Supplementary Information

Palladium-catalyzed four-component cascade carbonylative cyclization to access carbonyl-bridged bisheterocycles

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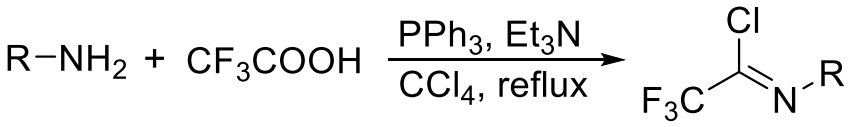
Contents

1. General Information

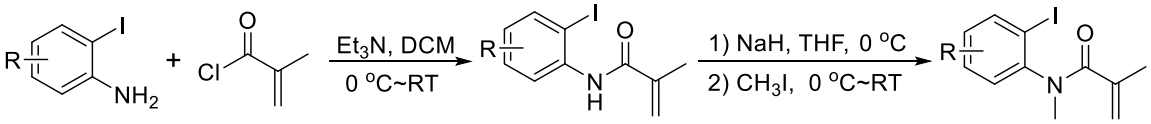
Unless otherwise noted, all reactions were carried out under air atmosphere. All reagents were from commercial sources and used as received without further purification. All solvents were dried by standard techniques and distilled prior to use. Column chromatography was performed on silica gel (200-300 meshes) using petroleum ether (bp. 60~90 °C) and ethyl acetate as eluent. ^{1}NMR spectra were recorded on a Bruker Avance operating at for ^{1}H NMR at 400 MHz, ^{13}C NMR at 100 MHz and ^{19}F NMR at 377 MHz and spectral data were reported in ppm relative to tetramethylsilane (TMS) as internal standard and $CDCl_3$ (^{1}H NMR δ 7.26, ^{13}C NMR δ 77.16) as solvent. All coupling constants (*J*) are reported in Hz. The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, dd = double doublet, ddd = double doublet of doublets, t = triplet, dt = double triplet, q = quatriplet, m = multiplet, br = broad. Gas chromatography (GC) analyses were performed on a Shimadzu GC-2014C chromatograph equipped with a FID detector. Mass spectra (MS) were measured on spectrometer by direct inlet at 70 eV. Mass spectroscopy data of the products were collected on an HRMS-TOF instrument or Waters TOFMS GCT Premier using EI or ESI ionization. Melting points were measured with WRR digital point apparatus and not corrected.

1.1 Preparation of Fluorinated Imidoyl Chlorides[1]

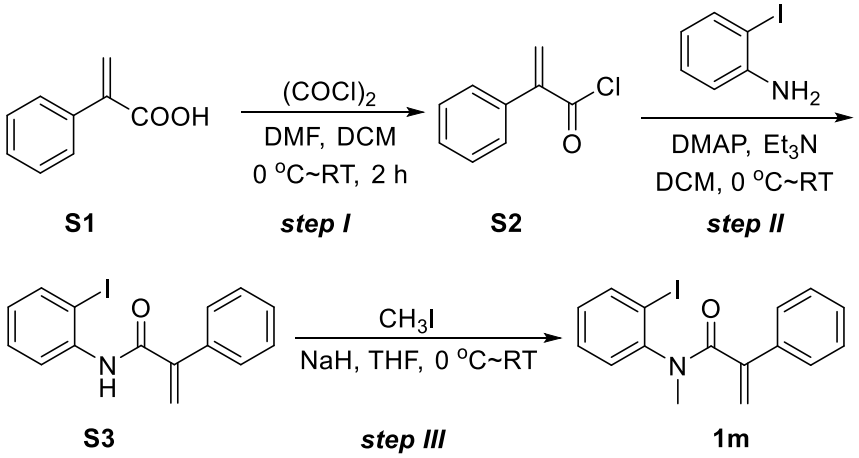


A 200 mL two-necked flask equipped with a septum cap, a condenser, and a Tefloncoated magnetic stir bar was charged with PPh_3 (34.5 g, 132 mmol), Et_3N (7.3 mL, 53 mmol), CCl_4 (21.1 mL, 220 mmol), and TFA (3.4 mL, 44 mmol). After the solution was stirred for about 10 min (ice bath), amine (53 mmol) dissolved in CCl_4 (21.1 mL, 220 mmol) was added. The mixture was then refluxed under stirring (3 h). After the reaction was completed, residual solid Ph_3PO, PPh_3 and Et_3N-HCl were washed with hexane several times. Then the hexane was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel or neutral alumina to afford the corresponding product.

1.2 General Procedure for the Synthesis of Substrates 1



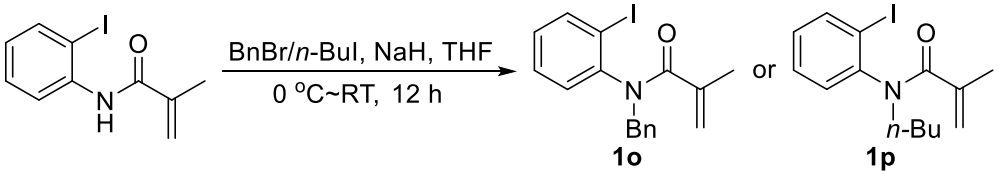
To a mixture of 2-haloaniline (1.0 mmol, 1.0 equiv), DMAP (6.0 mg, 0.05 mmol, 5 mol%), and Et_3N (0.28 mL, 2.0 mmol, 2.0 equiv) in DCM (4.0 mL) was added acryloyl chloride (1.2 mmol, 1.2 equiv) at -20 °C dropwise. After stirring at -20 °C for 30 min and then room temperature overnight, the mixture was quenched with saturated $NaHCO_3$, extracted with DCM, washed with brine, and dried over anhydrous Na_2SO_4. After filtration and concentration, the obtained crude amide was used in next step without further purification. NaH (80 mg, 60% in mineral oil, 2.0 mmol, 2.0 equiv) was added to a solution of the above crude amide in THF (4.0 mL) at 0 °C in portions. After stirring for 20 min at 0 °C, MeI (0.19 mL, 3.0 mmol, 3.0 equiv) was added dropwise and the reaction mixture was stirred at room temperature for another 2 h. The reaction was quenched with water and the resulting mixture was extracted with EtOAc twice. The combined organic phase was washed with brine, dried over anhydrous Na_2SO_4, followed by filtration and concentration of organic phase. The residue was separated by column chromatography on silica gel with eluent (PE/EtOAc = 15:1 ~ 5:1) to afford the corresponding products **1a-l**.[2]



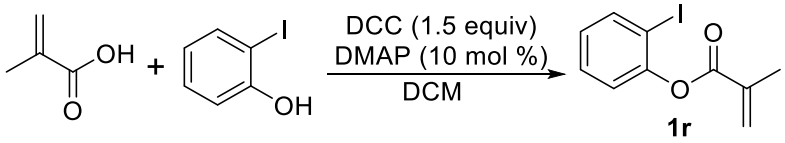
Step I: To a solution of 2-phenylacrylic acid (**S1**, 148.2 mg, 1.0 mmol, 1.0 equiv) and DMF (4 drops) in DCM was added oxalyl chloride (171 μL, 2.0 mmol, 2.0 equiv) dropwise at 0 °C. The reaction mixture was then stirred at room temperature for 2 hours. When the reaction was completed, the excess oxalyl chloride was removed under reduced pressure. The resulting crude acid chloride **S2** was used in next step without further purification.

Step II: To a solution of 2-iodoaniline (219.0 mg, 1.0 mmol, 1.0 equiv), DMAP (6.1 mg, 0.05 mmol, 0.05 equiv), and Et_3N (278 μL, 2.0 mmol, 2.0 equiv) in DCM (2.0 mL) at 0 °C was added 2-phenylacryloyl chloride (**S2**, 249.9 mg, 1.5 mmol, 1.5 equiv) dropwise. The reaction mixture was stirred at room temperature for overnight. The reaction system was then quenched with saturated $NaHCO_3$ solution and extracted with DCM. The combined organic phase was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford crude amide **S3**, which was used in next step without further purification.

Step III: To a solution of **S3** (349.2 mg, 1.0 mmol, 1.0 equiv) in THF (5.0 mL) at 0 °C was added NaH (80 mg, 60% in mineral oil, 2.0 mmol, 2.0 equiv) in portions. After stirring at 0 °C for 20 minutes, CH_3I (187 μL, 3.0 mmol, 3.0 equiv) was added dropwise to the reaction mixture, which was allowed to stir at room temperature for additional 2 hours. After the reaction was completed (monitored by TLC), the reaction system was quenched with water and extracted with ethyl acetate. The organic phase was dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by column chromatography flash chromatography on silica gel eluenting with petroleum ether/EtOAc (v/v = 20:1) to afford the desired products **1m**.[3] The substrate **1n** is prepared by the similar method.[4]

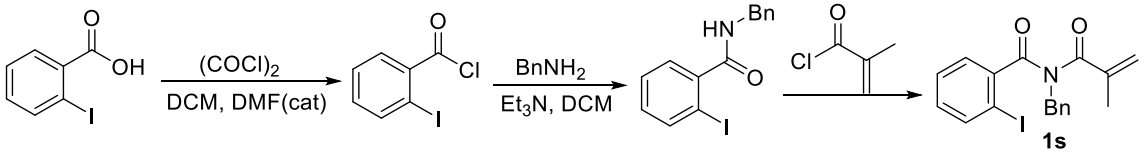


To a solution of N-(2-iodophenyl) methacrylamide (0.288 g, 1 mmol, 1.0 equiv) was added NaH (60 mg, 60% in mineral oil, 1.5 mmol, 1.5 equiv) in portions in THF (4.0 ml) at 0 °C. After stirring for 20 min, BnBr (140 μL, 1.2 mmol, 1.2 equiv) or *n*-BuI (137 μL, 1.2 mmol, 1.2 equiv) was added dropwise and the reaction mixture was stirred at room temperature overnight. The reaction was quenched with water and THF was removed by evaporation. The residue was extracted with EtOAc twice, and the organic phase was washed with brine, dried over anhydrous Na_2SO_4, filtered and concentrated, and the residue was purified by column chromatography on silica gel (PE/EtOAc = 15:1 ~ 5:1) to afford the corresponding products **1o-p**.[2]



1r

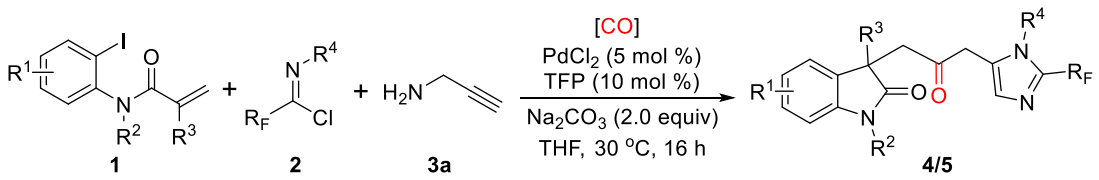
To a solution of alcohol (10 mmol) or phenol (10 mmol) in DCM (20 mL) was added acid (15 mmol, 1.5 equiv) and DMAP (122 mg, 1 mmol, 10 mol%) at 0 °C. A solution of DCC (3.09 g, 15 mmol, 1.5 equiv) in DCM (15 mL) was then added over 30 min. The reaction mixture was stirred at room temperature for 24 h. The reaction was quenched with saturated aqueous solution of NaCl, and extracted with DCM (20 mL × 2). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated under the reduced pressure. The residue was purified by flash chromatography on silica gel to give the resulting product **1r**.[5]



1s

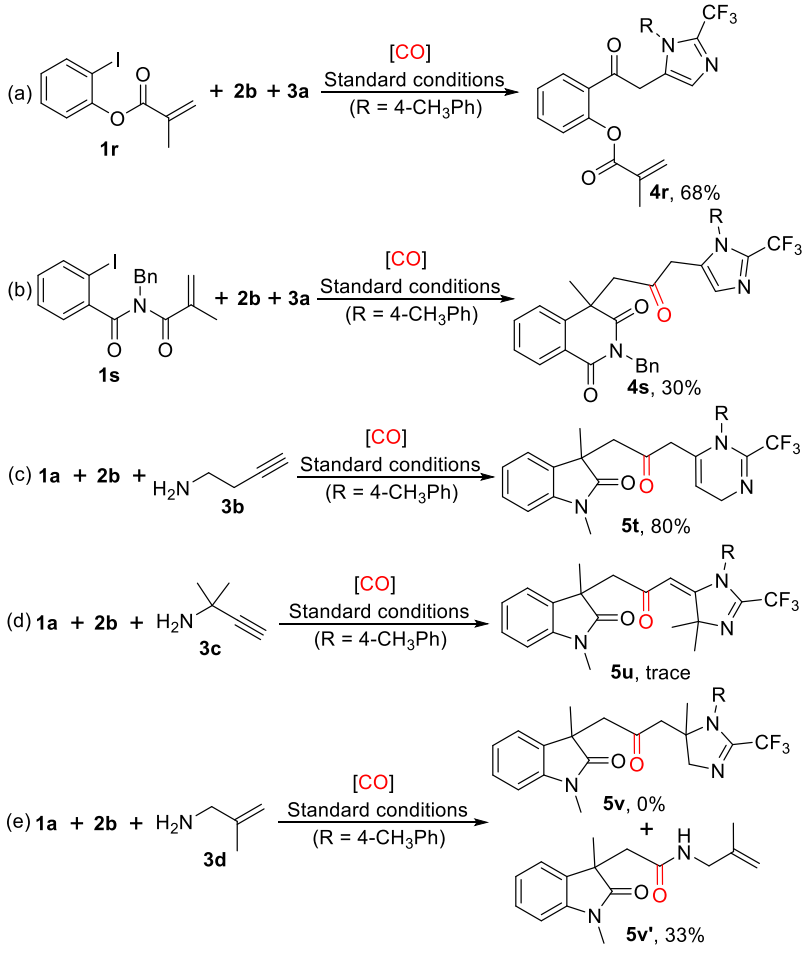
An oven dried reaction tube containing a PTFE-coated stir bar was charged with 2-iodobenzoic acid (1.24 g, 5 mmol, 1.0 equiv), oxalyl chloride (0.5 mL, 6 mmol, 1.2 equiv) in dichloromethane (0.7 M) and DMF (3 drops). The mixture was stirred by 2 hours at 0 °C and was warmed at room temperature. The solvent was evaporated and the crude was used directly in the next step. The 2-iodobenzoyl chloride (1.33 g, 5 mmol, 1.0 equiv) was dissolved in dichloromethane (2 M). Phenylmethanamine (1.1 mL, 10 mmol, 2.0 equiv) and Et_3N (1.4 mL, 10 mmol, 2.0 equiv) were added and the mixture was stirred at 0 °C until consumption of acyl chloride. The corresponding amide was isolated after addition of 5 mL of saturated Na_2CO_3 solution and extraction with dichoromethane. It was used without any purification in the next step. Methacryloyl chloride (0.59 mL, 5 mmol, 1.0 equiv) in DCM (0.13 M) was added on a mixture of 2-iodo-*N*-methylbenzamide (1.31 g, 5 mmol, 1.0 equiv), triethylamine (1.4 mL, 10 mmol, 2.0 equiv) and DMAP (39 mg, 0.25 mmol, 0.05 equiv) in toluene (0.13 M). The mixture was stirred overnight at reflux in oil bath. The reaction was quenched with saturated aqueous Na_2CO_3 (5 mL), then the mixture was extracted with dichloromethane (3 x 5 mL). The combined organic layers were dried over Na_2CO_3, filtered, and concentrated in vacuo. The residue was purified by column chromatography on silica gel (PE / EtOAc = 10:1) affording the corresponding product.[6]

2. General Procedure for the Synthesis of Bisheterocycles 4/5



Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1** (0.3 mmol, 1.5 equiv), **2** (0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding bisheterocycle products **4/5**.

3. The Reaction Other Unsaturated Substrates



Eq a: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1r** (86.4 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding product **4r** in 68% yield (57.5 mg).

Eq b: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1s** (98.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding product **4s** in 30% yield (33.4 mg).

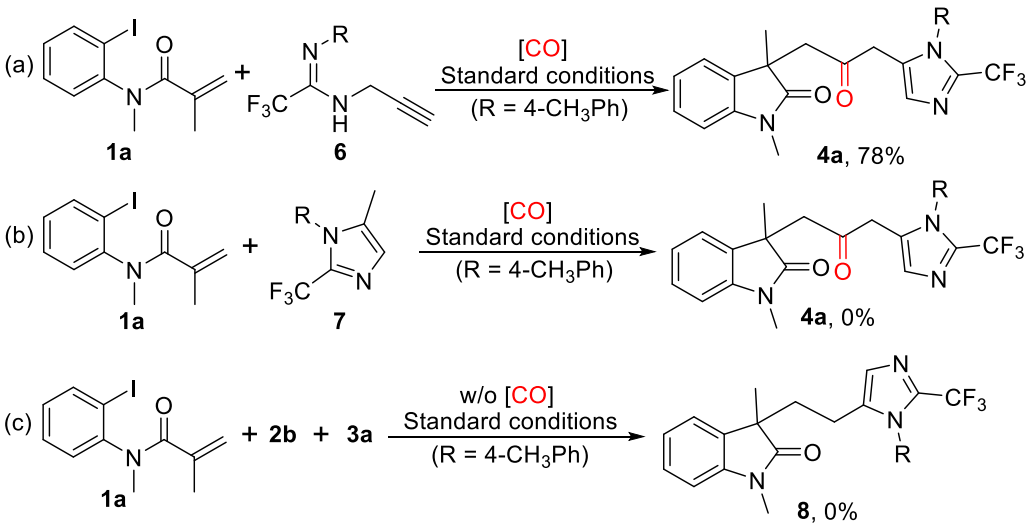
Eq c: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3b** (27.6 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding product **5t** in 80% yield (72.8 mg).

Eq d: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3c** (33.3 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reactions were completed, the reaction

mixtures were filtered and concentrated under vacuum. The target product **5u** was not isolated.

Eq e: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3d** (28.5 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding product **5v'** in 33 % yield (18.1 mg) and the target product **5v** was not detected.

4. The Control Experiments



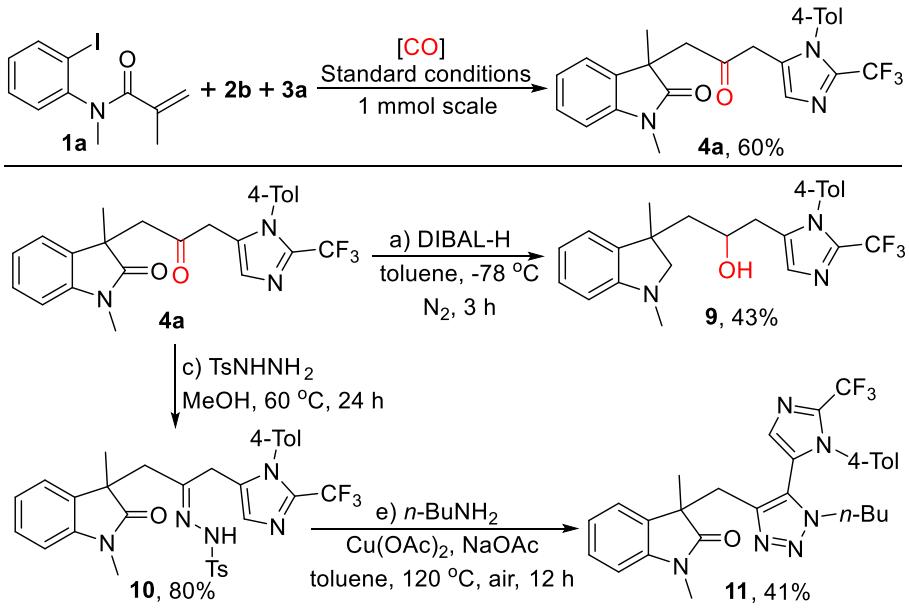
Eq a: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **6** (48.0 mg, 0.2 mmol, 1.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tubes were sealed and the mixtures were stirred at 30 °C (oil bath) for 16 h. After the reactions were completed, the reaction mixtures were filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel to afford the corresponding product **4a** as yellow oily liquid in 78% yield (68.9 mg).

Eq b: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **7** (48.0 mg, 0.2

mmol, 1.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Ac_2O + HCO_2H, (0.3 mL, 2 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (0.3 mL, 2 mmol) were added to the small inner tube. Then the tubes were sealed and the mixtures were stirred at 30 °C (oil bath) for 16 h. After the reactions were completed, the reaction mixtures were filtered and concentrated under vacuum. The target product **4a** was not detected.

Eq c: Under nitrogen atmosphere, $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), THF (2 mL) (extra dry) were added to an oven-dried 15 mL *In-Ex* tube. Then the tubes were sealed and the mixtures were stirred at 30 °C (oil bath) for 16 h. After the reactions were completed, the reaction mixtures were filtered and concentrated under vacuum. The target product **8** was not detected.

5. Scale Up Reaction and Synthetic Transformations



Scale up reaction: Under nitrogen atmosphere, $PdCl_2$ (4.5 mg, 0.025 mmol, 2.5 mol %), TFP (11.5 mg, 0.05 mmol, 5 mol %), Na_2CO_3 (212.0 mg, 2.0 mmol, 2.0 equiv), **1a** (451.5 mg, 1.5 mmol, 1.5 equiv), **2b** (221.5 mg, 1 mmol, 1.0 equiv), **3a** (110.0 mg, 2 mmol, 2.0 equiv), THF (6 mL) (extra dry) were added to an oven-dried 50 mL *In-Ex* tube. Ac_2O + HCO_2H, (1.5 mL, 10 mmol, HCOOH and Ac_2O stirred for 10 minutes) and Et_3N (1.5 mL, 10 mmol) were added to the small inner tube. Then the tube was sealed and the mixture was stirred at 30 °C (oil bath) for 16 h. After the reaction was completed, the reaction mixture was filtered and concentrated under vacuum. The crude product was

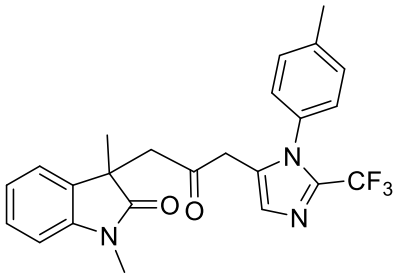
purified by column chromatography on silica gel to afford the corresponding imidazole product **4a** in 60% yield (265.6 mg).

Synthesis of Compound 9: Under nitrogen atmosphere, DIBAL-H (2.0 M in hexane, 0.8 mL, 1.6 mmol) was added dropwise to a solution of **4a** (88.3 mg, 0.2 mmol, 1.0 equiv) in toluene (2.0 mL) at -78 °C. After stirring at -78 °C for 3 h, the mixture was quenched with 2 N NaOH (aq) and extracted with ethyl acetate. The combined organic extracts were dried over Na_2SO_4 and evaporated under reduced pressure. The residue was purified by flash chromatography on silica gel (PE/EA = 3/1) to afford the corresponding product **9** as a yellow solid in 43% yield (36.9 mg).[7]

Synthesis of Compound 10: Under air atmosphere, **4a** (88.3 mg, 0.2 mmol, 1.0 equiv), $TsNHNH_2$ (55.9 mg, 0.3 mmol, 1.5 equiv.), MeOH (1 mL) and AcOH (0.1 mL) were added to an oven-dried 15 mL *In-Ex* tube. The mixture was stirred at 60 °C for 24 h. After the reaction was completed, the mixture was concentrated by vacuum, and then purified by column chromatography on silica gel (petroleum ether/EtOAc = 3:1) to yield the desired product **10** as a yellow solid in 80% yield (97.5 mg).[8]

Synthesis of Compound 11: Under air atmosphere, **10** (62.6 mg, 0.1 mmol, 1.0 equiv.), *n*-$BuNH_2$ (14.6 mg, 0.2 mmol, 2.0 equiv.), $Cu(OAc)_2$ (36.4 mg, 0.2 mmol, 2.0 equiv.), NaOAc (118.8 mg, 0.2 mmol, 2.0 equiv.) and toluene (1 mL) were added to an oven-dried 15 mL *In-Ex* tube. The mixture was stirred and refluxed for 12 h. After the reaction was completed, the mixture was concentrated by vacuum, and then purified by column chromatography on silica gel (petroleum ether/EtOAc = 3:1) to yield the desired product **11** as a white solid in 41% yield (21.4 mg).[9]

6. Characterization Data of the Corresponding Products



1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4a)

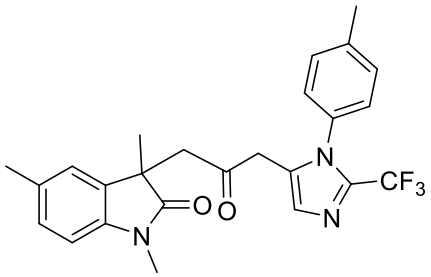
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4a** as a yellow oily liquid (74.2 mg, 84%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 – 7.27 (m, 1H), 7.21 (dd, J = 17.1, 5.9 Hz, 2H), 7.03 (d, J = 6.6 Hz, 2H), 6.96 (s, 1H), 6.94 – 6.84 (m, 3H), 3.36 (s, 2H), 3.25 (s, 3H), 2.93 (s, 2H), 2.45 (s, 3H), 1.29 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.8, 143.7, 140.3, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.8 Hz), 132.9, 131.2, 130.1, 129.6, 128.2, 128.1, 127.4, 122.3, 121.8, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz), 108.3, 49.0, 45.1, 38.1, 26.4, 24.2, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{23}F_3N_3O_2$ 442.1737; Found 442.1739.



1,3,5-trimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-onee (4b)

General procedure was followed with **1b** (94.5 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0

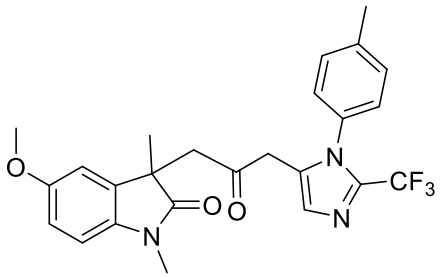
equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4b** as a yellow oily liquid (55.1 mg, 60%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.19 (t, J = 13.8 Hz, 2H), 7.07 (d, J = 7.8 Hz, 1H), 6.94 (s, 1H), 6.91 (d, J = 8.2 Hz, 2H), 6.84 (s, 1H), 6.74 (d, J = 7.9 Hz, 1H), 3.32 (s, 2H), 3.20 (s, 3H), 2.87 (d, J = 2.2 Hz, 2H), 2.42 (s, 3H), 2.32 (s, 3H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.1, 179.7, 141.3, 140.3, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.6 Hz), 133.0, 131.7, 131.2, 130.0, 129.6, 128.4, 128.2, 127.4, 122.8, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 108.1, 49.0, 45.2, 38.1, 26.4, 24.2, 21.3, 21.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1895.



5-methoxy-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4c)

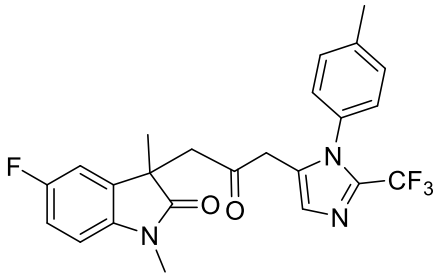
General procedure was followed with **1c** (99.5 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.1) to give the titled product **4c** as a yellow oily liquid (43.4 mg, 46%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.19 (dd, J = 19.9, 7.4 Hz, 2H), 6.94 (d, J = 9.0 Hz, 2H), 6.85 (d, J = 7.4 Hz, 1H), 6.78 (dd, J = 8.5, 2.3 Hz, 1H), 6.75 (d, J = 8.4 Hz, 1H), 6.62 (d, J = 2.3 Hz, 1H), 3.78 (s, 3H), 3.34 (q, J = 17.9 Hz, 2H), 3.19 (s, 3H), 2.87 (q, J = 17.9 Hz, 2H), 2.42 (s, 3H), 1.24 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.4, 155.8, 140.3, 137.2, 136.7 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz), 134.4, 131.2, 130.1, 129.6, 128.2, 127.4, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz)111.4, 110.2, 108.5, 55.7, 49.0, 45.5, 38.0, 26.5, 24.3, 21.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. For $C_{25}H_{25}F_3N_3O_3$ 472.1843; Found 472.1845.



5-fluoro-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4d)

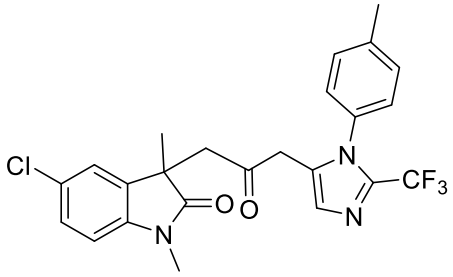
General procedure was followed with **1d** (95.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4d** as a yellow oily liquid (65.5 mg, 71%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.24 (s, 1H), 7.15 (d, J = 7.3 Hz, 1H), 7.04 – 6.90 (m, 3H), 6.83 (d, J = 7.1 Hz, 1H), 6.77 (dd, J = 8.5, 4.1 Hz, 1H), 6.71 (dd, J = 7.9, 2.5 Hz, 1H), 3.38 (dd, J = 44.1, 18.0 Hz, 2H), 3.21 (s, 3H), 2.88 (dd, J = 48.9, 18.2 Hz, 2H), 2.43 (s, 3H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.5, 159.1 (C-F, q, $^1J_{C\text{-}F}$ = 240.1 Hz), 140.4, 139.7, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 39.3 Hz), 134.7 (C-F, d, $^3J_{C\text{-}F}$ = 7.8 Hz), 131.2, 130.1, 129.4, 128.3, 127.4, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 114.1 (C-F, d, $^2J_{C\text{-}F}$ = 23.3 Hz), 110.1 (C-F, d, $^2J_{C\text{-}F}$ = 24.8 Hz), 108.7 (C-F, d, $^3J_{C\text{-}F}$ = 8.1 Hz), 49.0, 45.4, 37.9, 26.6, 24.1, 21.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5, – 120.7.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{22}F_4N_3O_2$ 460.1643; Found 460.1643.



5-chloro-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4e)

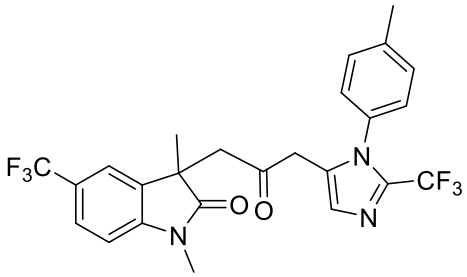
General procedure was followed with **1e** (100.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4e** as a yellow oily liquid (78.6 mg, 82%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 (d, J = 1.9 Hz, 1H), 7.25 – 7.16 (m, 2H), 7.02 – 6.91 (m, 3H), 6.82 (d, J = 6.8 Hz, 1H), 6.78 (d, J = 8.3 Hz, 1H), 3.37 (dd, J = 47.5, 18.0 Hz, 2H), 3.21 (s, 3H), 2.89 (dd, J = 53.2, 18.3 Hz, 2H), 2.44 (s, 3H), 1.24 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.3, 142.4, 140.5, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 39.6 Hz) , 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 269.9 Hz), 134.8, 131.2, 130.1, 129.4, 128.3, 128.0, 127.5, 127.3, 122.3, 109.3, 49.1, 45.1, 37.8, 26.5, 24.2, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. For $C_{24}H_{22}ClF_3N_3O_2$ 476.1347; Found 476.1349.



1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)-5-(trifluoromethyl)indolin-2-one (4f)

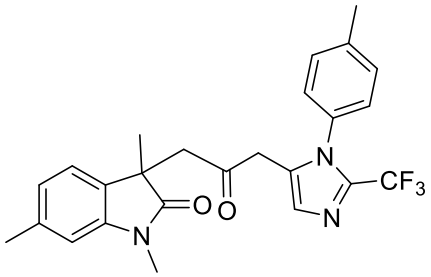
General procedure was followed with **1f** (110.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4f** as a yellow oily liquid (45.0 mg, 44%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, J = 7.7 Hz, 1H), 7.23 (s, 2H), 7.15 (d, J = 7.3 Hz, 1H), 6.98 (s, 1H), 6.89 (dd, J = 29.6, 7.7 Hz, 3H), 3.37 (dd, J = 44.1, 18.0 Hz, 2H), 3.26 (s, 3H), 2.95 (q, J = 18.4 Hz, 2H), 2.42 (s, 3H), 1.27 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.8, 146.8, 140.6, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 39.3 Hz), 133.7, 131.1, 130.1 (d, $^3J_{C\text{-}F}$ = 20.9 Hz), 129.3, 128.3, 127.3 (d, $^3J_{C\text{-}F}$ = 7.0 Hz), 126.0 (d, $^4J_{C\text{-}F}$ = 3.9 Hz), 124.5 (C-F, q, $^1J_{C\text{-}F}$ = 271.3 Hz), 124.4 (C-F, q, $^2J_{C\text{-}F}$ = 32.6 Hz), 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.4 Hz), 118.5 (d, $^4J_{C\text{-}F}$ = 3.6 Hz), 108.1, 49.1, 44.9, 37.7, 26.6, 24.2, 21.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.6, – 61.1.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{22}F_6N_3O_2$ 510.1611; Found 510.1610.



1,3,6-trimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4g)

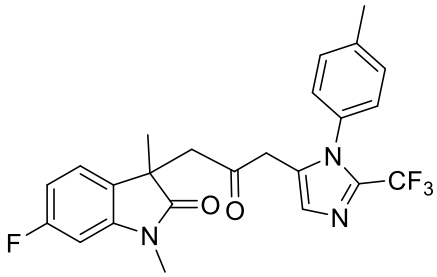
General procedure was followed with **1g** (94.5 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4g** as a yellow oily liquid (58.3 mg, 64%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 – 7.14 (m, 2H), 6.96 (s, 1H), 6.94 (s, 1H), 6.90 (d, J = 8.0 Hz, 2H), 6.84 (d, J = 7.5 Hz, 1H), 6.71 (s, 1H), 3.35 (s, 2H), 3.23 (s, 3H), 2.90 (d, J = 2.7 Hz, 2H), 2.45 (s, 3H), 2.41 (s, 3H), 1.27 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.1, 180.1 143.7, 140.3, 138.2, 136.7 (C-F, q, $^2J_{C\text{-}F}$ = 39.0 Hz), 131.2, 130.1, 129.9 129.6, 128.2, 127.4, 122.7, 121.6, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 109.3, 49.0, 44.9, 38.1, 26.4, 24.2, 21.8, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1888.



6-fluoro-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4h)

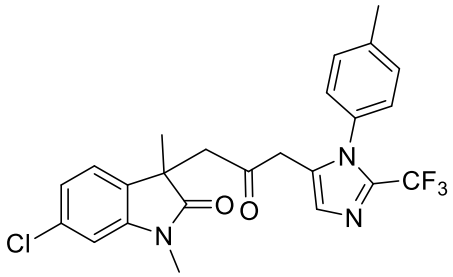
General procedure was followed with **1h** (95.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4h** as a yellow oily liquid (50.2 mg, 56%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.24 – 7.12 (m, 2H), 6.96 (s, 1H), 6.90 (dd, J = 8.1, 5.2 Hz, 3H), 6.68 – 6.63 (m, 1H), 6.59 (dd, J = 8.9, 2.3 Hz, 1H), 3.34 (q, J = 17.8 Hz, 2H), 3.20 (s, 3H), 2.88 (s, 2H), 2.43 (s, 3H), 1.24 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 180.1, 163.0 (C-F, d, $^1J_{C\text{-}F}$ = 244.7 Hz), 145.3 (C-F, d, $^3J_{C\text{-}F}$ = 11.6 Hz), 140.3, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 38.8 Hz), 131.2, 130.1, 129.4, 128.2, 127.4, 122.7 (C-F, d, $^3J_{C\text{-}F}$ = 9.7 Hz), 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.3 Hz), 111.1, 108.1 (C-F, d, $^2J_{C\text{-}F}$ = 22.4 Hz), 97.2 (C-F, d, $^2J_{C\text{-}F}$ = 27.5 Hz), 49.0, 44.7, 38.0, 26.6, 24.2, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5, – 112.3.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{22}F_4N_3O_2$ 460.1643; Found 460.1644.



6-chloro-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4i)

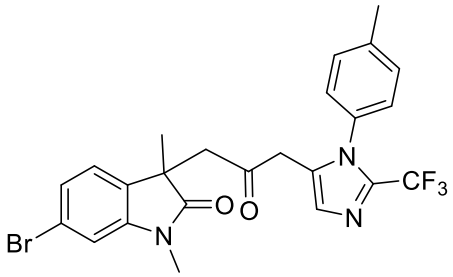
General procedure was followed with **1i** (100.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4i** as a yellow oily liquid (58.0 mg, 61%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.22 (d, J = 7.0 Hz, 1H), 7.18 – 7.12 (m, 1H), 6.96 (dd, J = 7.5, 2.0 Hz, 2H), 6.89 (dd, J = 11.5, 5.4 Hz, 3H), 6.85 (d, J = 1.7 Hz, 1H), 3.34 (q, J = 17.8 Hz, 2H), 3.20 (s, 3H), 2.89 (s, 2H), 2.43 (s, 3H), 1.24 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 145.0, 140.3, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 38.8 Hz), 133.9, 131.3, 131.2, 130.1, 129.3, 128.2, 127.3, 122.6, 122.0, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz), 109.1, 49.0, 44.8, 38.0, 26.5, 24.1, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{22}ClF_3N_3O_2$ 476.1347; Found 476.1350.



6-bromo-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4j)

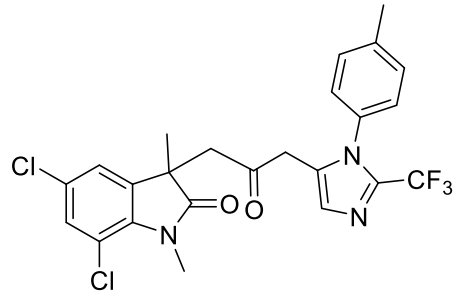
General procedure was followed with **1j** (114.0 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4j** as a yellow oily liquid (63.3 mg, 61%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.22 (d, J = 6.9 Hz, 1H), 7.15 (d, J = 6.8 Hz, 1H), 7.11 (dd, J = 7.8, 1.5 Hz, 1H), 6.99 (d, J = 1.4 Hz, 1H), 6.96 (s, 1H), 6.90 (s, 2H), 6.82 (d, J = 7.8 Hz, 1H), 3.34 (q, J = 17.8 Hz, 2H), 3.20 (s, 3H), 2.89 (s, 2H), 2.43 (s, 3H), 1.23 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 38.7 Hz), 134.3, 132.9, 130.2, 130.0, 129.3, 128.2, 127.9, 122.3, 121.8, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 269.5 Hz), 108.4, 49.0, 45.1, 38.1, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{22}BrF_3N_3O_2$ 520.0842; Found 520.0842.



5,7-dichloro-1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4k)

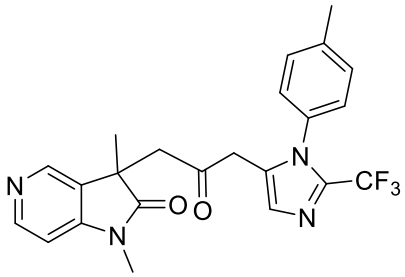
General procedure was followed with **1k** (111.0 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **4k** as a yellow oily liquid (42.2 mg, 41%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 (d, J = 5.4 Hz, 1H), 7.21 (d, J = 2.0 Hz, 2H), 7.00 (s, 1H), 6.97 (d, J = 7.2 Hz, 1H), 6.86 (d, J = 6.5 Hz, 1H), 6.80 (d, J = 2.0 Hz, 1H), 3.56 (s, 3H), 3.38 (dd, J = 45.8, 18.0 Hz, 2H), 2.90 (dd, J = 75.5, 18.4 Hz, 2H), 2.45 (s, 3H), 1.22 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.6, 140.5, 138.6, 137.3, 137.0 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz) 131.2, 130.1, 129.8, 129.2, 128.4, 127.5, 127.3, 120.6, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.4 Hz), 116.2, 49.3, 45.0, 37.7, 29.7, 24.6, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{21}Cl_2F_3N_3O_2$ 510.0957; Found 510.0956.



1,3-dimethyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)-1,3-dihydro-2H-pyrrolo[3,2-c]pyridin-2-one (4l)

General procedure was followed with **1l** (90.6 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 2:1, Rf = 0.2) to give the titled product **4l** as a yellow solid (67.2 mg, 76%).

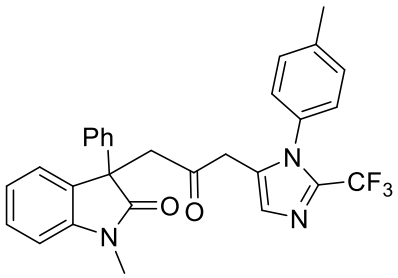
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (d, J = 5.3 Hz, 1H), 8.09 (s, 1H), 7.30 – 7.11 (m, 2H), 6.95 (d, J = 5.7 Hz, 2H), 6.80 (t, J = 5.9 Hz, 2H), 3.37 (dd, J = 42.9, 18.1 Hz, 2H), 3.22 (s, 3H), 2.96 (d, J = 4.4 Hz, 2H), 2.43 (s, 3H), 1.26 (d, J = 15.7 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.6, 151.1, 150.0, 141.9, 140.5, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz), 131.1, 130.2, 129.2, 128.7, 128.4, 127.2, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz), 104.0, 49.2, 43.6, 37.7, 26.5, 24.0, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

M.p. 78.6 – 80.5 °C

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{22}F_3N_4O_2$ 443.1689; Found 443.1691.



