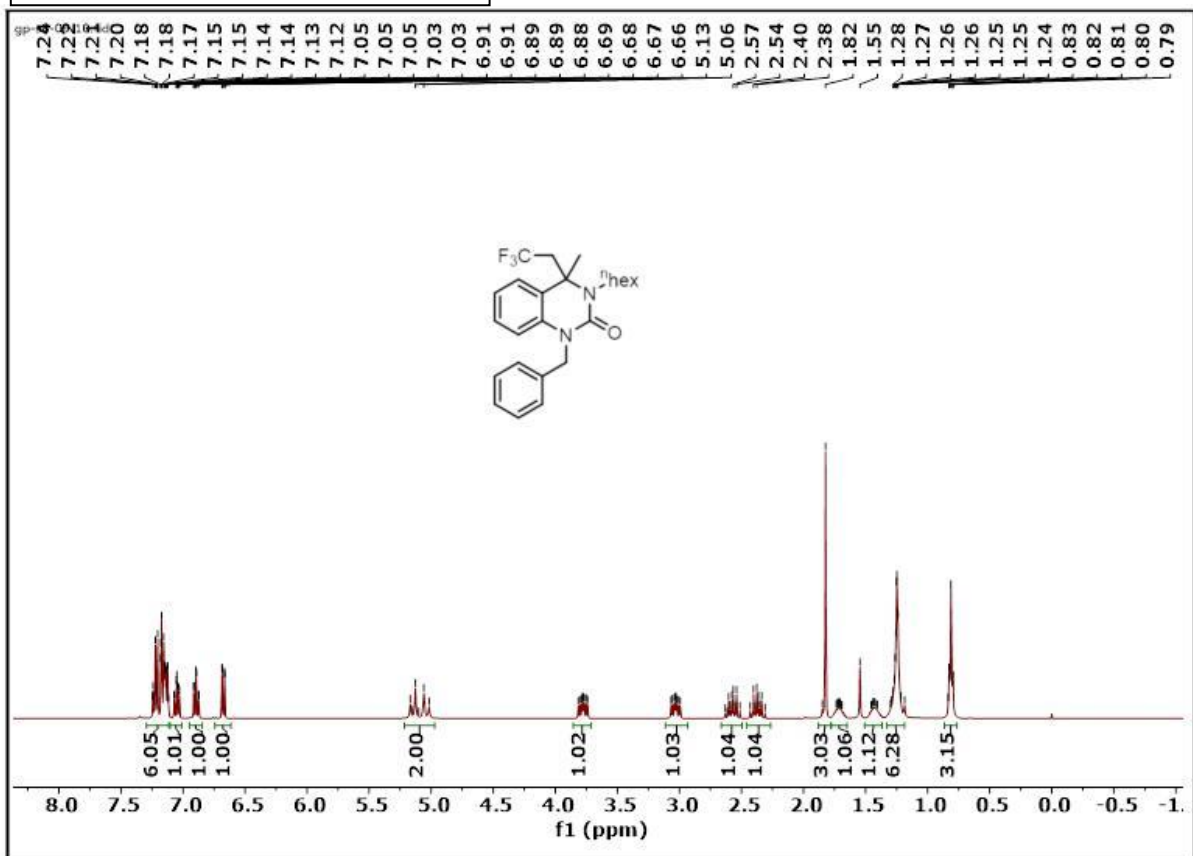
7b (^{13}C NMR 101 MHz, CDCl_3)



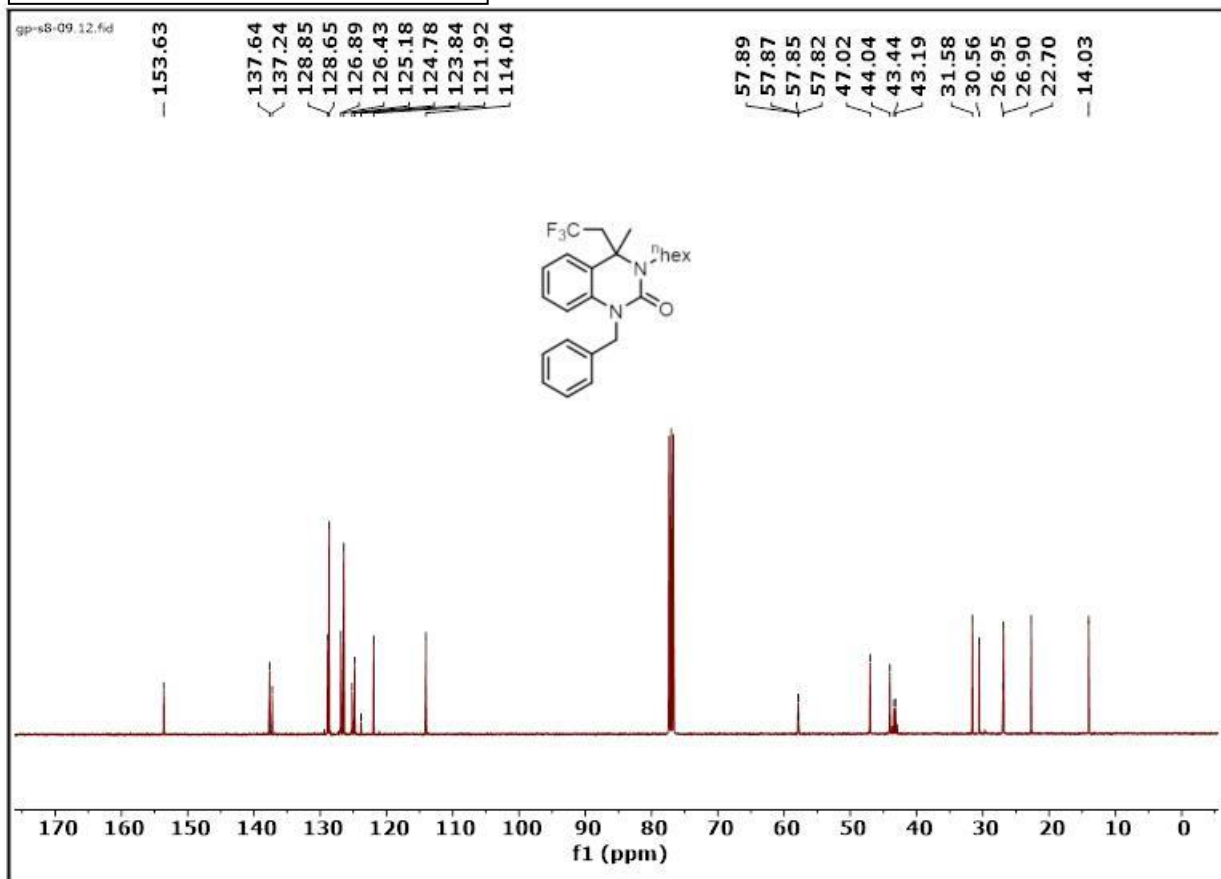
7b (^{19}F NMR 377 MHz, CDCl_3)



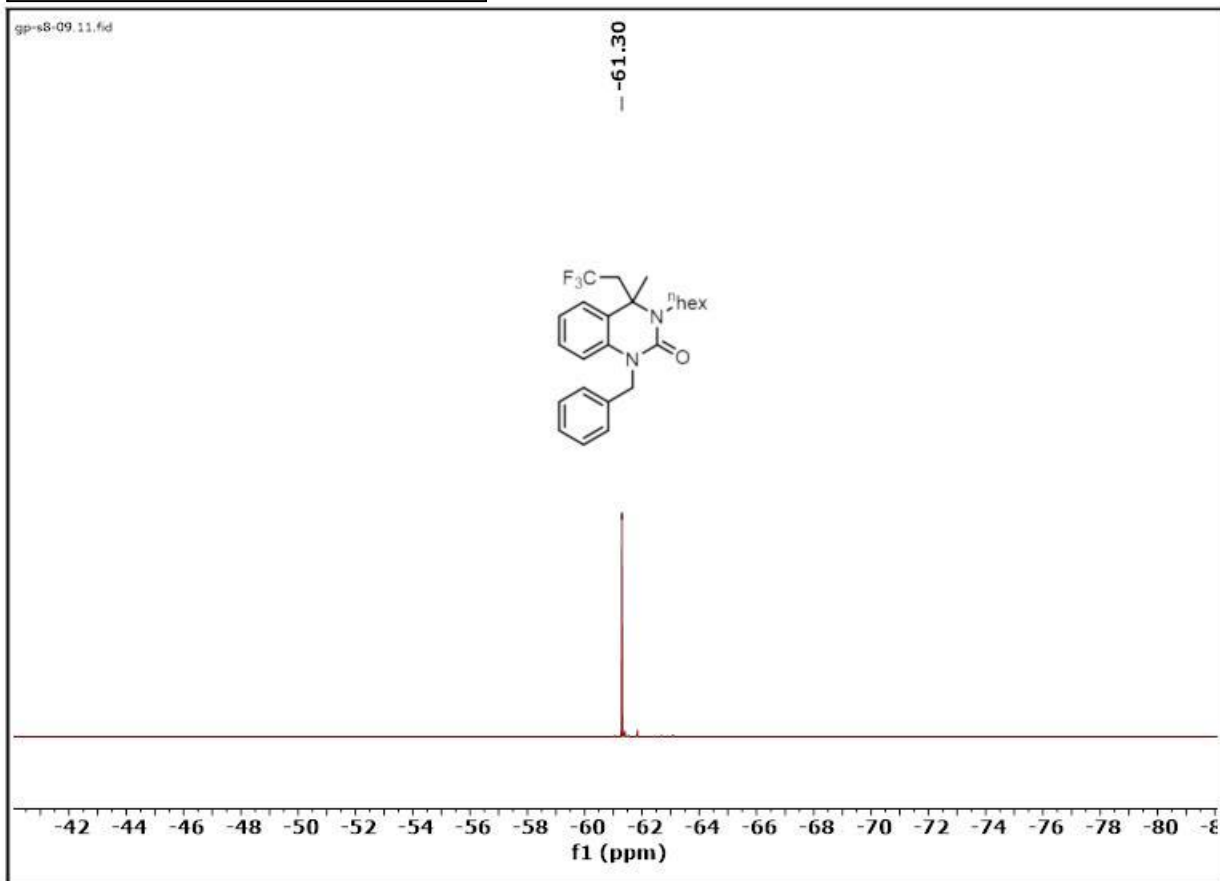
7c (^1H NMR 400 MHz, CDCl_3)



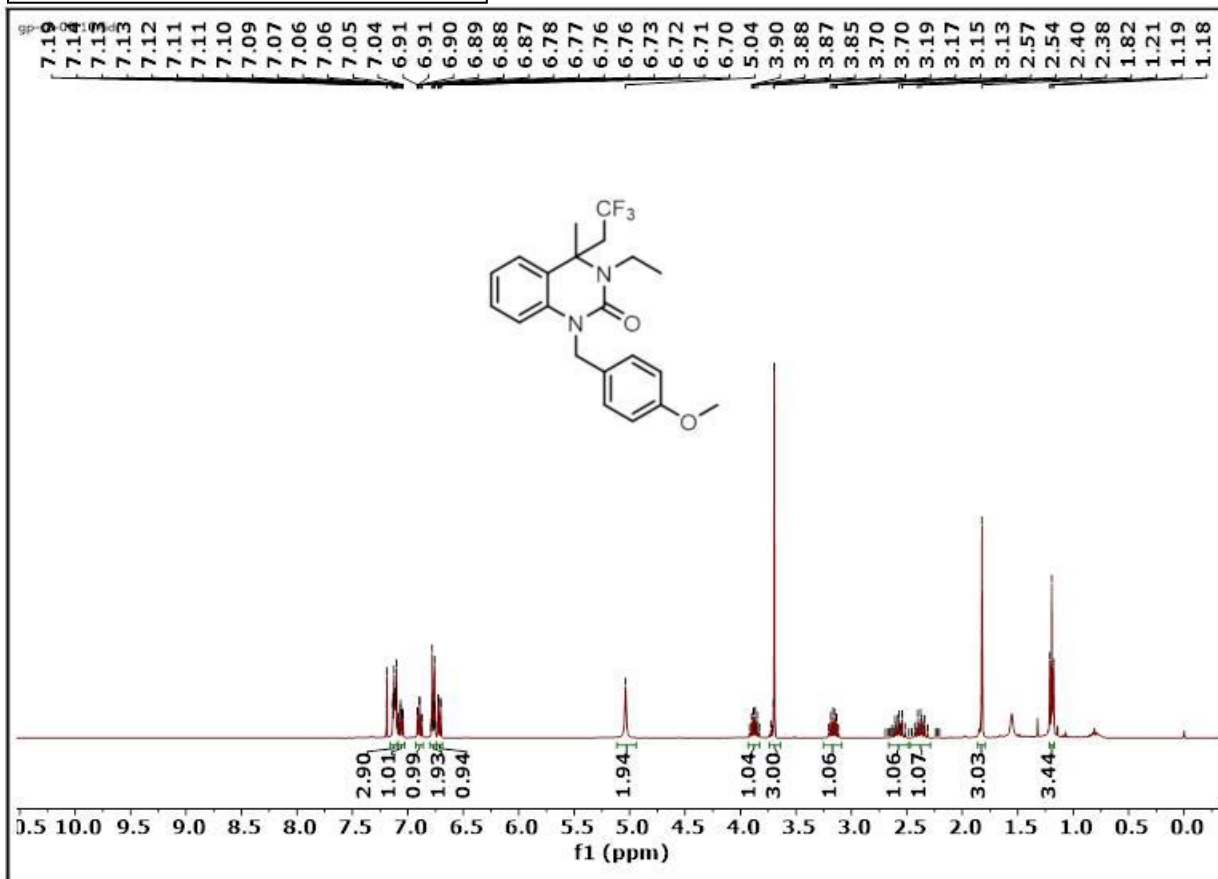
7c (^{13}C NMR 101 MHz, CDCl_3)