5-(iodomethyl)-5-(naphthalen-1-yl)-1-(p-tolyl)-2-(trifluoromethyl)-4,5-dihydro-1H-imidazole (4m)

General procedure was followed with **1m** (109.0 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg,

0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4m** as a yellow solid (40.1 mg, 40%).

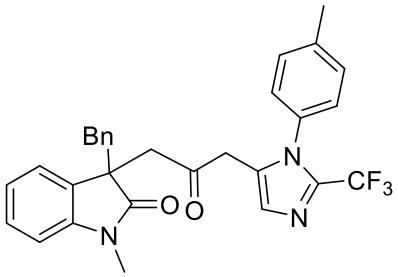
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.35 (m, 1H), 7.32 – 7.25 (m, 6H), 7.22 (d, J = 8.2 Hz, 1H), 7.11 (d, J = 4.3 Hz, 2H), 6.97 (s, 1H), 6.95 (d, J = 7.7 Hz, 3H), 3.55 – 3.33 (m, 4H), 3.25 (s, 3H), 2.48 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.6, 177.9, 144.7, 140.3, 138.8, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 39.1 Hz), 131.2, 130.9, 130.2, 129.5, 128.7, 128.2, 127.7, 127.5, 127.3, 126.5, 124.0, 122.3, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 108.7, 52.8, 49.7, 38.1, 26.7, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

M.p. 110.7 – 112.2 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{29}H_{25}F_3N_3O_2$ 504.1893; Found 504.1895.



3-benzyl-1-methyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4n)

General procedure was followed with **1n** (113.2 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4n** as a white solid (47.9 mg, 46%).

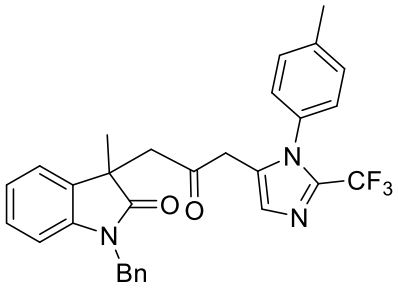
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.17 (m, 3H), 7.15 – 7.04 (m, 3H), 7.01 (t, J = 7.1 Hz, 1H), 6.97 (s, 1H), 6.92 (t, J = 6.9 Hz, 3H), 6.78 (d, J = 6.8 Hz, 2H), 6.64 (d, J = 7.8 Hz, 1H), 3.39 (d, J = 2.9 Hz, 2H), 3.07 (t, J = 11.4 Hz, 2H), 3.01 (s, 3H), 2.94 (t, J = 12.2 Hz, 2H), 2.46 (s, 3H).

$^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 200.8, 178.4, 144.2, 140.3, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz), 134.6, 131.2, 130.1, 130.0, 129.5, 128.3, 128.2, 127.5, 127.4, 126.8, 122.7, 121.8, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.3 Hz), 108.0, 50.7, 47.8, 43.8, 38.2, 26.0, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

M.p. 98.2–110.1 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{30}H_{27}F_3N_3O_2$ 518.2050; Found 518.2049.



1-benzyl-3-methyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4o)

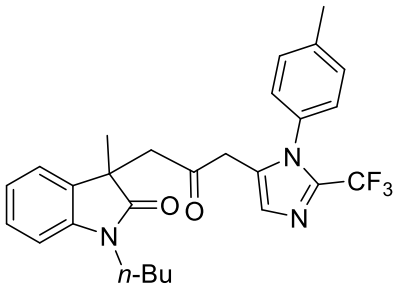
General procedure was followed with **1o** (113.2 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4o** as a yellow oily liquid (50.5 mg, 48%).

^1H NMR (400 MHz, $CDCl_3$) δ 7.38 (t, J = 7.2 Hz, 2H), 7.34 (d, J = 7.4 Hz, 2H), 7.31 – 7.28 (m, 1H), 7.20 – 7.15 (m, 3H), 7.02 (s, 1H), 7.00 (d, J = 6.7 Hz, 2H), 6.95 (d, J = 7.0 Hz, 1H), 6.86 (d, J = 6.8 Hz, 1H), 6.75 (d, J = 7.8 Hz, 1H), 5.04 – 4.91 (m, 2H), 3.40 (s, 2H), 3.20 – 2.77 (m, 2H), 2.44 (s, 3H), 1.36 (s, 3H).

$^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 200.9, 179.9, 142.8, 140.3, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz), 136.0, 132.9, 131.2, 130.1, 129.6, 128.7, 128.2, 128.0, 127.5, 127.3, 122.3, 121.8, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 109.4, 48.7, 45.2, 44.0, 38.2, 24.8, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. For $C_{30}H_{27}F_3N_3O_2$ 518.2050; Found 518.2049.



1-butyl-3-methyl-3-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (4p)

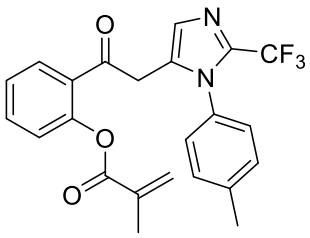
General procedure was followed with **1p** (113.0 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4p** as a yellow oily liquid (55.3 mg, 57%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 – 7.22 (m, 1H), 7.17 (t, J = 7.9 Hz, 2H), 6.97 (d, J = 4.2 Hz, 2H), 6.94 (s, 1H), 6.88 (dd, J = 14.3, 7.7 Hz, 3H), 3.70 (t, J = 7.4 Hz, 2H), 3.32 (s, 2H), 3.02 – 2.74 (m, 2H), 2.41 (s, 3H), 1.77 – 1.60 (m, 2H), 1.40 (dd, J = 14.6, 7.2 Hz, 2H), 1.25 (s, 3H), 0.95 (t, J = 7.4 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.6, 143.1, 140.2, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 38.7 Hz), 133.1, 131.2, 130.1, 129.6, 128.1, 128.0, 127.4, 127.3, 122.0, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.1 Hz), 108.6, 48.8, 45.1, 39.9, 38.1, 29.3, 24.5, 21.3, 20.2, 13.8.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{27}H_{29}F_3N_3O_2$ 484.2206; Found 484.2208.



2-(2-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)acetyl)phenyl methacrylate (4r)

General procedure was followed with **1r** (86.4 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02

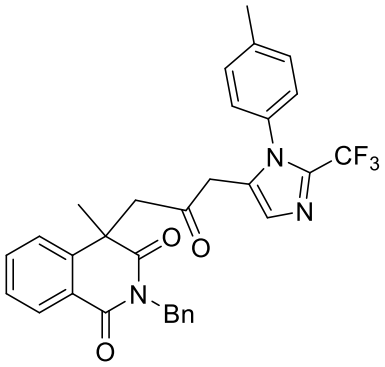
mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 5:1, Rf = 0.2) to give the titled product **4r** as a yellow oily liquid (58.2 mg, 68 %).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (t, J = 7.8 Hz, 1H), 7.47 (d, J = 7.8 Hz, 1H), 7.29 – 7.20 (m, 3H), 7.12 (d, J = 6.3 Hz, 4H), 6.27 (s, 1H), 5.77 (s, 1H), 3.96 (s, 2H), 2.39 (s, 3H), 2.02 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ194.5, 165.5, 149.1, 140.3, 137.2 (C-F, q, $^2J_{C\text{-}F}$ = 37.3 Hz), 135.4, 133.6, 131.5, 130.5, 130.1, 130.0, 129.4, 128.4, 128.1, 127.6, 126.0, 123.8, 118.7 (C-F, q, $^1J_{C\text{-}F}$ = 269.8 Hz), 36.8, 21.2, 18.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.4.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}F_3N_2O_3$ 429.1421; Found 429.1422.



2-benzyl-4-methyl-4-(2-oxo-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)isoquinoline-1,3(2H,4H)-dione (4s)

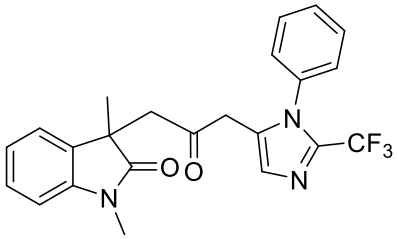
General procedure was followed with **1s** (98.7 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **4s** as a yellow oily liquid (33.2 mg, 30%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, J = 7.2 Hz, 1H), 7.51 (t, J = 7.1 Hz, 1H), 7.41 (t, J = 7.4 Hz, 1H), 7.36 (d, J = 7.3 Hz, 2H), 7.26 (t, J = 7.3 Hz, 2H), 7.21 (d, J = 7.2 Hz, 1H), 7.15 – 7.10 (m, 2H), 7.04 (d, J = 7.8 Hz, 1H), 6.97 (s, 1H), 6.88 (d, J = 6.5 Hz, 1H), 6.69 (d, J = 5.9 Hz, 1H), 5.19 (s, 2H), 3.51 (d, J = 18.4 Hz, 1H), 3.41 – 3.28 (m, 2H), 3.24 (d, J = 18.4 Hz, 1H), 2.41 (s, 3H), 1.41 (s, 3H).

$^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 201.1, 175.9, 164.0, 143.0, 140.3, 137.1, 137.0 (C-F, q, $^2J_{C\text{-}F}$ = 37.8 Hz), 133.8, 131.0, 130.1, 129.7, 129.1, 128.4, 128.2, 128.0, 127.4, 127.3, 125.0, 123.3, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.4 Hz), 51.7, 44.5, 43.8, 37.7, 30.6, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{31}H_{27}F_3N_3O_3$ 546.1999; Found 546.1998.



1,3-dimethyl-3-(2-oxo-3-(1-phenyl-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (5a)

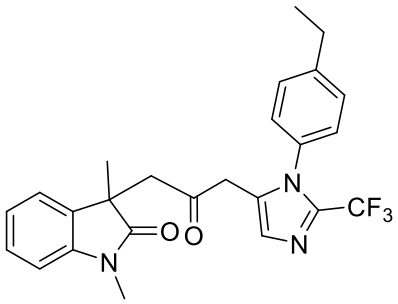
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2a** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5a** as a yellow oily liquid (78.9 mg, 92%).

^1H NMR (400 MHz, $CDCl_3$) δ 7.48 (t, 1H), 7.45 – 7.33 (m, 2H), 7.28 – 7.24 (m, 1H), 7.02 – 6.95 (m, 4H), 6.94 (s, 1H), 6.84 (d, J = 7.8 Hz, 1H), 3.34 (d, J = 3.5 Hz, 2H), 3.21 (s, 3H), 2.88 (s, 2H), 1.25 (s, 3H).

$^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 143.7, 136.3 (C-F, q, $^2J_{C\text{-}F}$ = 39.7 Hz), 133.9, 132.9, 130.1, 129.5, 128.3, 128.2, 127.7, 127.6, 122.3, 121.8, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.1 Hz), 108.3, 49.0, 45.1, 38.0, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.4.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{21}F_3N_3O_2$ 428.1580; Found 428.1579.



3-(3-(1-(4-ethylphenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5b)

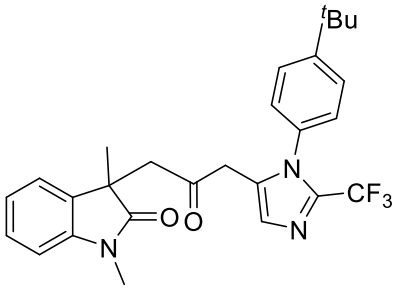
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2bb** (47.1 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5b** as a yellow oily liquid (77.3 mg, 85%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.25 (m, 1H), 7.21 (d, J = 4.0 Hz, 2H), 7.02 – 6.98 (m, 2H), 6.93-6.90 (m, 3H), 6.85 (d, J = 7.8 Hz, 1H), 3.33 (d, J = 2.6 Hz, 2H), 3.22 (s, 3H), 2.88 (d, J = 4.2 Hz, 2H), 2.72 (q, J = 7.7 Hz, 2H), 1.29 (t, J = 7.6 Hz, 3H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.8, 146.4, 143.7, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 39.0 Hz), 132.9, 131.4, 129.6, 128.9, 128.2, 127.5, 127.4, 122.3, 121.9, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 108.3, 49.0, 45.1, 38.1, 28.5, 26.4, 24.1, 15.1.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1896.



3-(3-(1-(4-(tert-butyl)phenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5c)

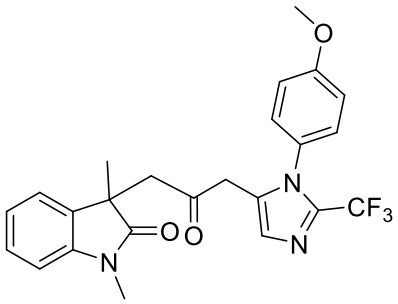
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2c** (52.7 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5c** as a yellow oily liquid (81.1 mg, 84%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (d, J = 7.7 Hz, 2H)， 7.30 – 7.27 (m, 1H), 7.02 (d, J = 4.3 Hz, 2H), 7.00 – 6.90 (m, 3H), 6.86 (d, J = 7.8 Hz, 1H), 3.46 – 3.26 (m, 2H), 3.22 (s, 3H), 2.91 – 2.80 (m, 2H), 1.36 (s, 9H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.1, 179.7, 153.3, 143.7, 136.7 ((C-F, q, $^2J_{C\text{-}F}$ = 38.8 Hz), 132.9, 131.2, 129.7, 128.2, 127.2, 127.1, 126.4, 122.3, 122.0, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.1 Hz), 108.3, 49.1, 45.1, 38.1, 34.9, 31.3, 26.4, 24.0.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{27}H_{29}F_3N_3O_2$ 484.2206; Found 484.2208.



3-(3-(1-(4-methoxyphenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5d)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2d** (47.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5d** as a yellow solid (83.6 mg, 91%).

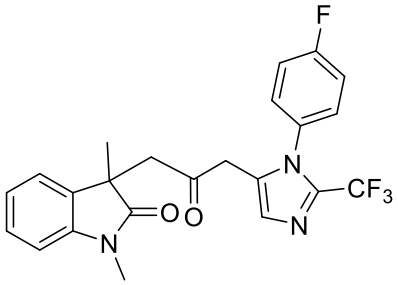
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 – 7.23 (m, 1H), 7.06 – 7.03 (m, 2H), 7.00 – 6.92 (m, 4H), 6.88 (d, J = 7.6 Hz, 2H), 3.89 (s, 3H), 3.46 – 3.30 (m, 2H), 3.25 (s, 3H), 3.03 – 2.82 (m, 2H), 1.30 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.1, 179.7, 160.5, 143.7, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 38.7 Hz), 132.9, 129.8, 128.9, 128.2, 126.2, 122.3, 121.8, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 114.8, 114.4, 108.3, 55.6, 49.0, 45.1, 38.1, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.6.

M.p. 89.4 – 91.6 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{23}F_3N_3O_3$ 458.1686; Found 458.1688.



3-(3-(1-(4-fluorophenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5e)

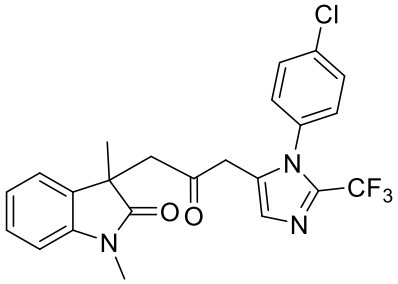
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2e** (45.1 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5e** as a yellow oily liquid (60.2 mg, 67%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.25 (m, 1H), 7.08 (t, J = 7.5 Hz, 1H), 7.01-6.95 (m, 5H), 6.93 (s, 1H), 6.85 (d, J = 7.8 Hz, 1H), 3.35 (q, J = 17.8 Hz, 2H), 3.21 (s, 3H), 2.92 (s, 2H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 163.1 (d, J = 251.2 Hz), 143.7, 136.9 (C-F, q, $^2J_{C\text{-}F}$ = 39.1 Hz), 132.8, 129.7 (d, J = 8.9 Hz), 129.5, 128.4, 128.2, 122.3, 121.6, 118.5 (C-F, q, $^1J_{C\text{-}F}$ = 270.1 Hz), 116.5 (d, J = 23.0 Hz), 108.4, 49.0, 45.1, 38.0, 26.4, 24.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5, -109.7.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}F_4N_3O_2$ 446.1486; Found 446.1485.



3-(3-(1-(4-chlorophenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5f)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2f** (48.4 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02

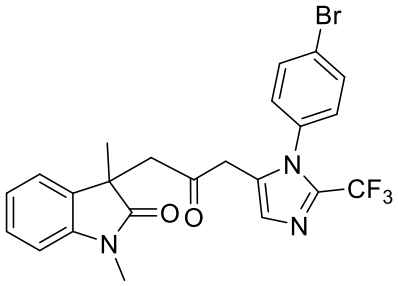
mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5f** as a yellow oily liquid (75.2 mg, 81%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J = 5.9 Hz, 1H), 7.36 – 7.26 (m, 2H), 7.02 (t, J = 7.2 Hz, 1H), 6.94 (t, J = 7.4 Hz, 4H), 6.86 (d, J = 7.8 Hz, 1H), 3.36 (q, J = 17.9 Hz, 2H), 3.23 (s, 3H), 2.93 (s, 2H), 1.27 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 39.1 Hz), 136.3, 132.8, 132.3, 129.8, 129.4, 129.0, 128.5, 128.2, 122.3, 121.6, 118.4 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 108.4, 49.0, 45.1, 38.0, 26.4, 24.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.4.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}ClF_3N_3O_2$ 462.1191; Found 462.1192.



3-(3-(1-(4-bromophenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5g)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2g** (57.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5g** as a yellow oily liquid (75.7 mg, 74%).

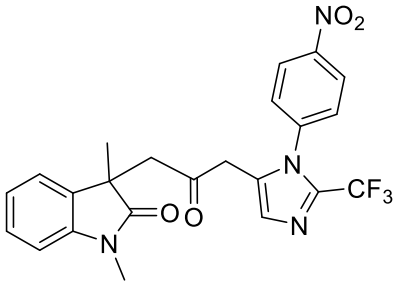
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, J = 5.6 Hz, 1H), 7.43 (d, J = 6.7 Hz, 1H), 7.30 (t, J = 7.1 Hz, 1H), 7.03 (t, J = 7.1 Hz, 1H), 6.95 (d, J = 5.8 Hz, 2H), 6.86 (d, J = 7.9 Hz, 3H), 3.36 (q, J = 17.9 Hz, 2H), 3.23 (s, 3H), 2.93 (s, 2H), 1.27 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 136.8 (C-F, q, $^2J_{C\text{-}F}$ = 39.2 Hz), 132.8, 132.7,

129.3, 128.5, 128.2, 124.4, 122.3, 121.7, 118.4 (C-F, q, $^{1}J_{C\text{-}F}$ = 270.2 Hz), 108.4, 49.0, 45.1, 38.0, 26.4, 24.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.4.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}BrF_3N_3O_2$ 506.0686; Found 506.0686.



1,3-dimethyl-3-(3-(1-(4-nitrophenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)indolin-2-one (5h)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2h** (50.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5h** as a yellow solid (63.2 mg, 67%).

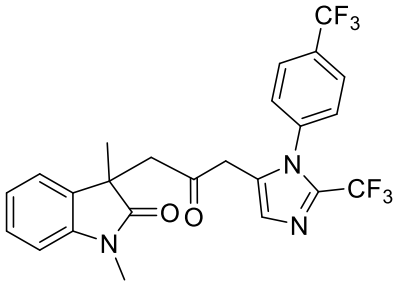
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (d, J = 33.7 Hz, 2H), 7.33 (d, J = 7.7 Hz, 1H), 7.22 (d, J = 7.0 Hz, 2H), 7.03 (s, 1H), 6.99 (t, J = 7.5 Hz, 1H), 6.91 (t, J = 7.1 Hz, 2H), 3.46 (dd, J = 45.6, 18.0 Hz, 2H), 3.26 (s, 3H), 3.07 – 2.91 (m, 2H), 1.28 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.6, 179.6, 148.5, 143.8, 139.2, 136.8 (C-F, q, $^{2}J_{C\text{-}F}$ = 39.4 Hz), 132.7, 129.1, 129.0, 128.3, 124.7, 122.2, 121.4, 118.3 (C-F, q, $^{1}J_{C\text{-}F}$ = 270.4 Hz), 108.4, 48.9, 45.0, 38.0, 26.4, 24.4.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.2.

M.p. 86.6 – 88.2 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}F_3N_4O_4$ 473.1431; Found 473.1432.



1,3-dimethyl-3-(2-oxo-3-(2-(trifluoromethyl)-1-(4-(trifluoromethyl)phenyl)-1H-imidazol-5-yl)propyl)indolin-2-one (5i)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2i** (55.1 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5i** as a white solid (59.5 mg, 60%).

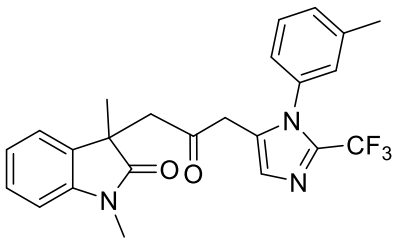
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, J = 31.6 Hz, 2H), 7.29 (t, J = 7.7 Hz, 1H), 7.14 (d, J = 8.1 Hz, 2H), 7.04 – 6.95 (m, 2H), 6.92 (d, J = 7.0 Hz, 1H), 6.87 (d, J = 7.8 Hz, 1H), 3.37 (dd, J = 41.2, 17.9 Hz, 2H), 3.22 (s, 3H), 2.92 (s, 2H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 137.0, 136.4 (C-F, q, $^2J_{C\text{-}F}$ = 39.0 Hz), 132.8, 132.2 (C-F, q, $^2J_{C\text{-}F}$ = 33.0 Hz), 129.3, 128.7, 128.4, 128.3, ,126.7, 123.4 (C-F, q, $^1J_{C\text{-}F}$ = 272.5 Hz), 122.3, 121.6, 118.4 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz), 108.4, 49.0, 45.1, 38.0, 26.4, 24.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.3, – 62.8.

M.p. 115.4 – 117.2 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{20}F_6N_3O_2$ 496.1454; Found 496.1453.



1,3-dimethyl-3-(2-oxo-3-(1-(m-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (5j)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2j** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02

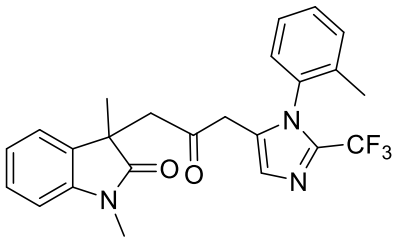
mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5j** as a yellow oily liquid (75.2 mg, 85%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.24 (m, 3H), 6.99 (d, J = 8.2 Hz, 2H), 6.95 (s, 1H), 6.93 – 6.76 (m, 3H), 3.33 (s, 2H), 3.22 (s, 3H), 3.01 – 2.82 (m, 2H), 2.36 (d, J = 9.7 Hz, 3H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 148.9, 143.7, 139.8, 136.7 (C-F, q, $^2J_{C\text{-}F}$ = 38.9 Hz), 133.8, 132.9, 130.9, 129.4, 129.2, 128.2, 128.1, 124.7, 124.6, 122.3, 121.7, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 270.2 Hz), 108.3, 48.9, 45.1, 38.1, 26.4, 24.3, 21.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{23}F_3N_3O_2$ 442.1737; Found 442.1740.



1,3-dimethyl-3-(2-oxo-3-(1-(o-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (5k)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2k** (44.3 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5k** as a white oily liquid (74.1 mg, 84%).

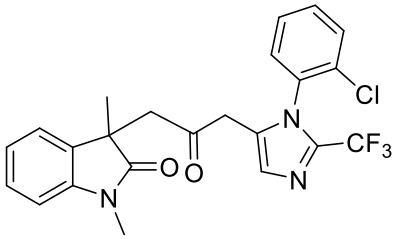
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.35 (m, 1H), 7.35 – 7.23 (m, 2H), 7.18 (dd, J = 17.1, 8.4 Hz, 1H), 7.03 – 6.93 (m, 3H), 6.90 (d, J = 7.8 Hz, 1H), 6.84 (d, J = 7.8 Hz, 1H), 3.36 (t, J = 16.8 Hz, 1H), 3.21 (s, 3H), 3.11 (dd, J = 17.7, 11.6 Hz, 1H), 2.95 – 2.72 (m, 2H), 1.79 (d, J = 41.4 Hz, 3H), 1.24 (d, J = 5.3 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.5， 179.7 (d, J = 6.8 Hz), 148.9 (d, J = 8.8 Hz), 143.7 (d, J = 10.4 Hz), 136.3 (C-F, q, $^2J_{C\text{-}F}$ = 39.2 Hz), 136.0 (d, J = 2.2 Hz), 132.8 (t, J = 4.6 Hz), 131.2 (d, J = 3.1

Hz), 130.3 (d, J = 1.8 Hz), 129.0, 128.5 (dd, J = 12.9, 7.3 Hz), 128.2 (d, J = 5.9 Hz), 127.1, 122.5, 121.8 (d, J = 6.4 Hz), 118.5 (C-F, q, $^{1}J_{C\text{-}F}$ = 269.9 Hz), 108.3 (d, J = 1.9 Hz), 49.1 (d, J = 5.4 Hz), 45.1 (d, J = 5.4 Hz), 37.8 (d, J = 22.3 Hz), 26.4, 24.2 (d, J = 13.7 Hz), 16.6 (d, J = 13.7 Hz).

^{19}F NMR (377 MHz, $CDCl_3$) δ – 61.8.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{23}F_3N_3O_2$ 442.1737; Found 442.1739.



3-(3-(1-(2-chlorophenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5l)

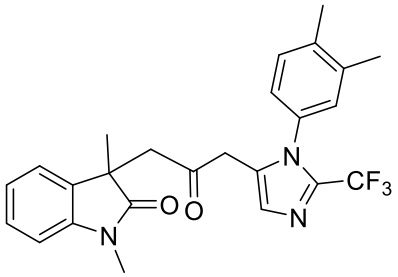
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2l** (48.4 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5l** as a yellow oily liquid (62.4 mg, 67%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (t, J = 8.8 Hz, 1H), 7.49 (dd, J = 13.7, 6.2 Hz, 1H) , 7.39 – 7.30 (m, 1H), 7.29 – 7.19 (m, 1H), 7.15 – 6.92 (m, 4H), 6.88 (d, J = 7.8 Hz, 1H), 3.54 (dd, J = 21.0, 17.8 Hz, 1H), 3.25 (s, 3H), 3.10 (ddd, J = 24.7, 17.9, 10.5 Hz, 2H), 2.84 (dd, J = 17.7, 12.2 Hz, 1H), 1.29 (d, J = 10.1 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.5 (d, J = 8.5 Hz), 179.7 (d, J = 11.1 Hz), 143.7 (d, J = 5.6 Hz), 136.4 (C-F, q, $^{2}J_{C\text{-}F}$ = 39.2 Hz), 132.9 (d, J = 17.5 Hz), 132.4 (d, J = 11.2 Hz), 131.6 (d, J = 17.7 Hz), 130.5 (d, J = 15.5 Hz), 130.2, 129.2 (d, J = 7.7 Hz), 128.5 (d, J = 8.8 Hz), 128.2 (d, J = 17.6 Hz), 127.9 (d, J = 7.1 Hz), 122.3 (d, J = 7.1 Hz), 121.8 (d, J = 1.4 Hz), 118.3 (C-F, q, $^{1}J_{C\text{-}F}$ = 270.3 Hz), 108.3 (d, J = 2.0 Hz), 49.0, 45.1 (d, J = 13.1 Hz), 38.0 (d, J = 16.4 Hz), 26.4, 24.2 (d, J = 7.1 Hz).

^{19}F NMR (377 MHz, $CDCl_3$) δ – 61.7.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{20}ClF_3N_3O_2$ 462.1191; Found 462.1194.



3-(3-(1-(3,4-dimethylphenyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5m)

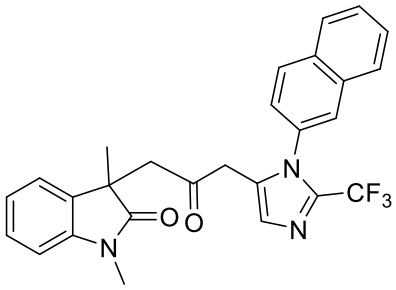
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2m** (47.1 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5m** as a yellow oily liquid (63.3 mg, 69%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.22 (m, 1H), 7.13 (t, J = 9.5 Hz, 1H), 6.99 (d, J = 7.0 Hz, 2H), 6.94 (s, 1H), 6.79 (dd, J = 48.1, 7.9 Hz, 3H), 3.32 (s, 2H), 3.22 (s, 3H), 3.02 – 2.73 (m, 2H), 2.31 (s, 3H), 2.25 (d, J = 5.4 Hz, 3H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.0, 179.8, 143.7, 138.9, 138.1 (d, J = 11.3 Hz), 136.7 (C-F, q, $^2J_{C\text{-}F}$ = 38.7 Hz), 133.0, 131.4, 130.5, 129.5, 128.33 (d, J = 10.2 Hz), 128.11 (d, J = 5.5 Hz), 124.80 (d, J = 14.9 Hz), 122.3, 121.83 (d, J = 11.0 Hz), 118.60 (C-F, q, $^1J_{C\text{-}F}$ = 270.0 Hz), 108.3, 48.9, 45.1, 38.1, 29.7, 26.4, 24.21 (d, J = 11.4 Hz), 19.7 (d, J = 7.4 Hz).

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.5.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1895.



1,3-dimethyl-3-(3-(1-(naphthalen-2-yl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-2-oxopropyl)indolin-2-one (5n)

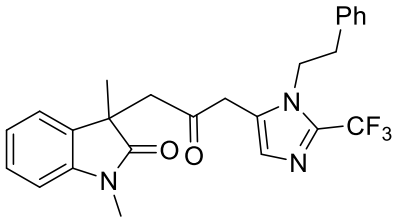
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2n** (51.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5n** as a yellow oily liquid (58.4 mg, 61%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, J = 7.2 Hz, 1H), 7.91 – 7.78 (m, 2H), 7.65 – 7.52 (m, 3H), 7.26 (s, 1H), 7.07 (t, J = 8.3 Hz, 1H), 7.00 (s, 1H), 6.97 – 6.80 (m, 3H), 3.36 (s, 2H), 3.21 (s, 3H), 2.88 (s, 2H), 1.22 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 143.6, 137.6 (C-F, q, $^2J_{C\text{-}F}$ = 36.0 Hz), 132.9, 132.8, 131.2, 129.7, 128.5, 128.4, 128.1, 128.0, 127.9, 127.8, 127.4, 127.3, 127.0, 124.6, 122.3, 121.7, 118.6 (C-F, q, $^1J_{C\text{-}F}$ = 269.8 Hz), 108.3, 49.0, 45.1, 38.2, 26.4, 24.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.4.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{27}H_{23}F_3N_3O_2$ 478.1737; Found 478.1739.



1,3-dimethyl-3-(2-oxo-3-(1-phenethyl-2-(trifluoromethyl)-1H-imidazol-5-yl)propyl)indolin-2-one (5o)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2o** (47.1 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol),

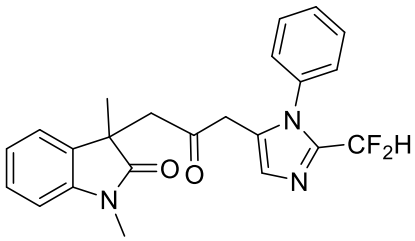
Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5o** as a yellow oily liquid (40.7 mg, 44%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.25 (m, 3H), 7.24 – 7.20 (m, 1H), 6.99 (dd, J = 7.4, 1.8 Hz, 2H), 6.95 – 6.90 (m, 2H), 6.82 (d, J = 8.5 Hz, 2H), 3.87 (td, J = 7.7, 4.1 Hz, 2H), 3.28 – 3.17 (m, 4H), 3.08 (d, J = 17.0 Hz, 1H), 3.04 (d, J = 2.1 Hz, 2H), 2.85 – 2.69 (m, 2H), 1.31 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.7, 179.9, 143.7, 136.9, 136.2 (C-F, q, $^2J_{C\text{-}F}$ = 39.1 Hz), 132.8, 128.9, 128.5, 128.2, 128.1, 127.3, 122.4, 121.4, 119.1 (C-F, q, $^1J_{C\text{-}F}$ = 269.4 Hz), 108.4, 48.5, 46.5, 45.2, 38.6, 37.0, 26.4, 24.4.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 61.3.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1894.



3-(3-(2-(difluoromethyl)-1-phenyl-1H-imidazol-5-yl)-2-oxopropyl)-1,3-dimethylindolin-2-one (5p)

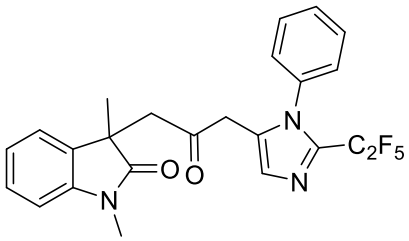
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2p** (37.9 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5p** as a yellow oily liquid (75.1 mg, 92%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (t, J = 7.4 Hz, 1H), 7.40 (t, J = 7.4 Hz, 2H), 7.27 (t, J = 6.4 Hz, 1H), 7.04 (d, J = 7.6 Hz, 2H), 6.99 (t, J = 7.4 Hz, 2H), 6.92 (s, 1H), 6.85 (d, J = 7.8 Hz, 1H), 6.49 (t, J = 52.7 Hz, 1H), 3.35 (s, 2H), 3.22 (s, 3H), 2.90 (s, 2H), 1.26 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 201.2, 179.8, 143.7, 141.9 (C-F, t, $^2J_{C\text{-}F}$ = 32.1 Hz), 134.1, 133.0, 129.8, 129.5, 128.7, 128.4, 128.1, 127.8, 122.3, 121.8, 109.4 (C-F, t, $^1J_{C\text{-}F}$ = 236.5 Hz), 108.3, 49.0, 45.1, 38.1, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 111.5, – 111.6.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{23}H_{22}F_2N_3O_2$ 410.1675; Found 410.1673.



1,3-dimethyl-3-(2-oxo-3-(2-(perfluoroethyl)-1-phenyl-1H-imidazol-5-yl)propyl)indolin-2-one (5q)

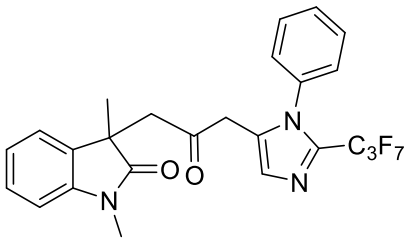
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2q** (51.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5q** as a yellow oily liquid (59.2 mg, 62%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (t, J = 7.5 Hz, 1H), 7.42 (t, J = 7.5 Hz, 1H), 7.36 (t, J = 7.6 Hz, 1H), 7.28 (t, J = 8.2 Hz, 1H), 7.08 – 6.94 (m, 5H), 6.85 (d, J = 7.8 Hz, 1H), 3.40 – 3.27 (m, 2H), 3.22 (s, 3H), 2.87 (s, 2H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.9, 179.7, 143.7, 135.4 (C-F, t, $^3J_{C\text{-}F}$ = 27.9 Hz), 134.2, 132.9, 130.0, 129.4, 129.0, 128.2, 127.8, 122.3, 121.8, 118.3 (C-F, qt, $^1J_{C\text{-}F}$ = 286.4 Hz, 36.0 Hz), 109.3 (C-F, tq, $^2J_{C\text{-}F}$ = 252.1 Hz, 39.1 Hz), 108.4, 49.0, 45.1, 38.0, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 82.3, – 107.0.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{21}F_5N_3O_2$ 478.1548; Found 478.1552.



1,3-dimethyl-3-(2-oxo-3-(2-(perfluoropropyl)-1-phenyl-1H-imidazol-5-yl)propyl)indolin-2-one (5r)

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2r** (61.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol),

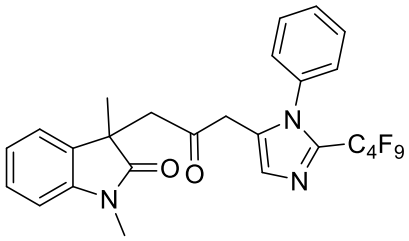
Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5r** as a yellow oily liquid (70.2 mg, 74%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (t, J = 7.5 Hz, 1H), 7.41 (t, J = 7.5 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 7.27 (t, J = 7.5 Hz, 1H), 7.06 – 6.93 (m, 5H), 6.85 (d, J = 7.8 Hz, 1H), 3.31 (d, J = 2.6 Hz, 2H), 3.22 (s, 3H), 2.85 (s, 2H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 135.2 (C-F, t, $^4J_{C\text{-}F}$ = 27.9 Hz), 134.3, 132.9, 130.2, 130.0, 129.3, 128.2, 127.9, 127.8, 122.3, 121.8, 117.7 (C-F, qt, $^1J_{C\text{-}F}$ = 288.9 Hz, 33.4 Hz) , 111.1 (C-F, tt, $^2J_{C\text{-}F}$ = 256.3 Hz, 32.0 Hz), 109.7 (C-F, tm, $^3J_{C\text{-}F}$ = 223.6 Hz), 108.4, 49.0, 45.1, 38.1, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 80.1 (t, J = 9.8 Hz), – 105.9 (dd, J = 19.5, 9.7 Hz), – 125.0.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{21}F_7N_3O_2$ 528.1517; Found 528.1518.



1,3-dimethyl-3-(2-oxo-3-(2-(perfluorobutyl)-1-phenyl-1H-imidazol-5-yl)propyl)indolin-2-one (5s)

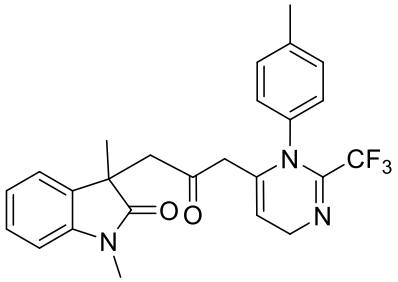
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2s** (71.5 mg, 0.2 mmol, 1.0 equiv), **3a** (22.0 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.2) to give the titled product **5s** as a yellow oily liquid (58.1 mg, 50%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (t, J = 7.4 Hz, 1H), 7.41 (t, J = 7.3 Hz, 1H), 7.36 (t, J = 7.4 Hz, 1H), 7.27 (t, J = 7.4 Hz, 1H), 7.03 (s, 1H), 7.02 – 6.96 (m, 4H), 6.85 (d, J = 7.8 Hz, 1H), 3.38 – 3.25 (m, 2H), 3.22 (s, 3H), 2.85 (s, 2H), 1.25 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 200.8, 179.7, 143.7, 135.3 (C-F, t, $^3J_{C\text{-}F}$ = 28.6 Hz), 134.4, 132.9, 130.2, 130.0, 129.3, 128.2, 127.9, 127.8, 122.3, 121.8, 117.4 (C-F, qt, $^1J_{C\text{-}F}$ = 287.8 Hz, 35.2 Hz), 111.7 (C-F, tt, $^2J_{C\text{-}F}$ = 257.1 Hz, 33.2 Hz), 108.3, 49.0, 45.1, 38.1, 26.4, 24.2.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 80.7, – 105.1, – 121.0, – 125.3.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{26}H_{21}F_9N_3O_2$ 578.1485; Found 578.1484.



1,3-dimethyl-3-(2-oxo-3-(3-(p-tolyl)-2-(trifluoromethyl)-3,6-dihydropyrimidin-4-yl)propyl)indolin-2-one (5t)

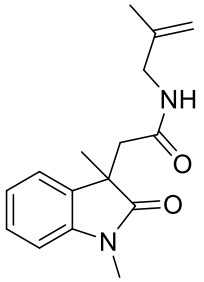
General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3c** (27.6 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 1:1, Rf = 0.3) to give the titled product **5t** as a yellow oily liquid (72.8 mg, 80%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 – 7.16 (m, 3H), 7.05 (d, J = 7.3 Hz, 1H), 6.98 (dd, J = 17.3, 7.9 Hz, 3H), 6.83 (d, J = 7.6 Hz, 1H), 4.80 (s, 1H), 3.51 (d, J = 5.7 Hz, 2H), 3.23 (s, 3H), 3.13 – 2,93 (m, 2H), 2.93 – 2.75 (m, 2H), 2.43 (s, 3H), 1.22 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 195.0, 180.5, 155.1, 143.8 (C-F, q, $^2J_{C\text{-}F}$ = 36.3 Hz), 143.6, 139.9, 133.8, 130.4, 129.4, 127.7, 122.1, 122.0, 117.6 (C-F, q, $^1J_{C\text{-}F}$ = 278.0 Hz), 108.1, 103.6, 51.6, 45.7, 42.4, 26.4, 24.6, 22.4, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 65.1.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{25}H_{25}F_3N_3O_2$ 456.1893; Found 456.1893.



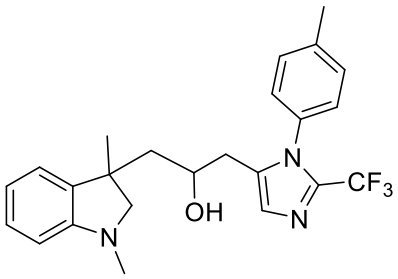
2-(1,3-dimethyl-2-oxoindolin-3-yl)-N-(2-methylallyl)acetamide (5v')

General procedure was followed with **1a** (90.3 mg, 0.3 mmol, 1.5 equiv), **2b** (44.3 mg, 0.2 mmol, 1.0 equiv), **3d** (28.5 mg, 0.4 mmol, 2.0 equiv), $PdCl_2$ (1.8 mg, 0.01 mmol, 5 mol %), TFP (4.6 mg, 0.02 mmol, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol, 2.0 equiv), [CO] (Ac_2O + HCO_2H, 0.3 mL, 2 mmol), Et_3N (0.3 mL, 2 mmol), THF (2 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 2:1, Rf = 0.2) to give the titled product **5v'** as a yellow oily liquid (18.1 mg, 33%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 (t, J = 6.7 Hz, 2H), 7.07 (t, J = 7.1 Hz, 1H), 6.85 (d, J = 7.6 Hz, 1H), 6.35 (s, 1H), 4.73 (d, J = 19.1 Hz, 2H), 3.68 (d, J = 5.9 Hz, 2H), 3.23 (s, 3H), 2.85 (d, J = 14.8 Hz, 1H), 2.68 (d, J = 14.8 Hz, 1H), 1.61 (s, 3H), 1.43 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 180.6, 168.8, 142.9, 141.8, 133.3, 128.2, 122.9, 122.8, 111.0, 108.4, 46.3, 45.1, 44.0, 26.4, 23.8, 20.3.

HRMS (ESI): $[M+Na]^+$ Calcd. for $C_{16}H_{20}N_2NaO_2$ 295.1417; Found 295.1418.



1-(1,3-dimethylindolin-3-yl)-3-(1-(o-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propan-2-ol (9)

General procedure was followed with **4a** (88.3 mg, 0.2 mmol, 1.0 equiv), DIBAL-H (2.0 M in hexane, 0.8 mL, 1.6 mmol) and Toluene (2.0 mL), Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 3:1, Rf = 0.2) to give the titled product **9** as a yellow solid (36.9 mg, 43%).

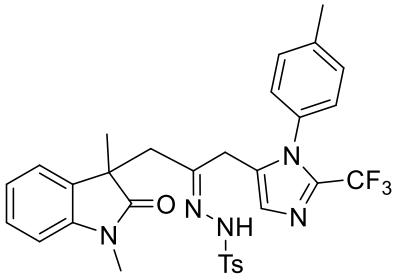
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 (s, 2H), 7.18 – 7.08 (m, 3H), 7.03 (s, 1H), 6.99 (d, J = 7.3 Hz, 1H), 6.73 (t, J = 7.4 Hz, 1H), 6.52 (d, J = 7.8 Hz, 1H), 4.02 – 3.91 (m, 1H), 3.29 (d, J = 9.0 Hz, 1H), 3.00 (d, J = 9.0 Hz, 1H), 2.76 (s, 3H), 2.48 (s, 3H), 2.46 – 2.37 (m, 2H), 1.83 – 1.66 (m, 2H), 1.32 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 152.1, 140.1, 137.2, 136.3 (C-F, q, $^2J_{C\text{-}F}$ = 38.3 Hz), 134.5, 131. 8, 130.0, 128.1, 127.6, 127.5, 126.9, 122.3, 118.4, 118.7 (C-F, q, $^1J_{C\text{-}F}$ = 269.8 Hz), 108.0, 68.9, 68.0, 47.4, 42.7, 36.0, 33.2, 29.7, 26.0, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.2.

M.p. 111.2 - 113.1 °C**.**

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{24}H_{27}F_3N_3O$ 430.2101; Found 430.2102.



(Z)-N'-(1-(1,3-dimethyl-2-oxoindolin-3-yl)-3-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)propan-2-ylidene)-4-methylbenzenesulfonohydrazide (10)

General procedure was followed with **4a** (88.3 mg, 0.2 mmol, 1.0 equiv), $TsNHNH_2$ (55.9 mg, 0.3 mmol, 1.5 equiv), MeOH (1 mL) and AcOH (0.1 mL)**.** Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 3:1, Rf = 0.2) to give the titled product **10** as a yellow solid (97.5 mg, 80%).

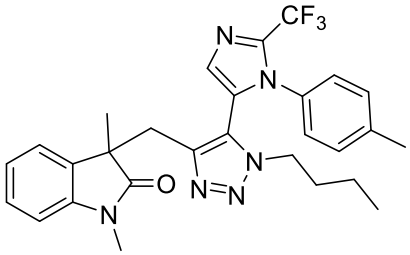
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.15 (s, 1H), 7.63 (d, J = 7.1 Hz, 2H), 7.37 – 7.24 (m, 5H), 7.21 (d, J = 7.1 Hz, 2H), 7.13 (t, J = 7.5 Hz, 1H), 6.80 (t, J = 10.4 Hz, 2H), 6.17 (s, 1H), 3.07 (d, J = 13.6 Hz, 1H), 2.79 (s, 3H), 2.67 (d, J = 17.4 Hz, 1H), 2.48 (d, J = 17.6 Hz, 1H), 2.44 (s, 6H), 2.33 (d, J = 13.6 Hz, 1H), 1.40 (s, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 181.0, 152.4, 143.7, 142.4, 140.1, 136.2 (q, J = 38.9 Hz), 135.2, 132.3, 132.2, 131.2, 130.1, 129.5, 129.1, 128.3, 127.1, 126.3, 123.7, 122.6, 118.6 (q, J = 269.9 Hz), 109.1, 48. 2, 37.5, 31.8, 26.0, 25.0, 21.5, 21.3.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.1.

M.p. 121.3 - 123.5 °C.

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{31}H_{31}F_3N_5O_3S$ 610.2094; Found 610.2096.



3-((1-butyl-5-(1-(p-tolyl)-2-(trifluoromethyl)-1H-imidazol-5-yl)-1H-1,2,3-triazol-4-yl)methyl)-1,3-dimethylindolin-2-one (11)

General procedure was followed with **10** (62.6 mg, 0.1 mmol, 1.0 equiv), *n*-$BuNH_2$ (14.6 mg, 0.2 mmol, 2.0 equiv.), $Cu(OAc)_2$ (36.4mg, 0.2 mmol, 2.0 equiv.), NaOAc (118.8 mg, 0.2 mmol, 2.0 equiv.), Toluene (1 mL). Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether / ethyl acetate = 3:1, Rf = 0.2) to give the titled product **11** as a white solid (21.4 mg, 41%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 (s, 1H), 7.23 (t, J = 7.7 Hz, 1H), 7.11 (d, J = 8.0 Hz, 2H), 6.95 – 6.71 (m, 5H), 3.80 (s, 2H), 3.17 (s, 3H), 2.34 (s, 3H), 1.65 (s, 2H), 1.52 (s, 2H), 1.25 (s, 3H), 1.10 (s, 2H), 0.82 (t, J = 7.2 Hz, 3H).

^{13}C{^{1}H} NMR (101 MHz, $CDCl_3$) δ 186.0, 157.2, 143.4, 140.7, 140.1, 135.9 (C-F, q, $^2J_{C\text{-}F}$ = 38.3 Hz), 132.8, 131.8, 130.9, 130.1, 129.7, 128.2, 126.2, 123.2, 121.9, 118.3 (C-F, q, $^1J_{C\text{-}F}$ = 271.1 Hz), 108.1, 48.5, 48.0, 31.7, 29.7, 26.3, 23.2, 21.2, 19.4, 13.4.

^{19}F NMR (377 MHz, $CDCl_3$) δ – 60.3.

M.p. 128.2 - 130.9 °C**.**

HRMS (ESI): $[M+H]^+$ Calcd. for $C_{28}H_{30}F_3N_6O$ 523.2428; Found 523.2429.

7. References

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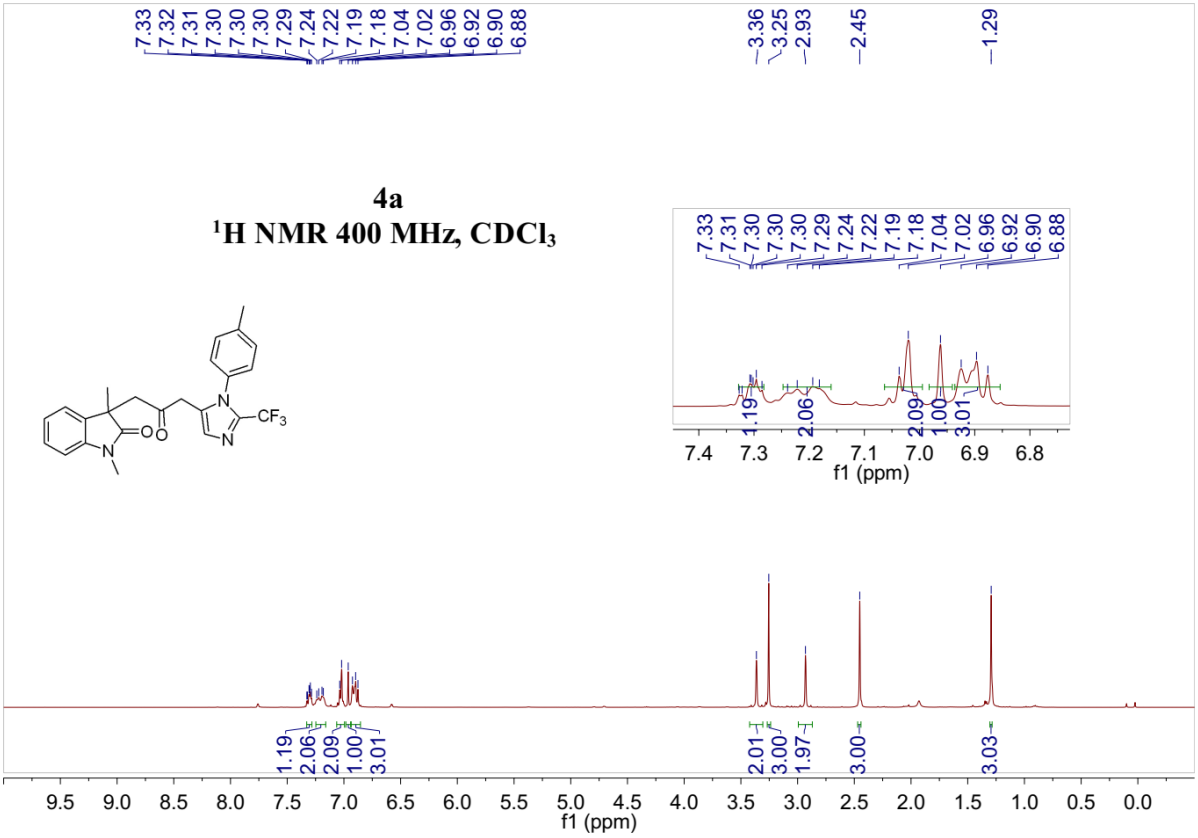
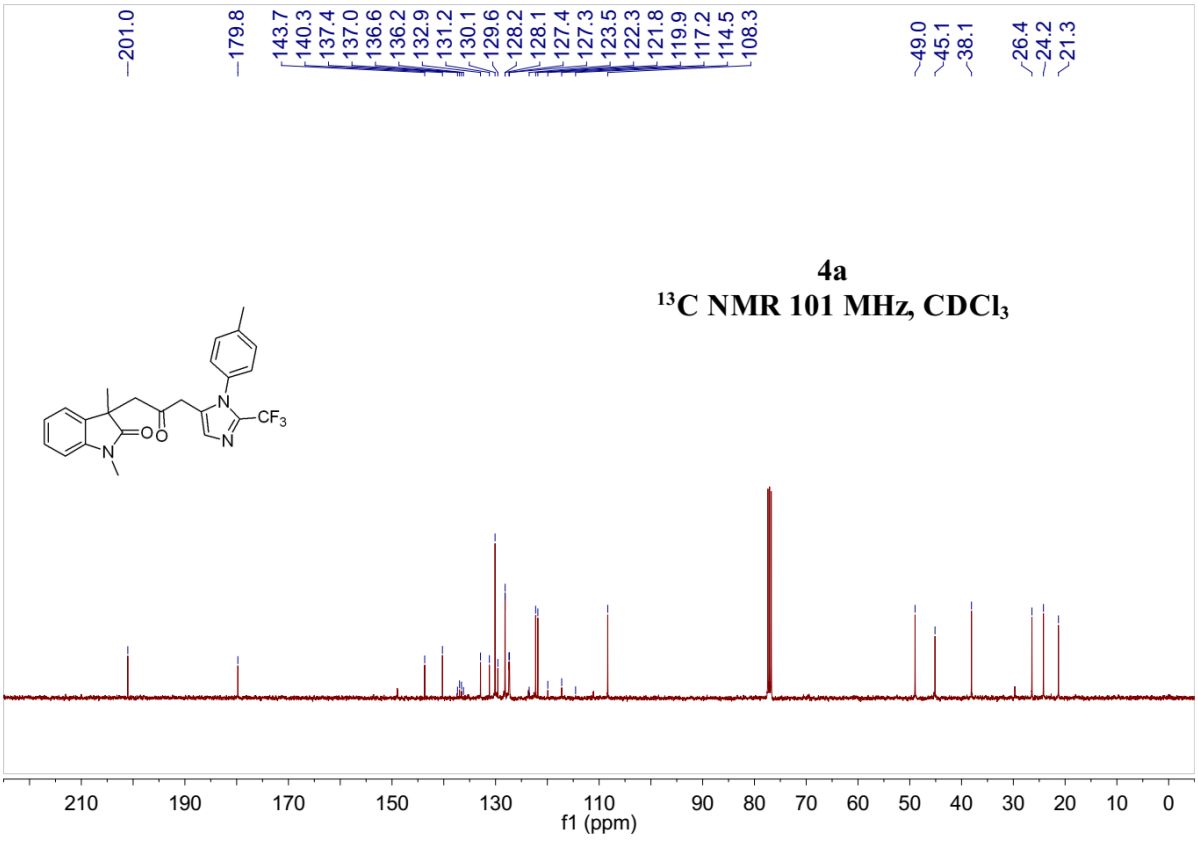
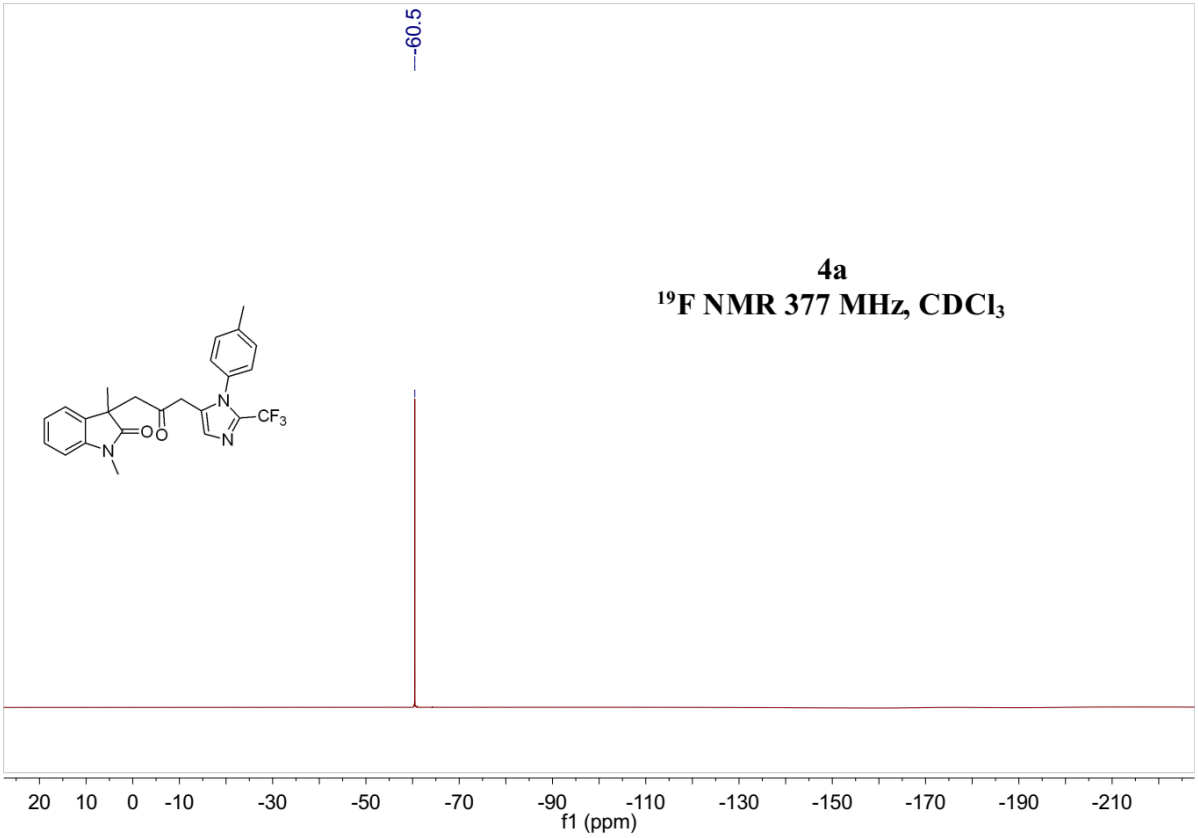
8 Copy of ^{1}H, ^{13}C and ^{19}F NMR Spectra of Product