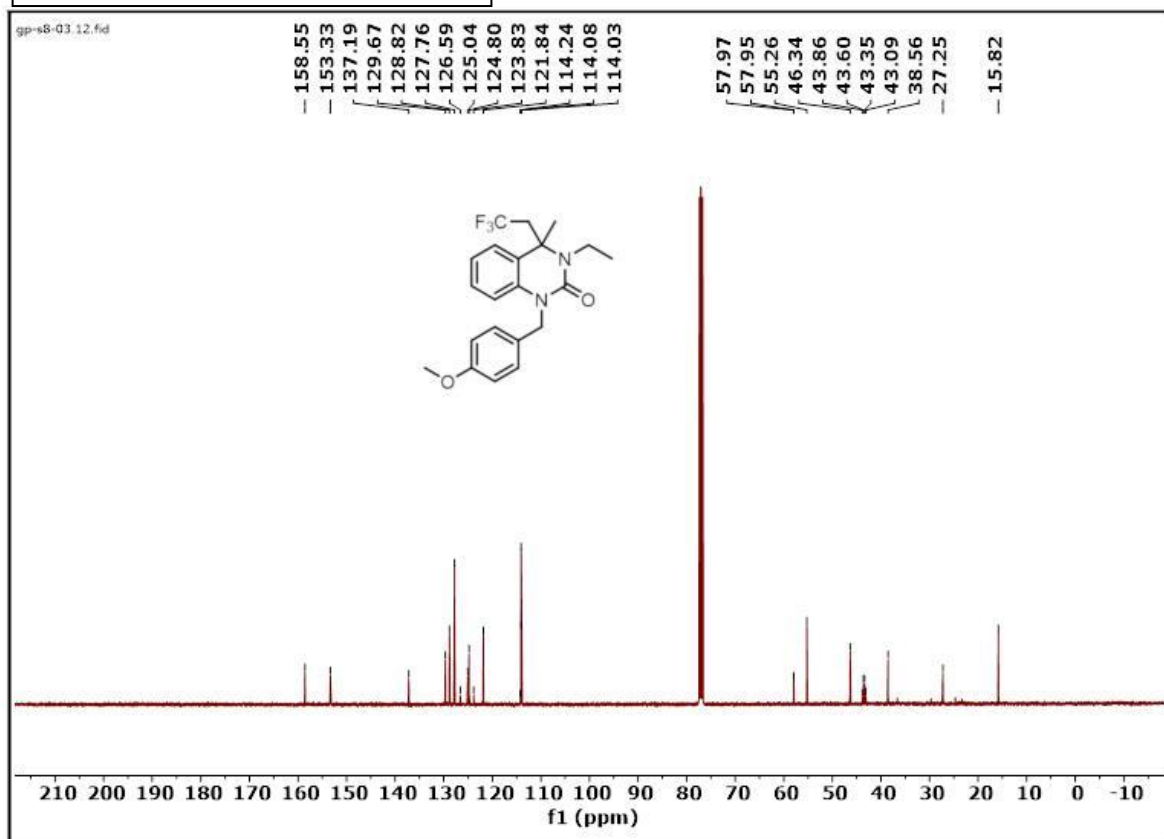
7c (¹⁹F NMR 377 MHz, CDCl₃)



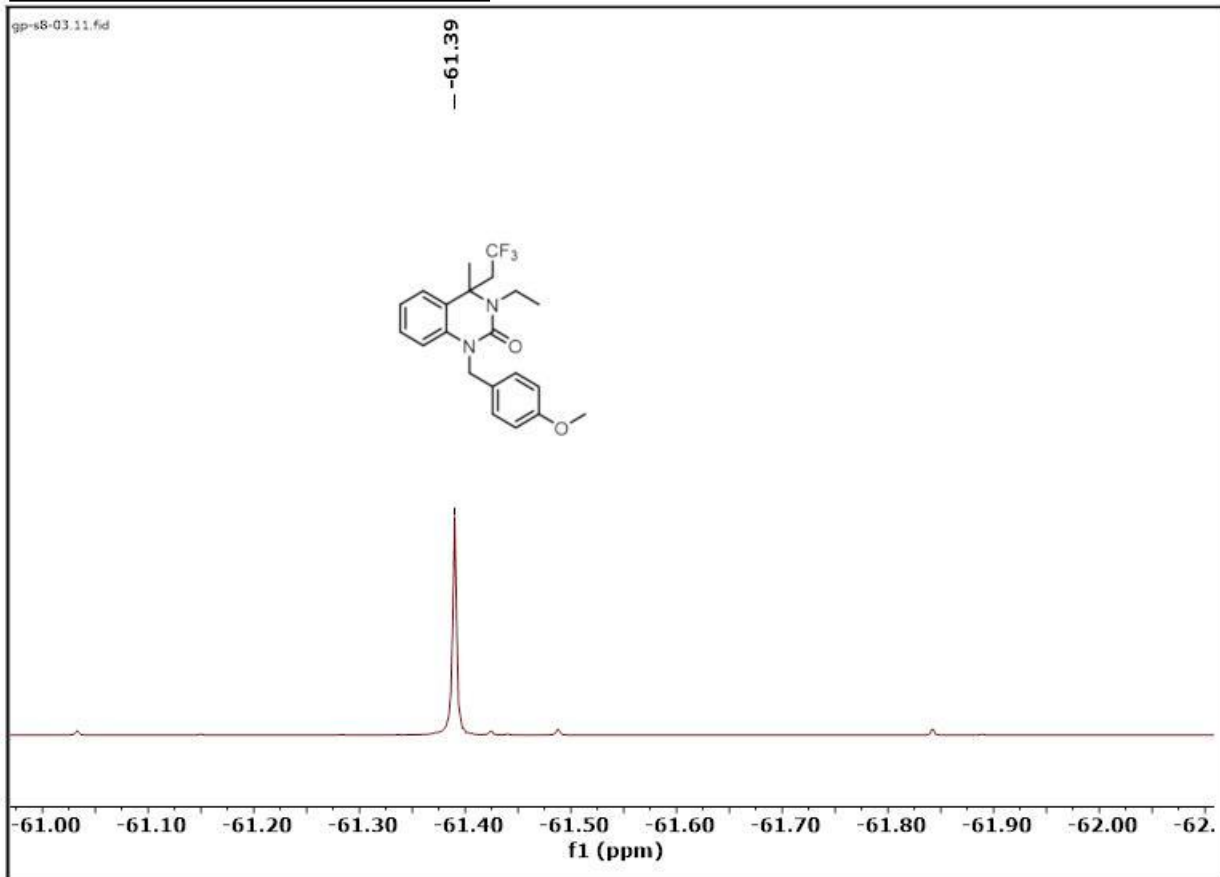
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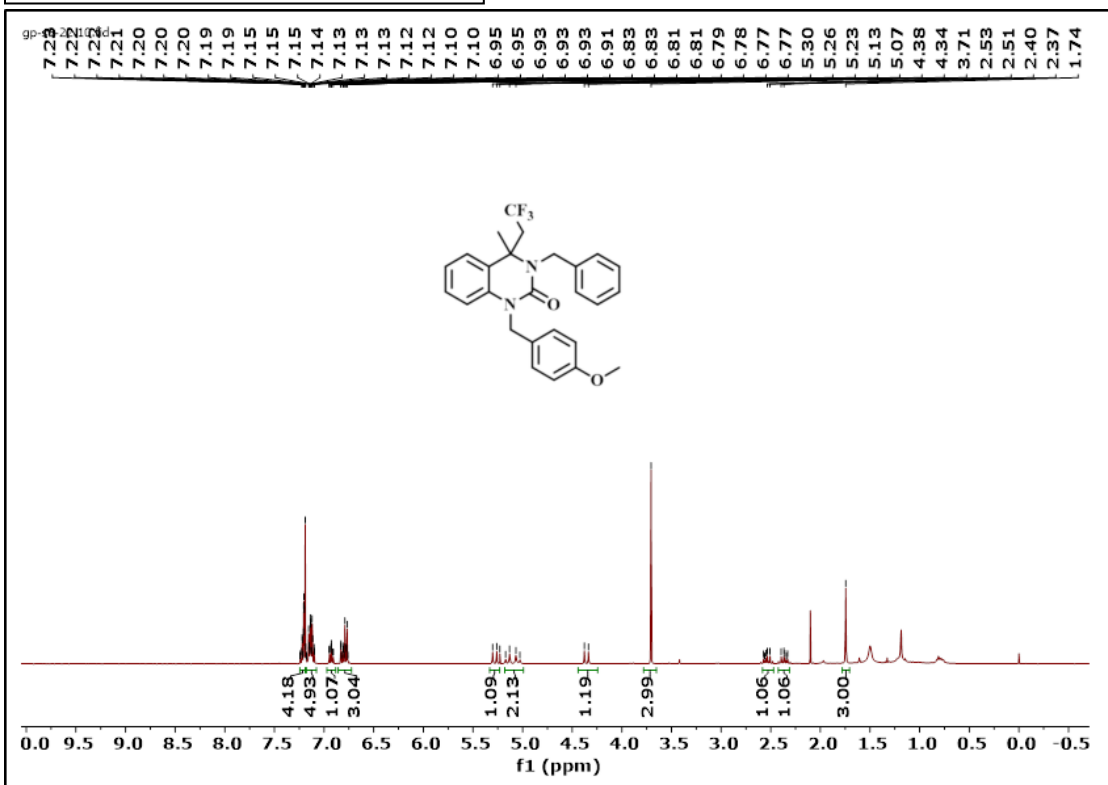
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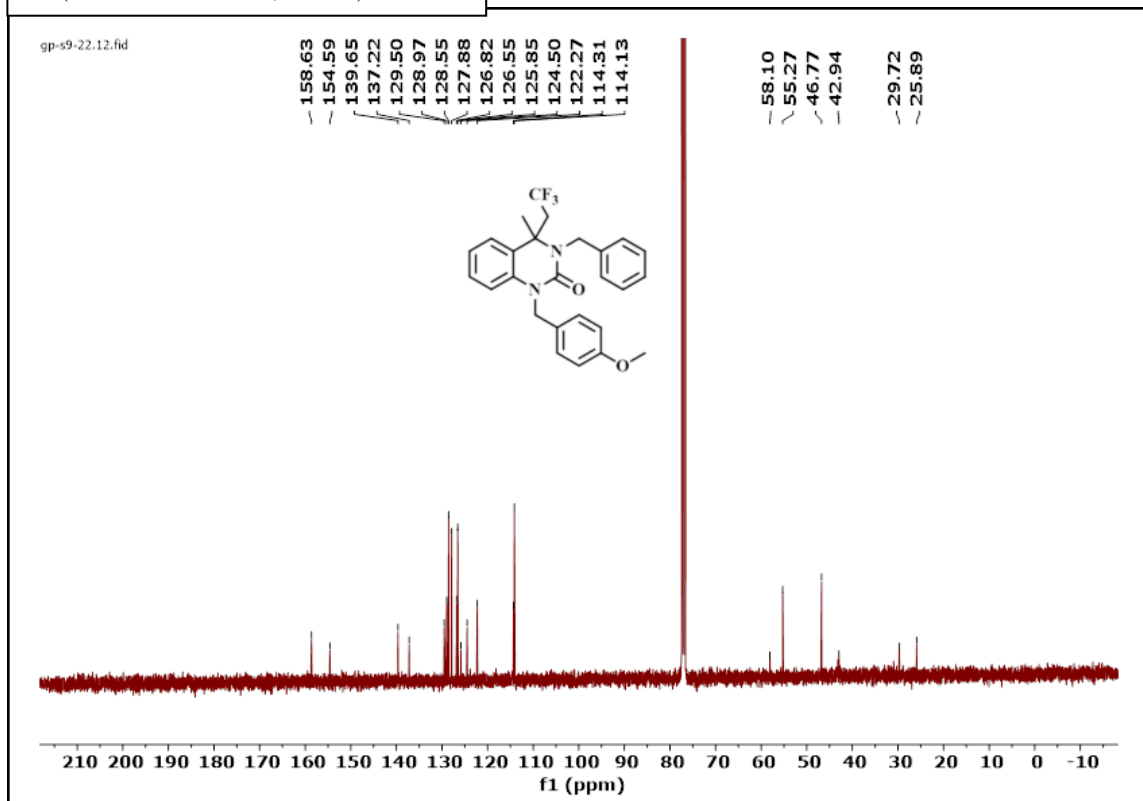
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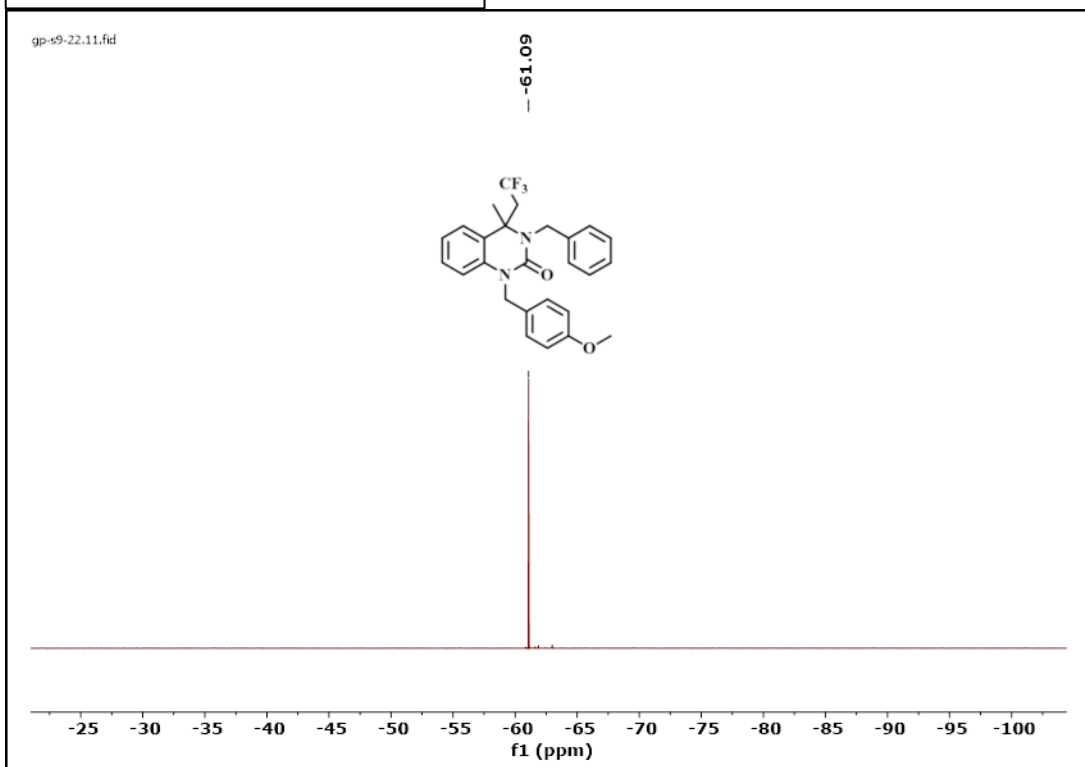
7e (¹HNMR 400 MHz, CDCl₃)