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N
CF3
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-110
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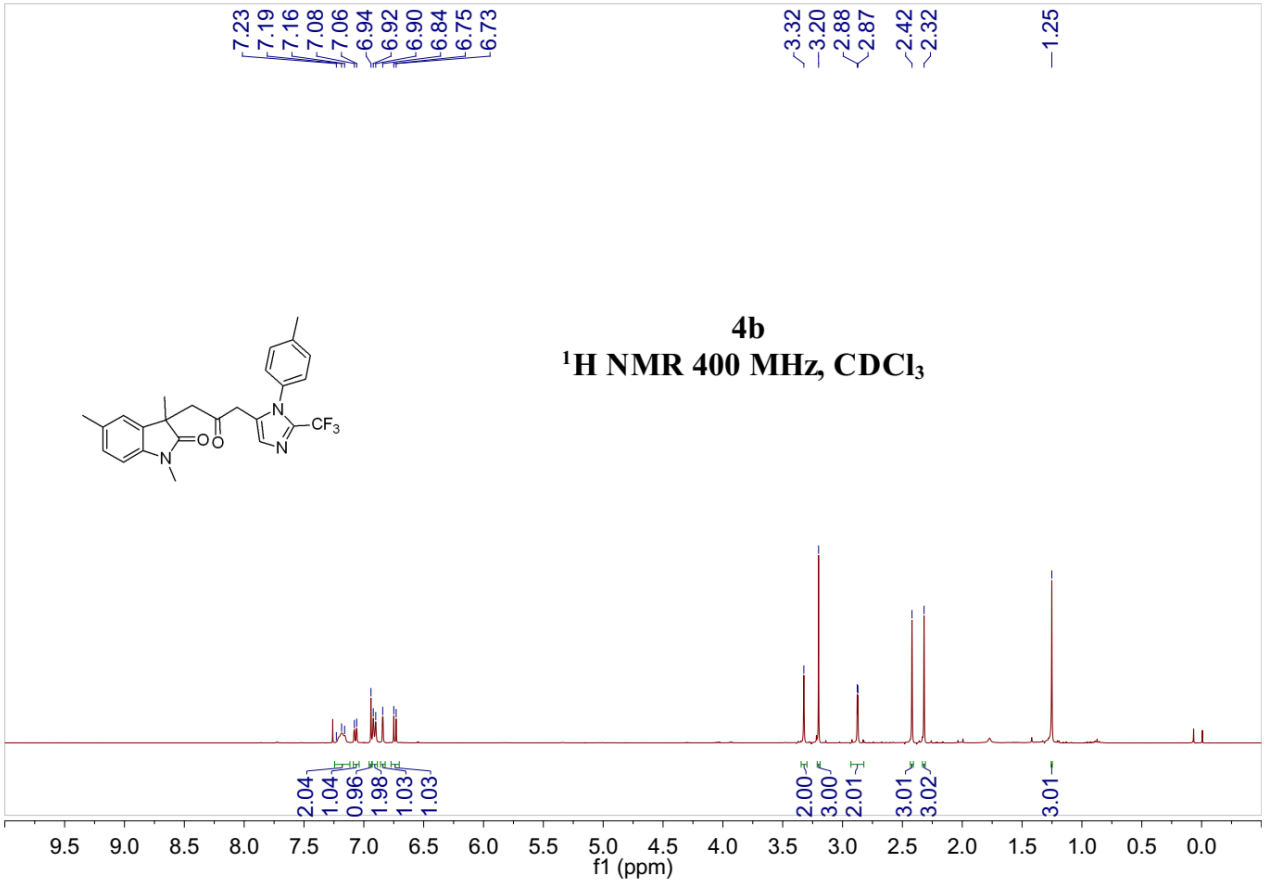
-150
-170
-190
-210
f1 (ppm)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7.16
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3.20
2.88
2.87
2.42
2.32
1.25
4b
1H NMR 400 MHz, CDCl3
CF3
2.04
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1.03
1.03
2.00
3.00
2.01
3.01
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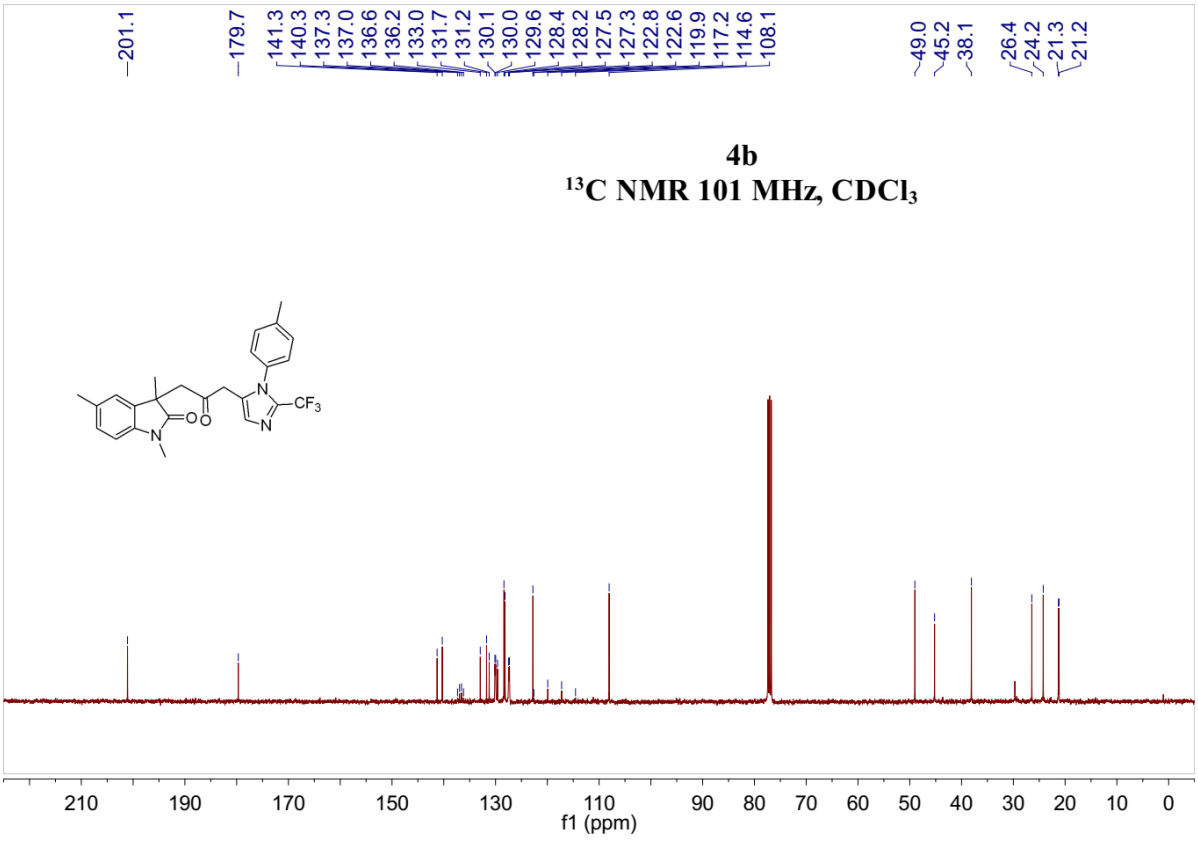
3.01
f1 (ppm)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129.6
128.4
128.2
127.5
127.3
122.8
122.6
119.9
117.2
114.6
108.1
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26.4
24.2
21.3
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4b
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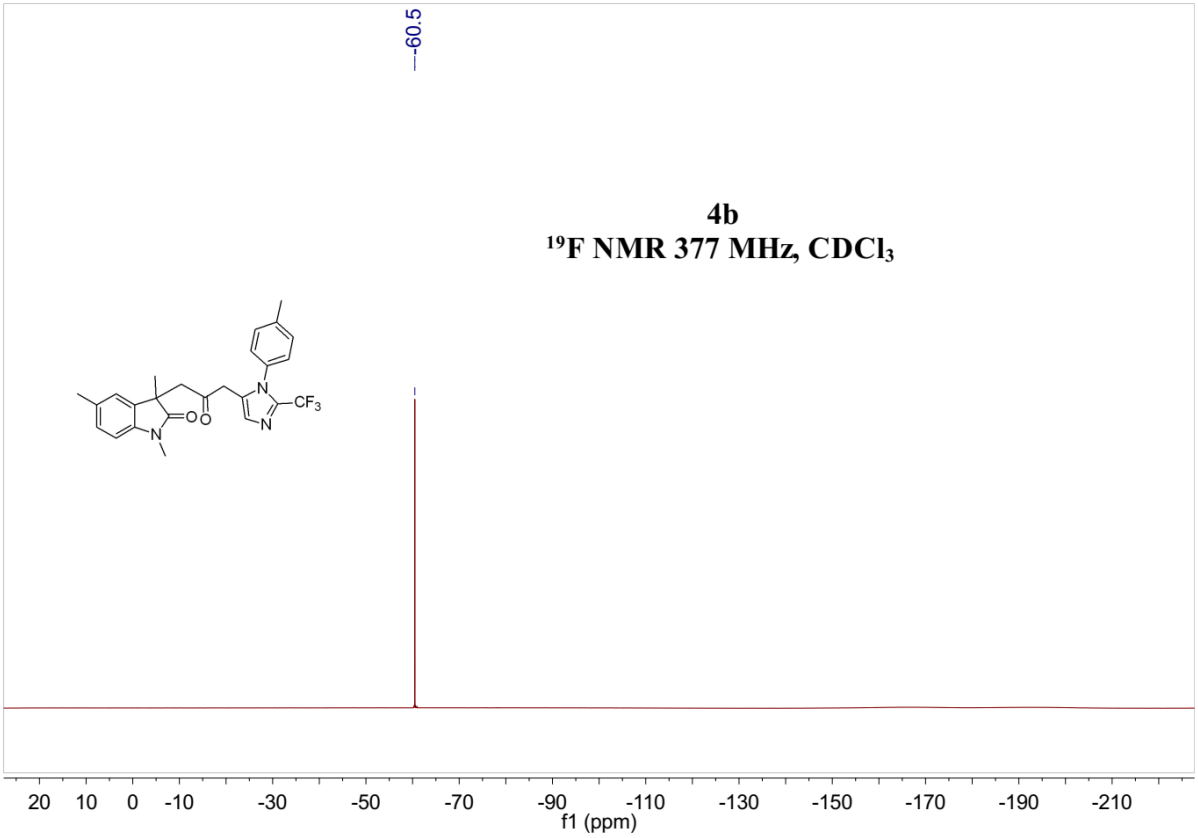
CF3
f1 (ppm)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CF3
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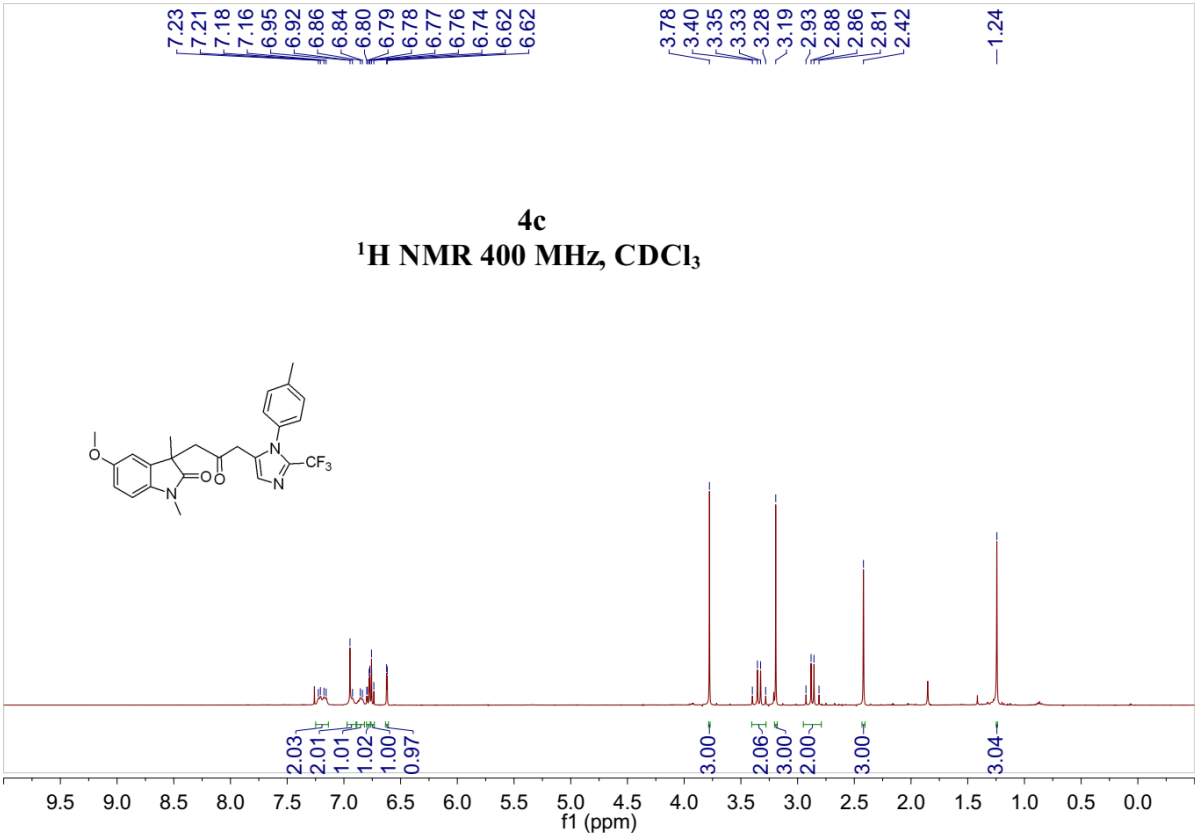
f1 (ppm)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3.33
3.28
3.19
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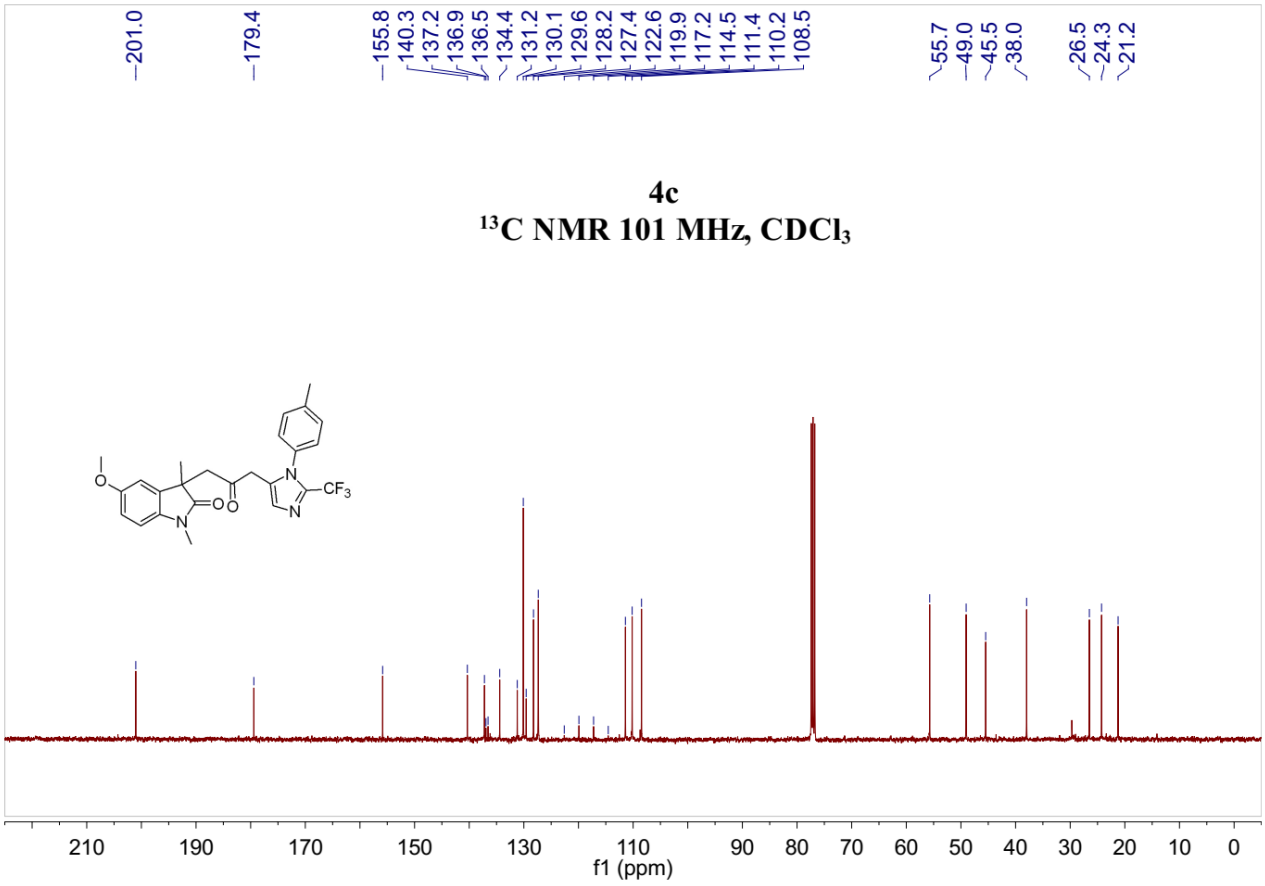
2.86
2.81
2.42
1.24
4c
1H NMR 400 MHz, CDCl3
2.03
2.01
1.01
1.02
1.00
0.97
3.00
2.06
3.00
2.00
3.00
3.04
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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128.2
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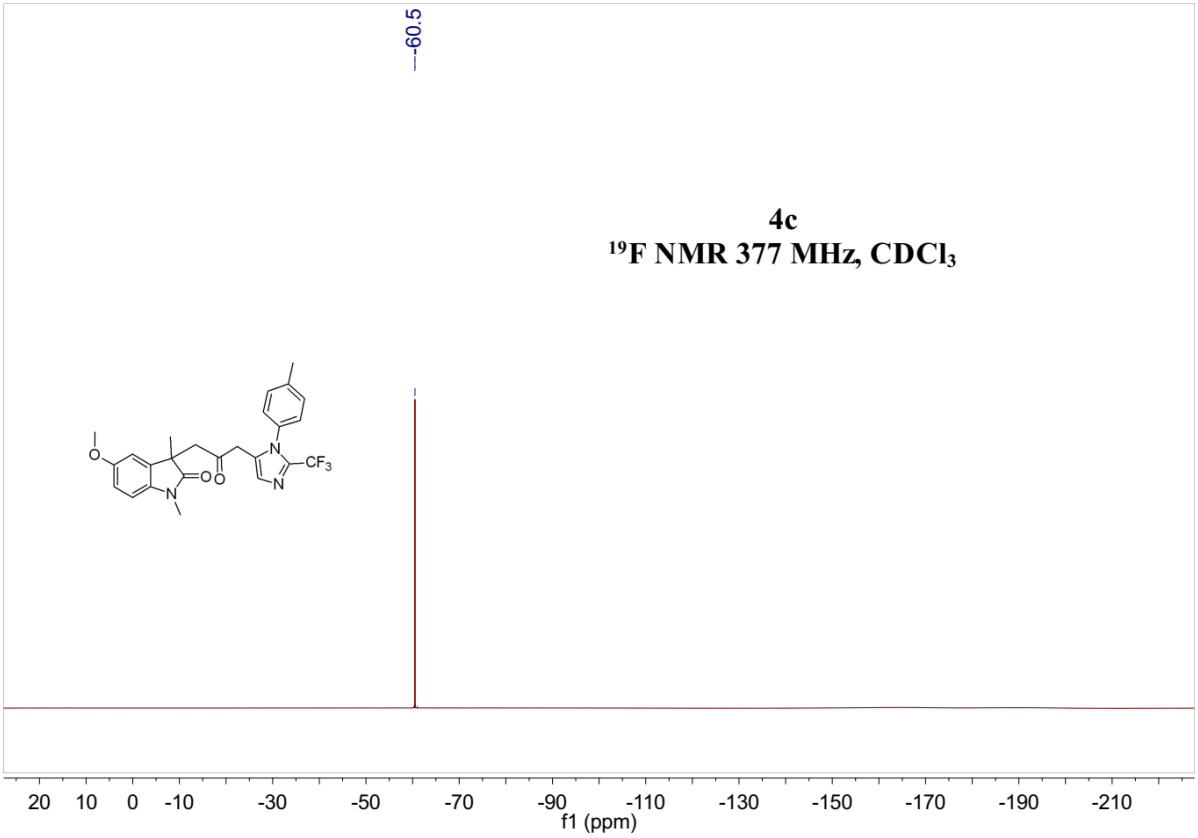
122.6
119.9
117.2
114.5
111.4
110.2
108.5
55.7
49.0
45.5
38.0
26.5
24.3
21.2
4c
13C NMR 101 MHz, CDCl3
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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4c
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O
O
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N
N
N
CF3
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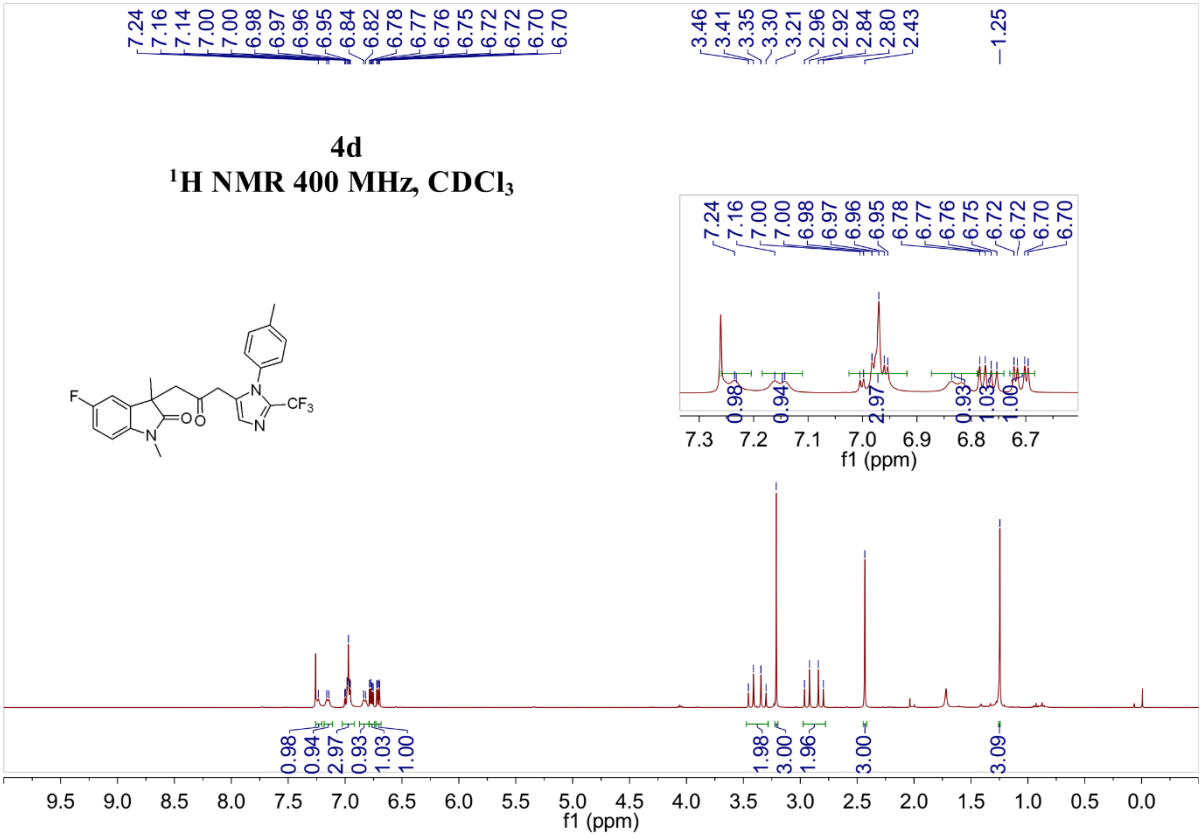
-190
-210
f1 (ppm)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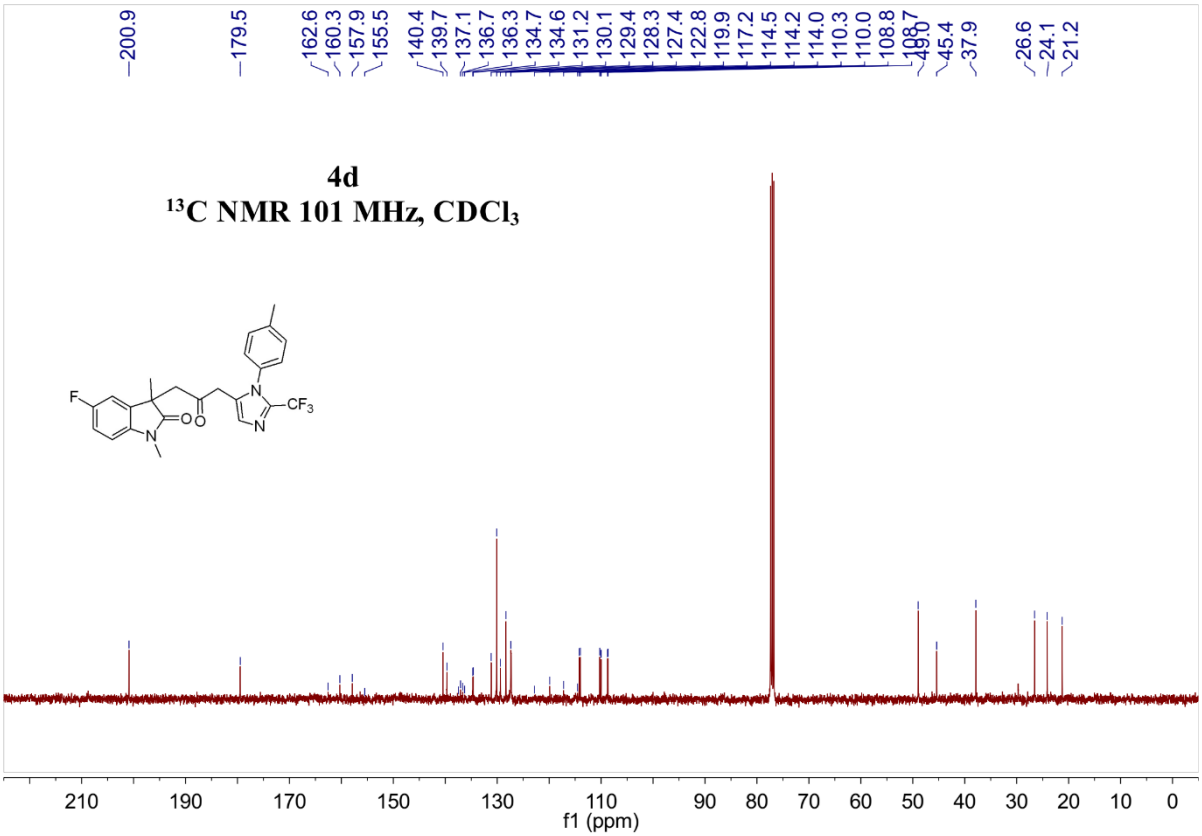
f1 (ppm)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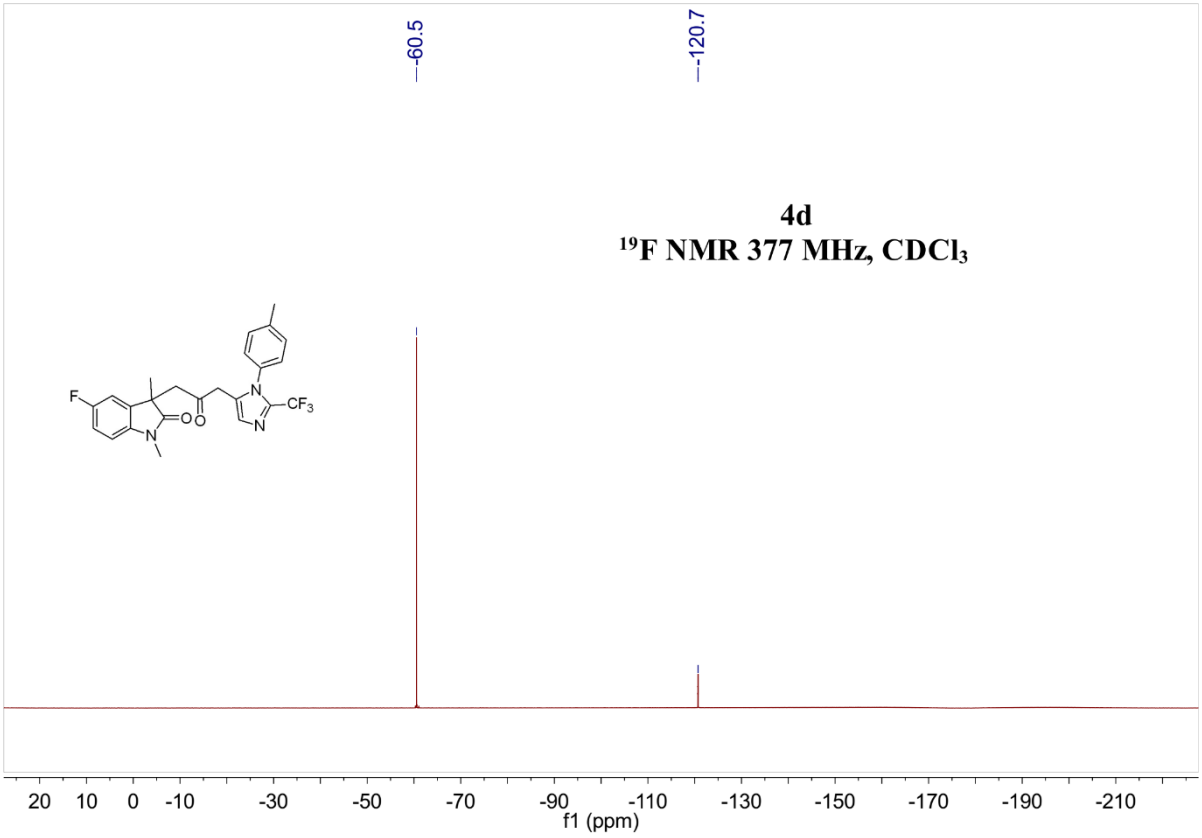
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-120.7
4d
19F NMR 377 MHz, CDCl3
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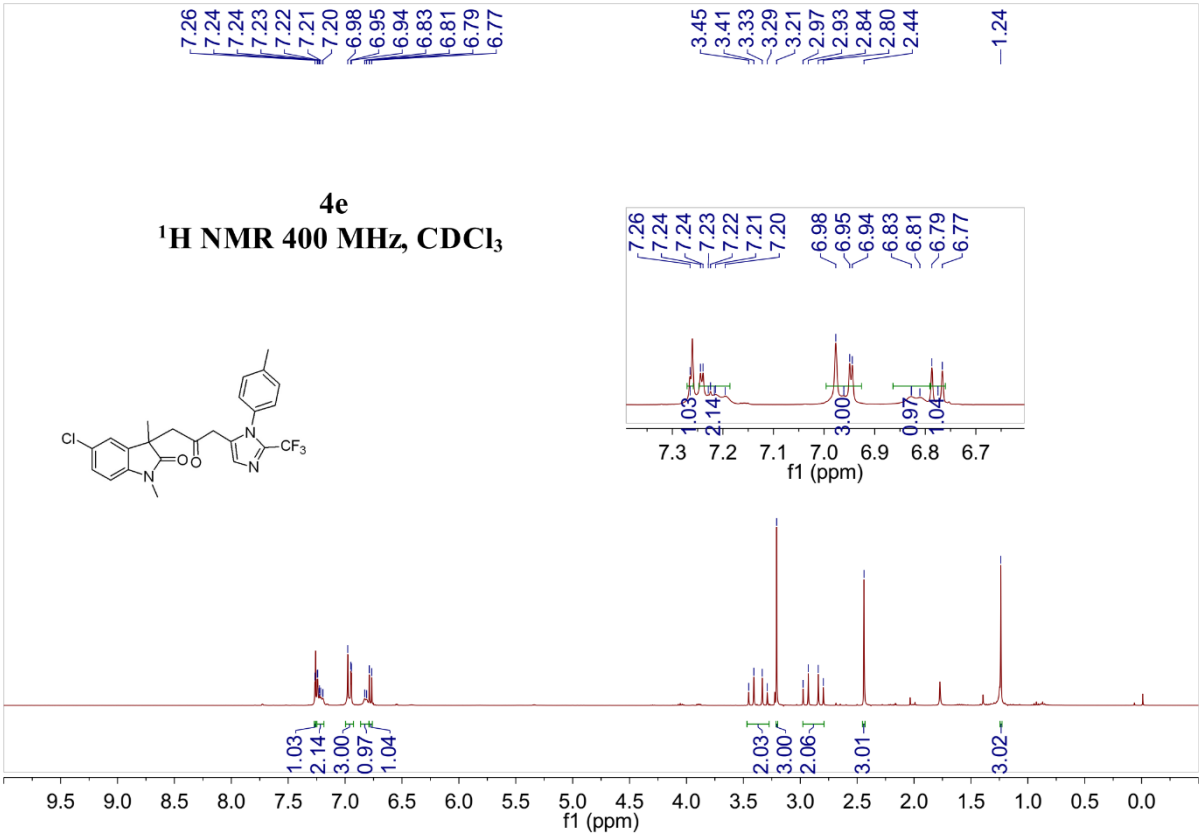
O
N
N
N
CF3
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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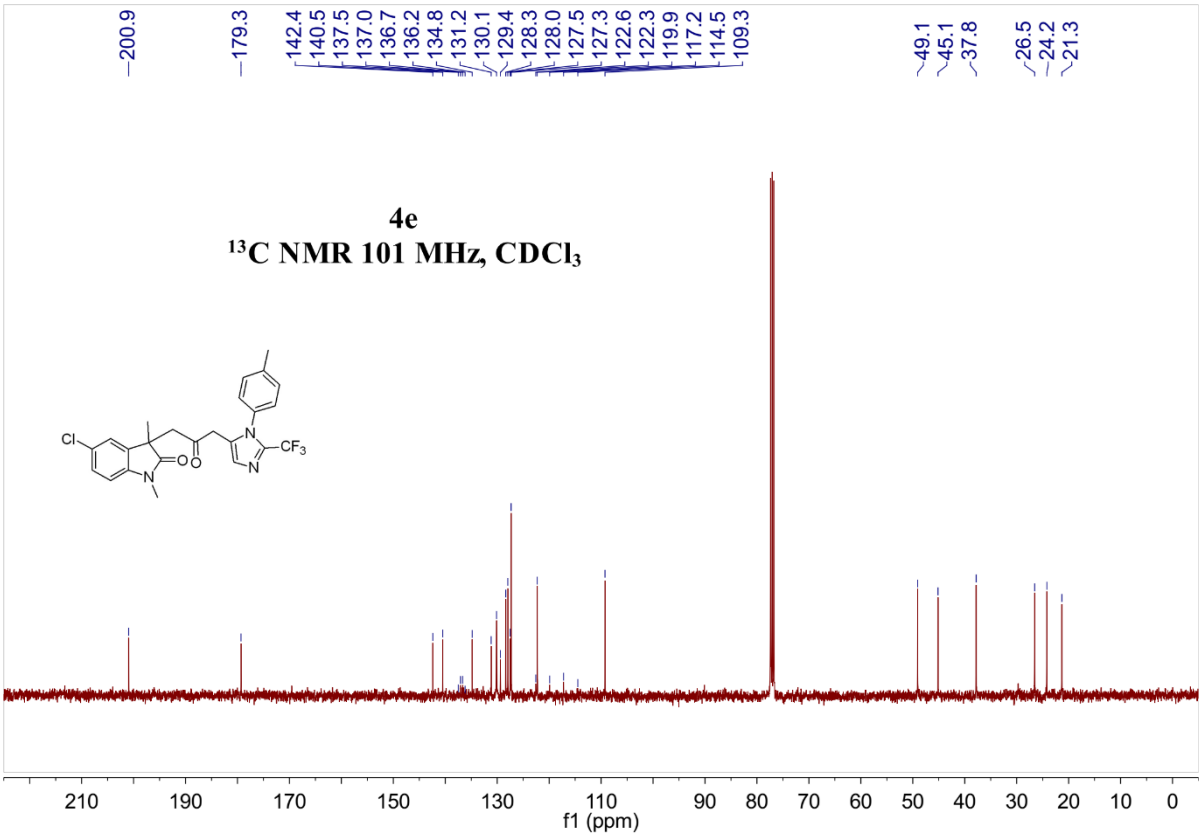
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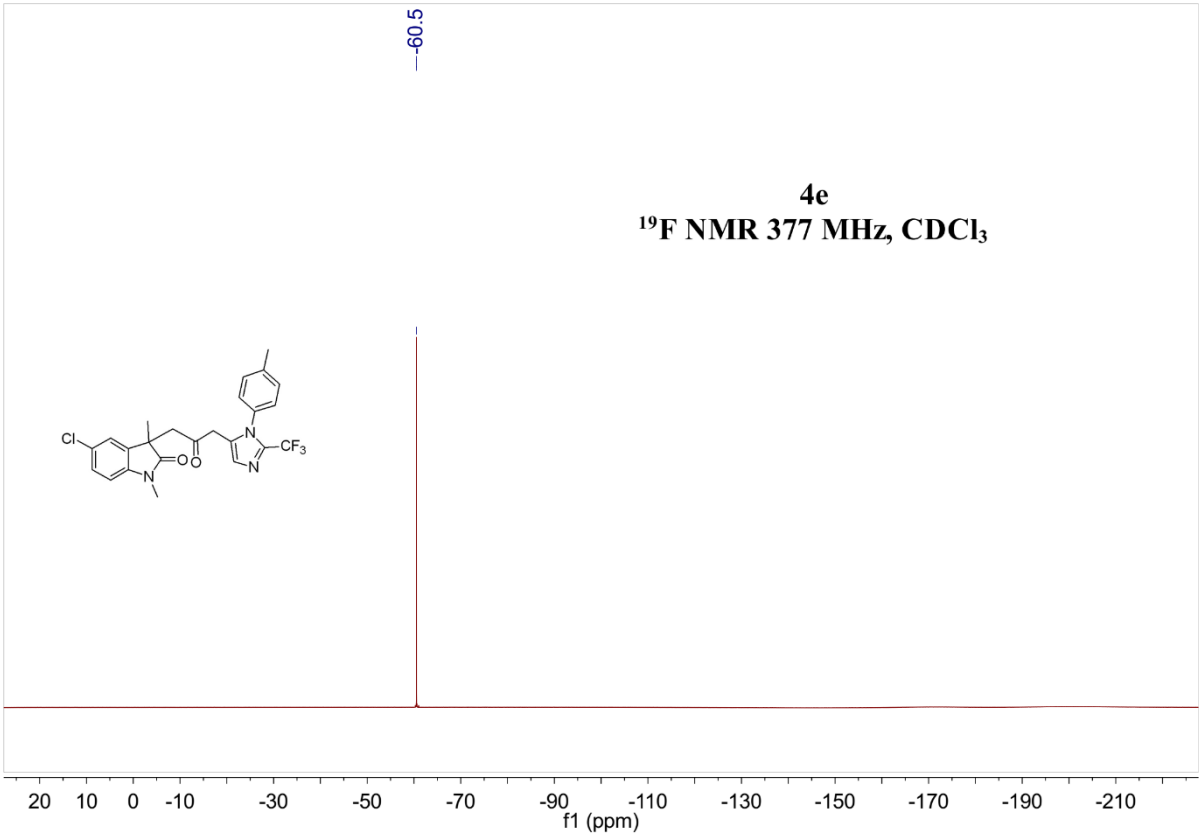
f1 (ppm)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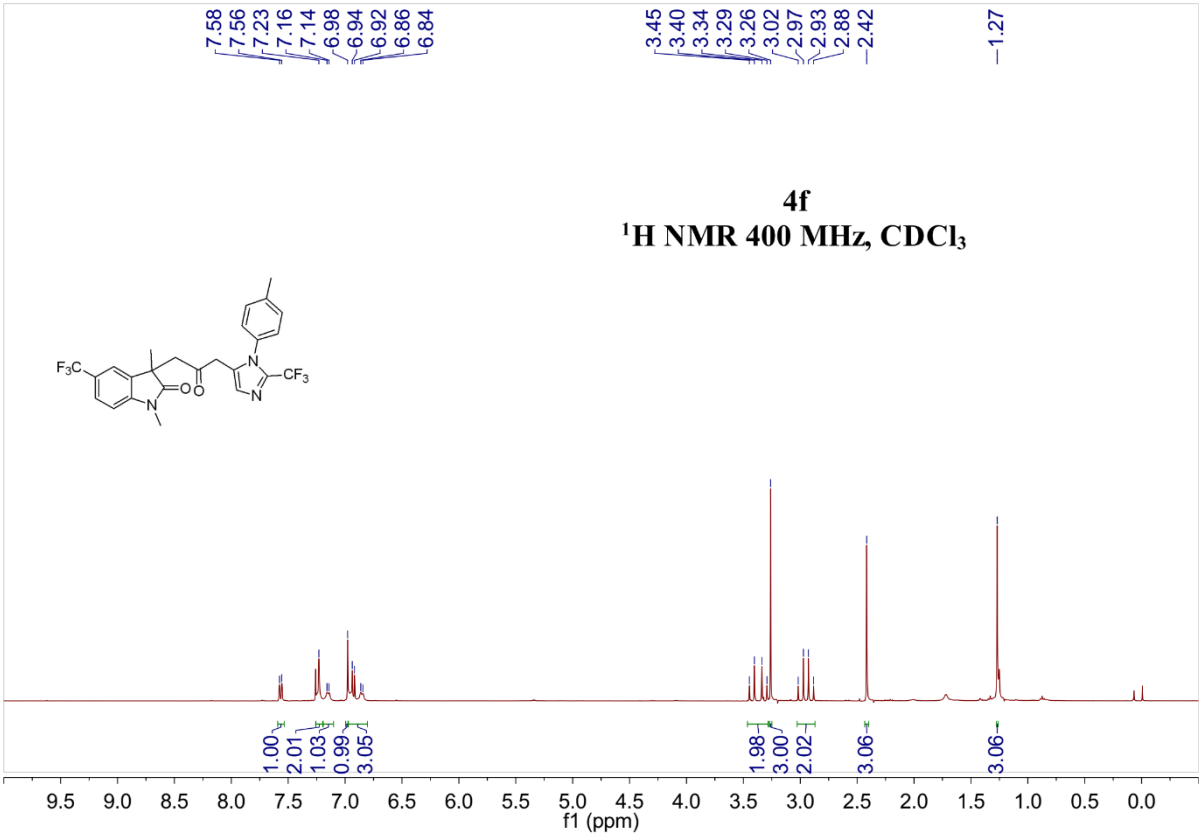
f1 (ppm)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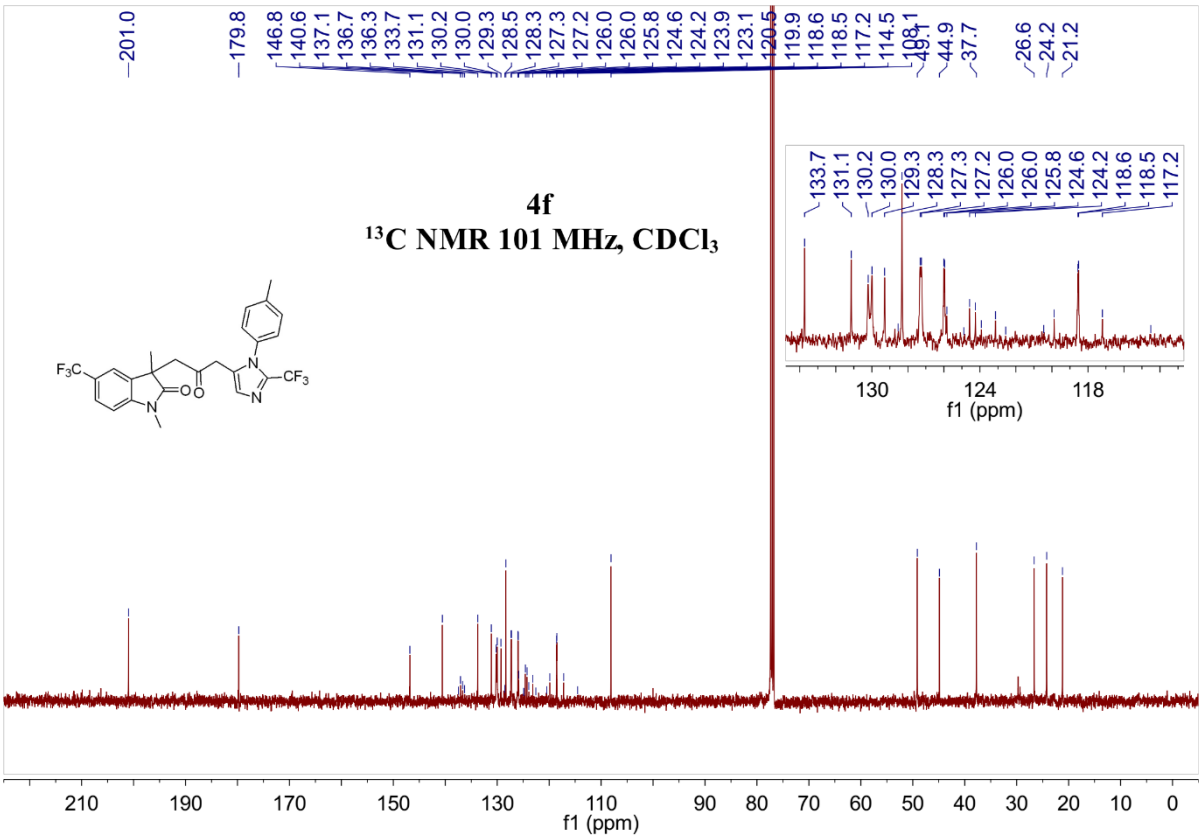
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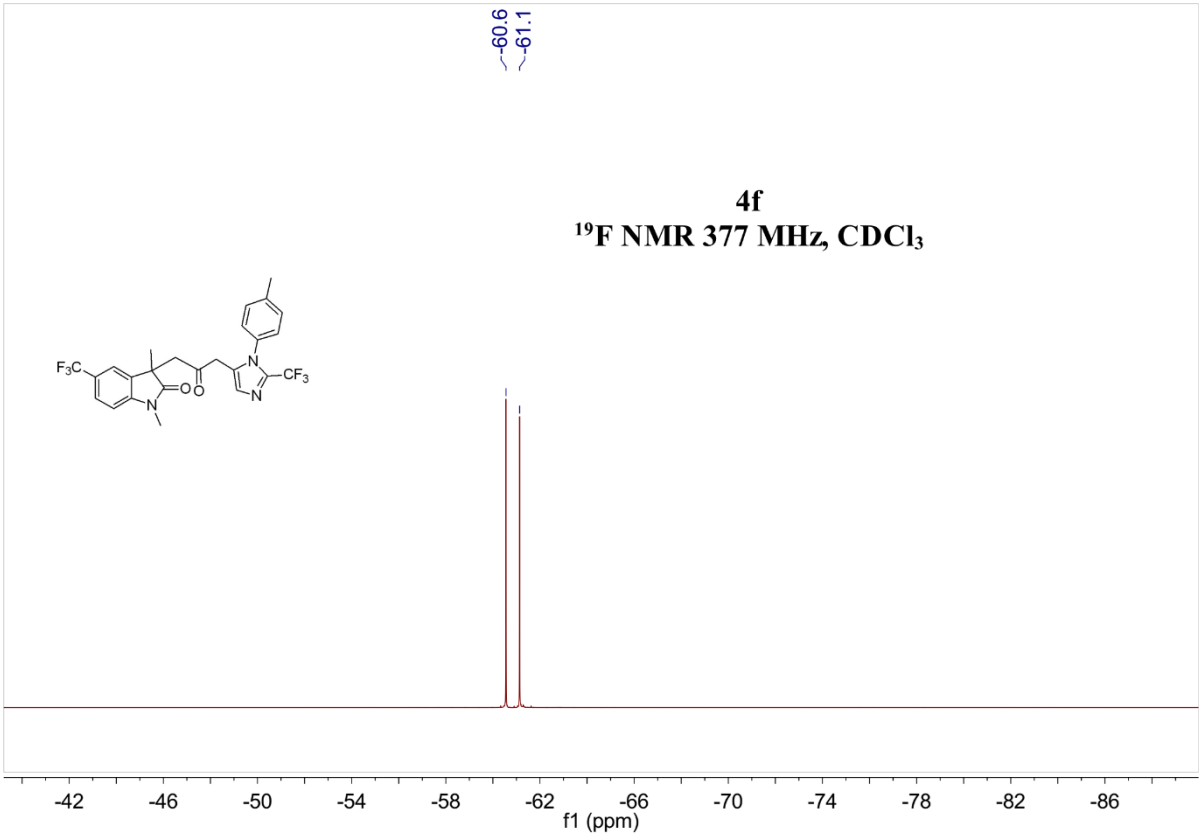
F3C
CF3
f1 (ppm)
f1 (ppm)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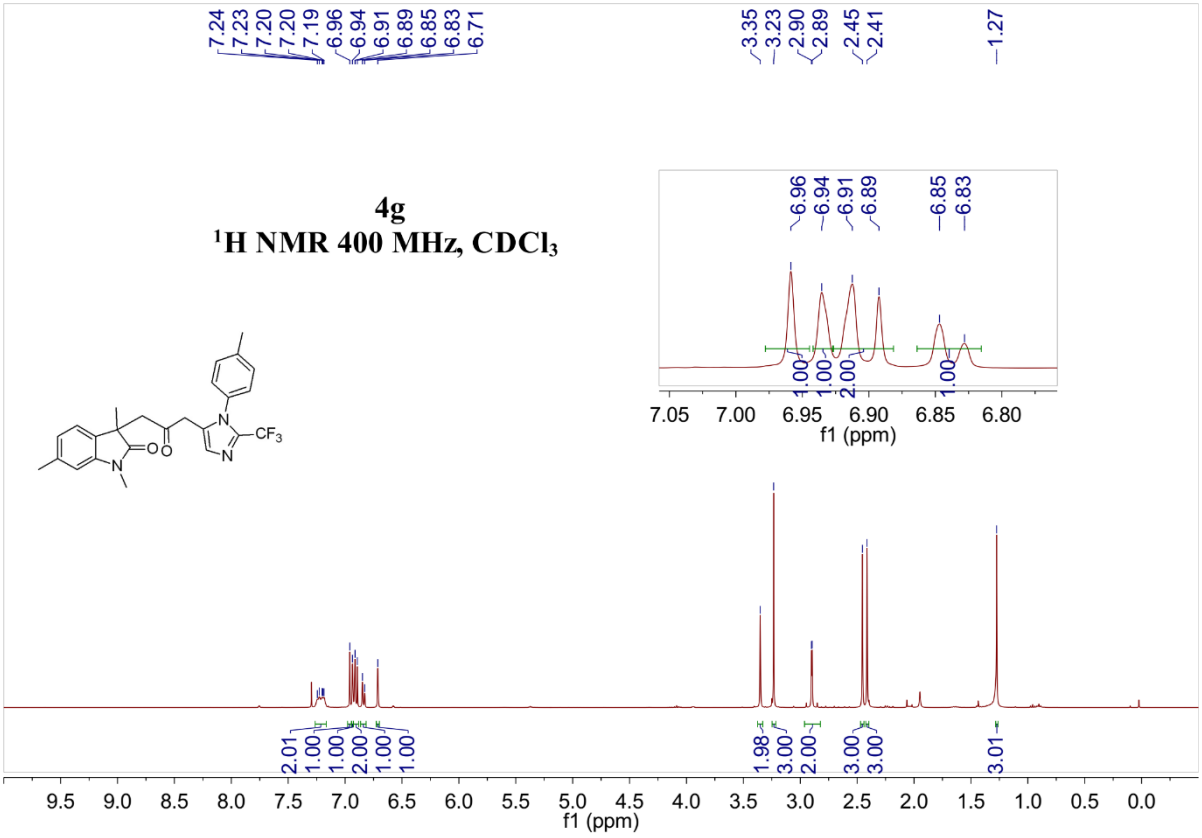
f1 (ppm)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6.85
6.83
1.00
1.00
2.00
1.00
7.05 7.00 6.95 6.90 6.85 6.80
f1 (ppm)
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1.00
2.00
1.00
1.00
1.98
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2.00
3.00
3.00
3.01
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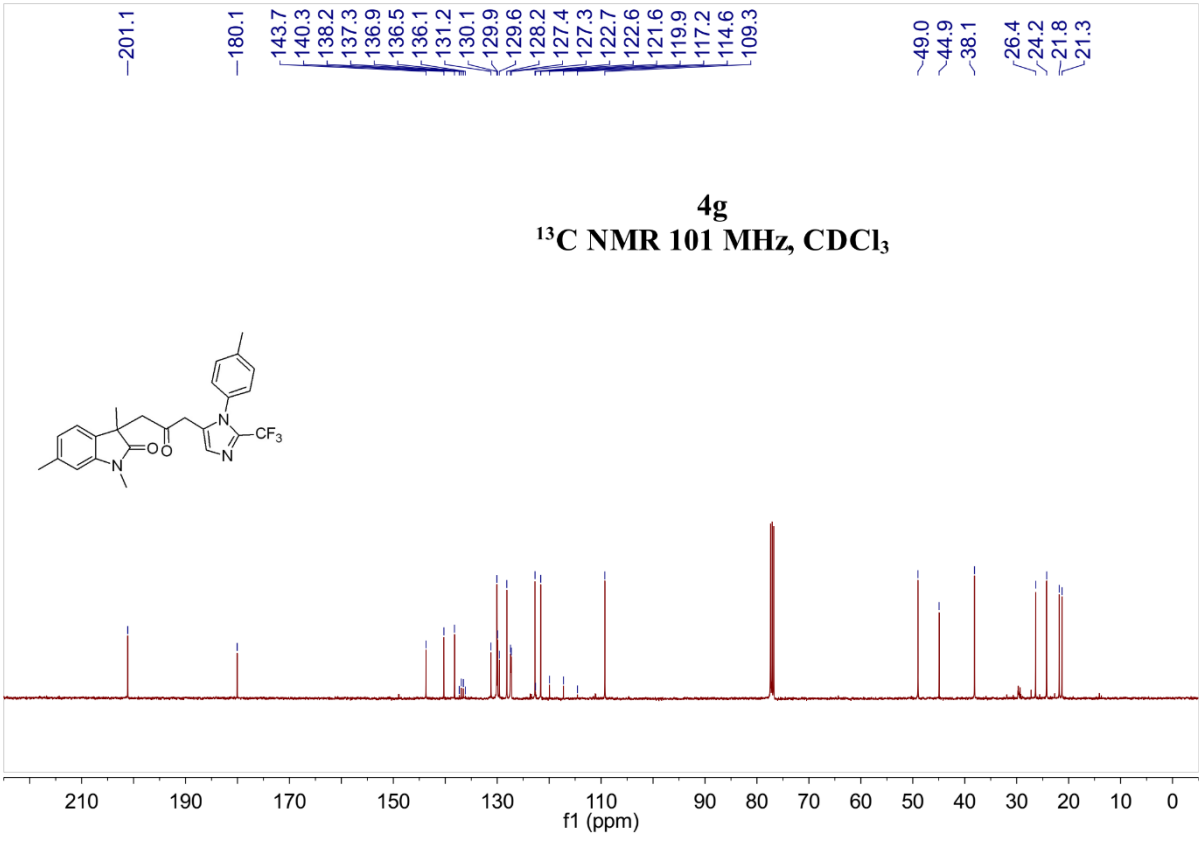
f1 (ppm)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119.9
117.2
114.6
109.3
49.0
44.9
38.1
26.4
24.2
21.8
21.3
4g
13C NMR 101 MHz, CDCl3
CF3
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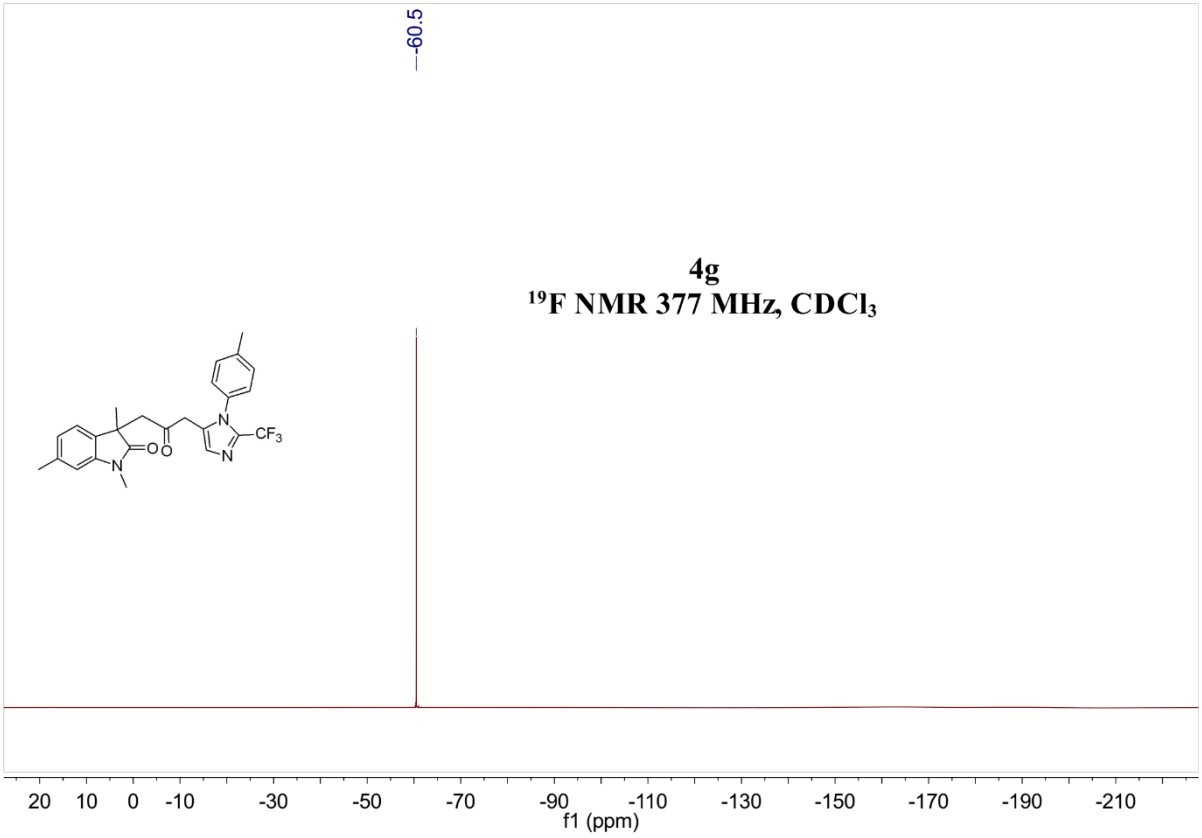
f1 (ppm)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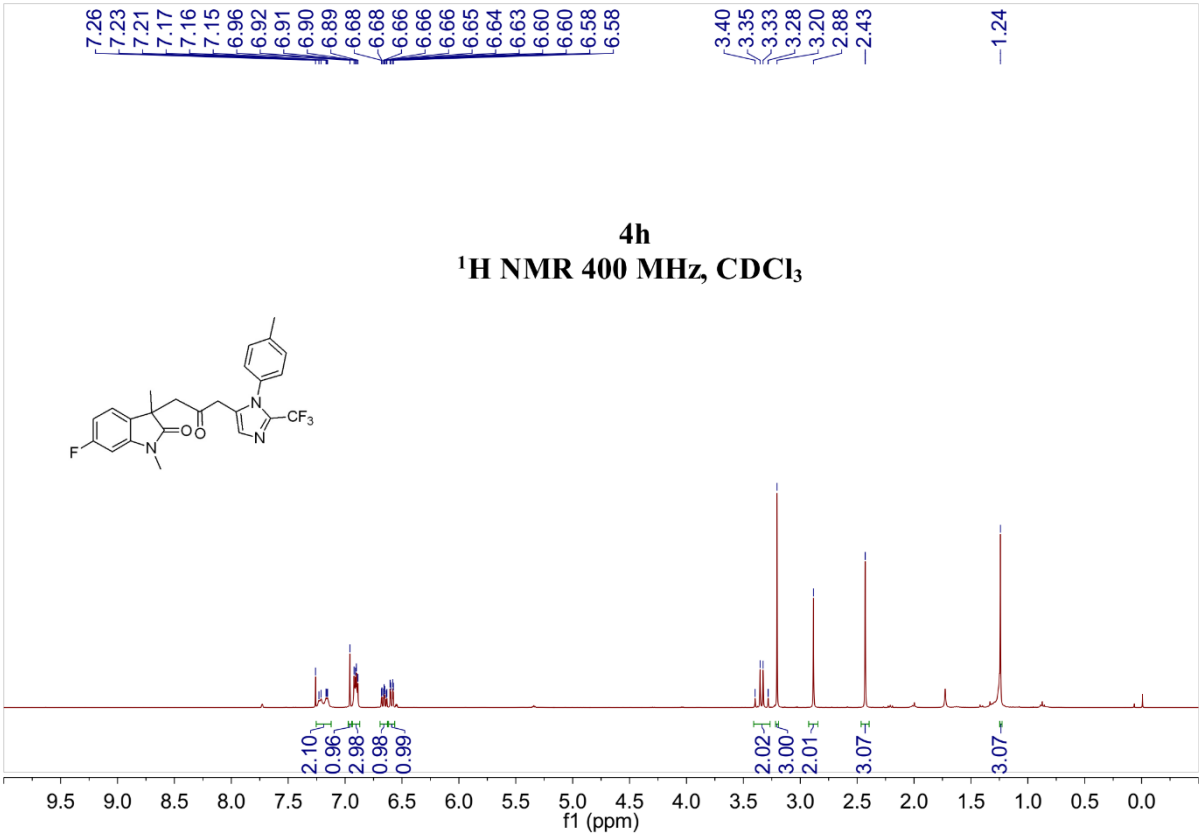
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3.20
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2.43
1.24
4h
1H NMR 400 MHz, CDCl3
CF3
F
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2.98
0.98
0.99
2.02
3.00
2.01
3.07
3.07
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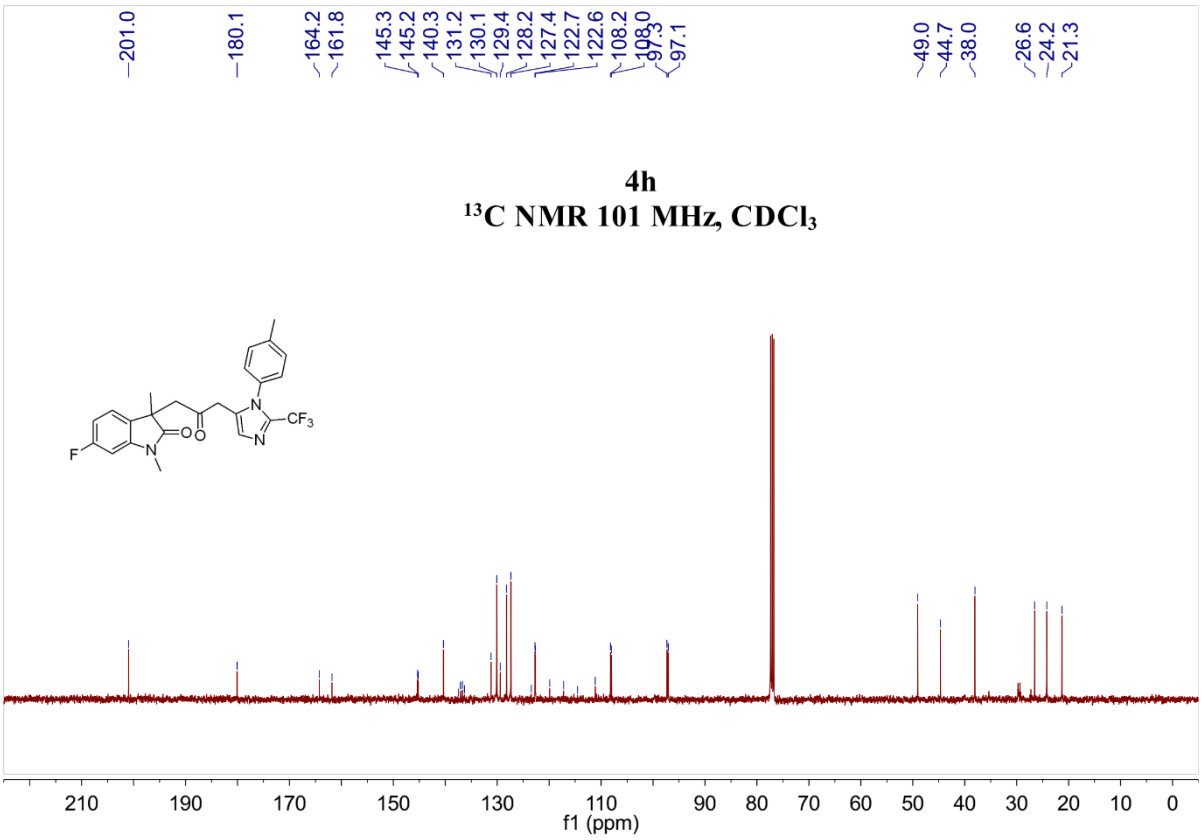
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108.0
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97.1
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44.7
38.0
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CF3
F
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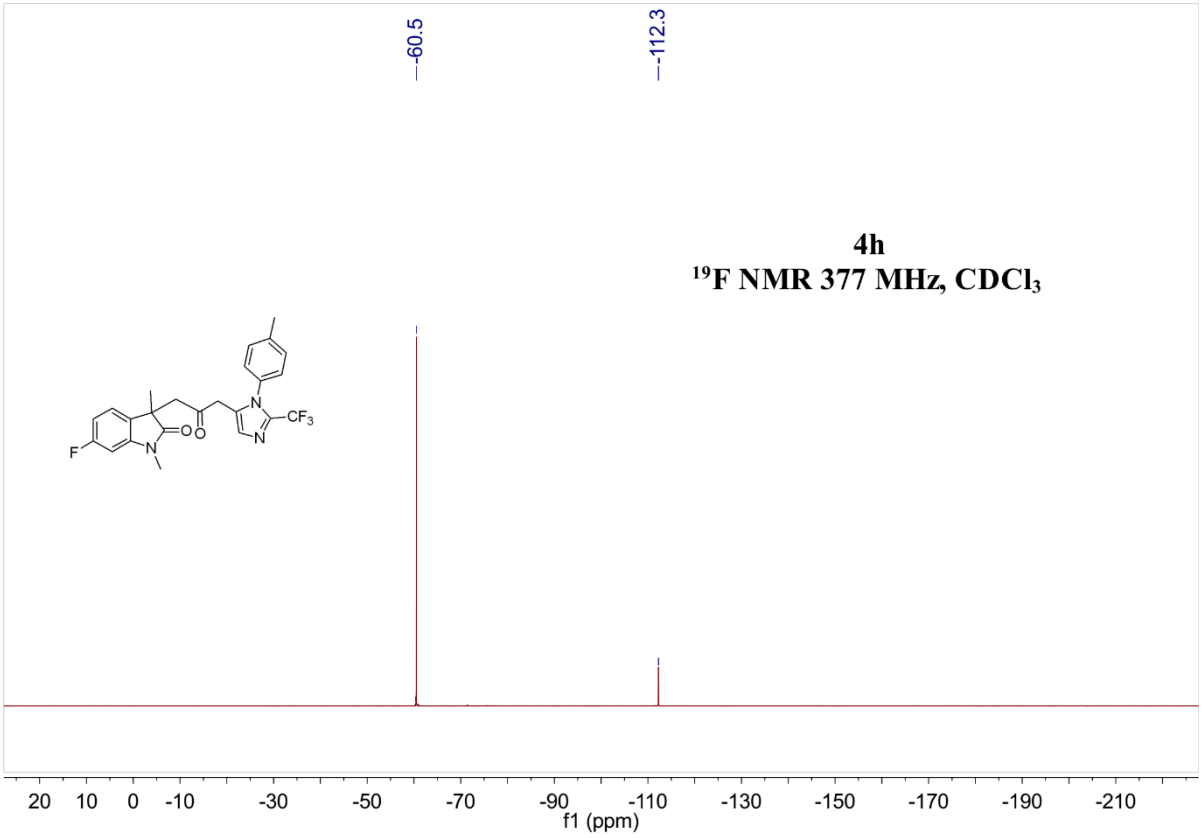
f1 (ppm)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F
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O
N
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CF3
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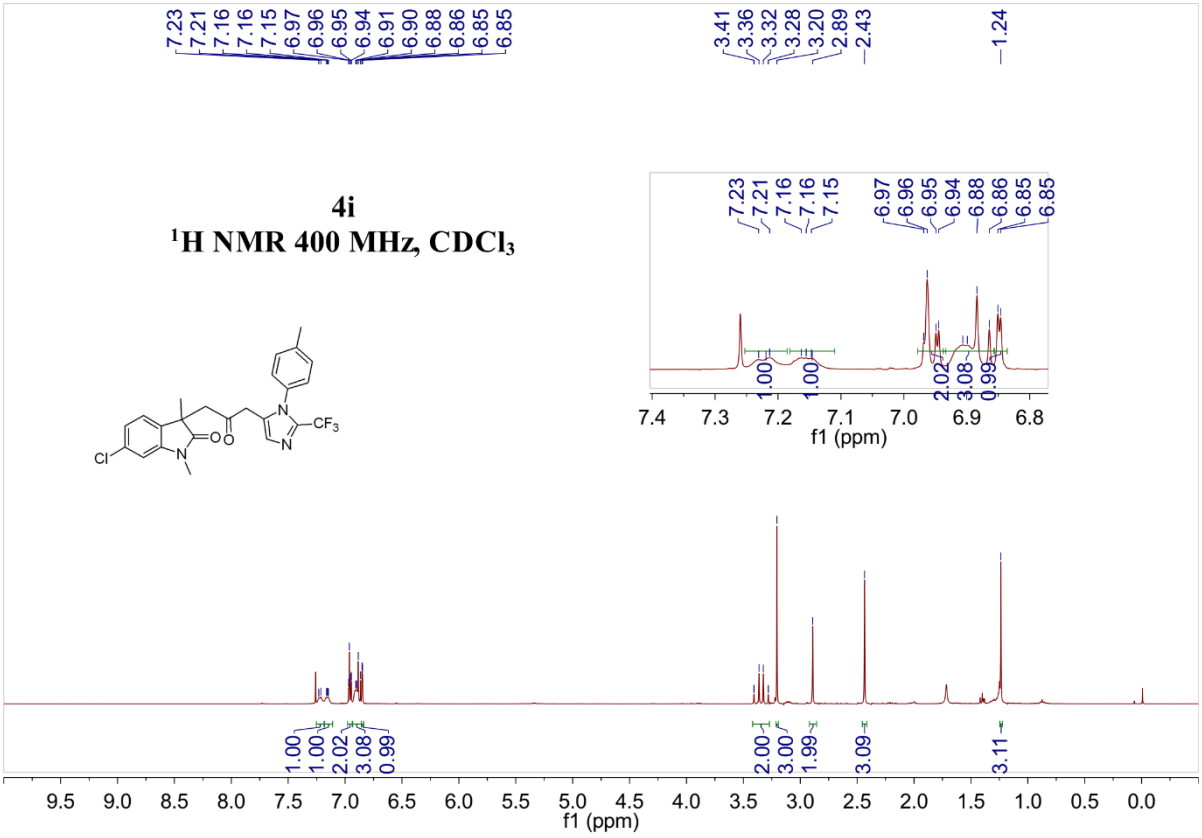
-210