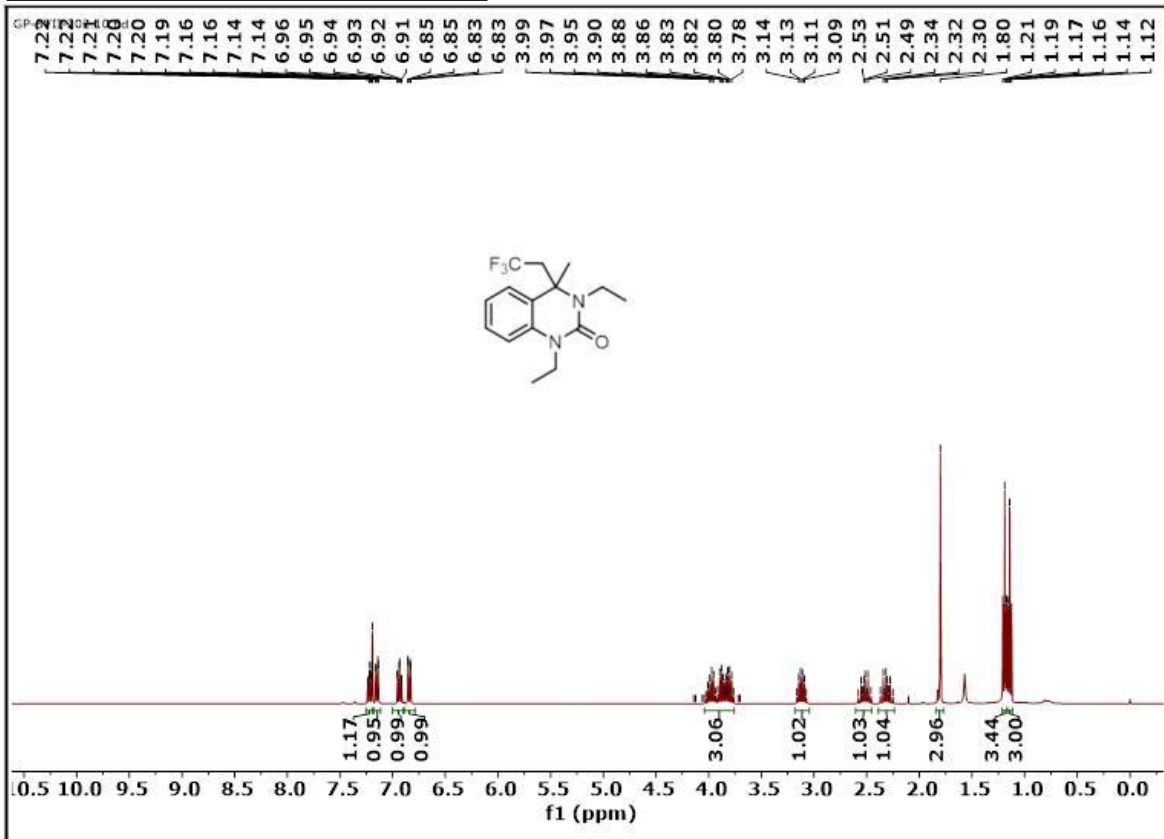
7e (¹³CNMR 101 MHz, CDCl₃)



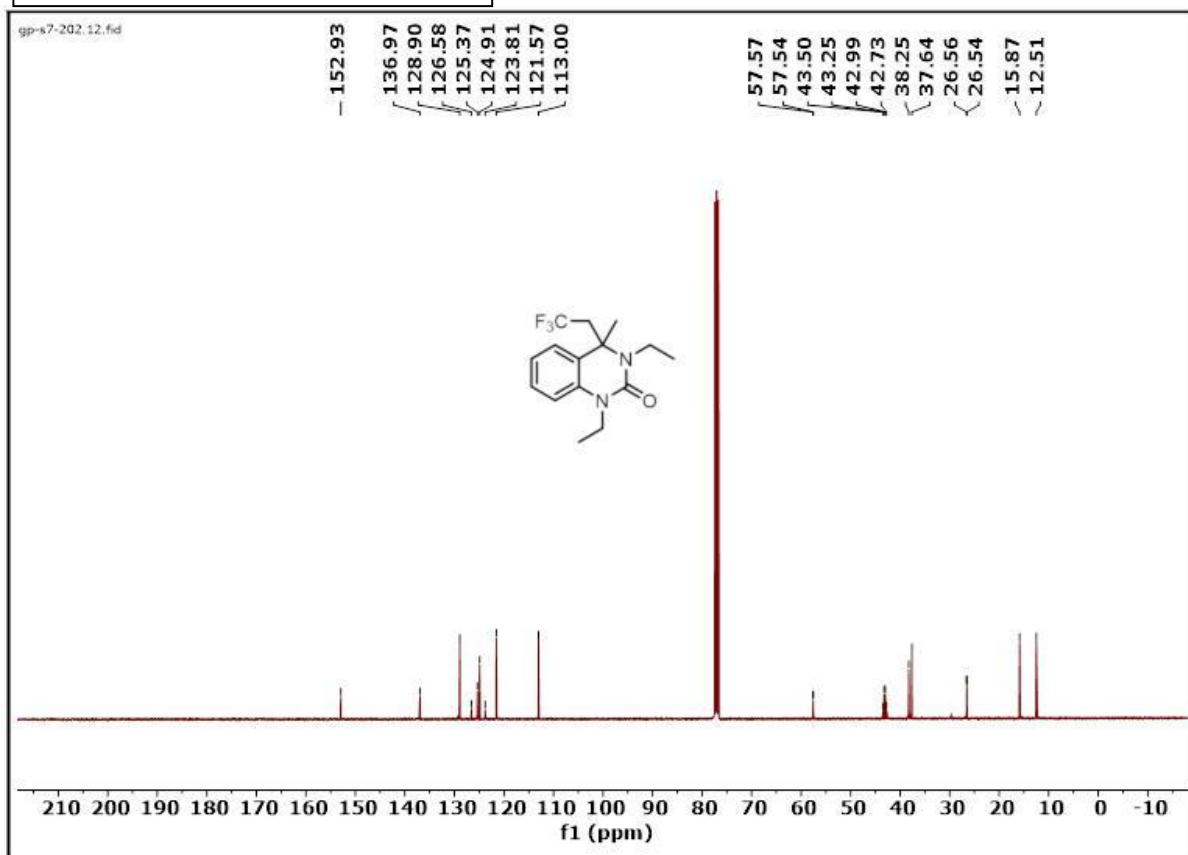
7e (^{19}F NMR 377 MHz, CDCl_3)



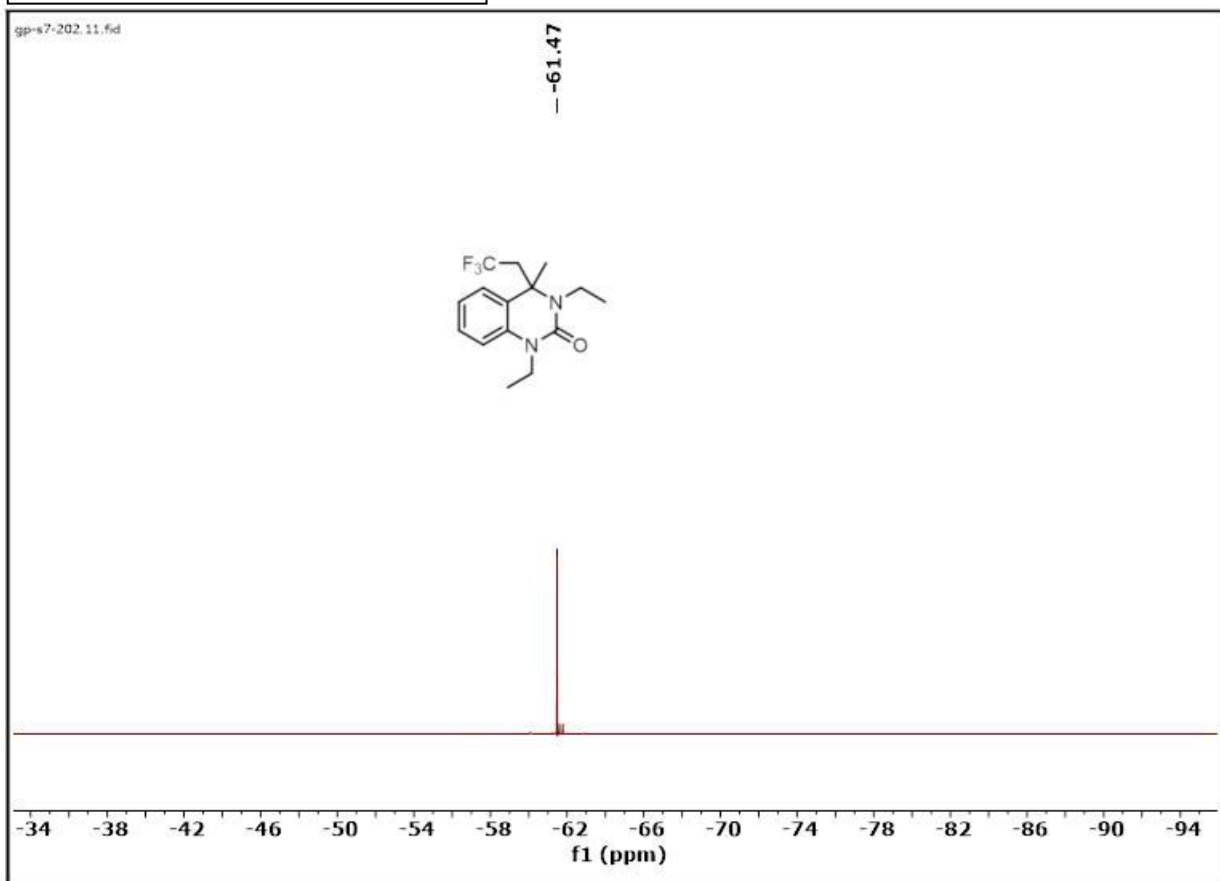
7f (^1H NMR 400 MHz, CDCl_3)