f1 (ppm)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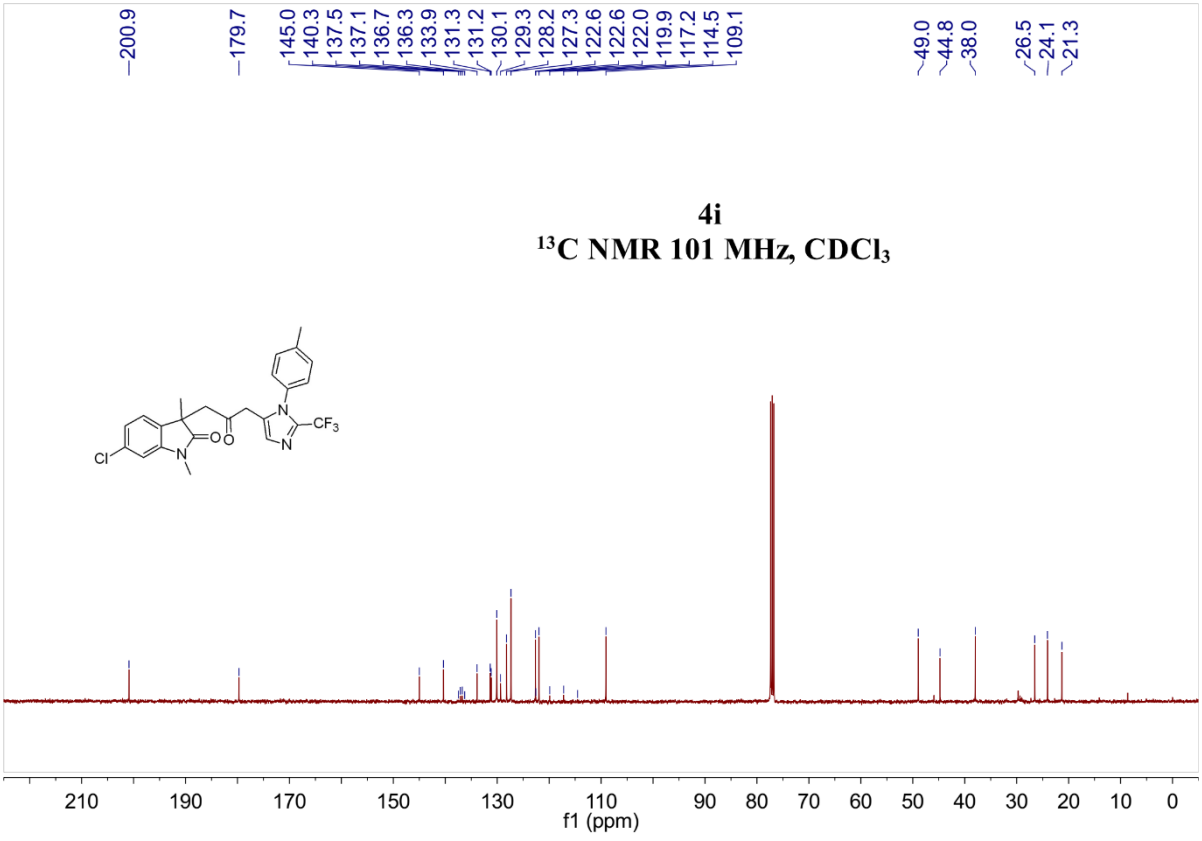
f1 (ppm)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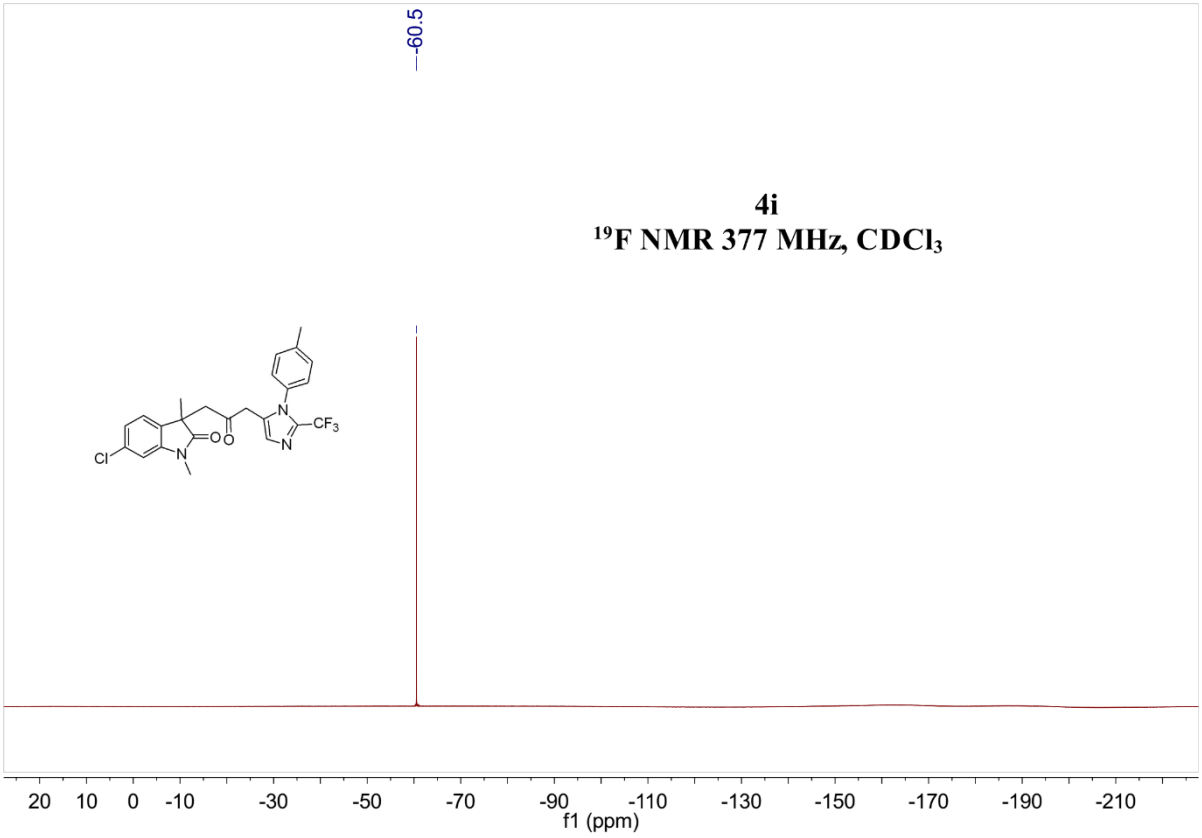
^{13}C NMR 101 MHz, $CDCl_3$
f1 (ppm)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N
CF3
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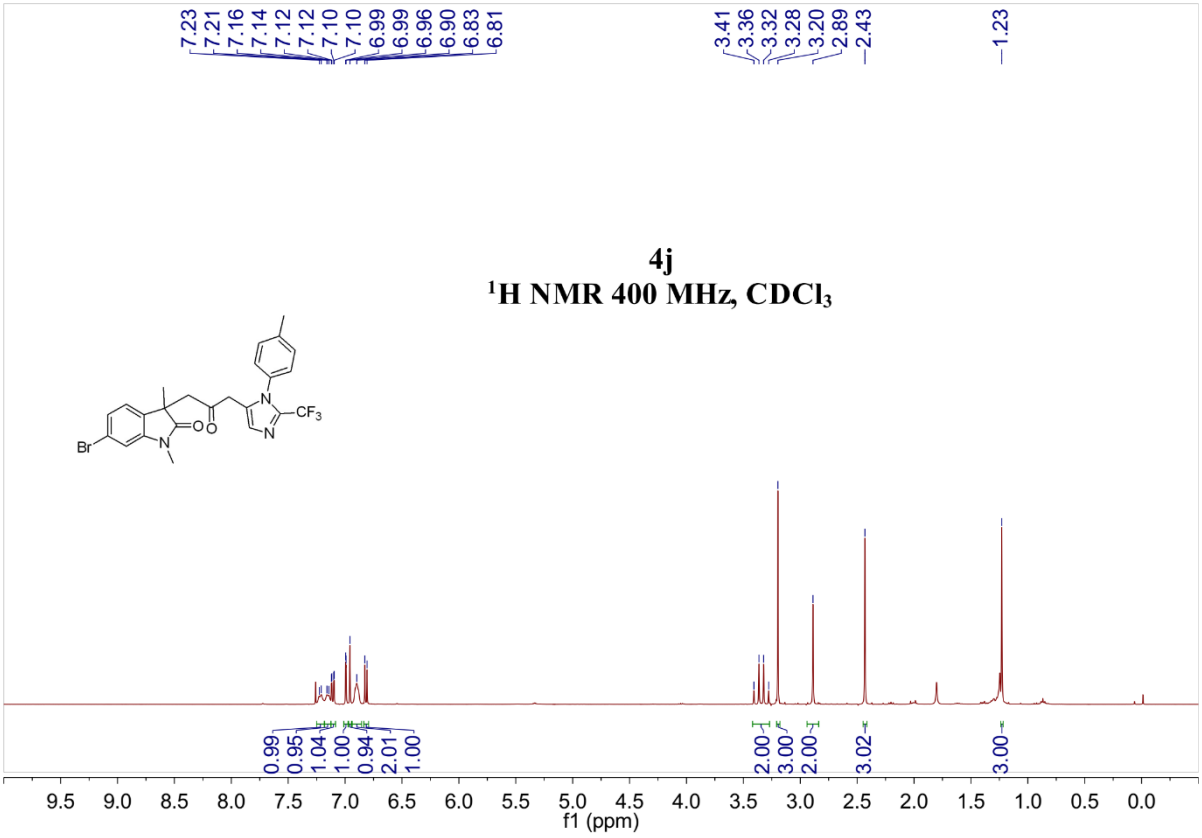
-190
-210
f1 (ppm)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3.20
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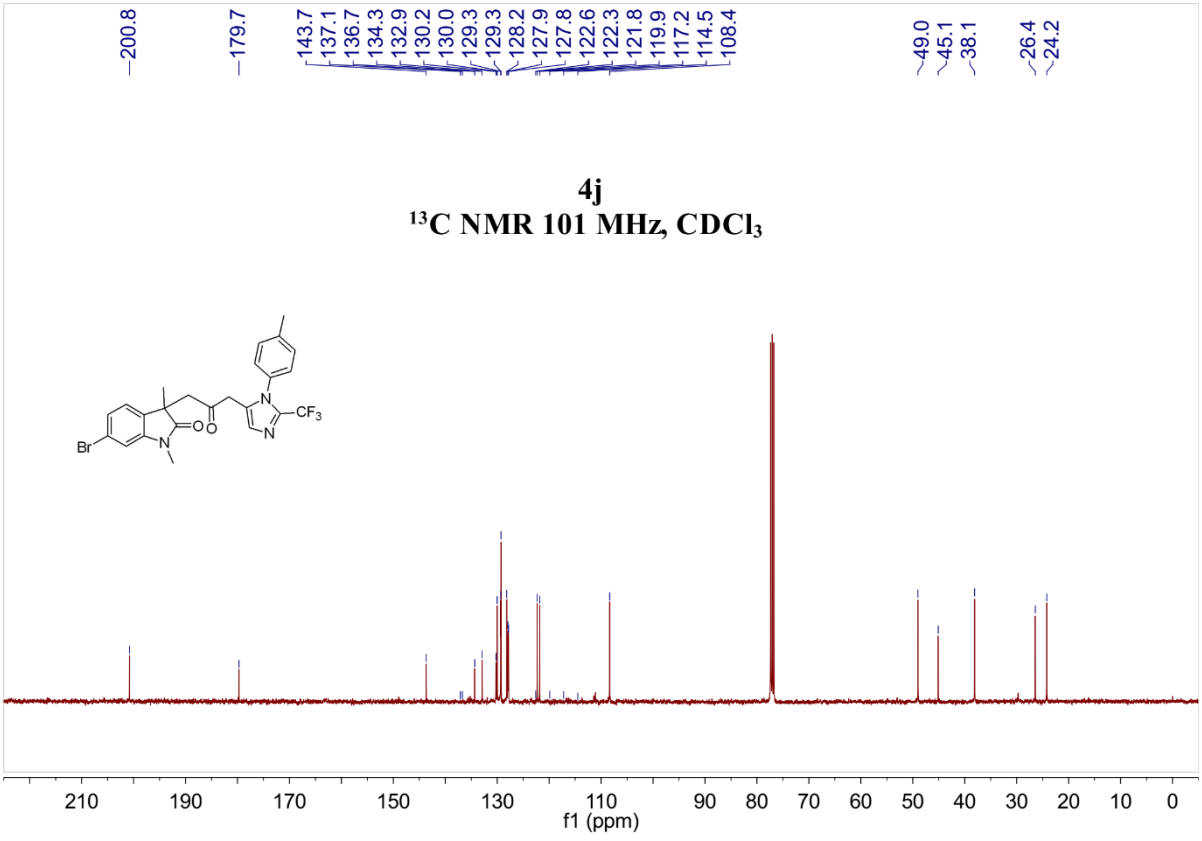
1.23
4j
1H NMR 400 MHz, CDCl3
Br
O
O
N
N
CF3
0.99
0.95
1.04
1.00
0.94
2.01
1.00
2.00
3.00
2.00
3.02
3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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137.1
136.7
134.3
132.9
130.2
130.0
129.3
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128.2
127.9
127.8
122.6
122.3
121.8
119.9
117.2
114.5
108.4
49.0
45.1
38.1
26.4
24.2
4j
13C NMR 101 MHz, CDCl3
Br
O
O
N
N
CF3
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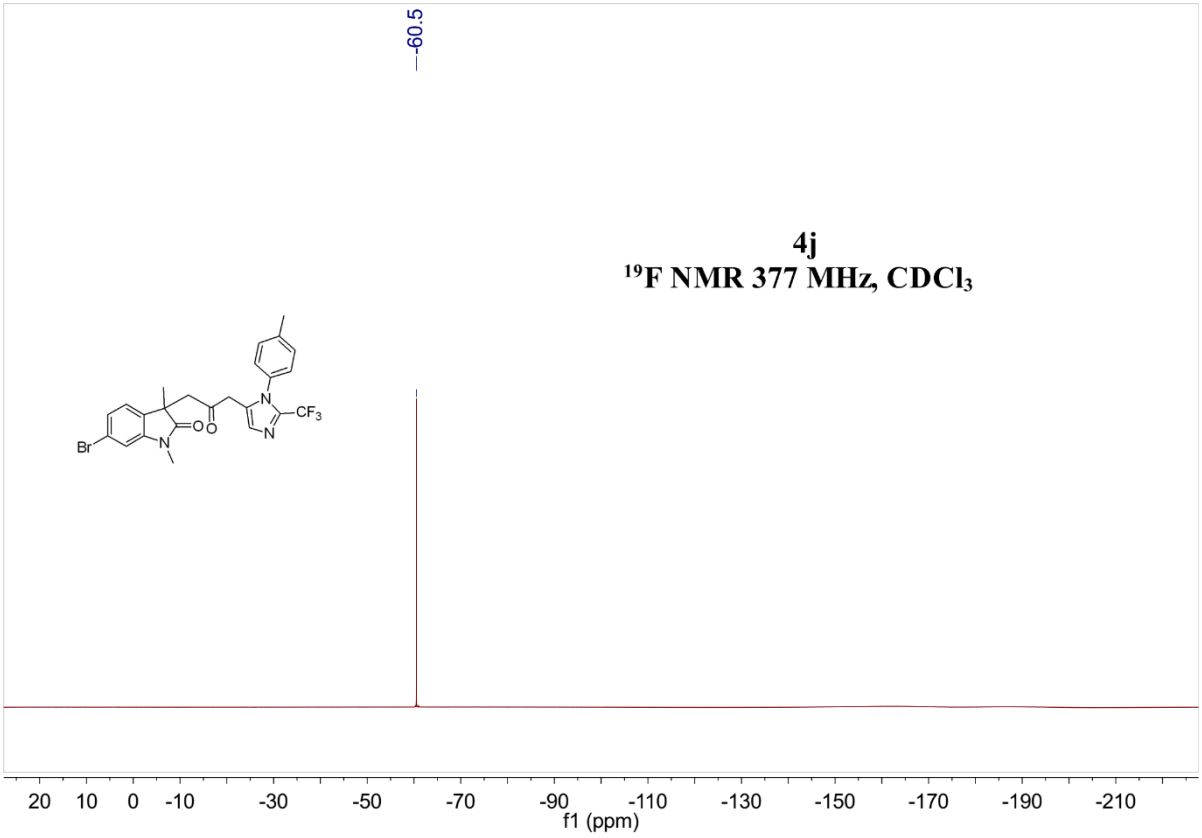
f1 (ppm)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4j
19F NMR 377 MHz, CDCl3
Br
O
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N
N
CF3
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-50
-70
-90
-110
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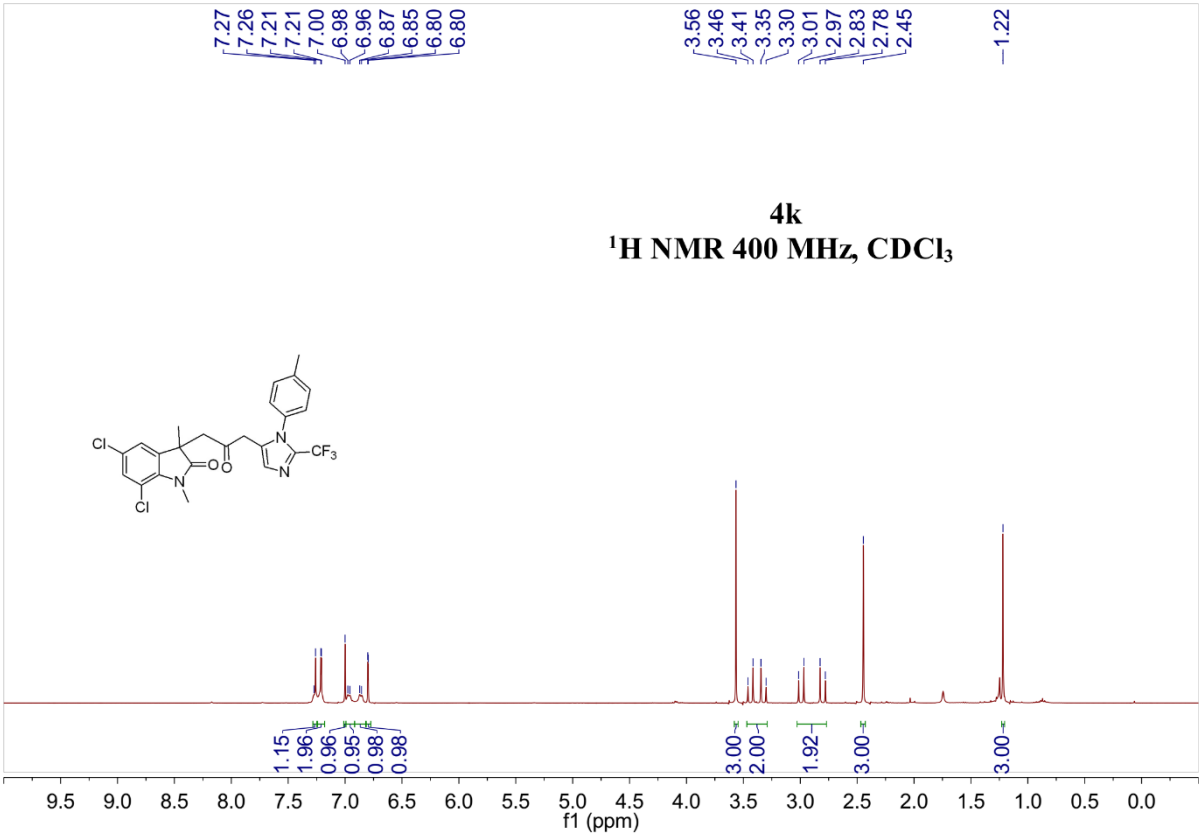
-150
-170
-190
-210
f1 (ppm)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3.01
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2.78
2.45
1.22
4k
1H NMR 400 MHz, CDCl3
Cl
O
N
CF3
Cl
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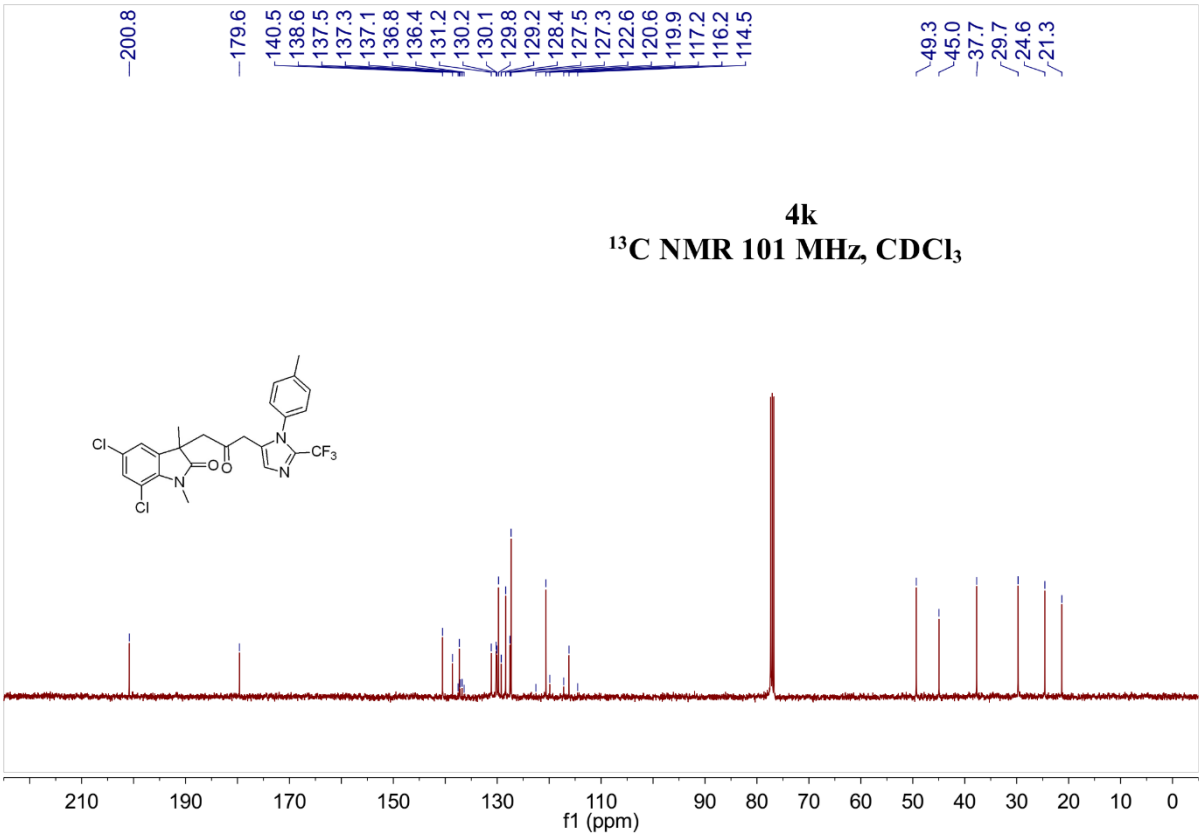
1.96
0.96
0.95
0.98
0.98
3.00
2.00
1.92
3.00
3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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138.6
137.5
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137.1
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129.2
128.4
127.5
127.3
122.6
120.6
119.9
117.2
116.2
114.5
49.3
45.0
37.7
29.7
24.6
21.3
4k
13C NMR 101 MHz, CDCl3
Cl
O
N
CF3
Cl
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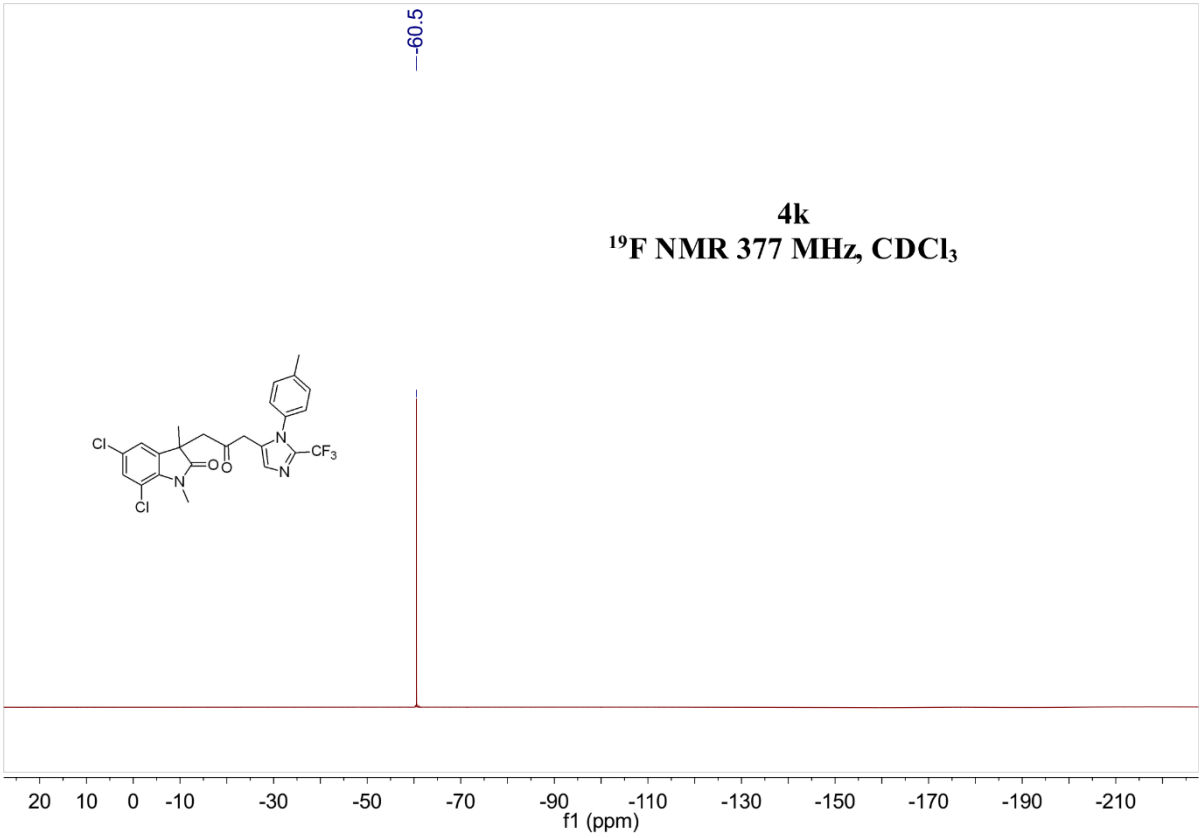
f1 (ppm)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4k
19F NMR 377 MHz, CDCl3
Cl
Cl
O
O
N
N
N
CF3
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10
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-70
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-150
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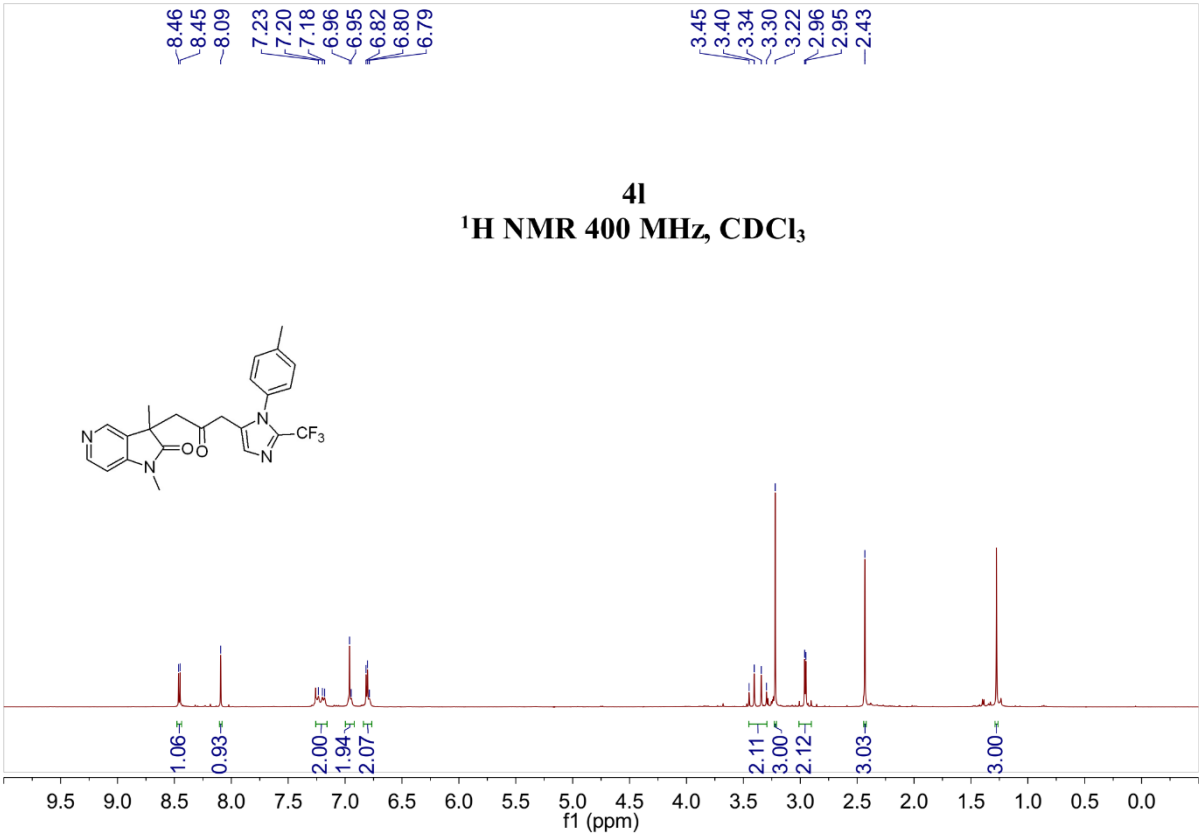
-190
-210
f1 (ppm)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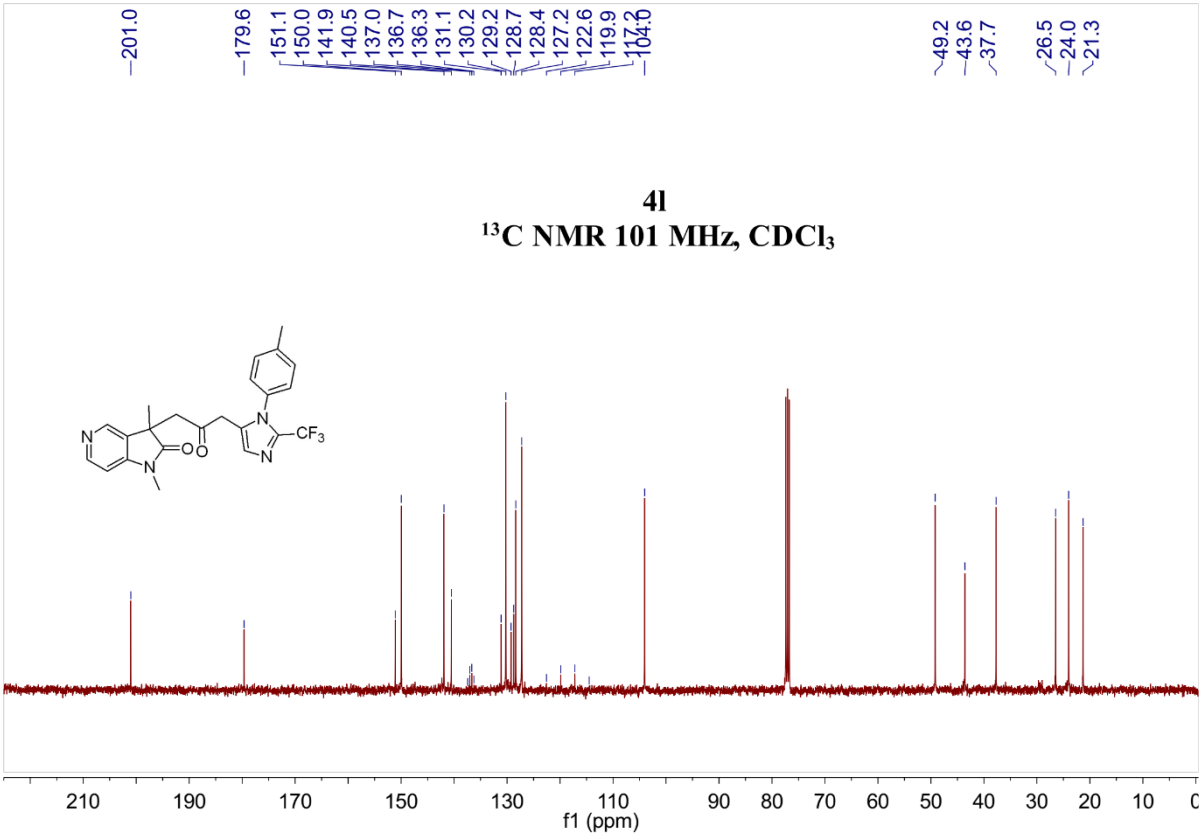
3.34
3.30
3.22
2.96
2.95
2.43
4l
1H NMR 400 MHz, CDCl3
CF3
1.06
0.93
2.00
1.94
2.07
2.11
3.00
2.12
3.03
3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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136.7
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129.2
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127.2
122.6
119.9
117.2
104.0
49.2
43.6
37.7
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4l
13C NMR 101 MHz, CDCl3
CF3
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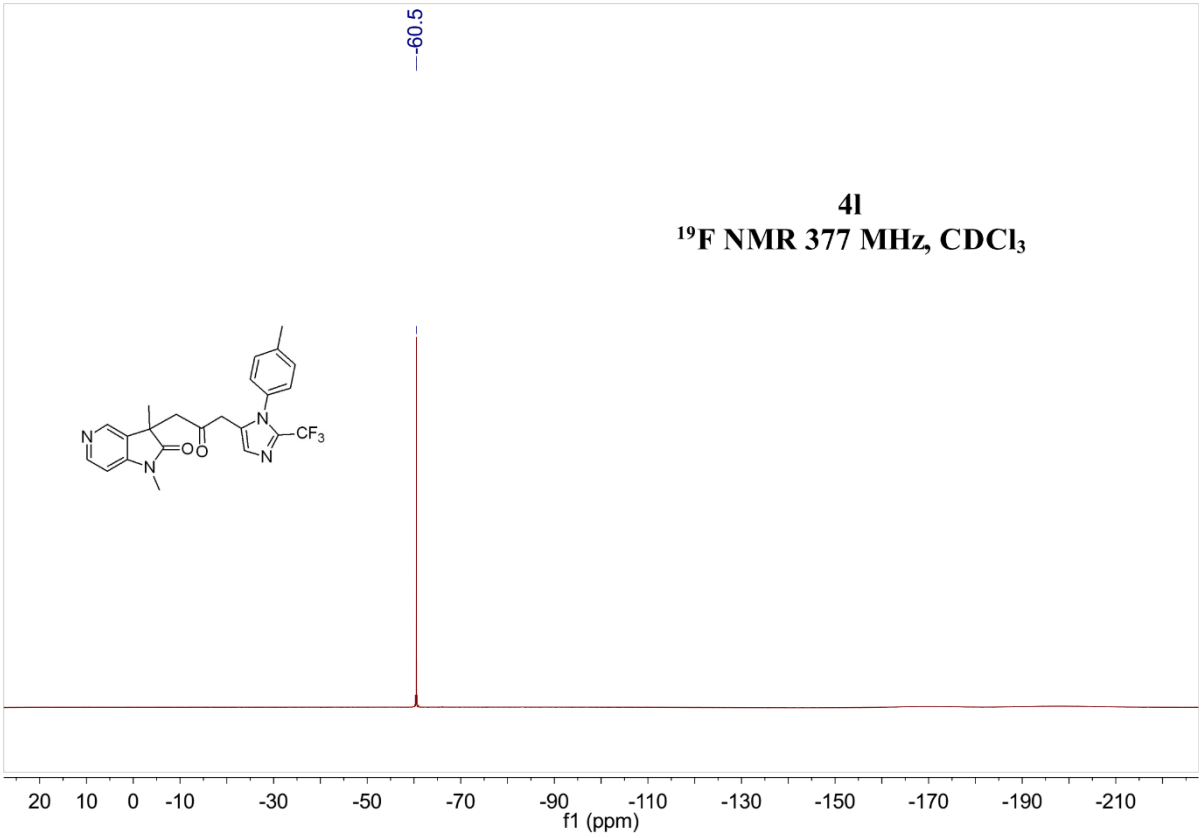
f1 (ppm)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4l
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N
N
N
CF3
20
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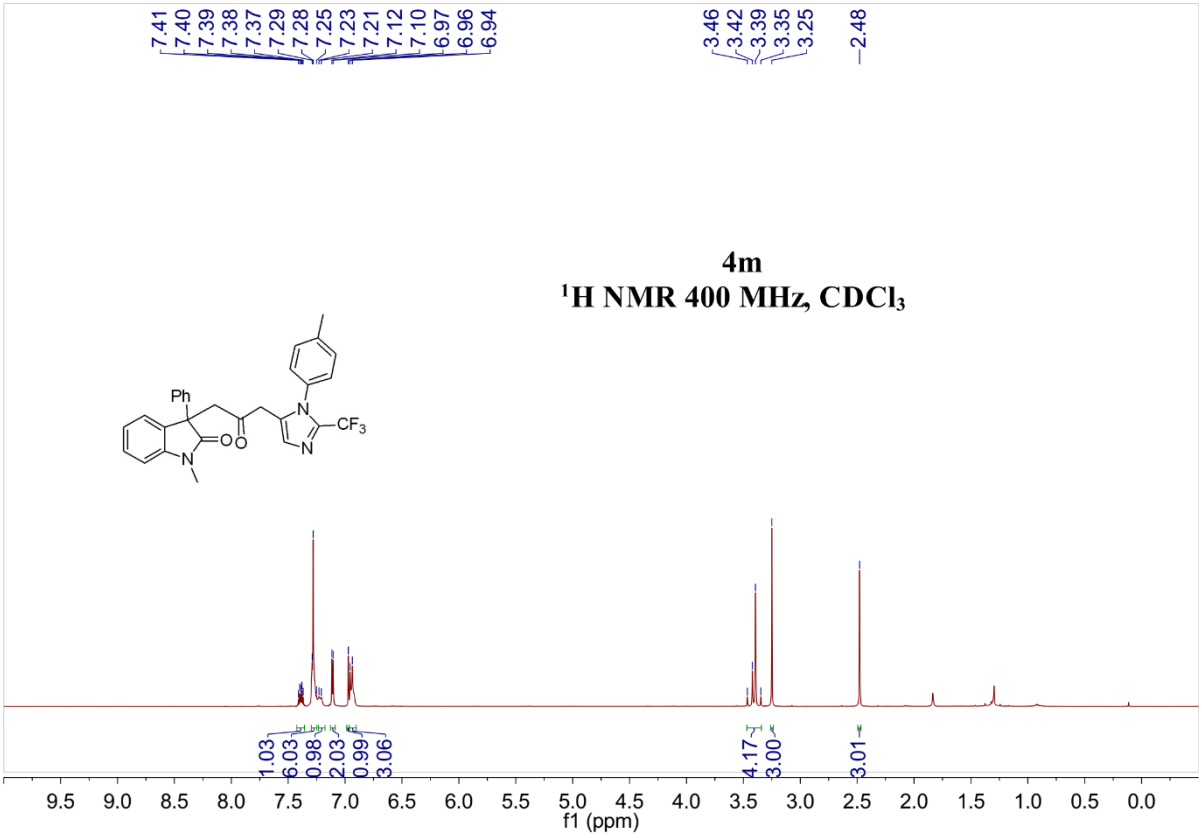
-150
-170
-190
-210
f1 (ppm)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6.96
6.94
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3.42
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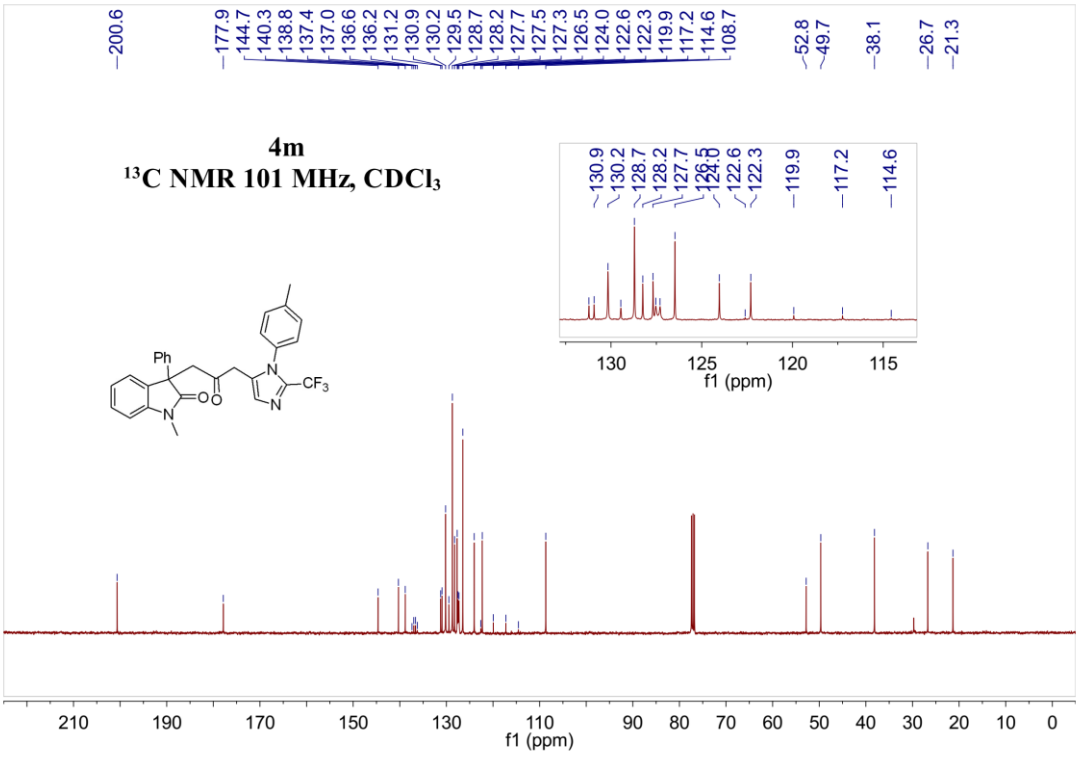
3.35
3.25
2.48
4m
1H NMR 400 MHz, CDCl3
Ph
O
O
N
N
CF3
1.03
6.03
0.98
2.03
0.99
3.06
4.17
3.00
3.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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119.9
117.2
114.6
108.7
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38.1
26.7
21.3
4m
13C NMR 101 MHz, CDCl3
130.9
130.2
128.7
128.2
127.7
122.6
122.3
119.9
117.2
114.6
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Ph
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O
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CF3
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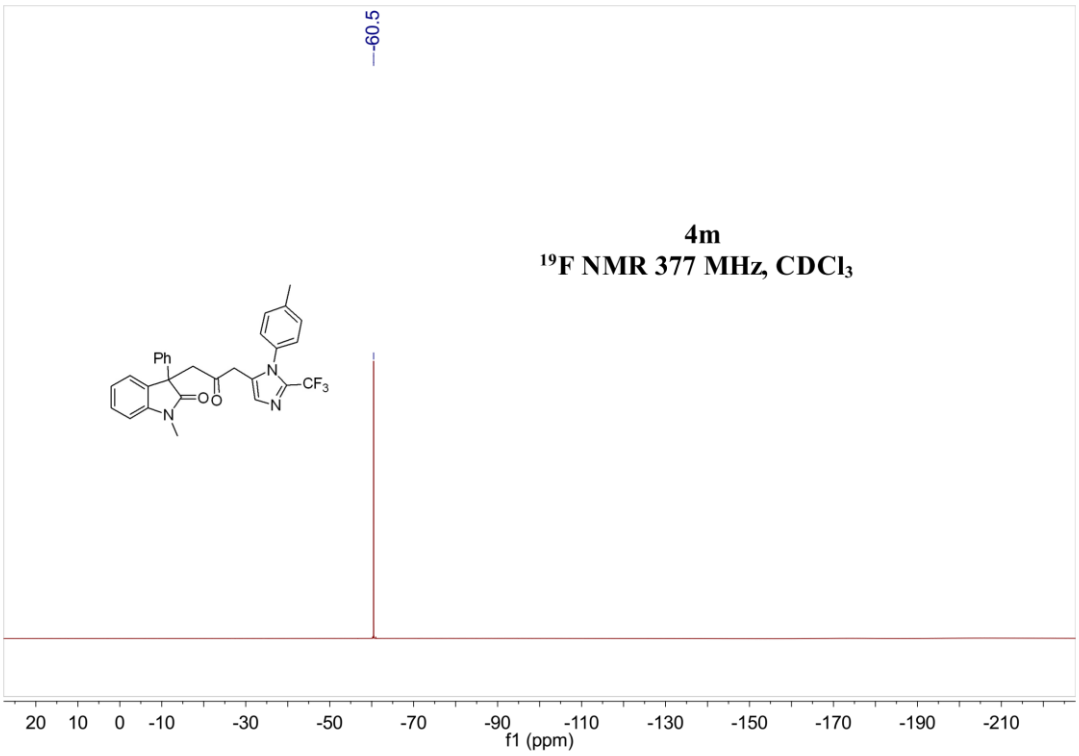
f1 (ppm)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4m
19F NMR 377 MHz, CDCl3
Ph
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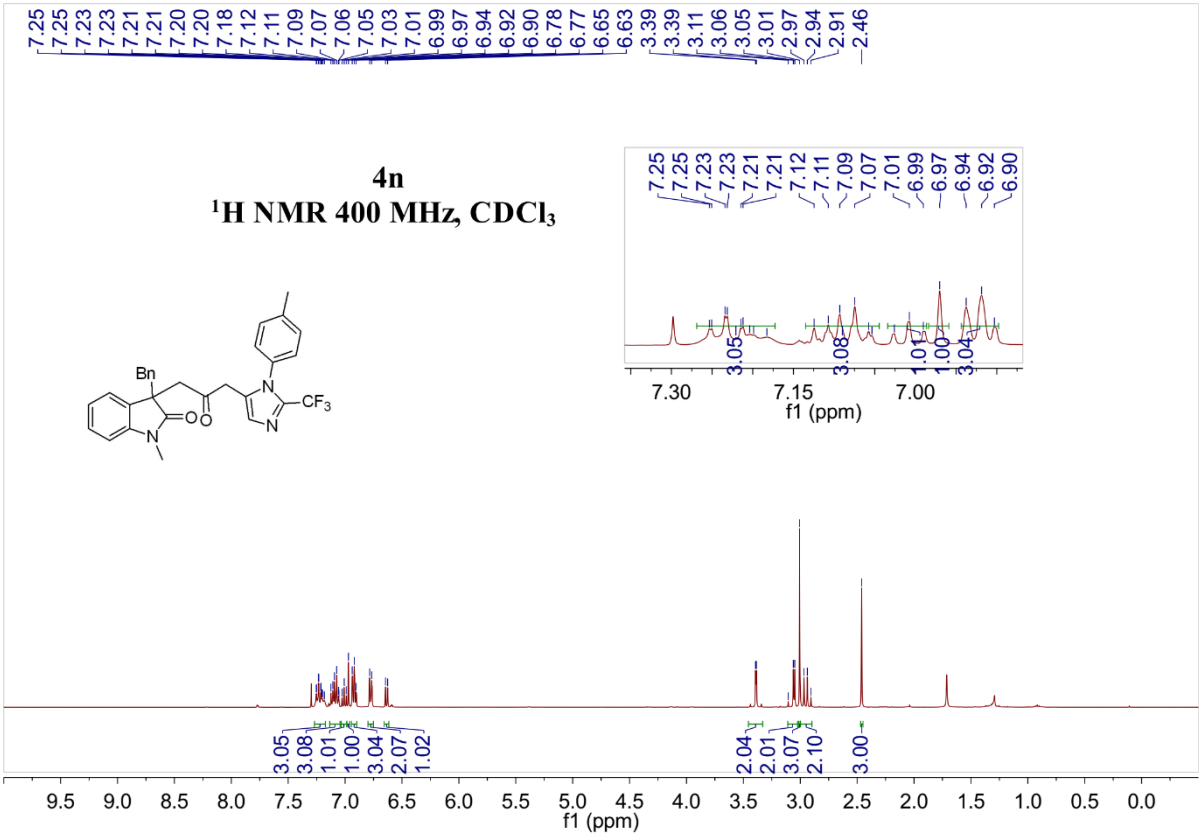
f1 (ppm)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Bn
CF_3
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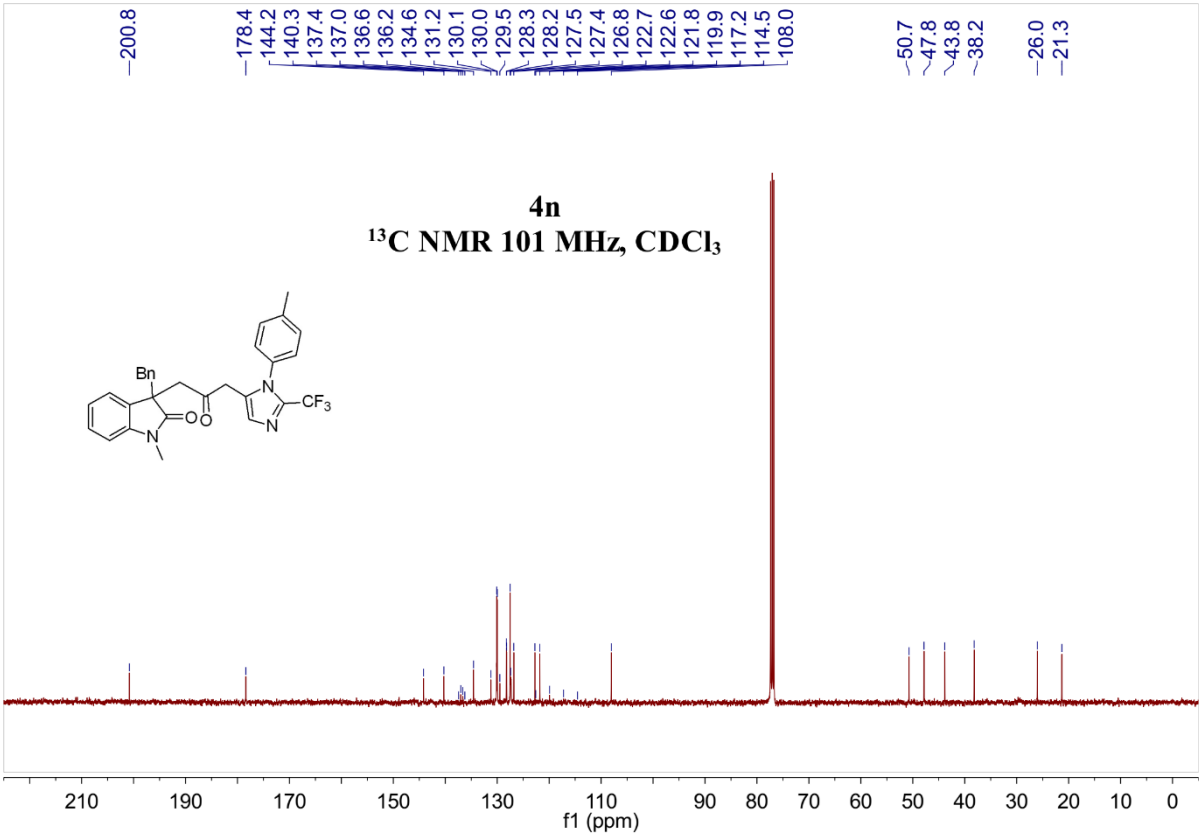
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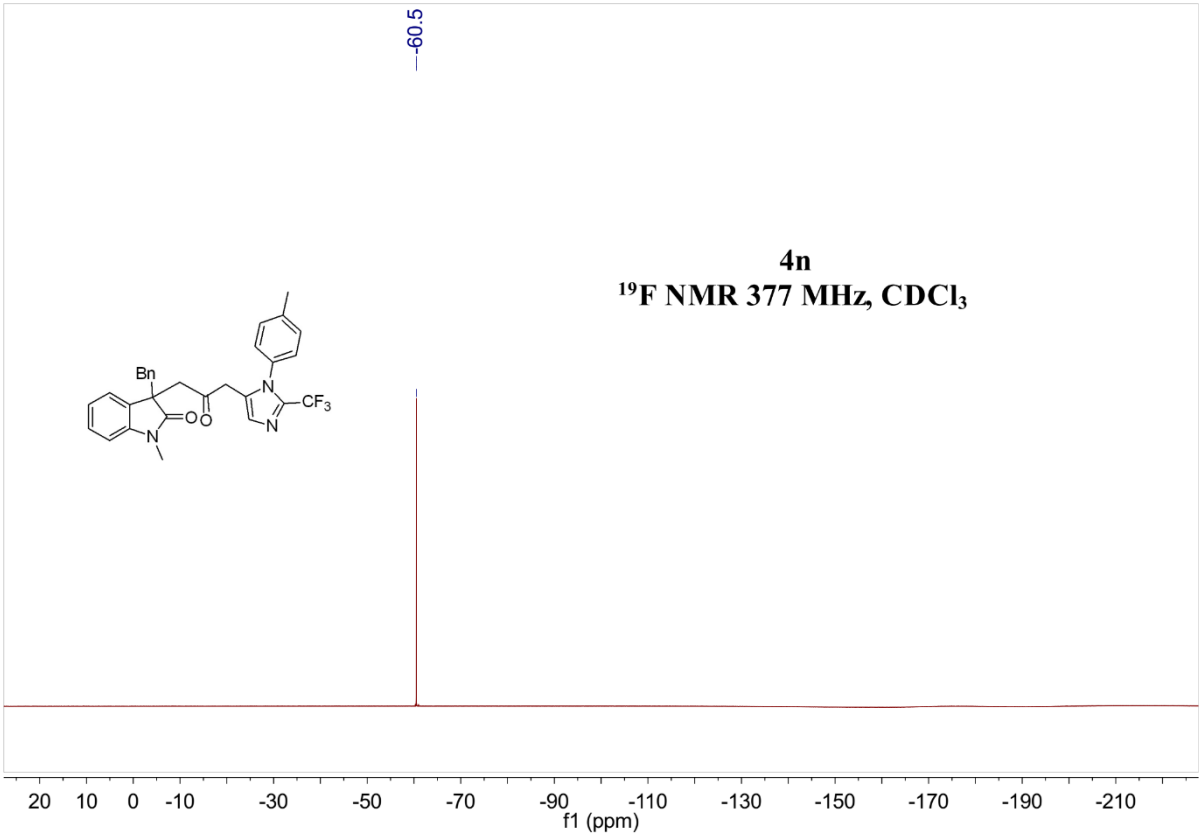
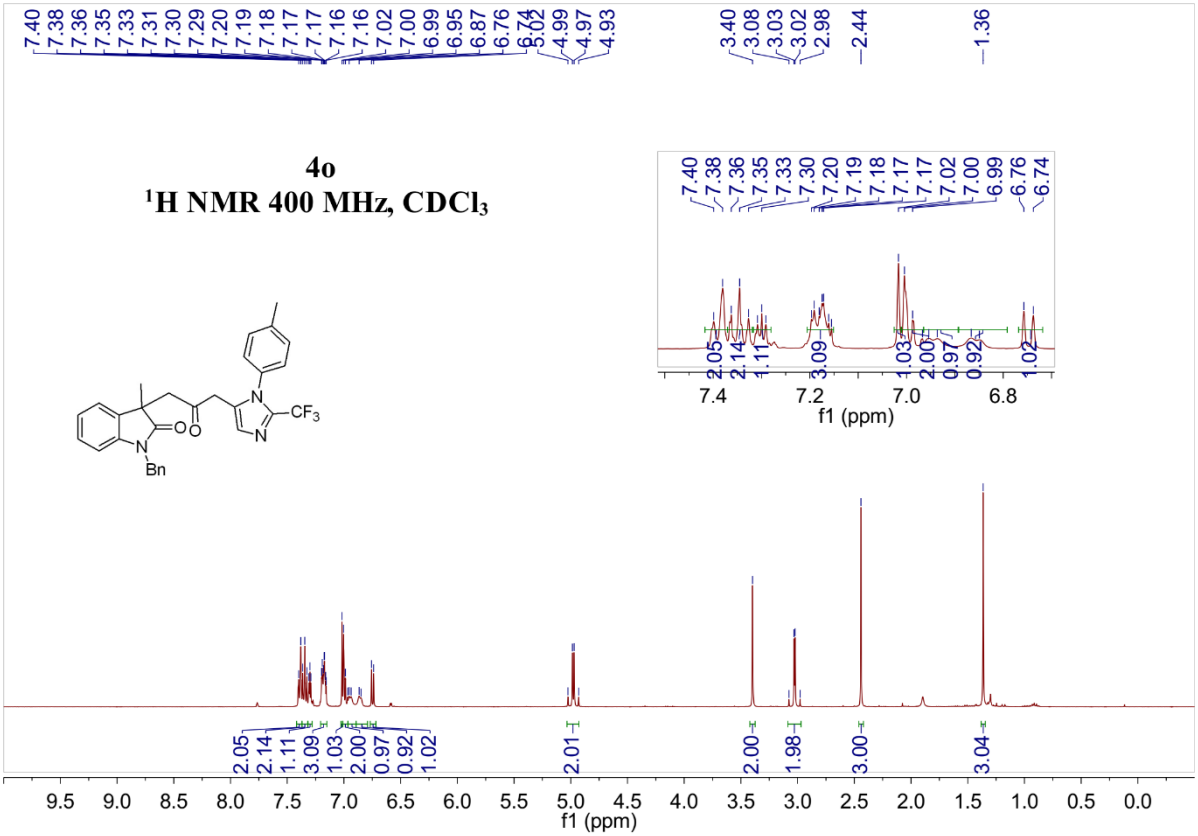
Bn
CF_3
f1 (ppm)