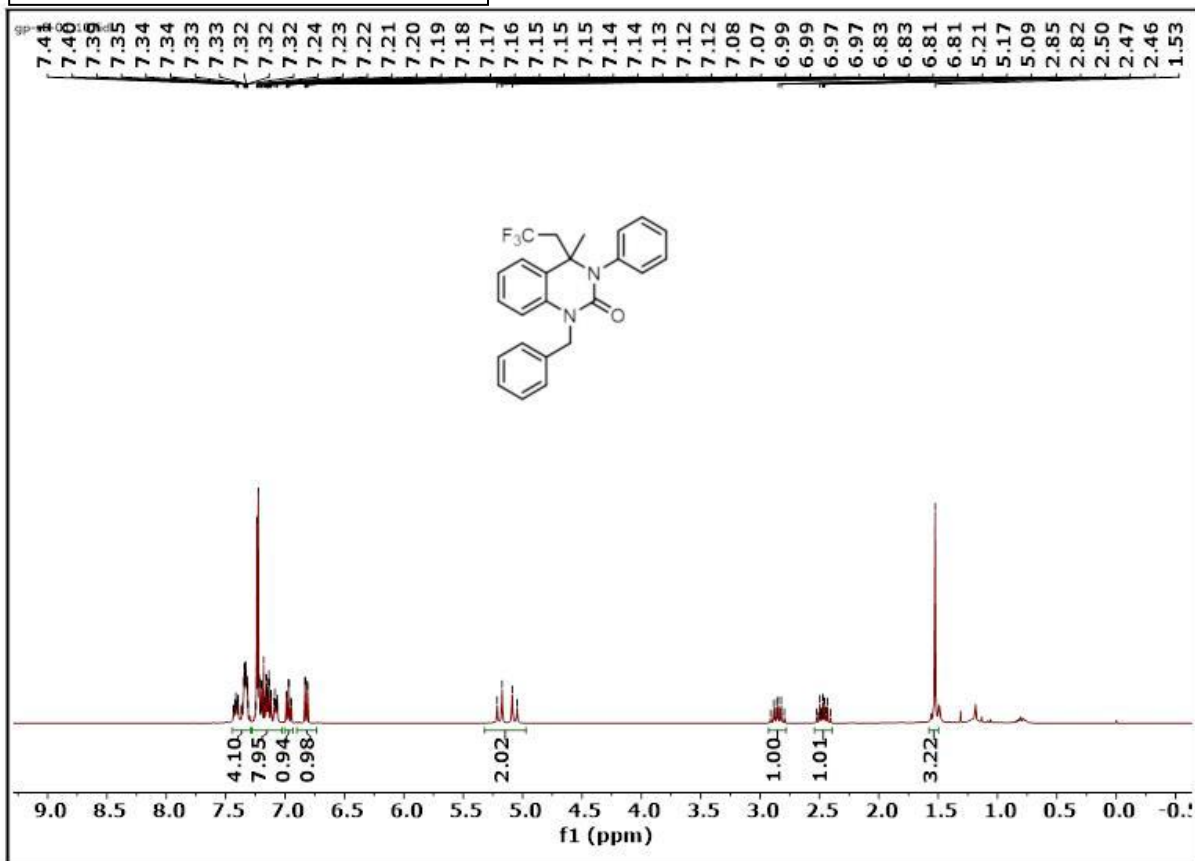
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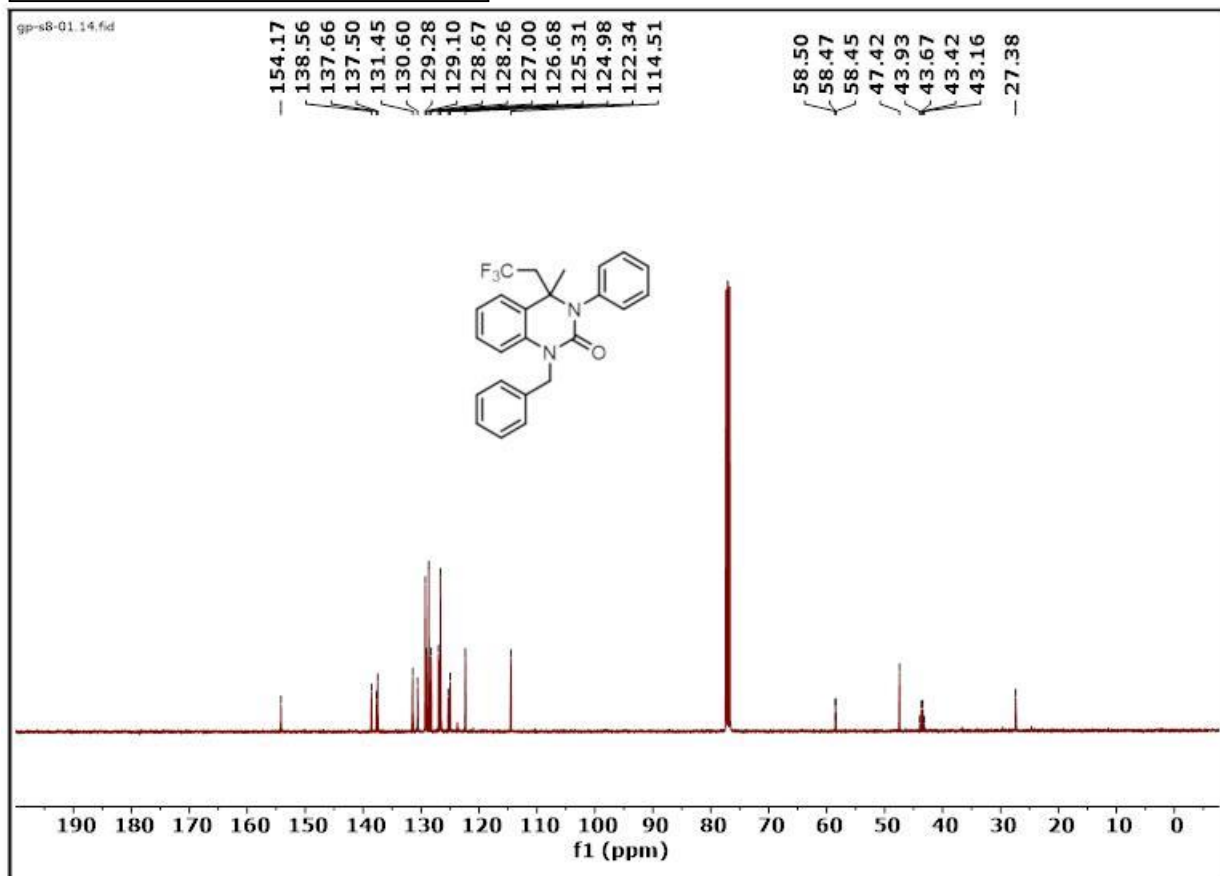
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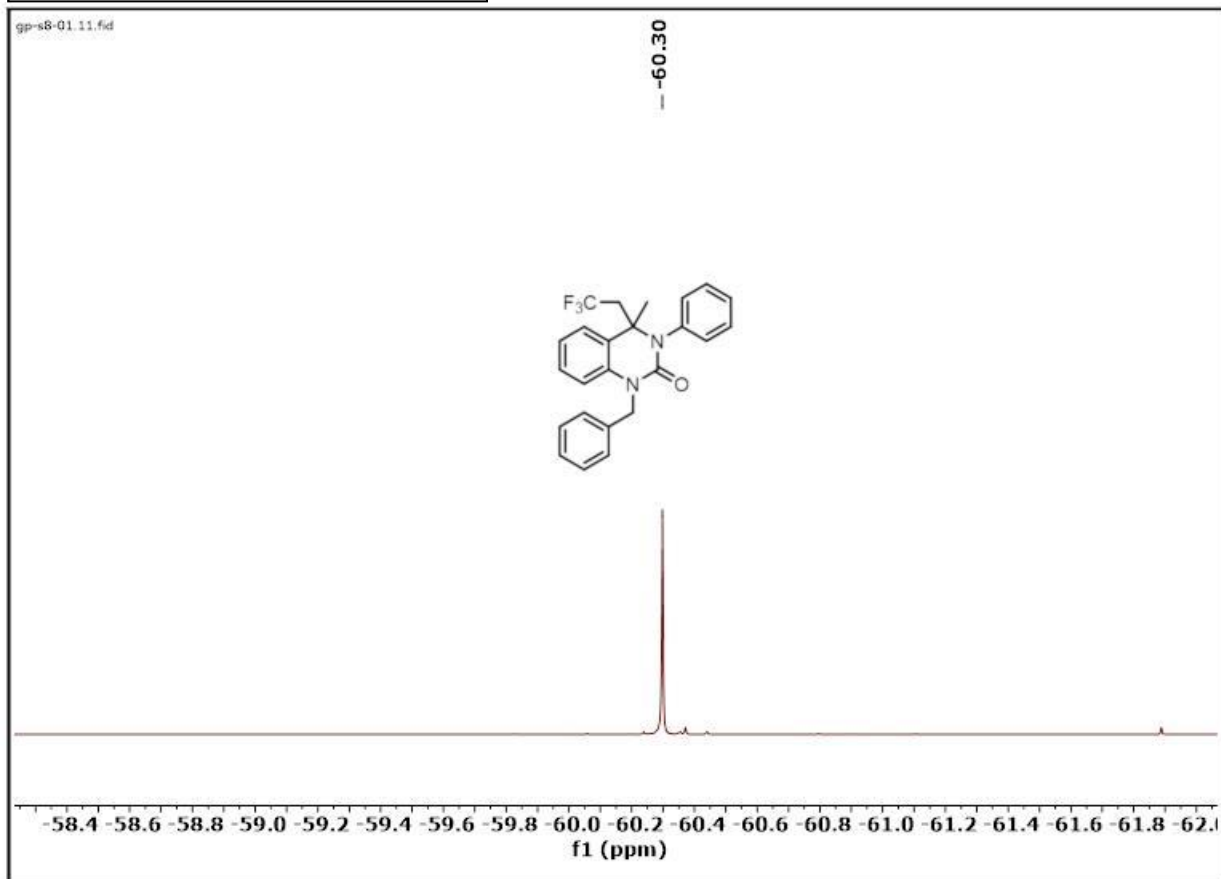
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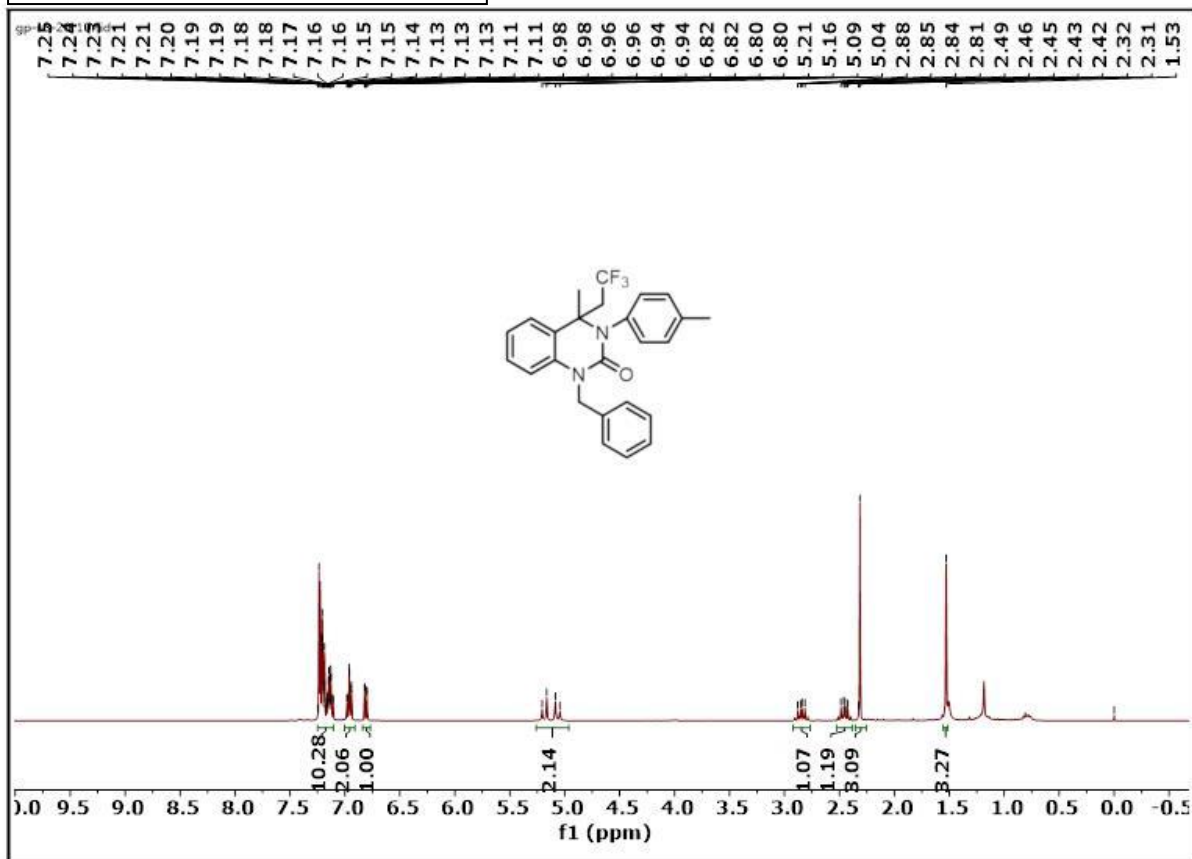
7g (¹³CNMR 101 MHz, CDCl₃)



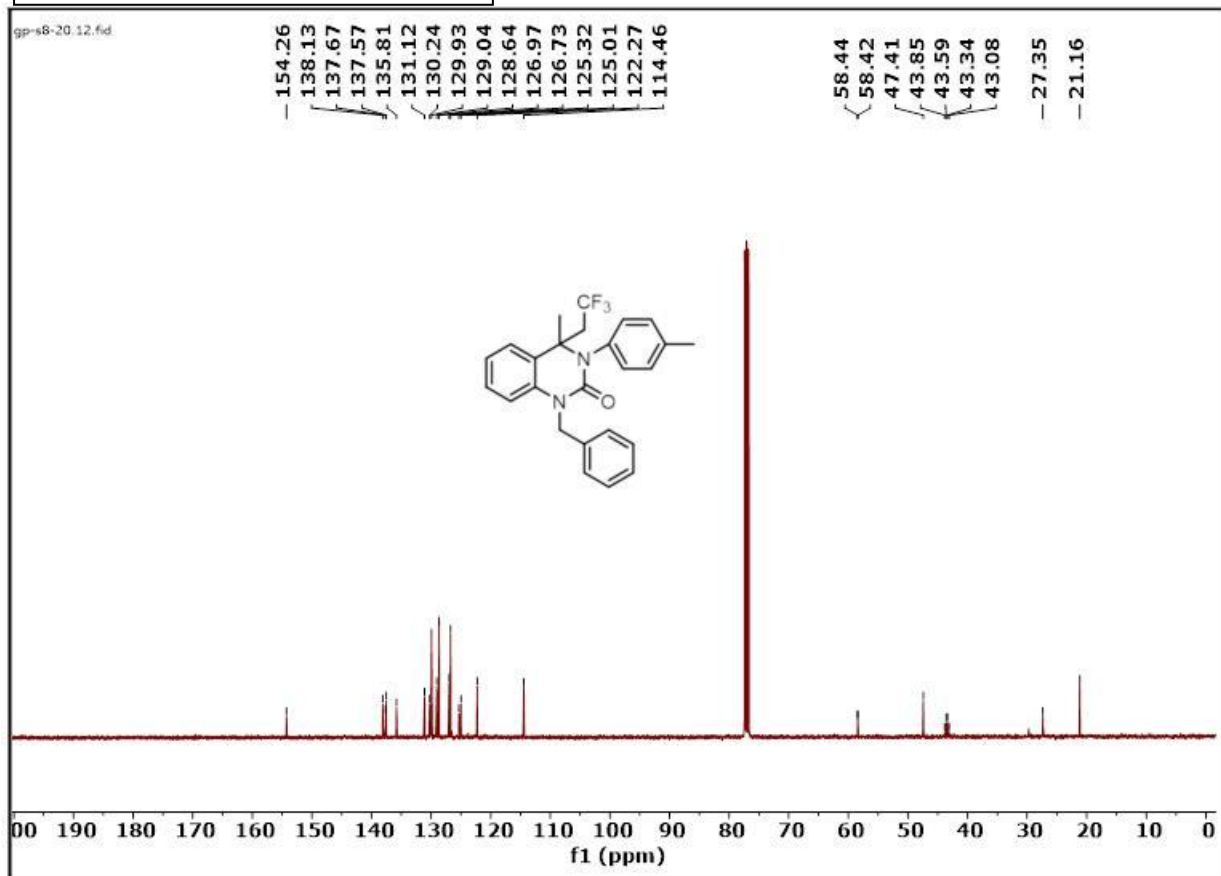
7g (¹⁹F NMR 377 MHz, CDCl₃)



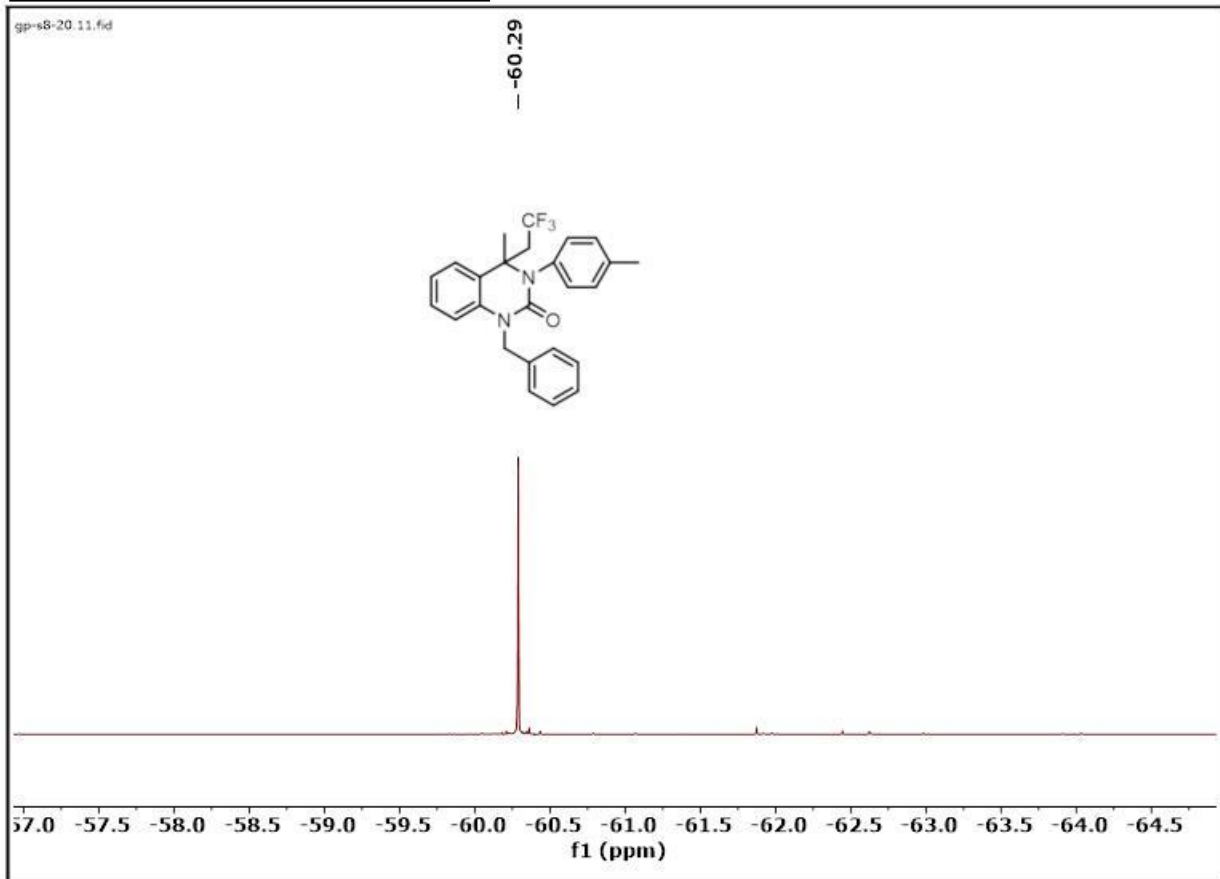
7h (^1H NMR 400 MHz, CDCl_3)



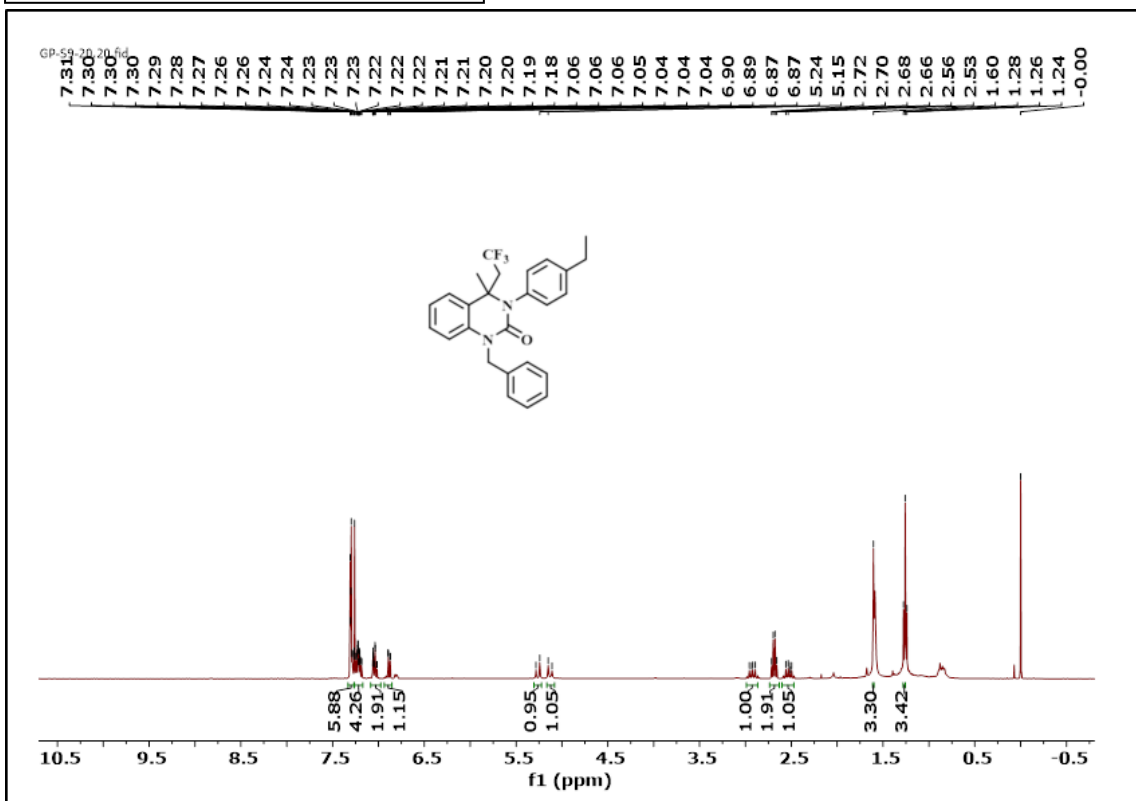
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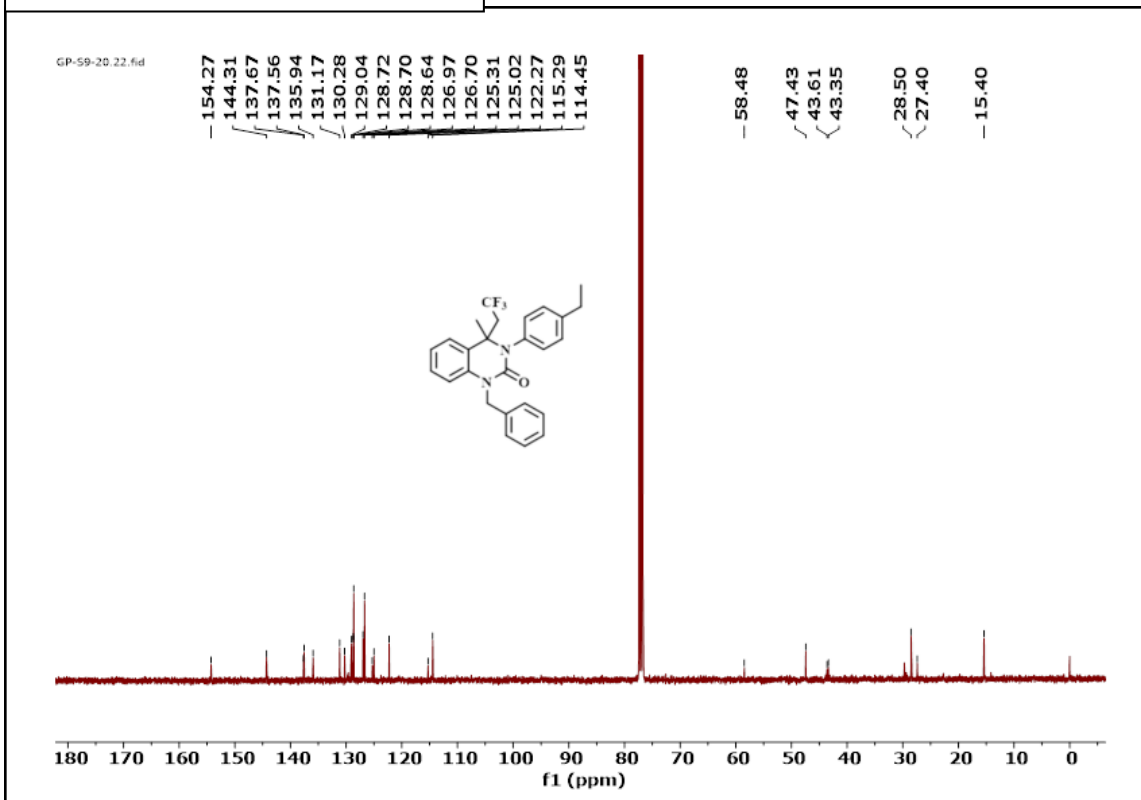
7h (¹⁹F NMR 377 MHz, CDCl₃)



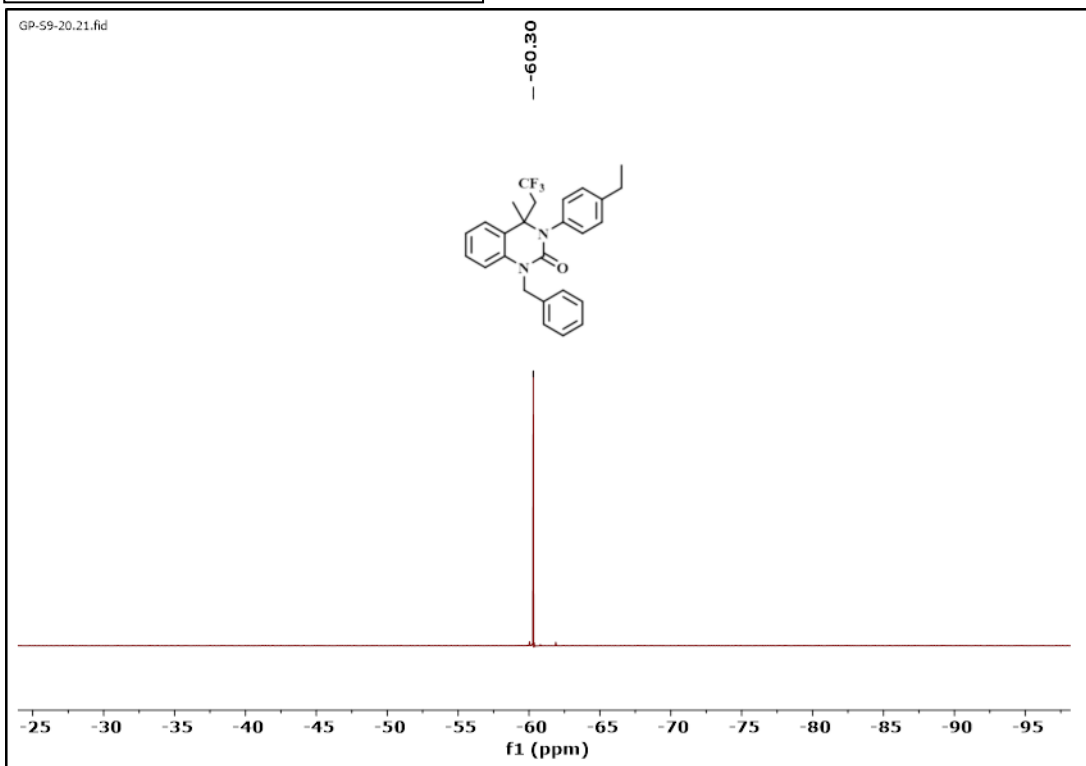
7i (¹H NMR 400 MHz, CDCl₃)



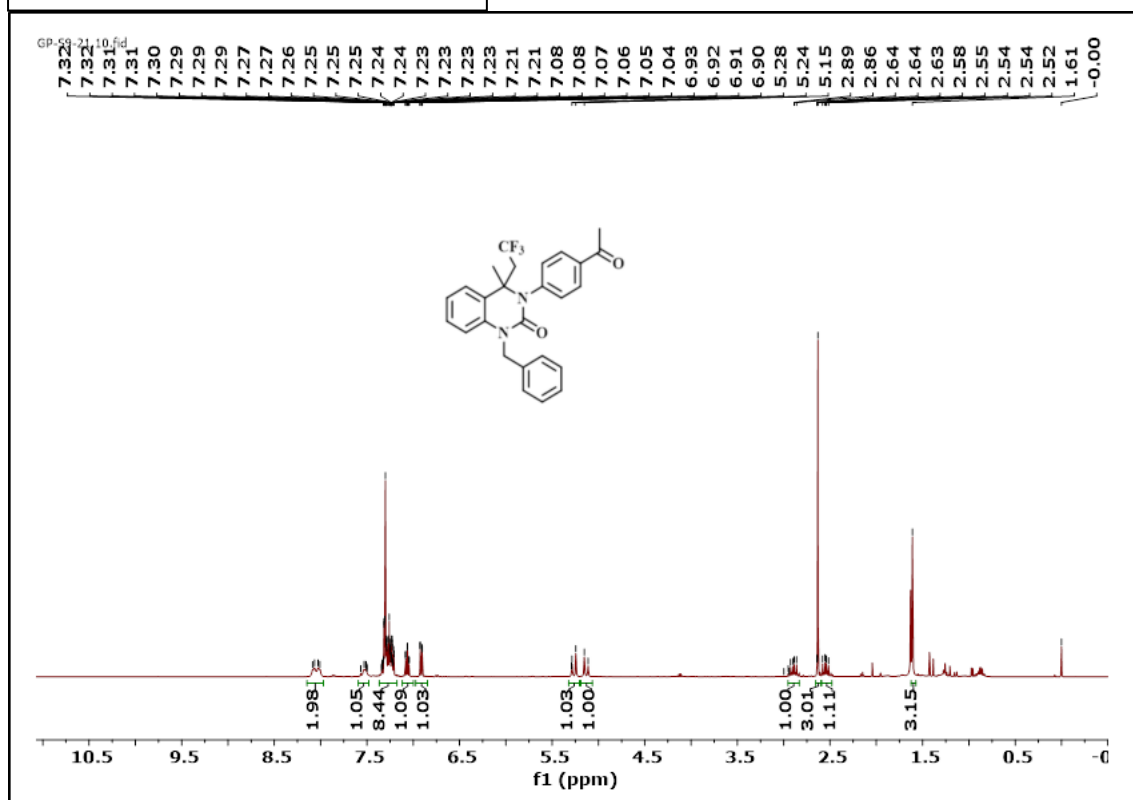
7i (¹³C NMR 101 MHz, CDCl₃)