4n

^{19}F NMR 377 MHz, $CDCl_3$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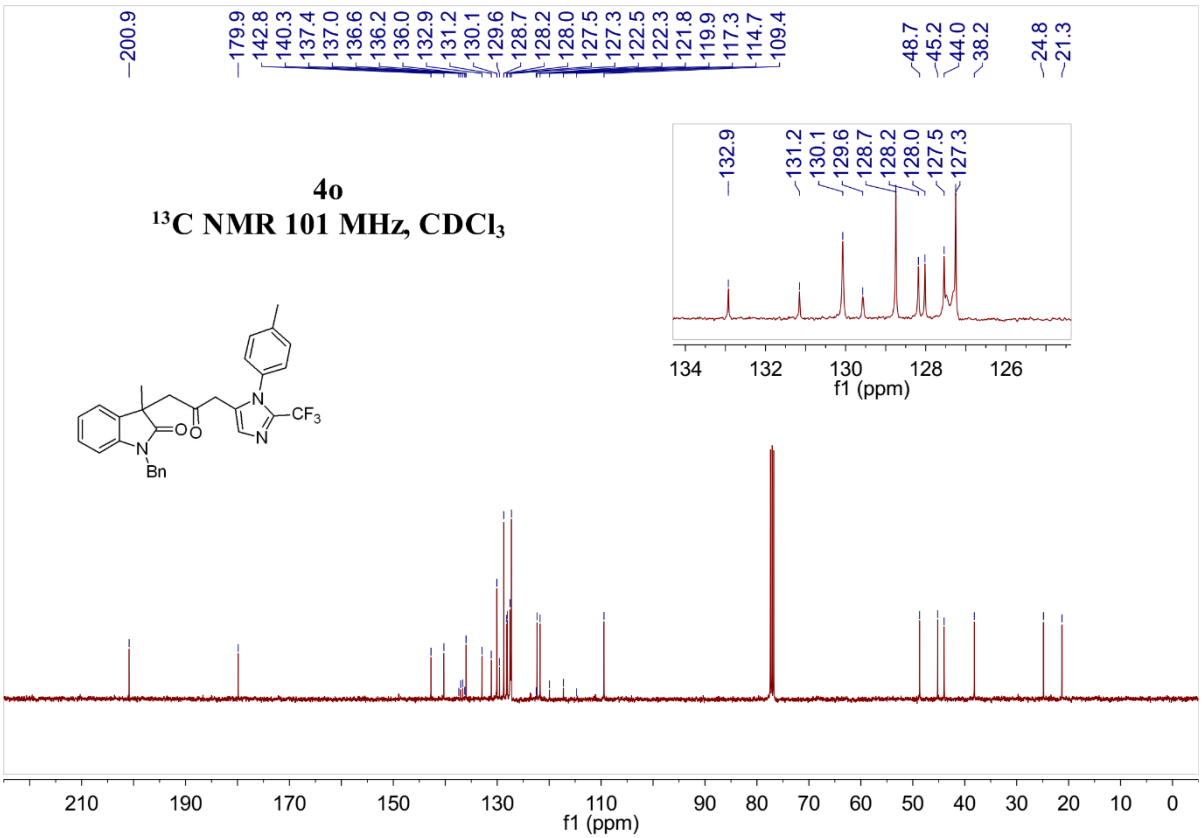
f1 (ppm)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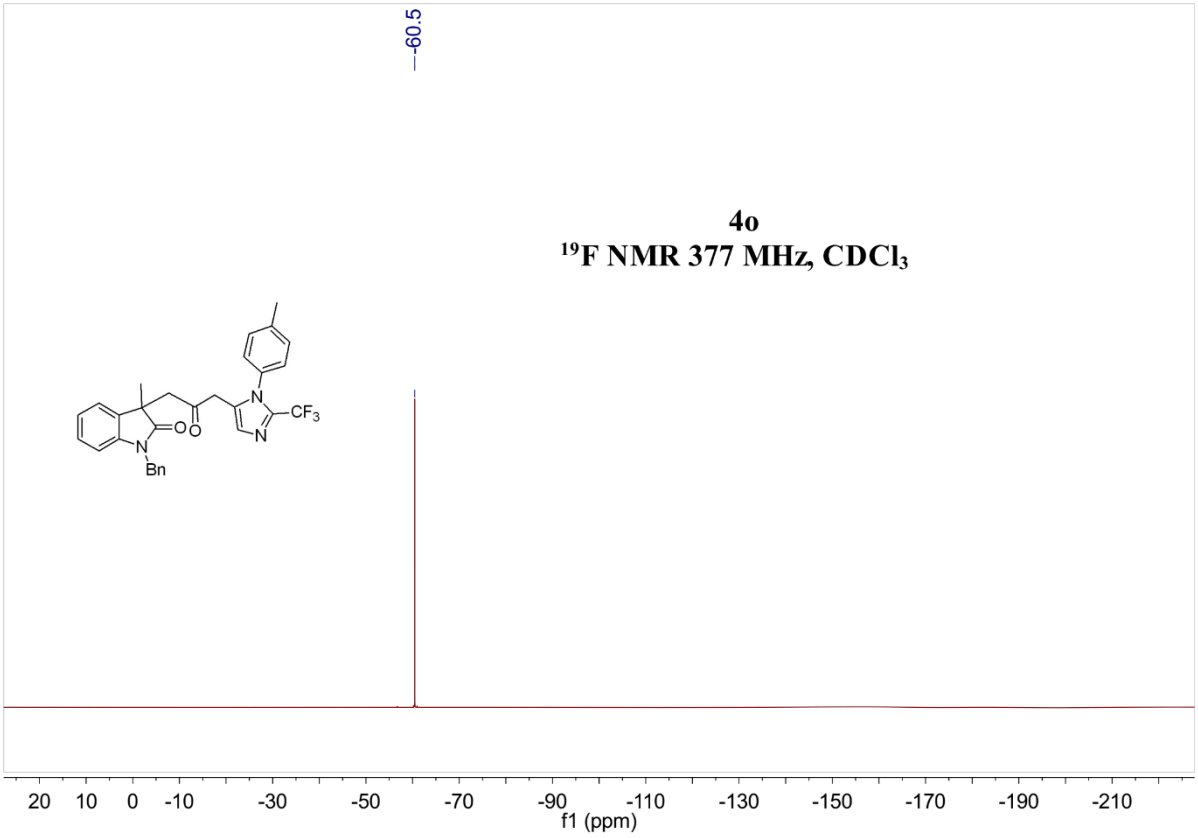
f1 (ppm)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CF3
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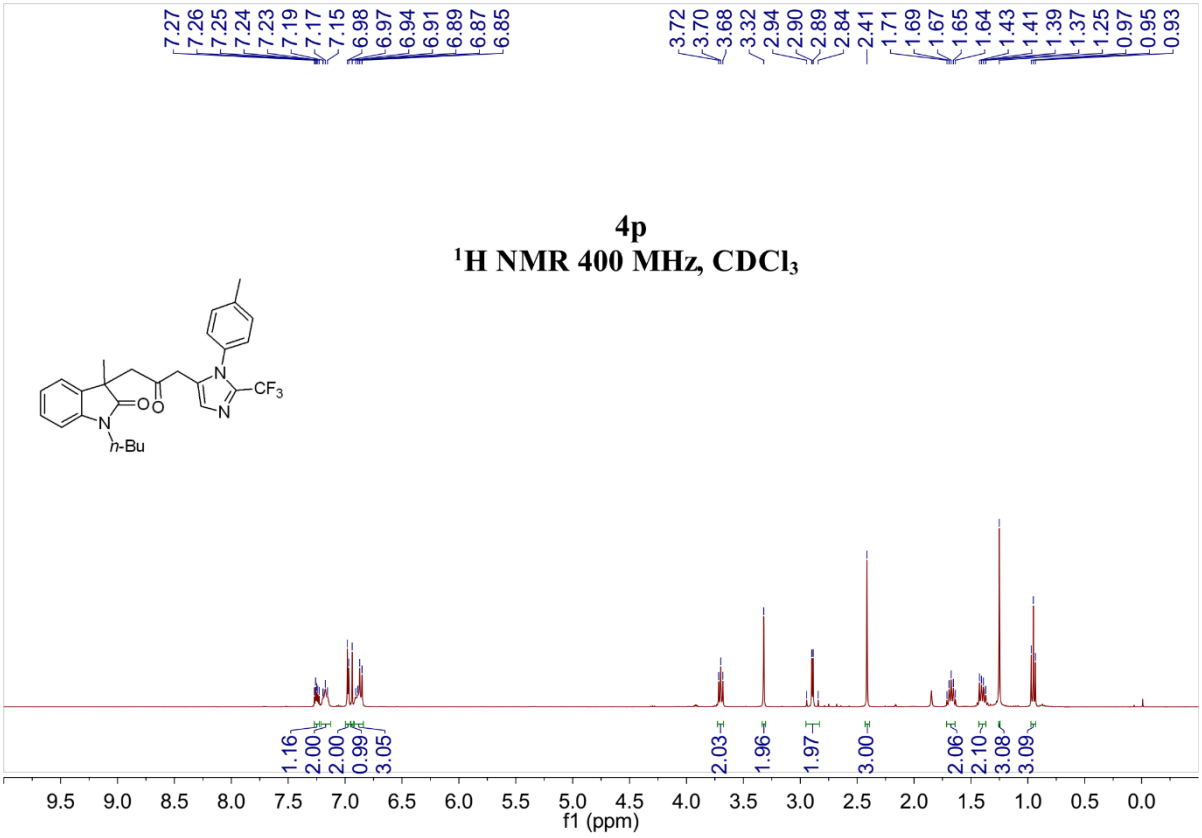
O
N
Bn
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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1.39
1.37
1.25
0.97
0.95
0.93
4p
1H NMR 400 MHz, CDCl3
CF3
n-Bu
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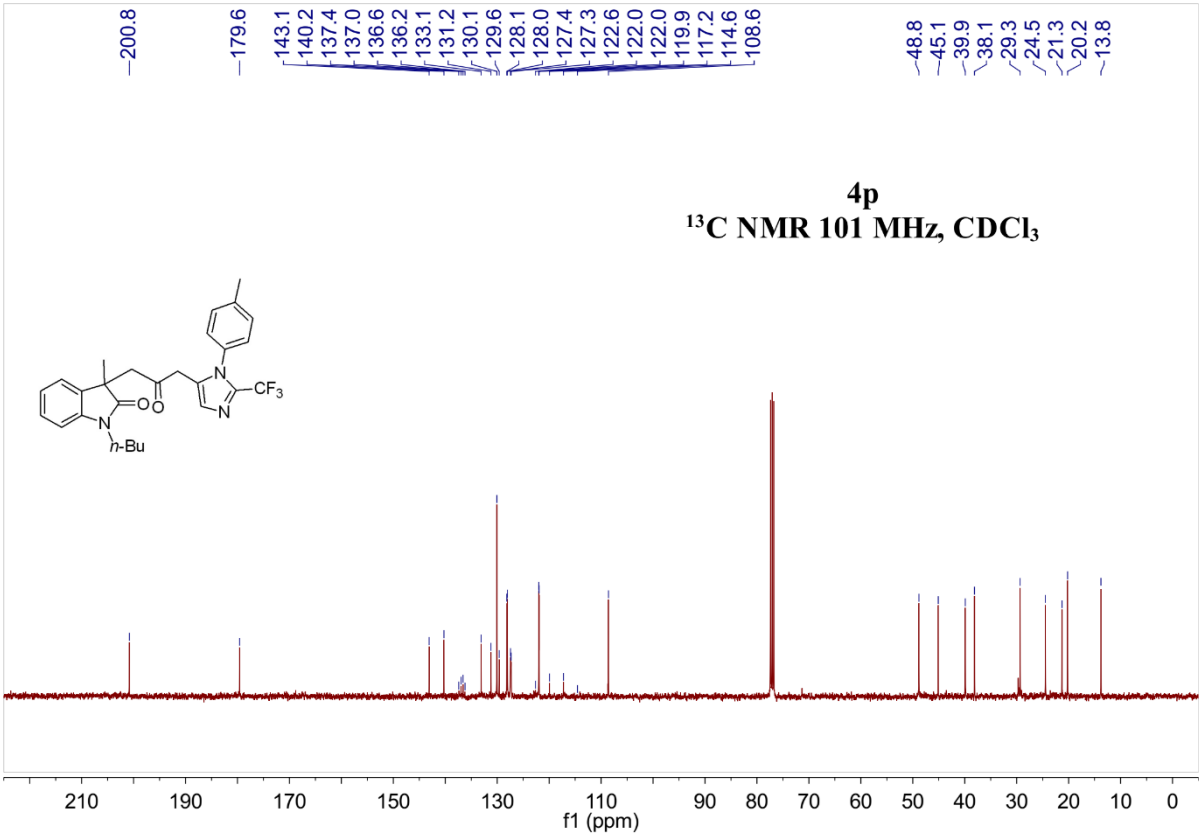
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128.0
127.4
127.3
122.6
122.0
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117.2
114.6
108.6
48.8
45.1
39.9
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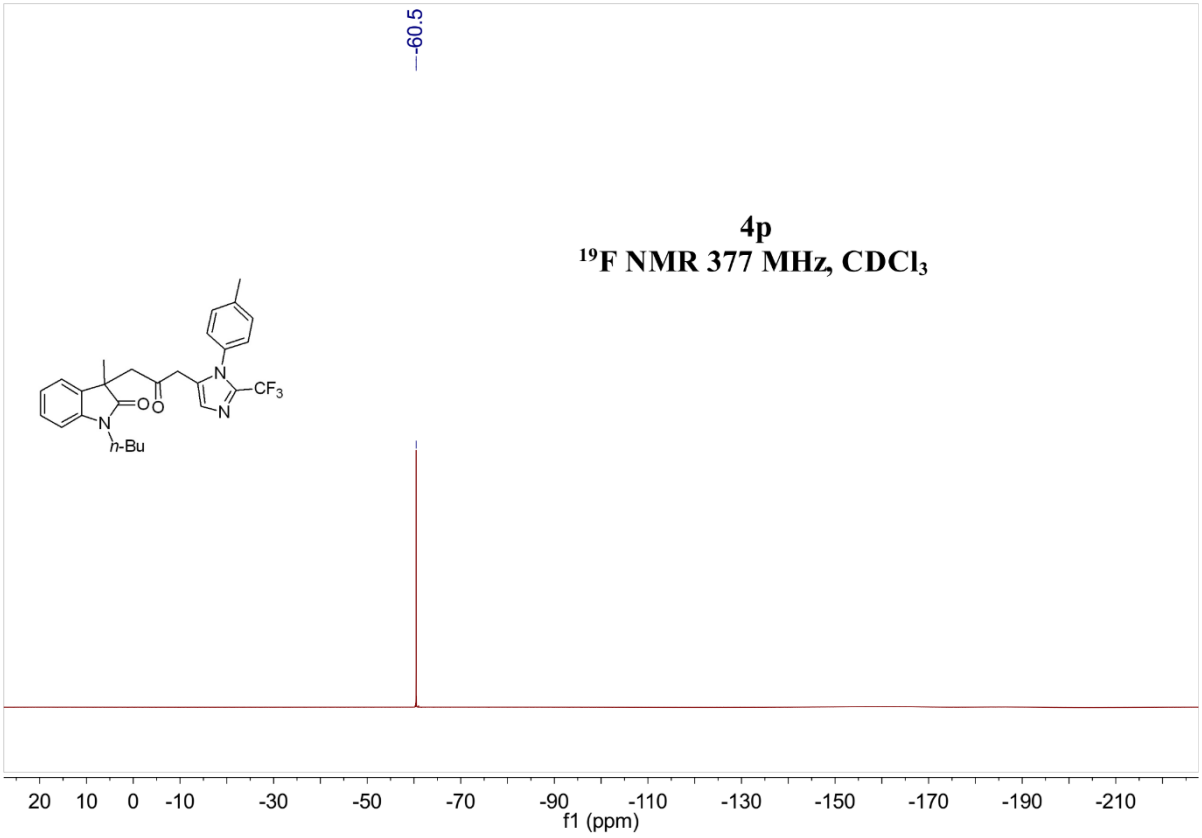
13C NMR 101 MHz, CDCl3
CF3
n-Bu
f1 (ppm)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4p
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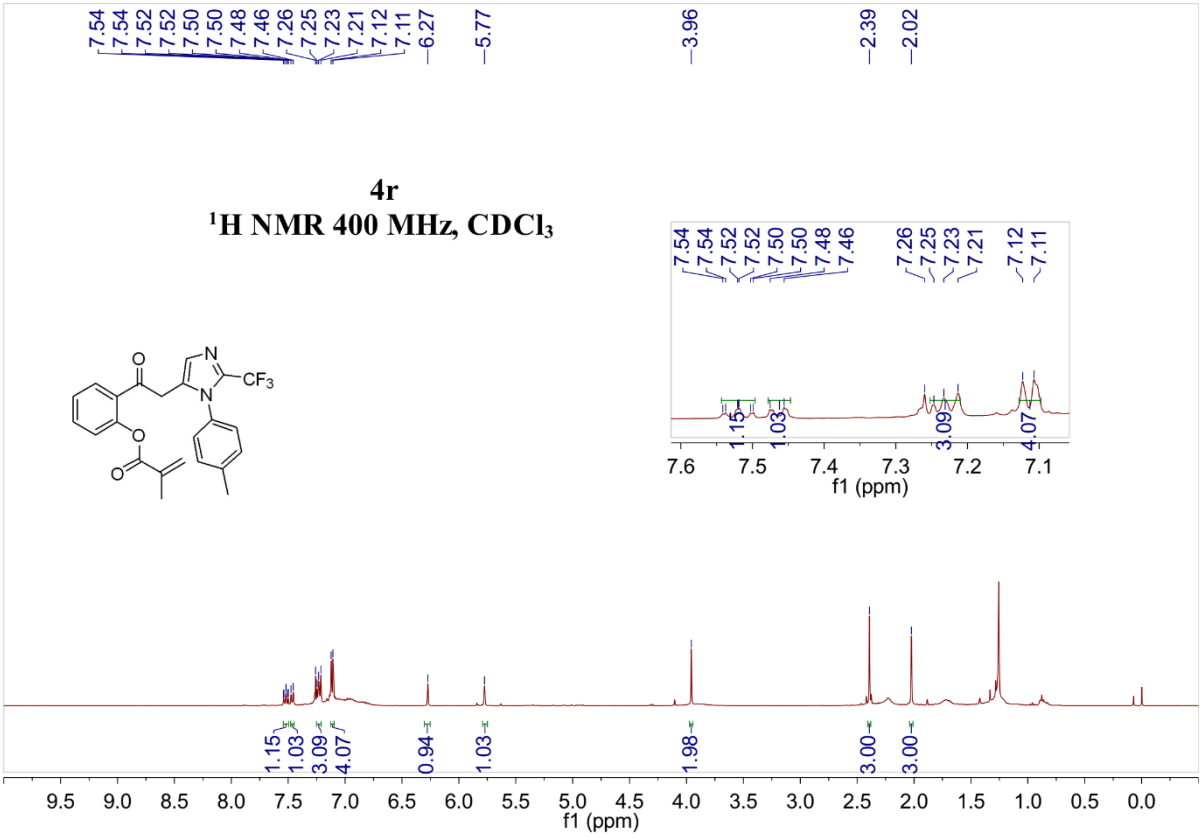
n-Bu
N
N
CF3
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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5.77
3.96
2.39
2.02
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1H NMR 400 MHz, CDCl3
CF3
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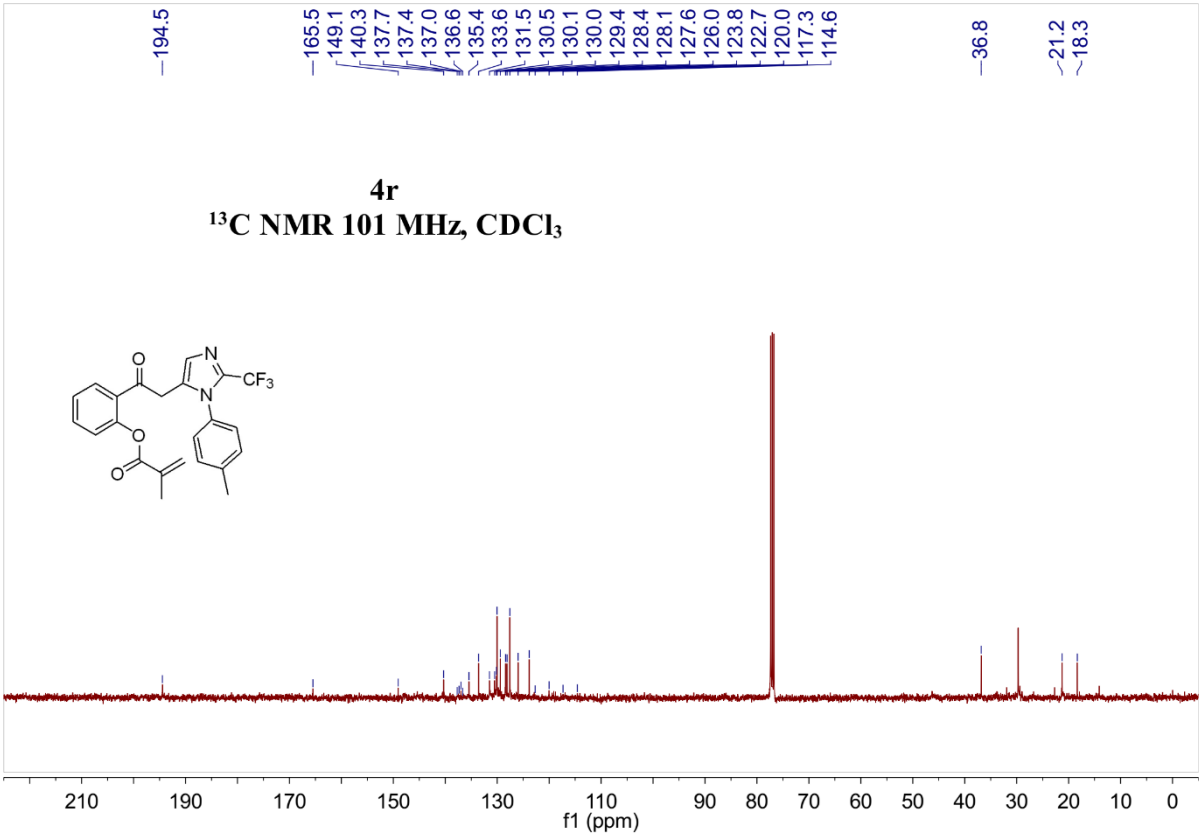
1.98
3.00
3.00
f1 (ppm)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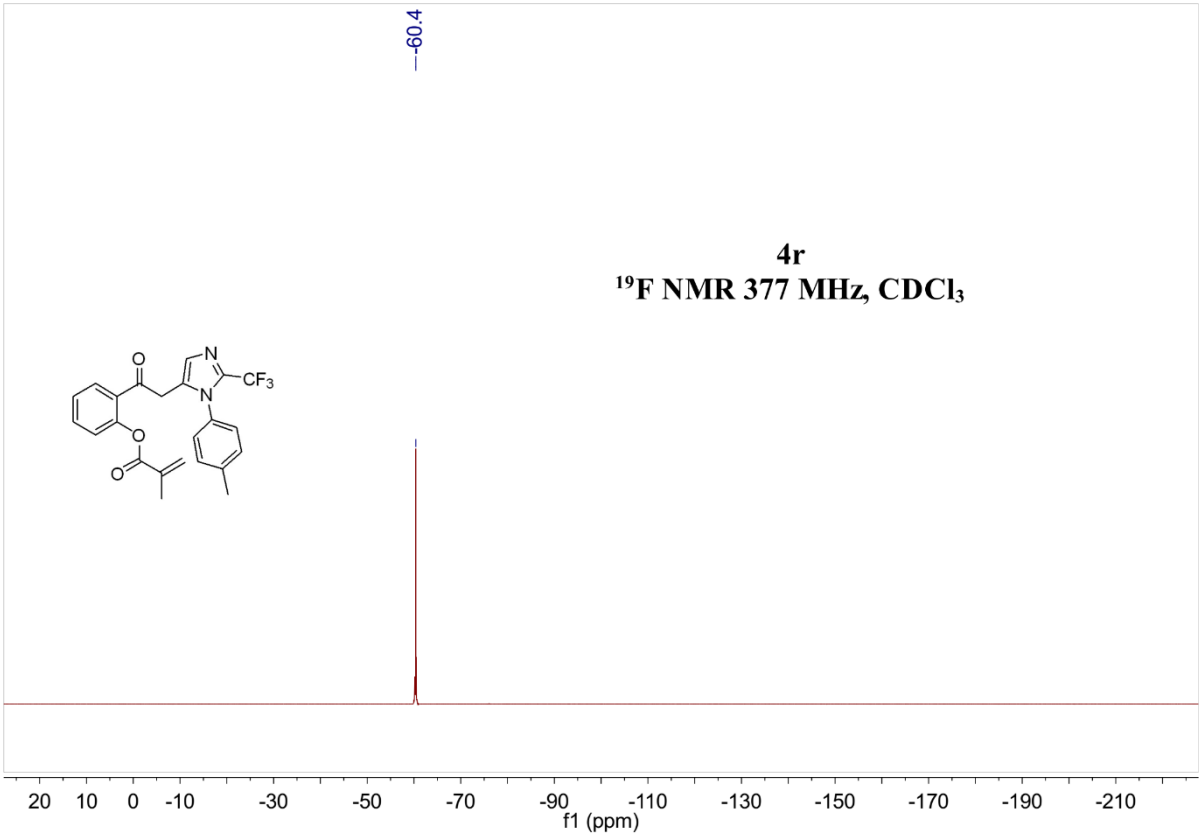
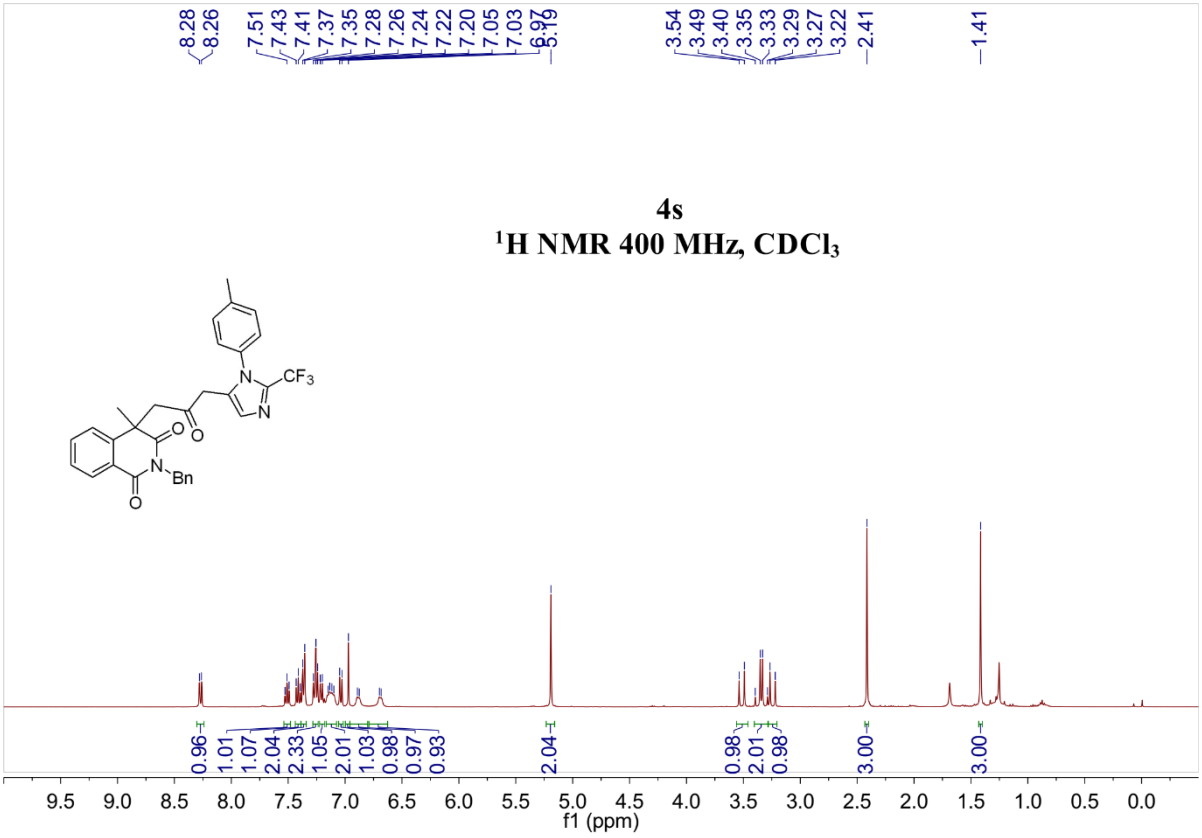
128.1
127.6
126.0
123.8
122.7
120.0
117.3
114.6
36.8
21.2
18.3
4r
13C NMR 101 MHz, CDCl3
CF3
f1 (ppm)