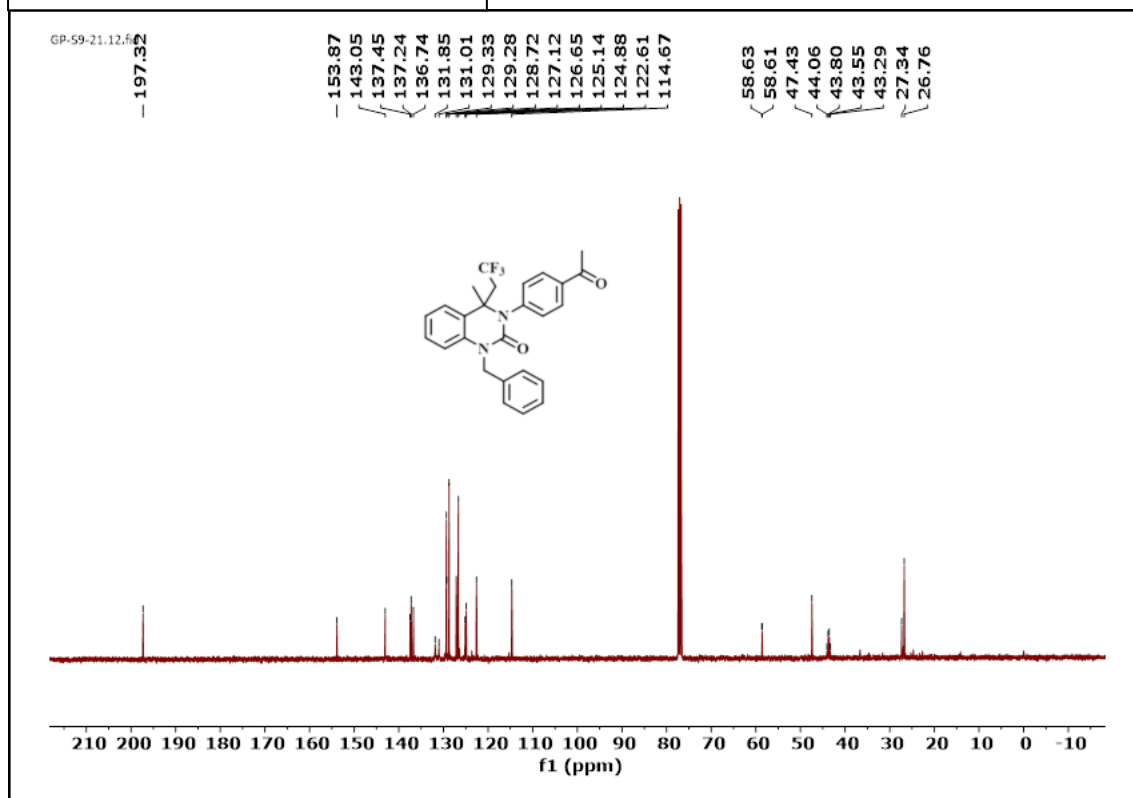
7i (¹⁹F NMR 377 MHz, CDCl₃)



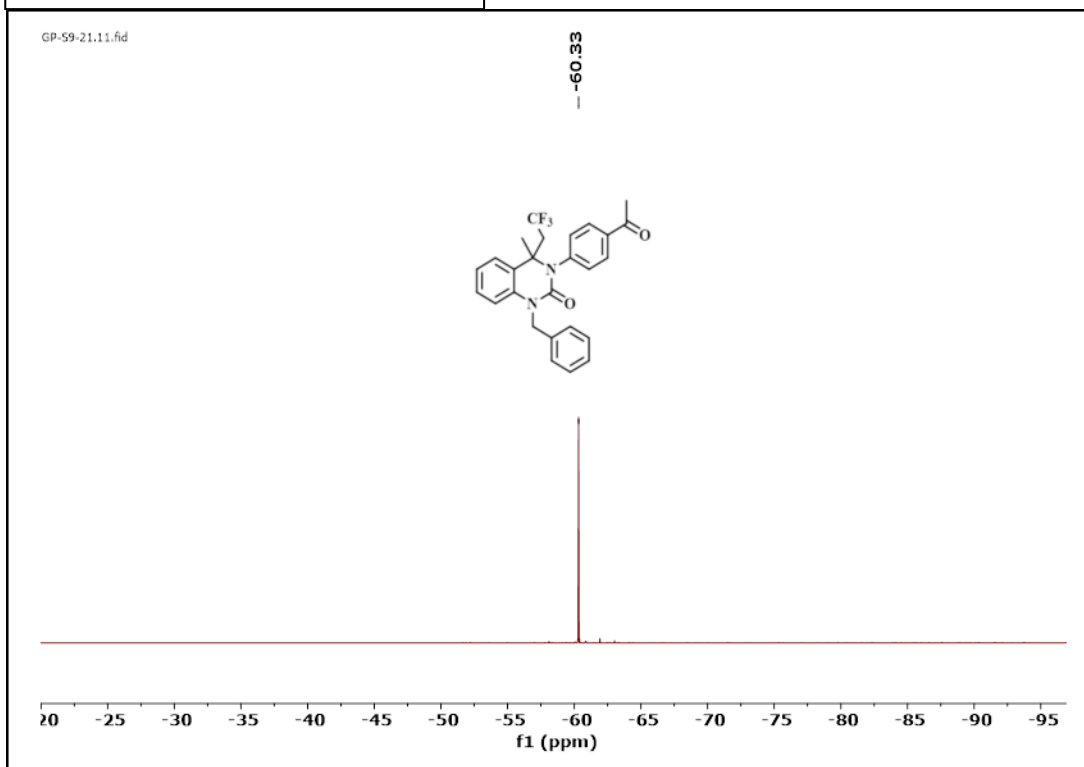
7j (¹HNMR 400 MHz, CDCl₃)



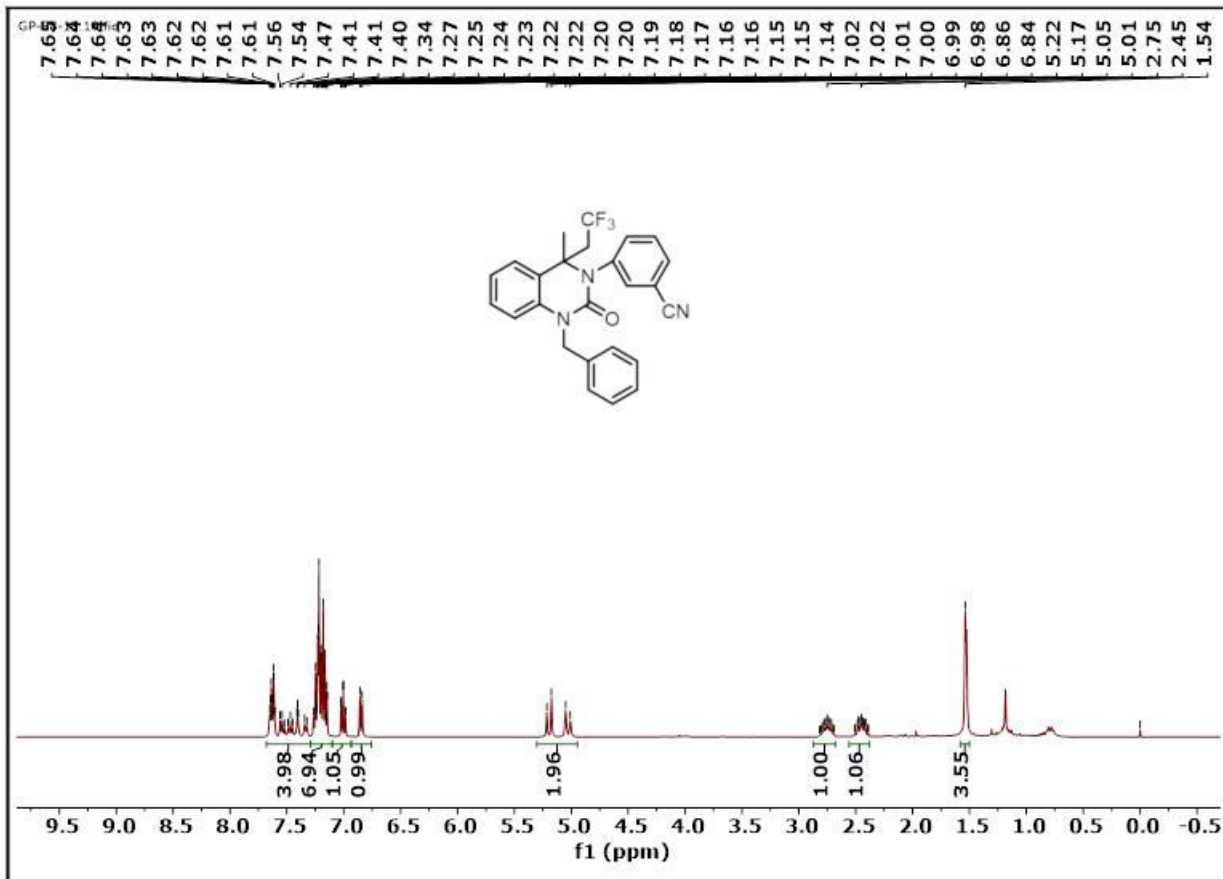
7j (¹³CNMR 101 MHz, CDCl₃)



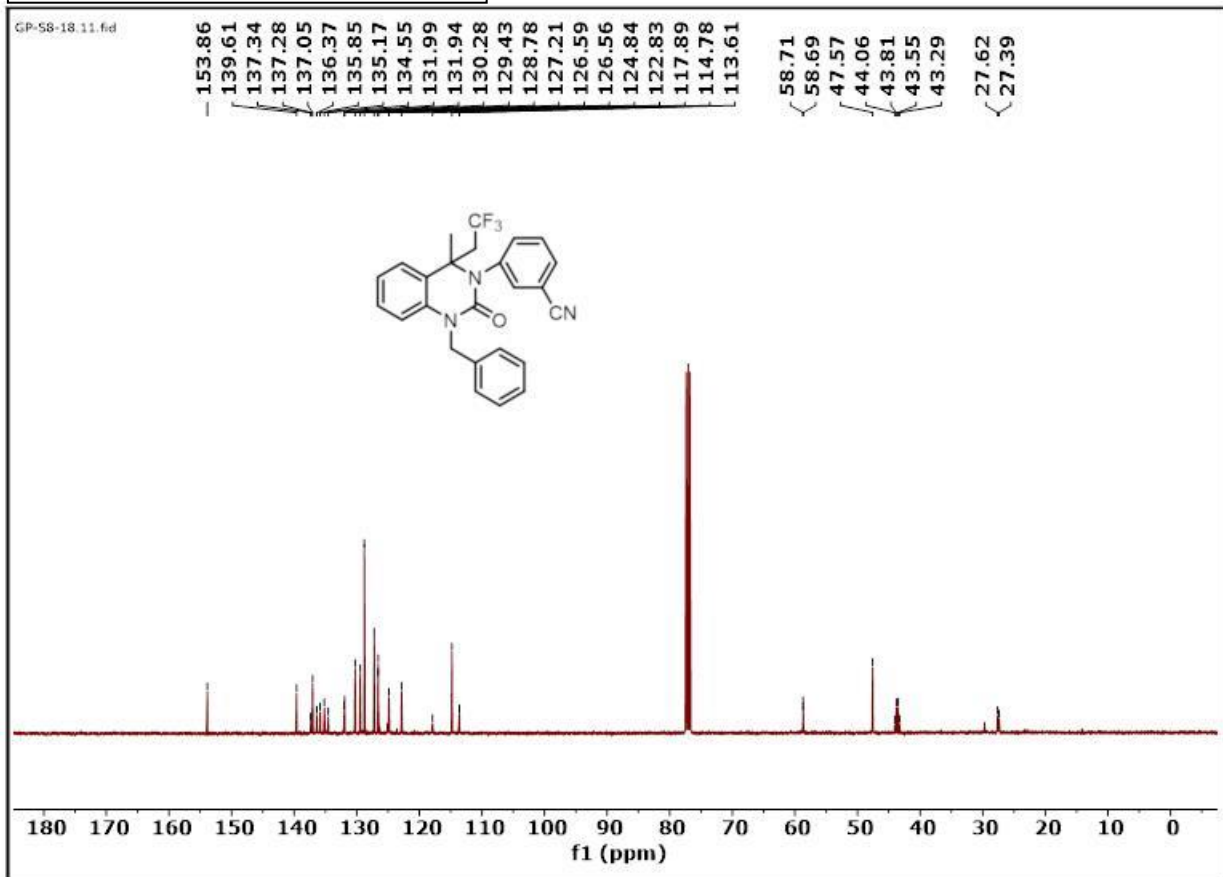
7j (¹⁹F NMR 377 MHz, CDCl₃)