-60.4
4r
19F NMR 377 MHz, CDCl3
O
N
CF3
N
O
O
20
10
0
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
f1 (ppm)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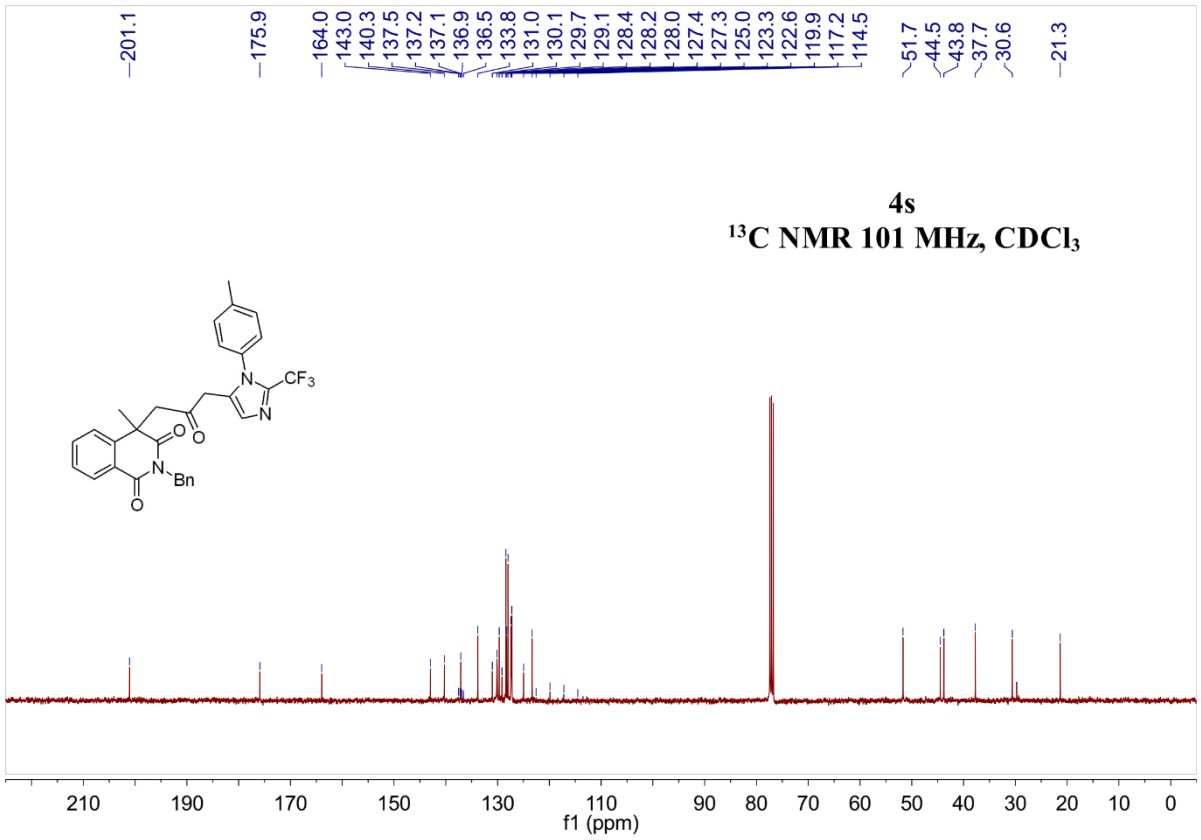
8.26
7.51
7.43
7.41
7.37
7.35
7.28
7.26
7.24
7.22
7.20
7.05
7.03
6.97
5.19
3.54
3.49
3.40
3.35
3.33
3.29
3.27
3.22
2.41
1.41
4s
1H NMR 400 MHz, CDCl3
CF3
N
O
Bn
0.96
1.01
1.07
2.04
2.33
1.05
2.01
1.03
0.98
0.97
0.93
2.04
0.98
2.01
0.98
3.00
3.00
f1 (ppm)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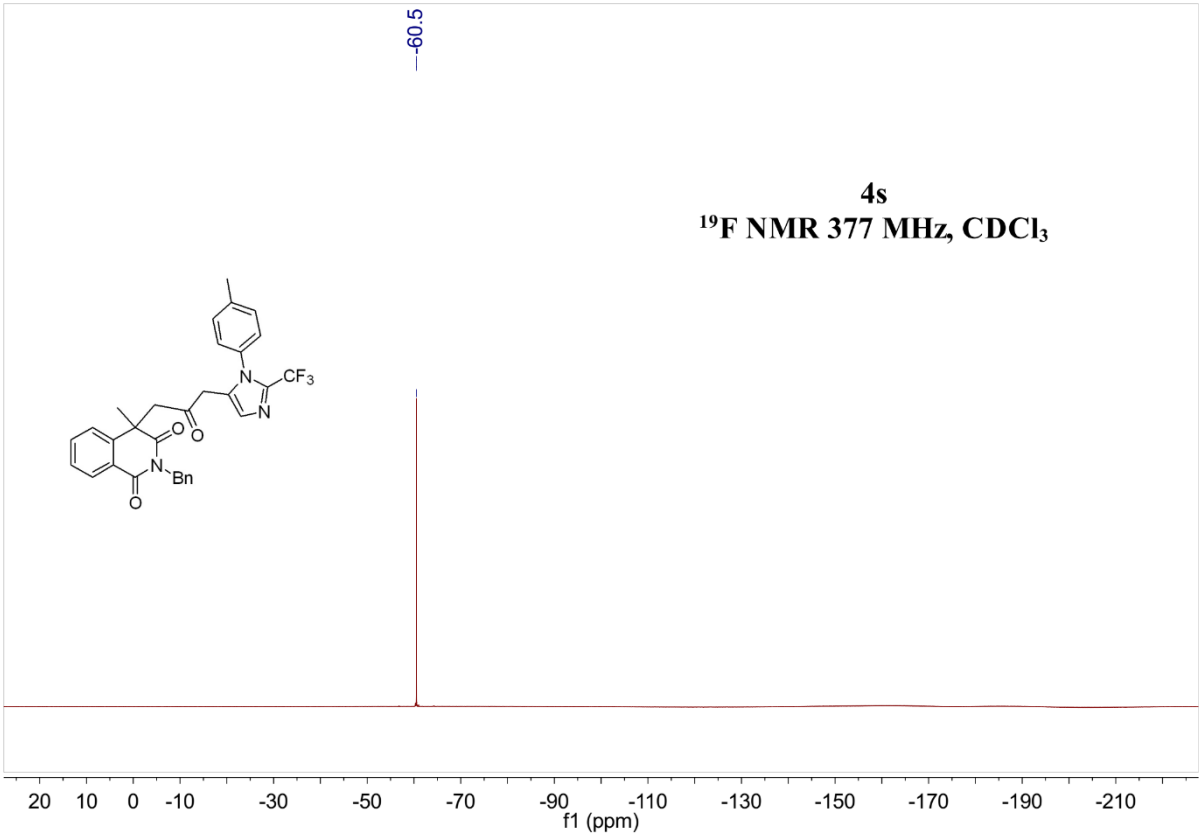
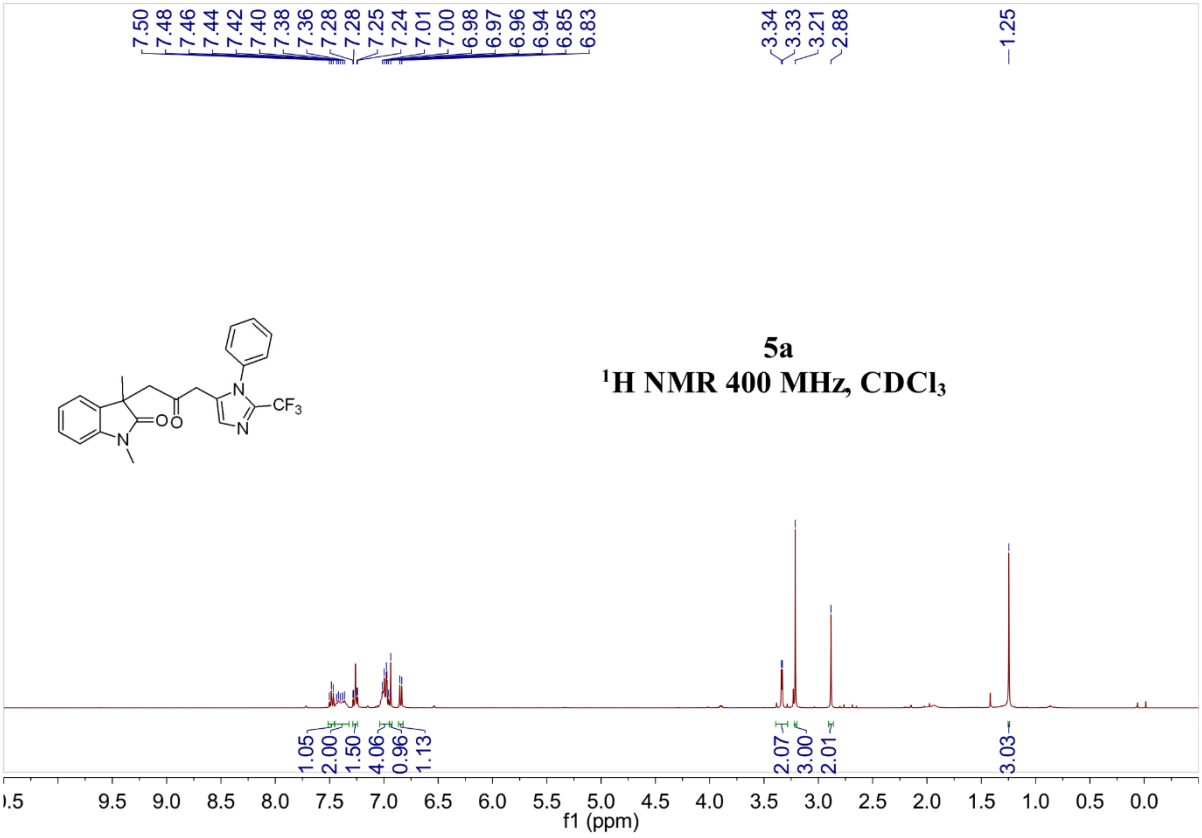
175.9
164.0
143.0
140.3
137.5
137.2
137.1
136.9
136.5
133.8
131.0
130.1
129.7
129.1
128.4
128.2
128.0
127.4
127.3
125.0
123.3
122.6
119.9
117.2
114.5
51.7
44.5
43.8
37.7
30.6
21.3
4s
13C NMR 101 MHz, CDCl3
CF3
N
O
Bn
f1 (ppm)


-60.5
4s
19F NMR 377 MHz, CDCl3
CF3
N
N
O
O
N
Bn
O
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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7.48
7.46
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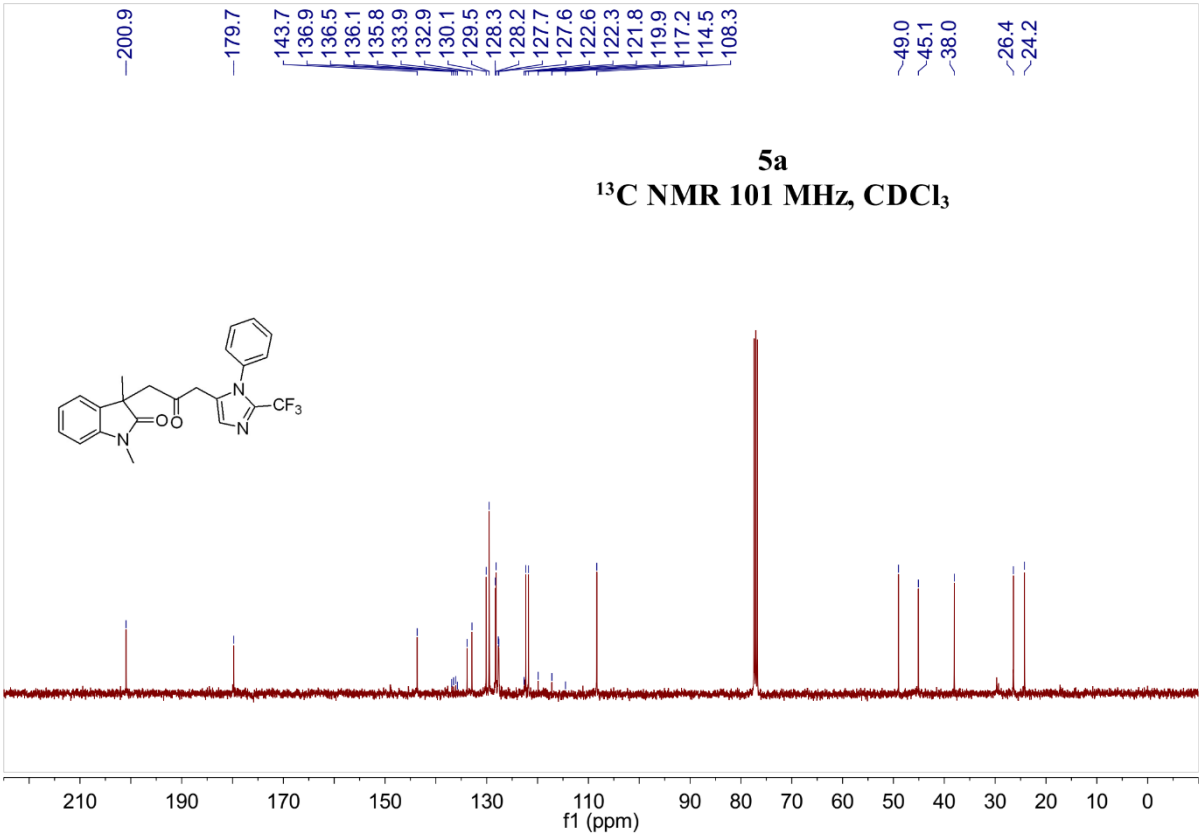
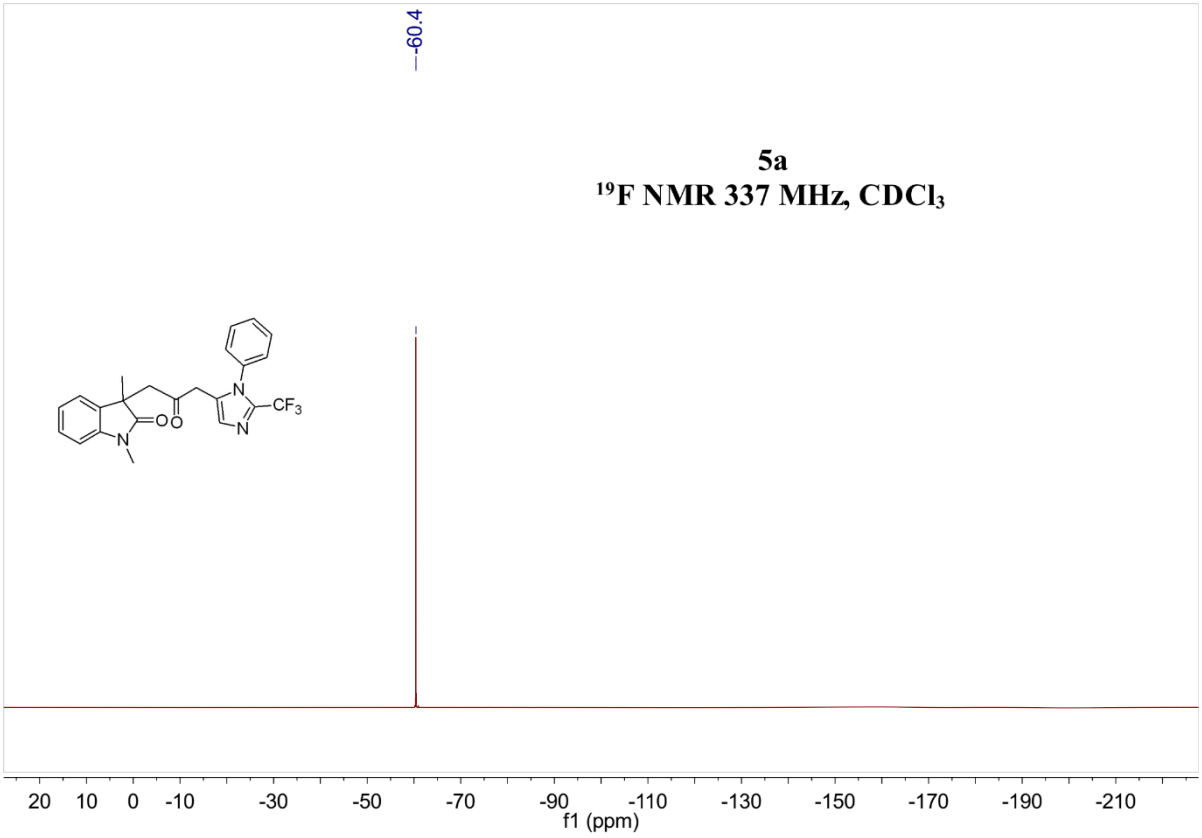
7.42
7.40
7.38
7.36
7.28
7.28
7.25
7.24
7.01
7.00
6.98
6.97
6.96
6.94
6.85
6.83
3.34
3.33
3.21
2.88
1.25
5a
1H NMR 400 MHz, CDCl3
CF3
1.05
2.00
1.50
4.06
0.96
1.13
2.07
3.00
2.01
3.03
f1 (ppm)


200.9
179.7
143.7
136.9
136.5
136.1
135.8
133.9
132.9
130.1
129.5
128.3
128.2
127.7
127.6
122.6
122.3
121.8
119.9
117.2
114.5
108.3
49.0
45.1
38.0
26.4
24.2
5a
13C NMR 101 MHz, CDCl3
CF3
f1 (ppm)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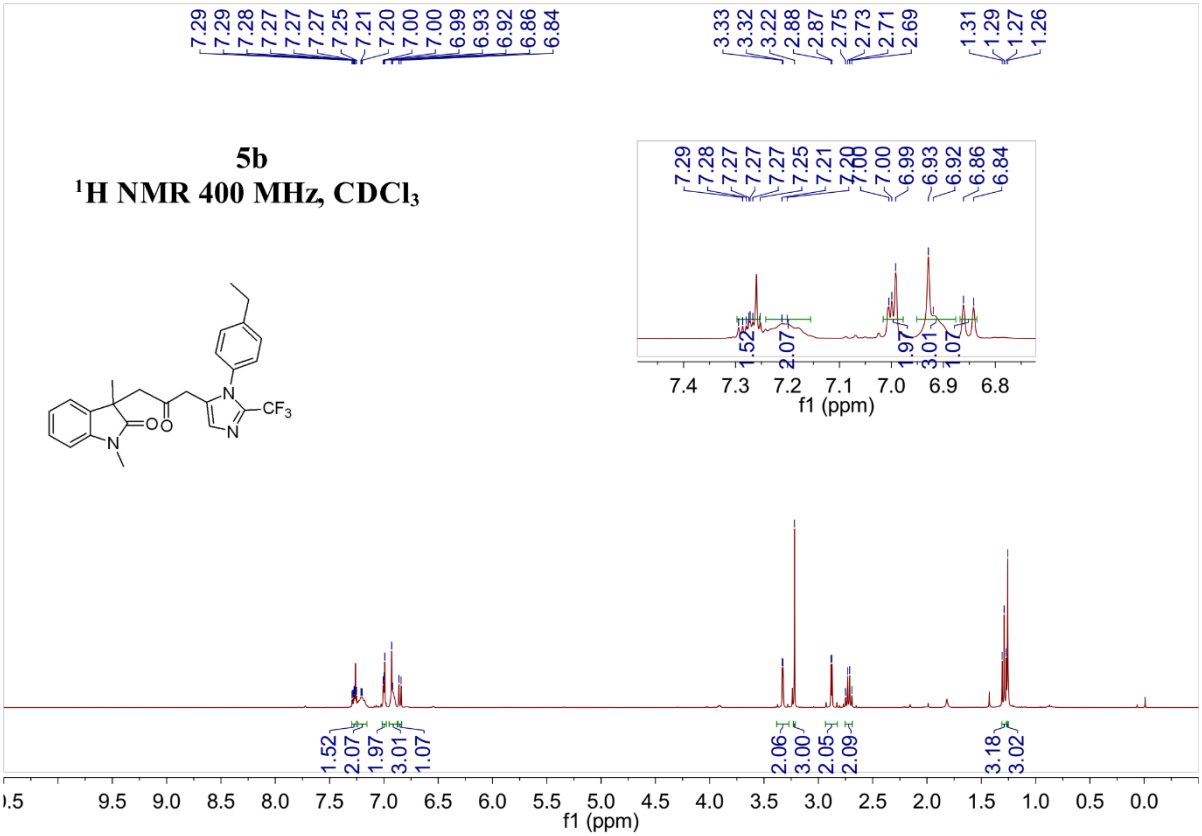
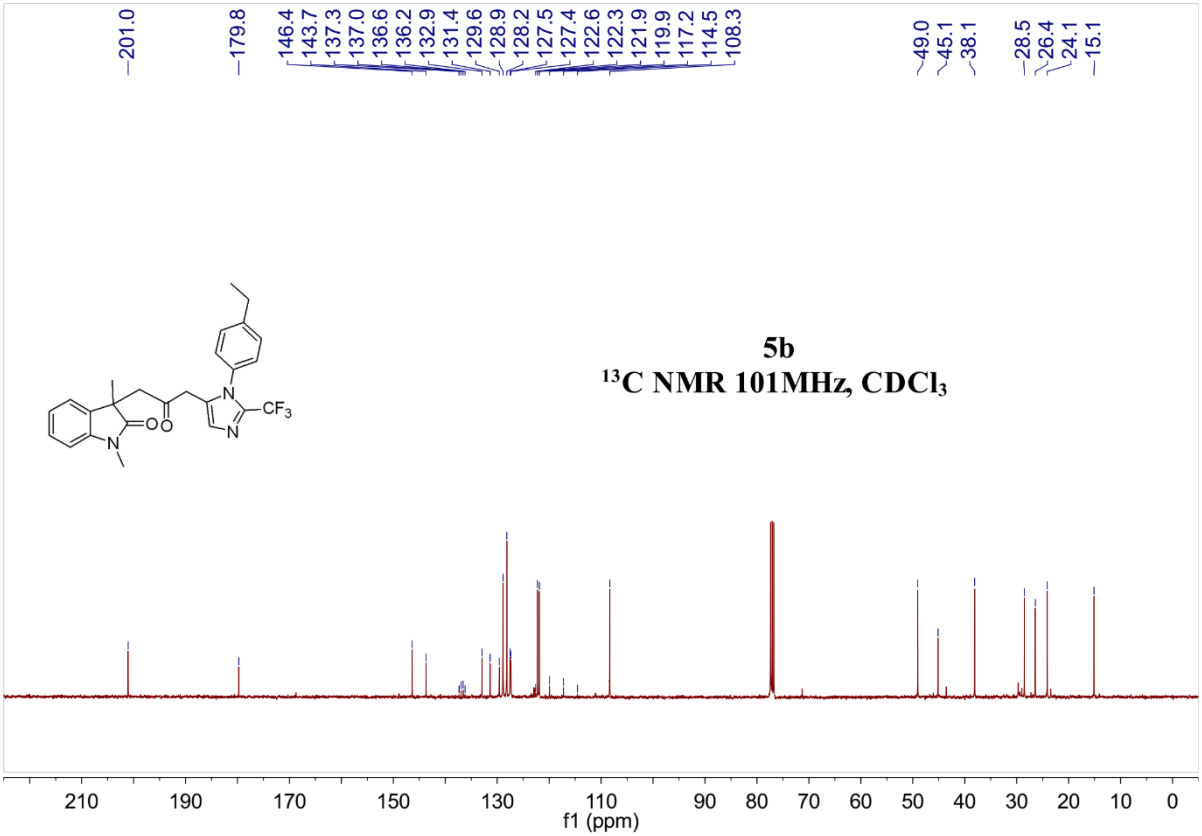
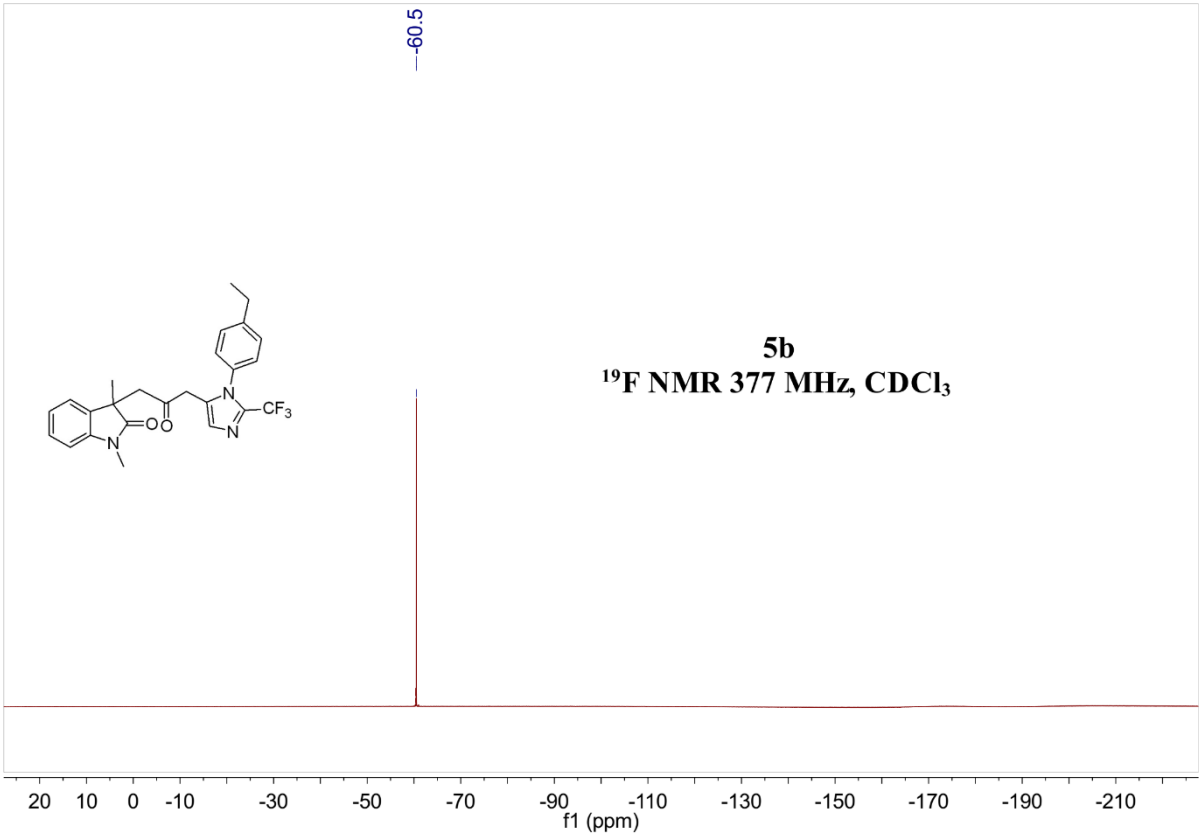
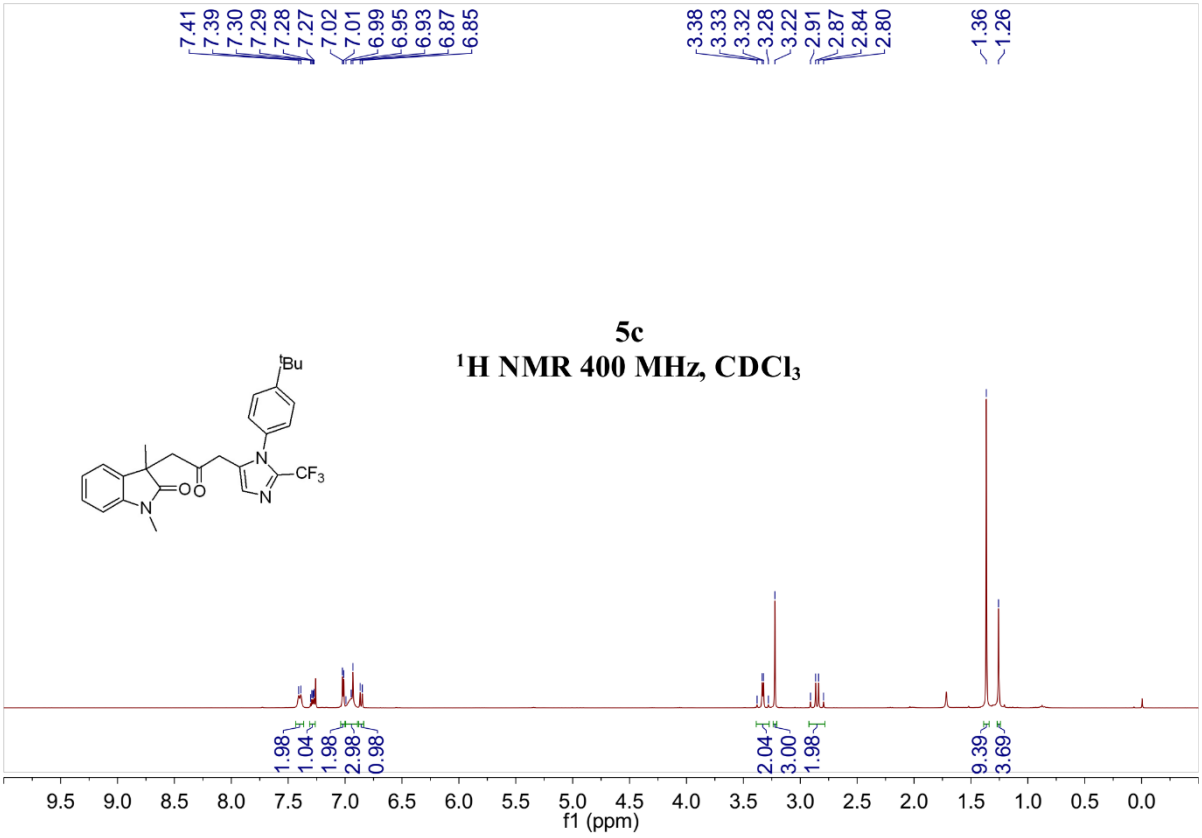
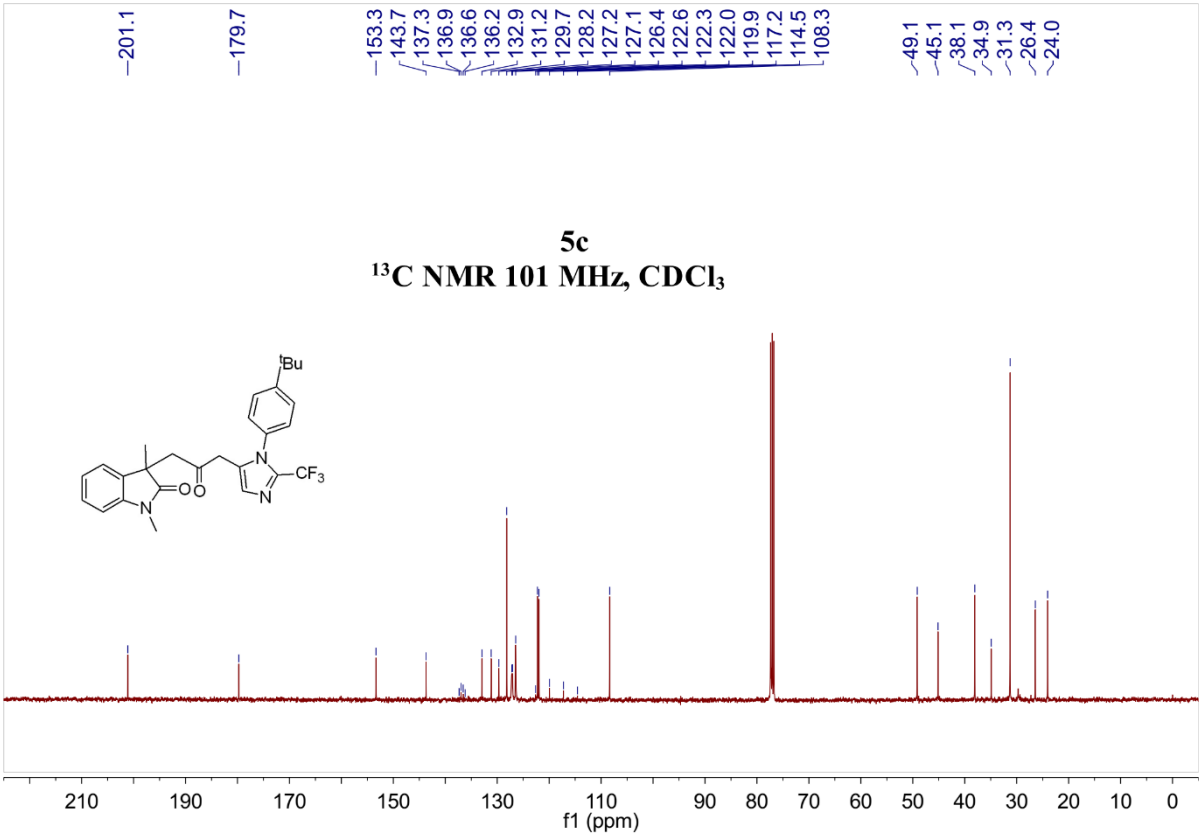
5a
19F NMR 337 MHz, CDCl3
O
O
N
N
N
CF3
20
10
0
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
f1 (ppm)