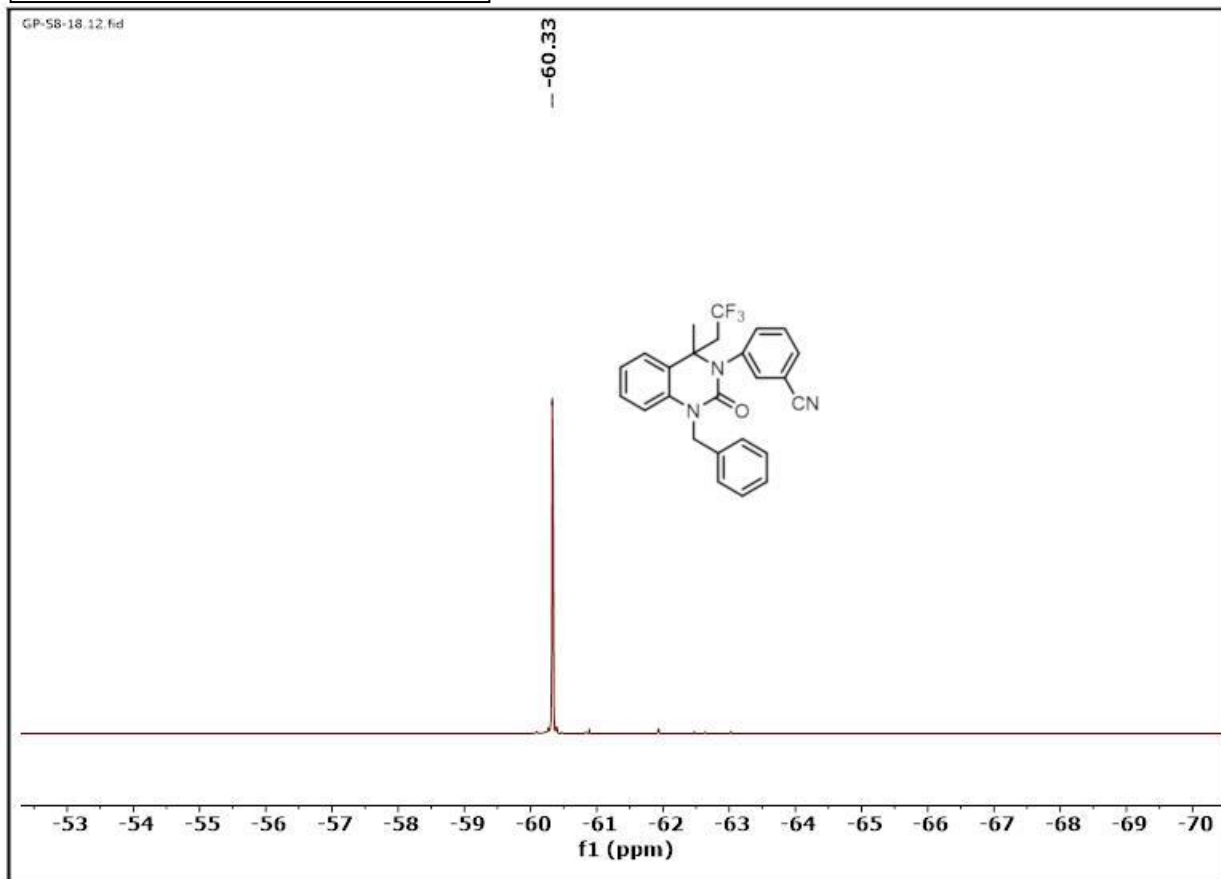
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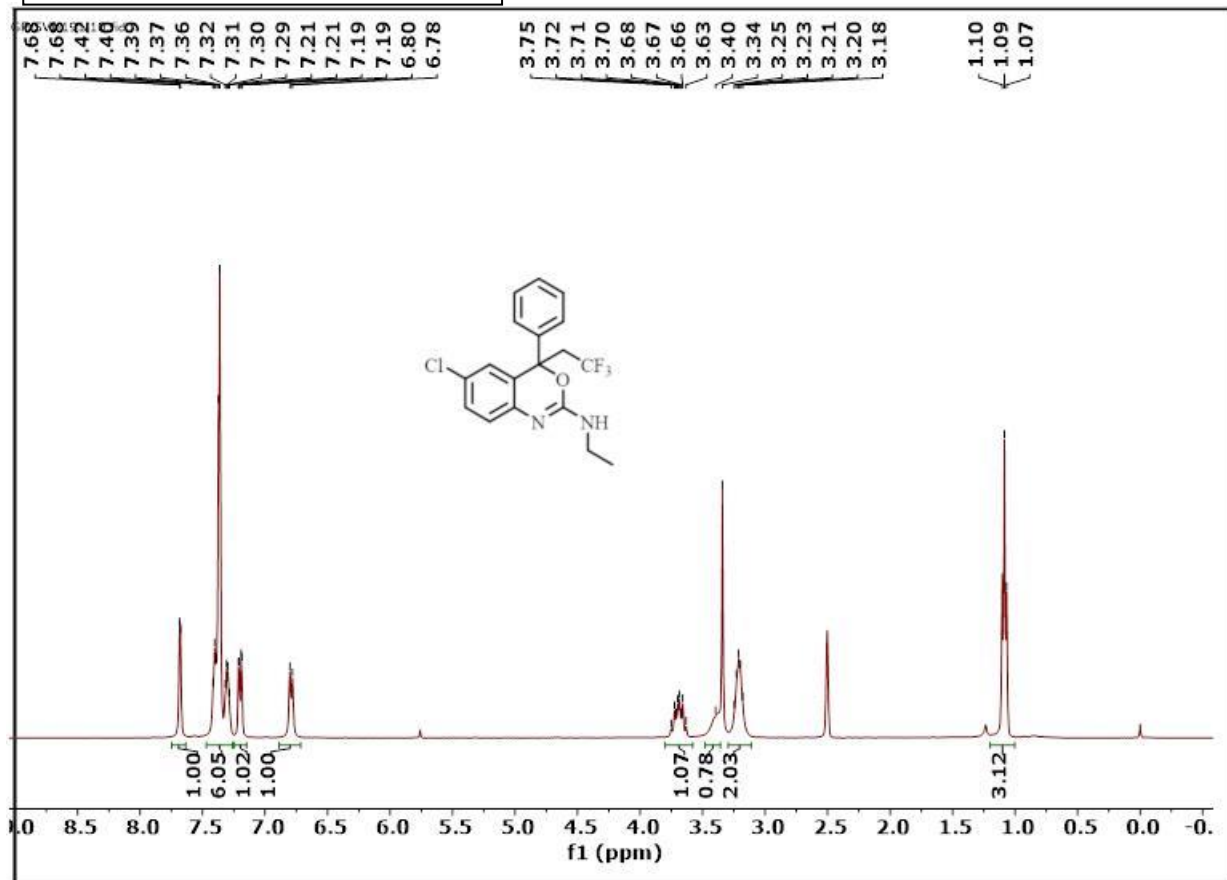
7k (¹³C NMR 101 MHz, CDCl₃)



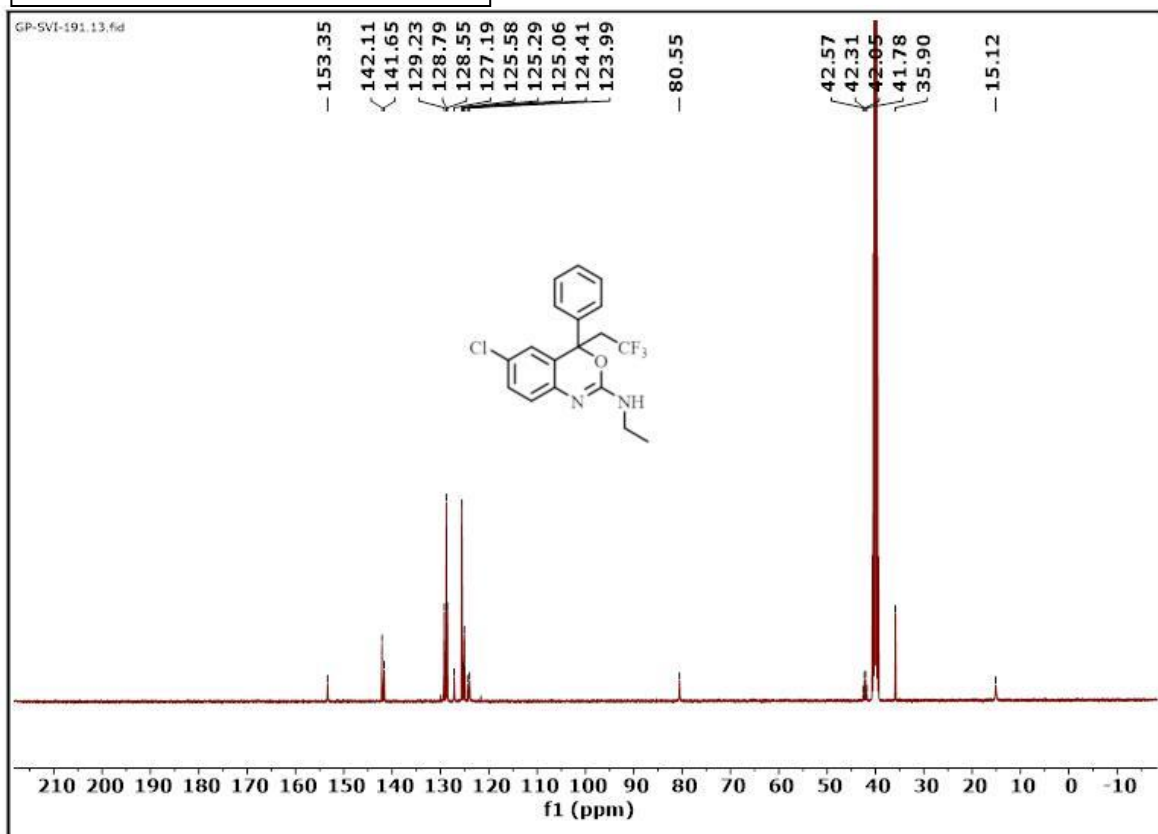
7k (¹⁹F NMR 377 MHz, CDCl₃)



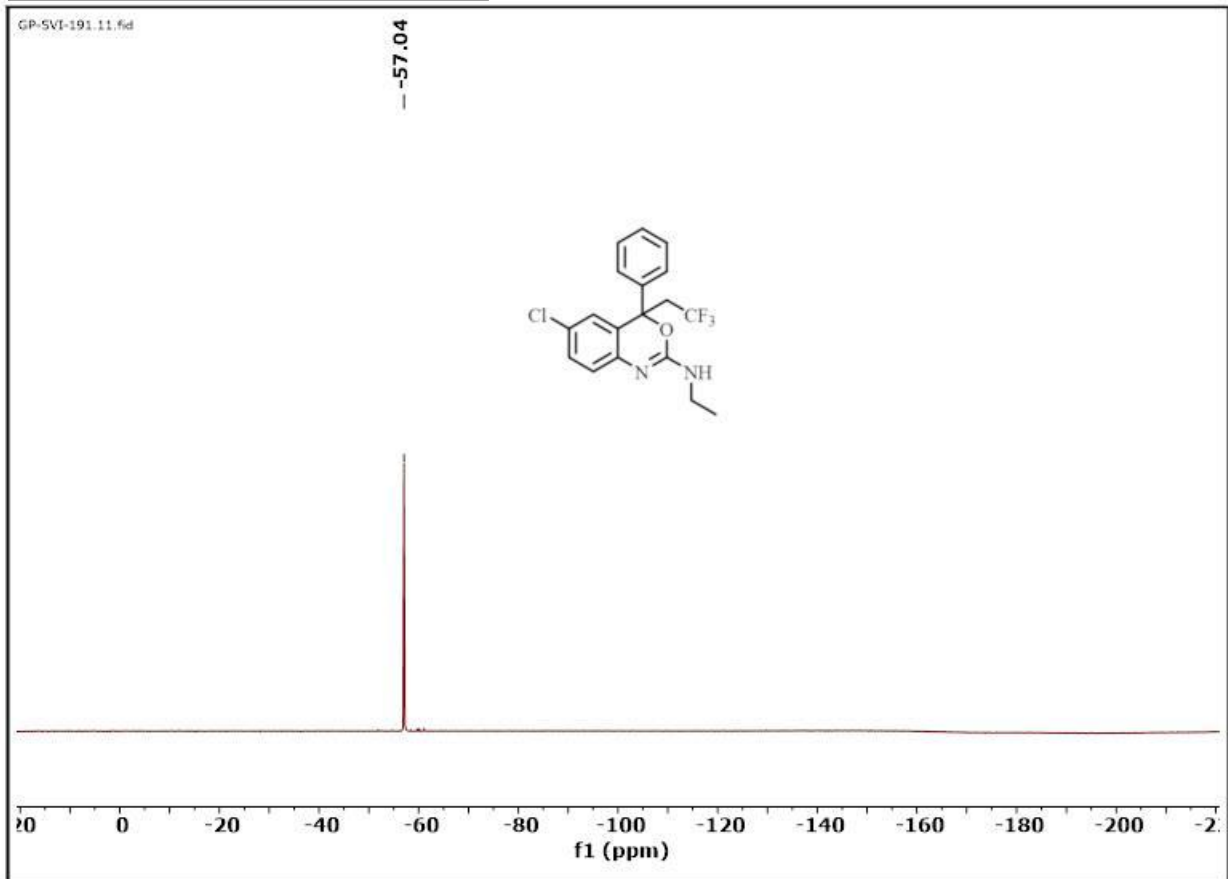
5p (^1H NMR 400 MHz, CDCl_3)



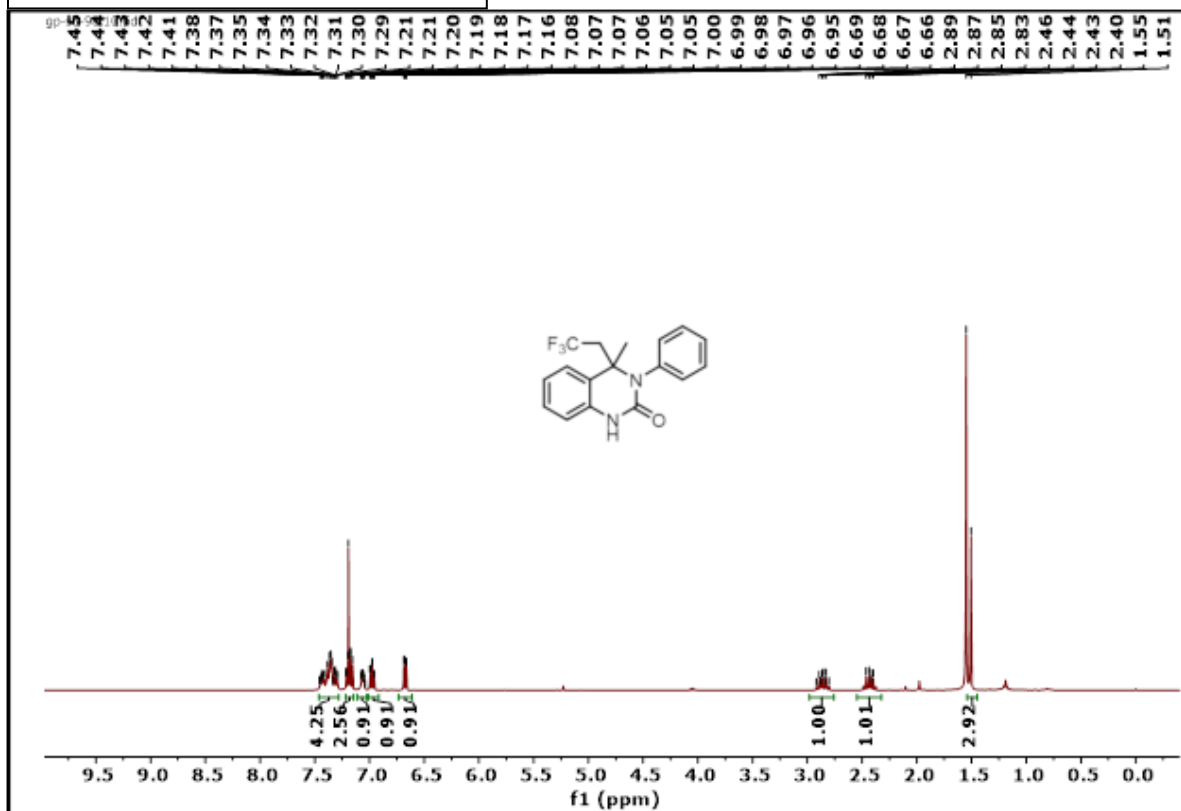
5p (^{13}C NMR 101 MHz, CDCl_3)



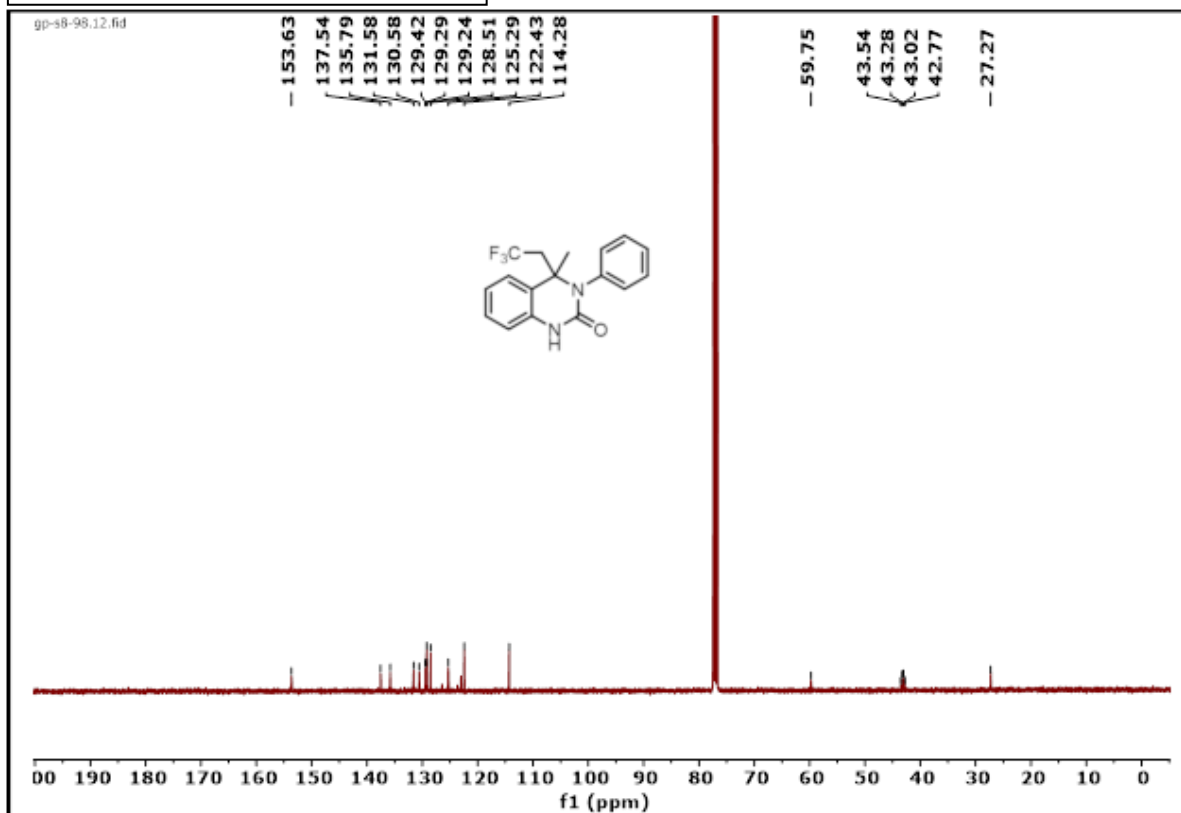
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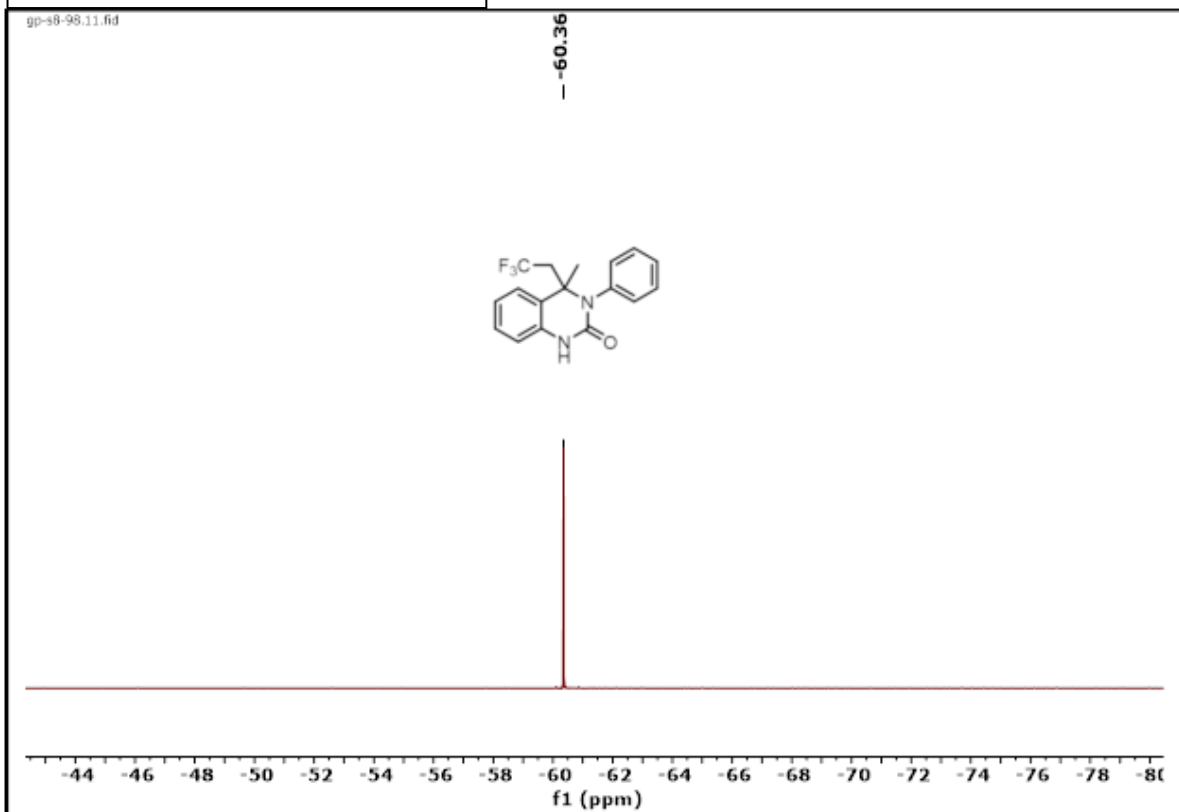
8 (¹HNMR 400 MHz, CDCl₃)



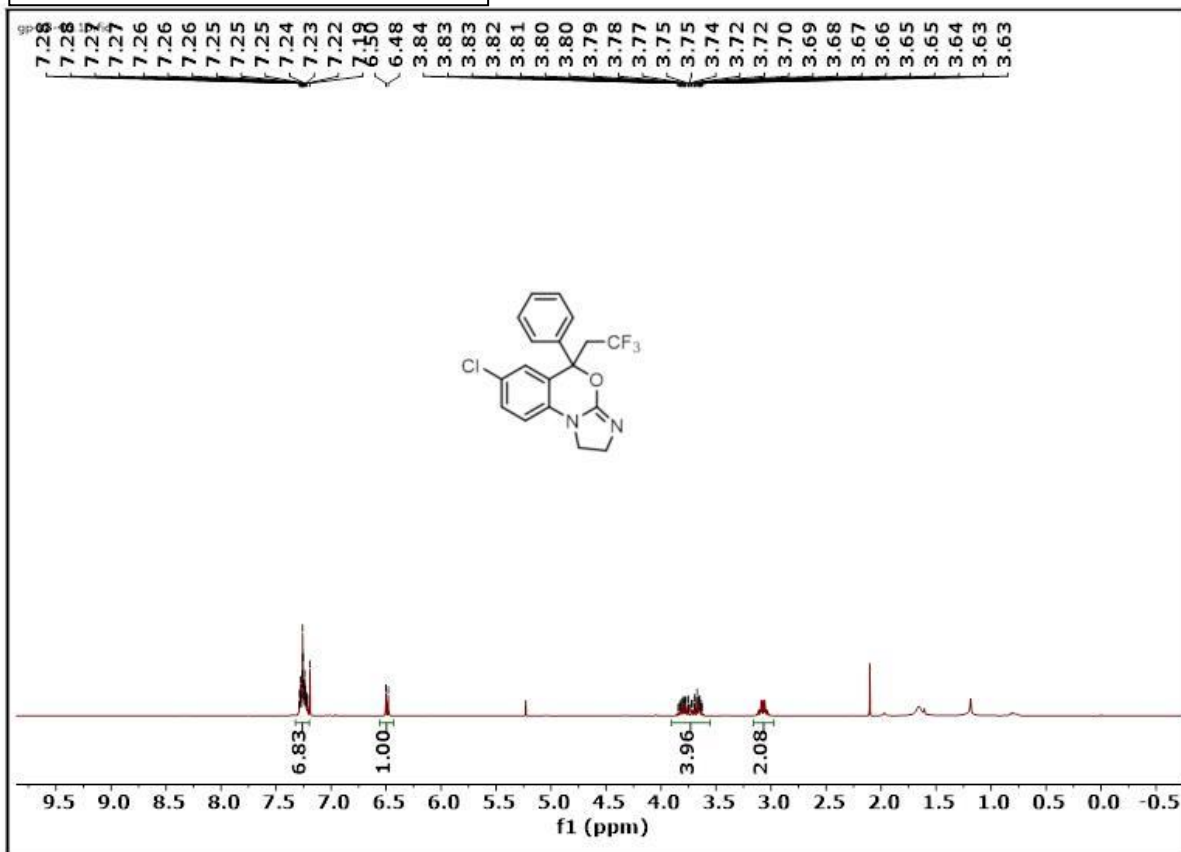
8 (¹³CNMR 101 MHz, CDCl₃)



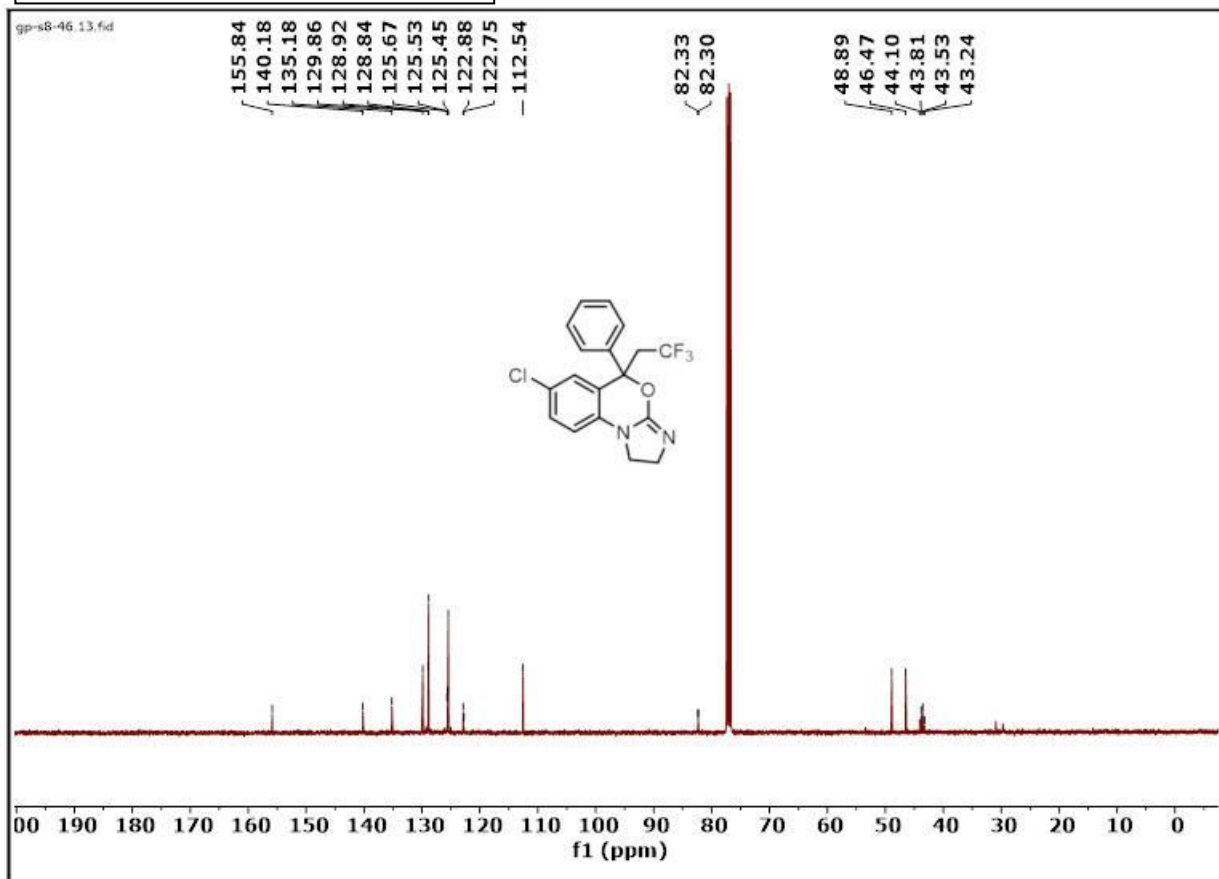
8 (¹⁹F NMR 377 MHz, CDCl₃)



9 (¹H NMR 400 MHz, CDCl₃)



9 (¹³C NMR 101 MHz, CDCl₃)



9 (¹⁹F NMR 377 MHz, CDCl₃)