5b
^{1}H NMR 400 MHz, $CDCl_3$
f1 (ppm)


5b
^{13}C NMR 101MHz, $CDCl_3$
f1 (ppm)


-60.5
5b
19F NMR 377 MHz, CDCl3
CF3
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)


7.41
7.39
7.30
7.29
7.28
7.27
7.02
7.01
6.99
6.95
6.93
6.87
6.85
3.38
3.33
3.32
3.28
3.22
2.91
2.87
2.84
2.80
1.36
1.26
5c
1H NMR 400 MHz, CDCl3
tBu
CF3
1.98
1.04
1.98
2.98
0.98
2.04
3.00
1.98
9.39
3.69
f1 (ppm)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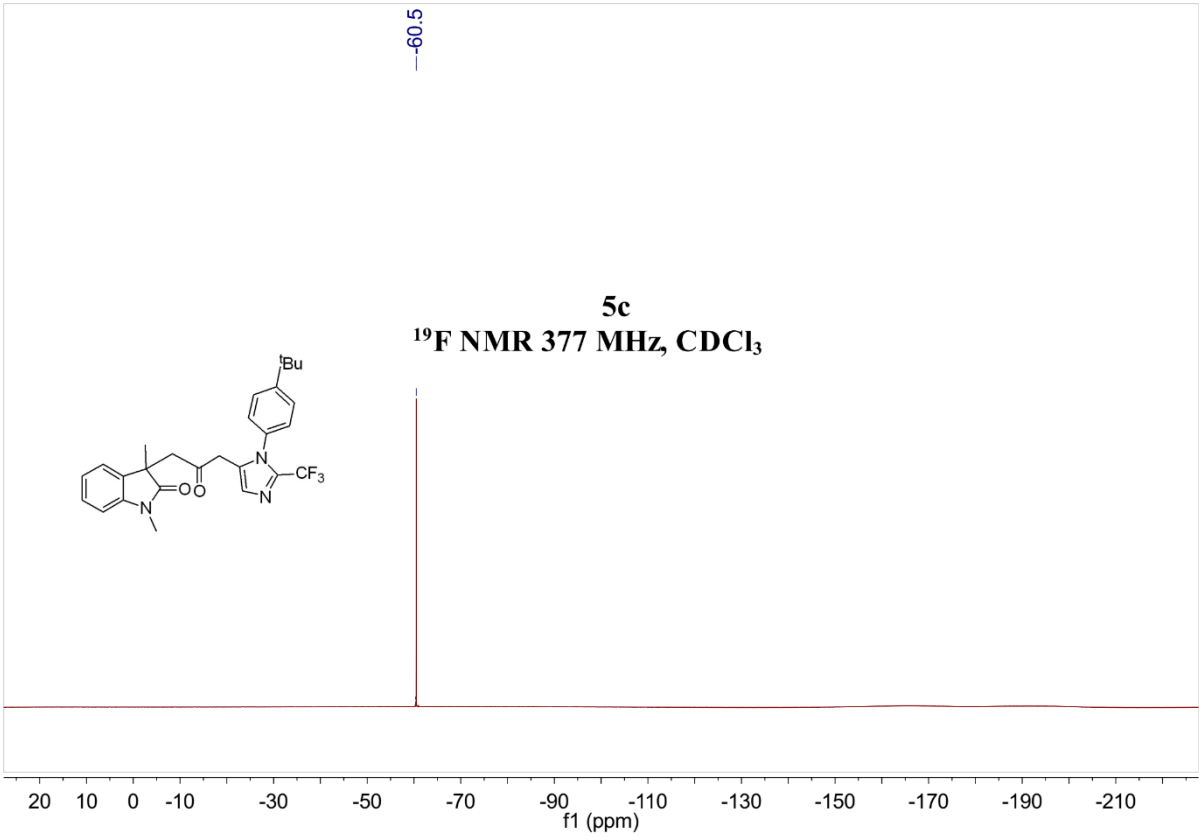
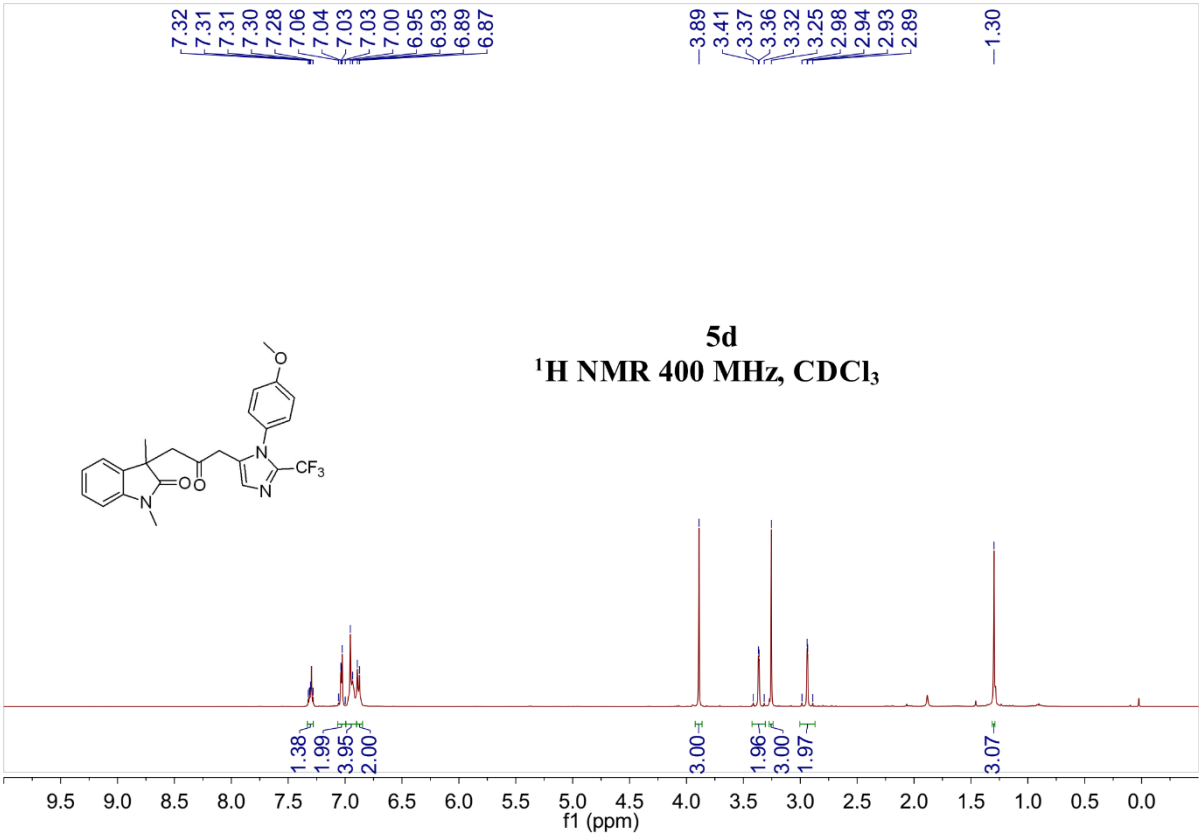
179.7
153.3
143.7
137.3
136.9
136.6
136.2
132.9
131.2
129.7
128.2
127.2
127.1
126.4
122.6
122.3
122.0
119.9
117.2
114.5
108.3
49.1
45.1
38.1
34.9
31.3
26.4
24.0
5c
13C NMR 101 MHz, CDCl3
tBu
CF3
f1 (ppm)



5c

^{19}F NMR 377 MHz, $CDCl_3$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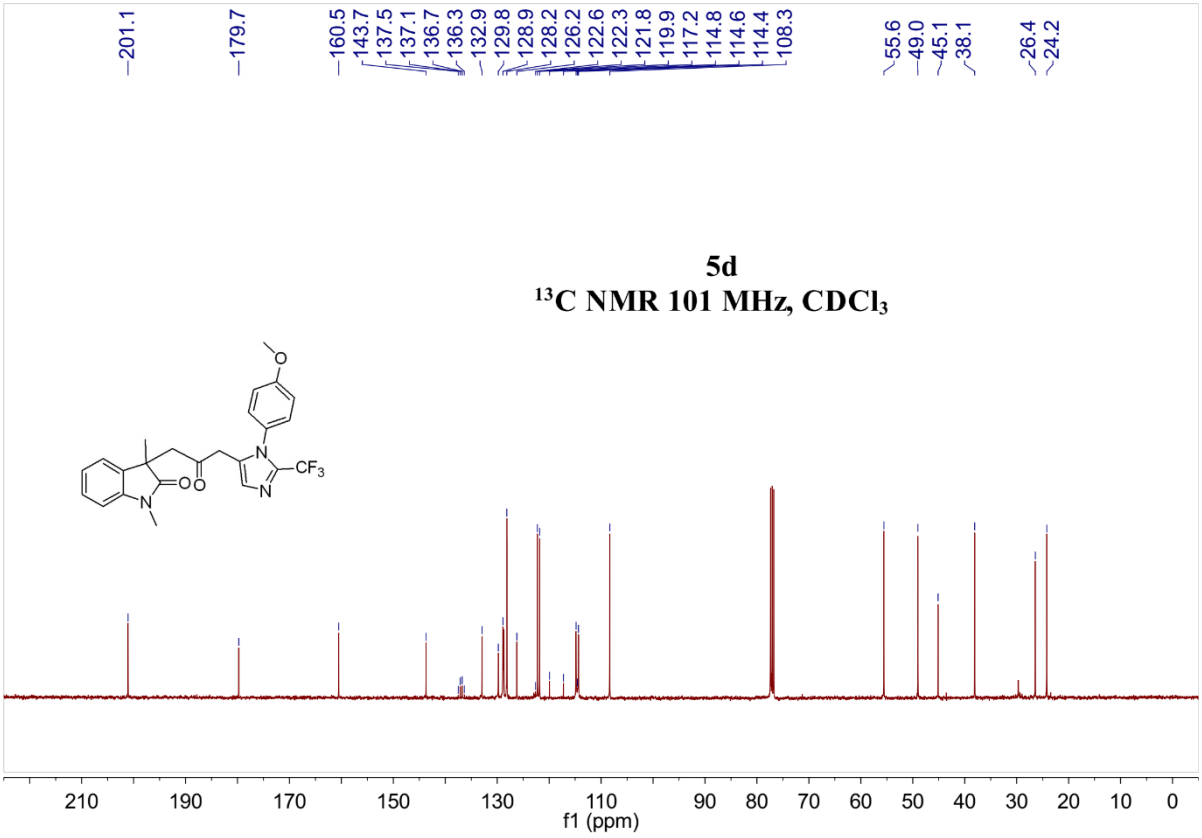
7.31
7.31
7.30
7.28
7.06
7.04
7.03
7.03
7.00
6.95
6.93
6.89
6.87
3.89
3.41
3.37
3.36
3.32
3.25
2.98
2.94
2.93
2.89
1.30
5d
1H NMR 400 MHz, CDCl3
1.38
1.99
3.95
2.00
3.00
1.96
3.00
1.97
3.07
f1 (ppm)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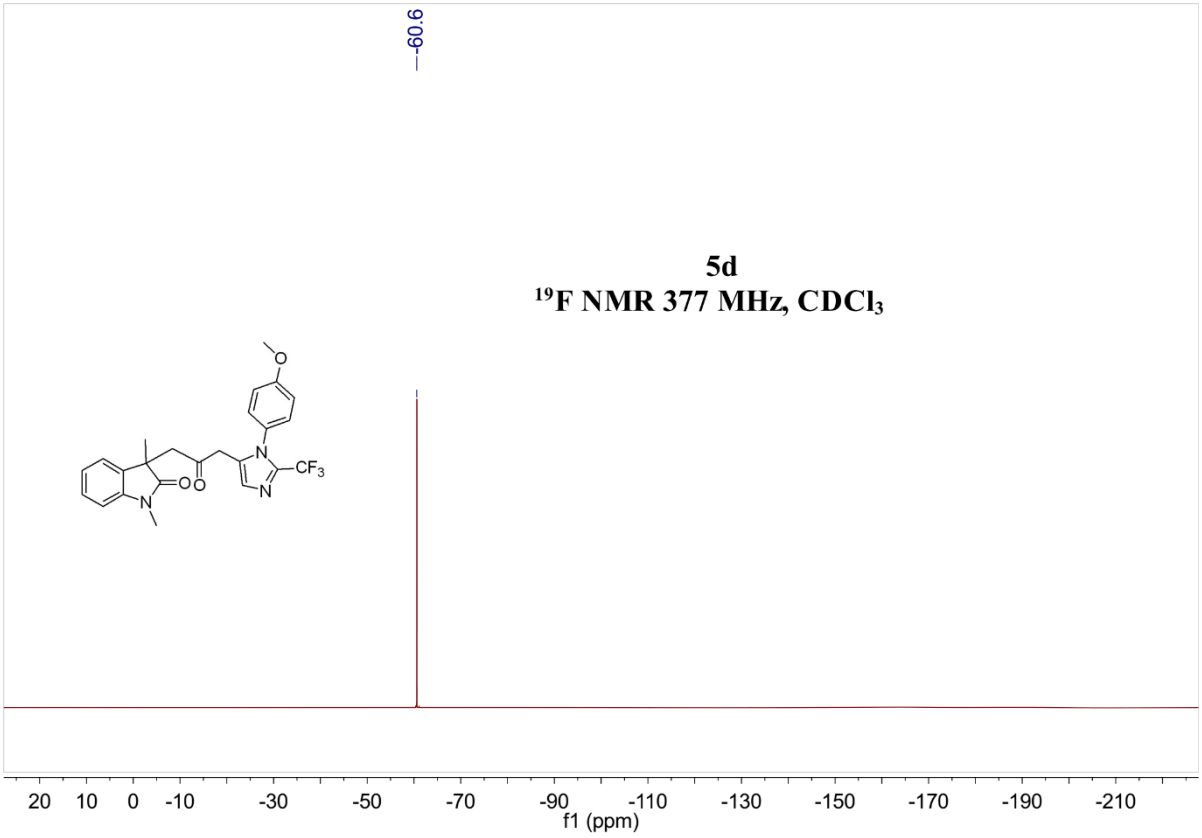
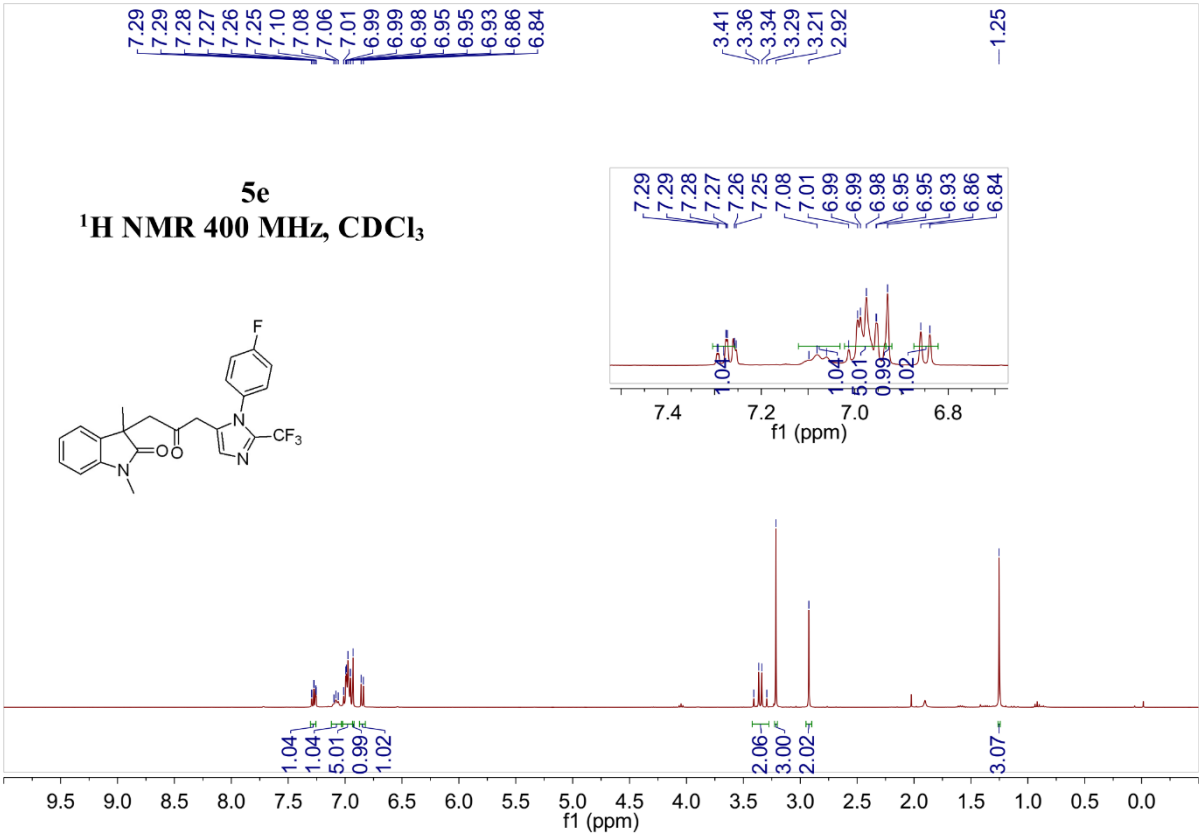
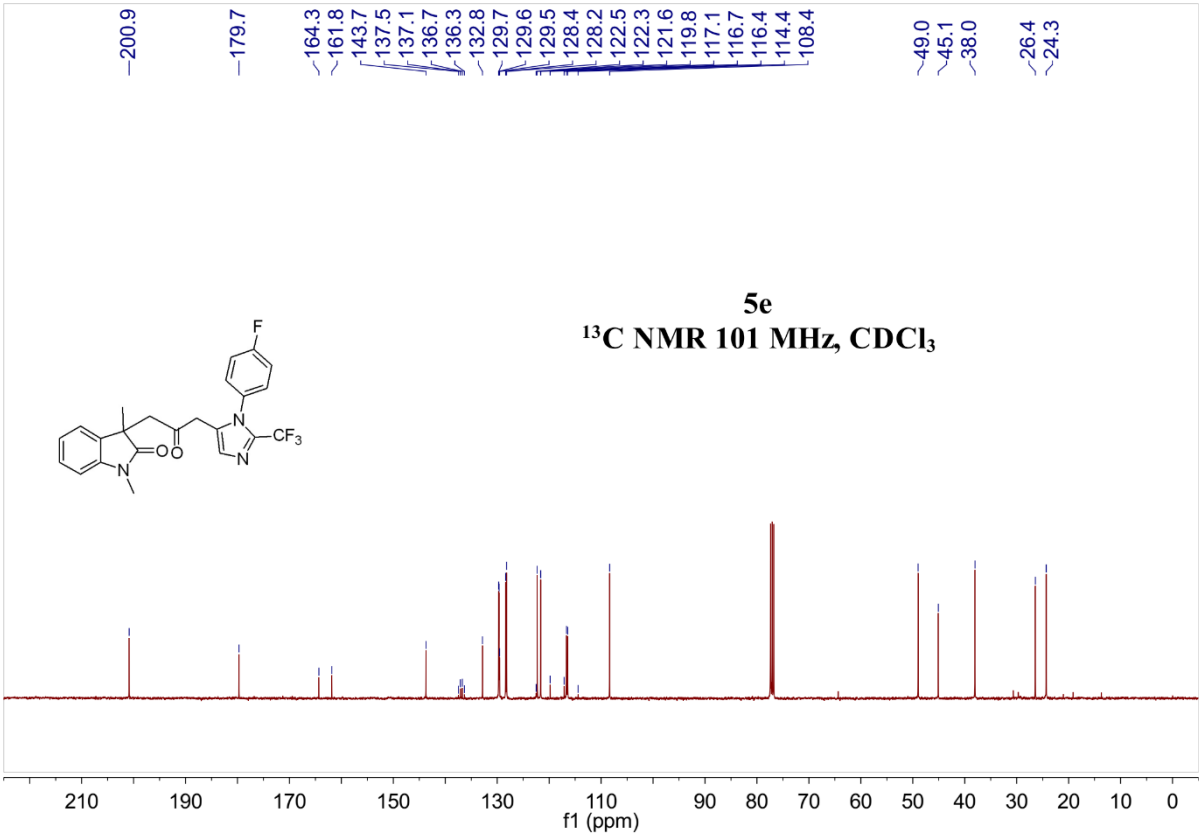
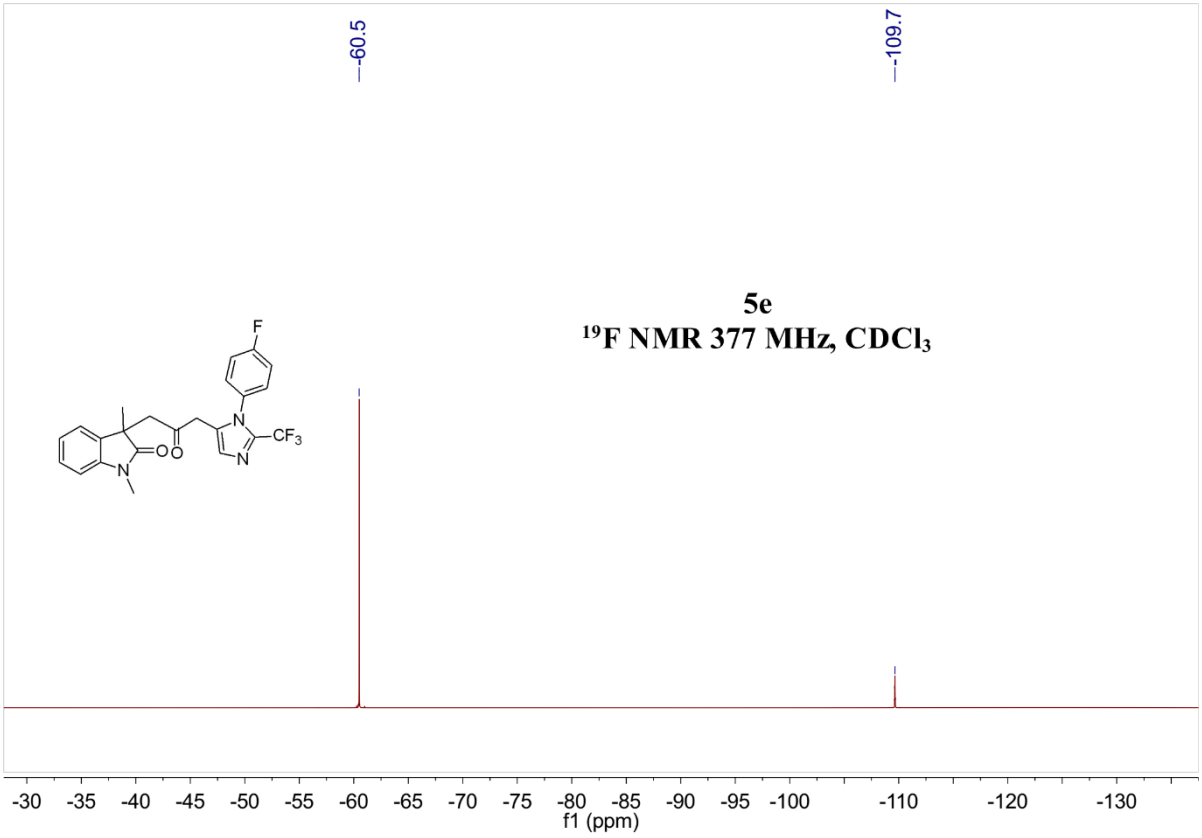
160.5
143.7
137.5
137.1
136.7
136.3
132.9
129.8
128.9
128.2
126.2
122.6
122.3
121.8
119.9
117.2
114.8
114.6
114.4
108.3
55.6
49.0
45.1
38.1
26.4
24.2
5d
13C NMR 101 MHz, CDCl3
f1 (ppm)


-60.6
5d
19F NMR 377 MHz, CDCl3
O
N
CF3
O
O
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)


5e
1H NMR 400 MHz, CDCl3
f1 (ppm)


5e
13C NMR 101 MHz, CDCl3
f1 (ppm)


-60.5
-109.7
5e
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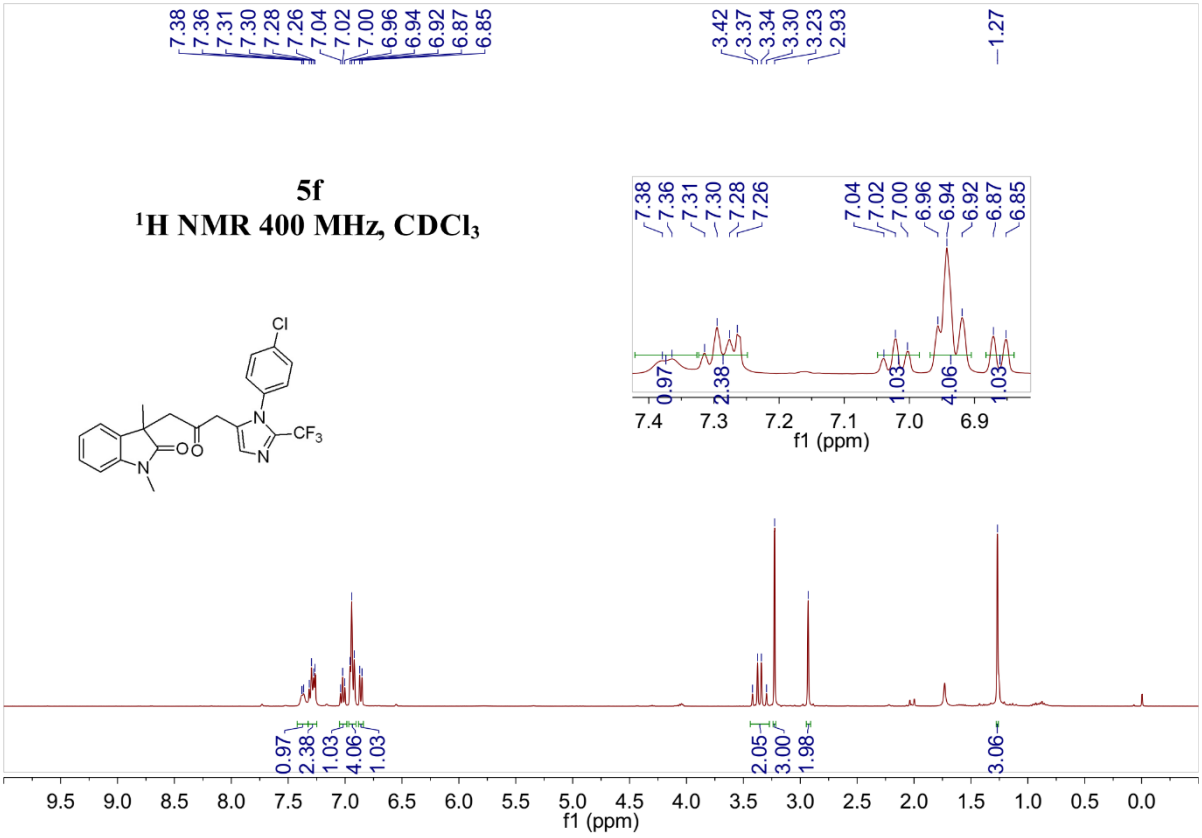
F
O
O
N
N
N
CF3
-30 -35 -40 -45 -50 -55 -60 -65 -70 -75 -80 -85 -90 -95 -100 -110 -120 -130
f1 (ppm)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7.36
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7.26
7.04
7.02
7.00
6.96
6.94
6.92
6.87
6.85
3.42
3.37
3.34
3.30
3.23
2.93
1.27
5f
1H NMR 400 MHz, CDCl3
Cl
N
CF3
O
O
N
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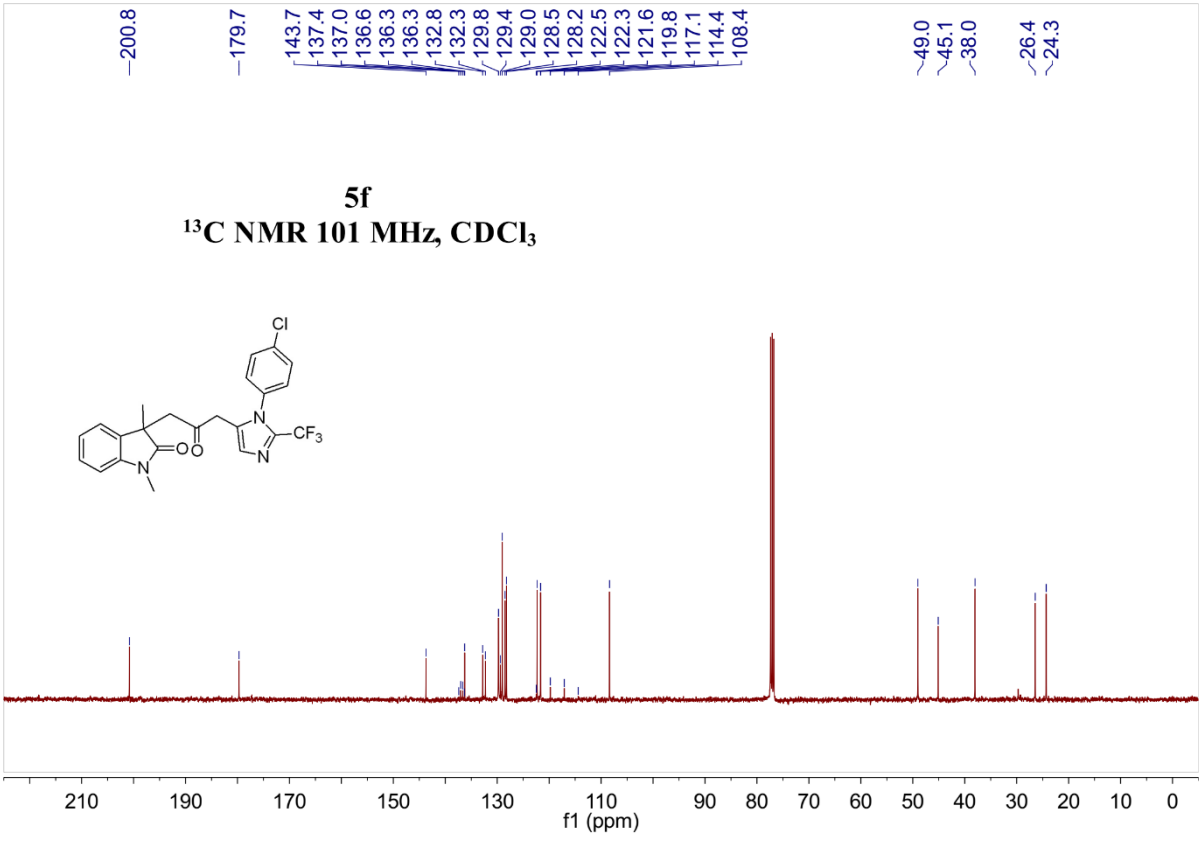
2.38
1.03
4.06
1.03
2.05
3.00
1.98
3.06
f1 (ppm)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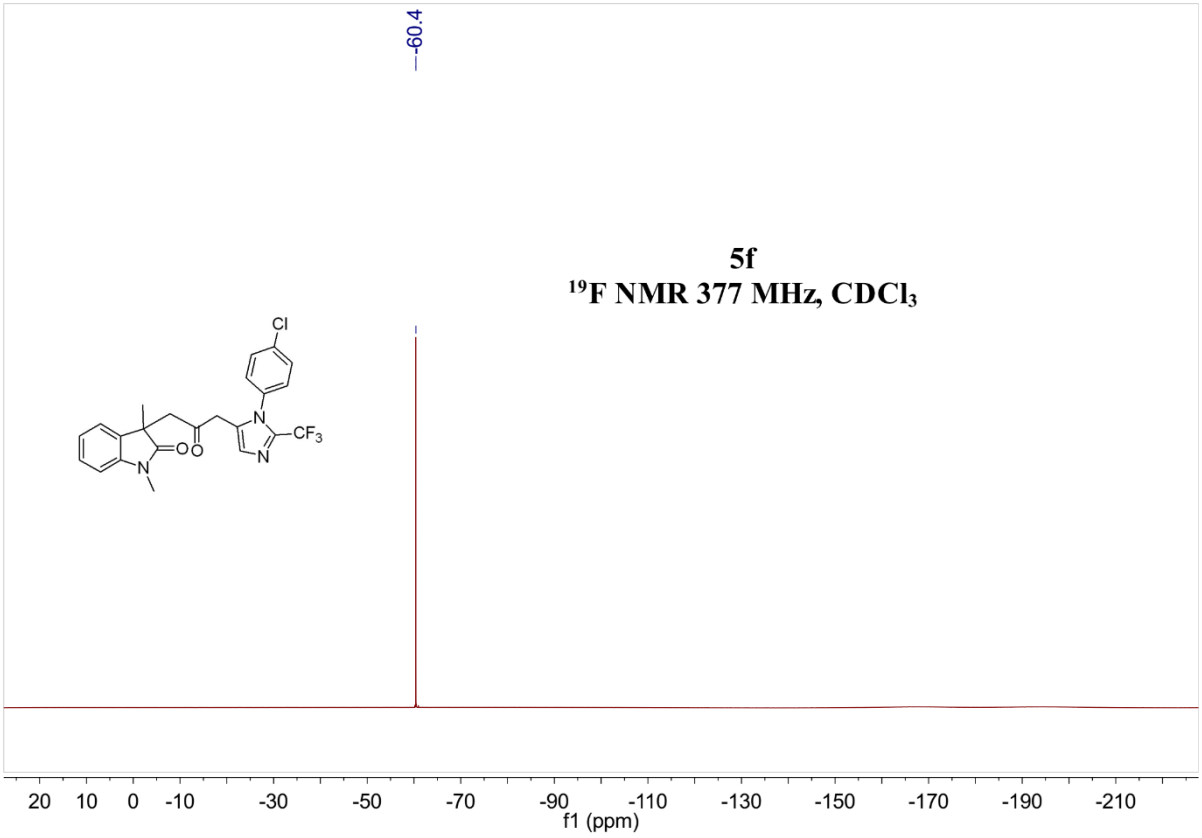
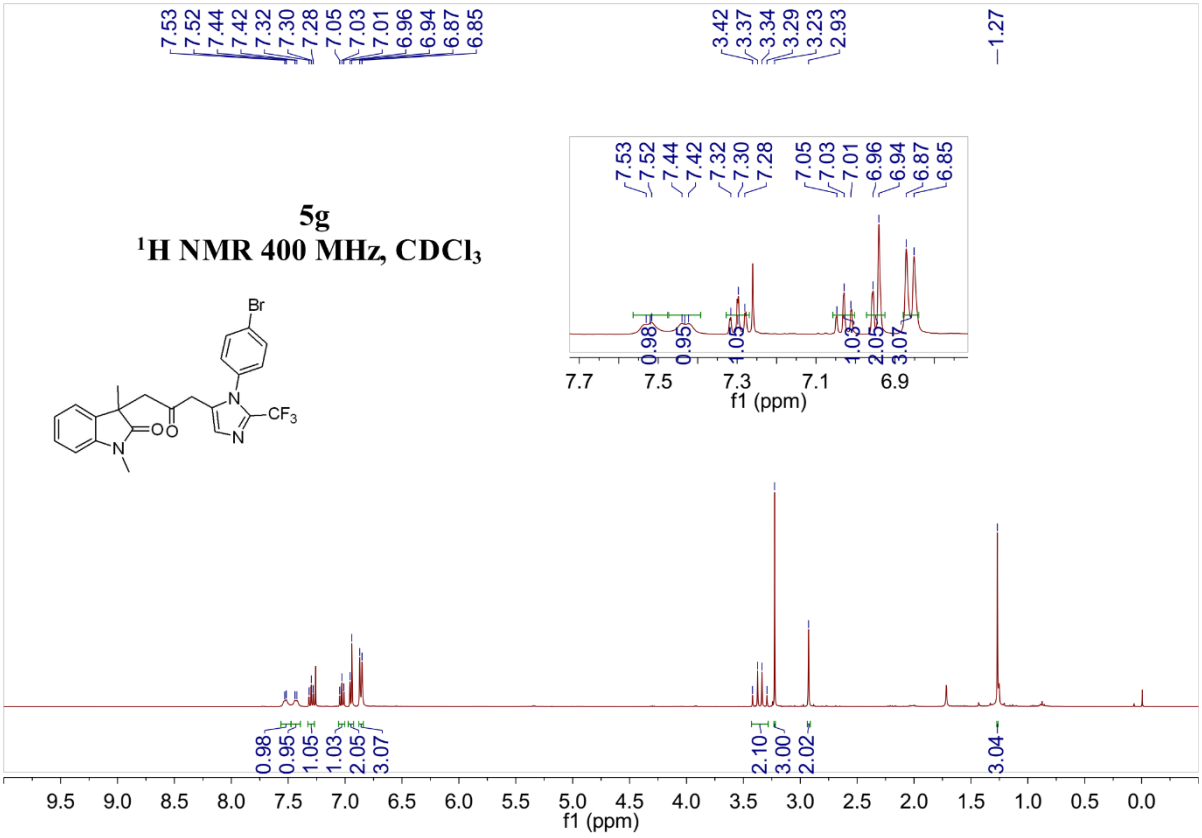
136.3
132.8
132.3
129.8
129.4
129.0
128.5
128.2
122.5
122.3
121.6
119.8
117.1
114.4
108.4
49.0
45.1
38.0
26.4
24.3
5f
13C NMR 101 MHz, CDCl3
Cl
N
CF3
O
O
N
f1 (ppm)



5f

^{19}F NMR 377 MHz, $CDCl_3$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7.52
7.44
7.42
7.32
7.30
7.28
7.05
7.03
7.01
6.96
6.94
6.87
6.85
3.42
3.37
3.34
3.29
3.23
2.93
1.27
5g
1H NMR 400 MHz, CDCl3
Br
N
CF3
O
O
N
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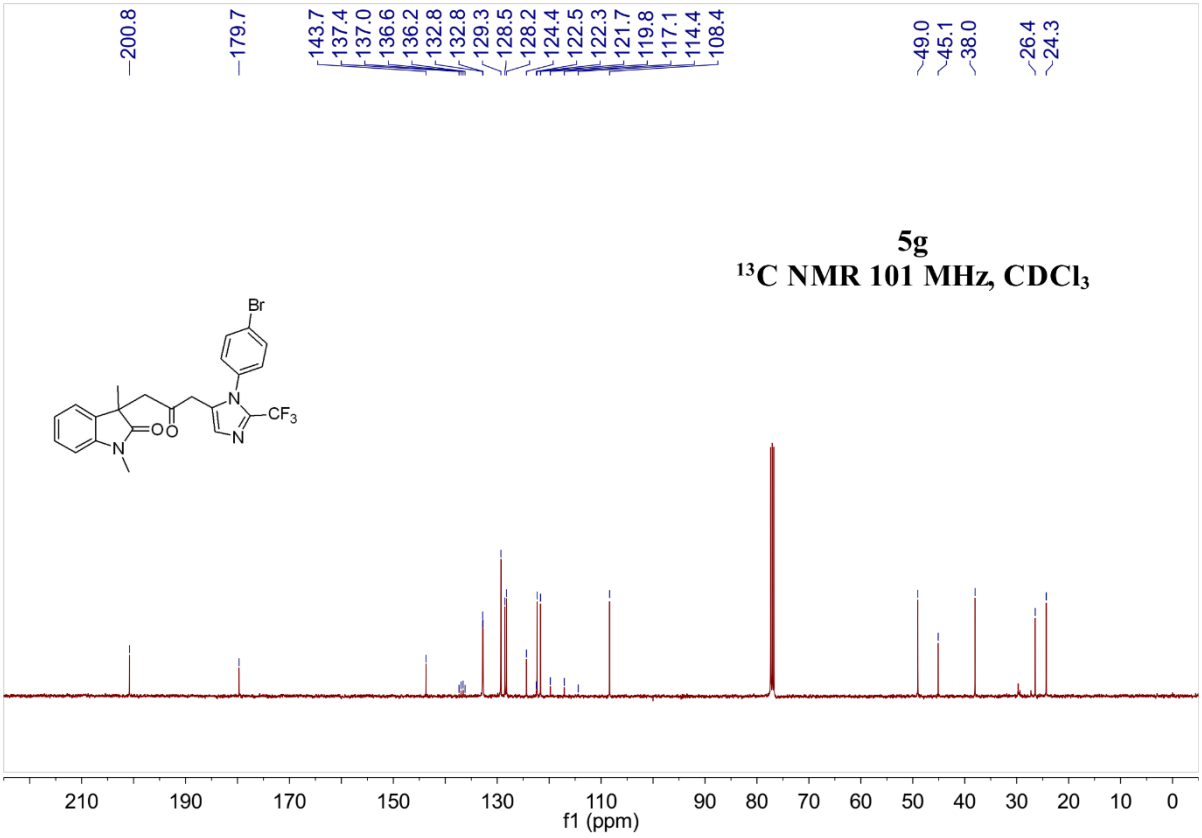
0.95
1.05
1.03
2.05
3.07
2.10
3.00
2.02
3.04
f1 (ppm)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132.8
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129.3
128.5
128.2
124.4
122.5
122.3
121.7
119.8
117.1
114.4
108.4
49.0
45.1
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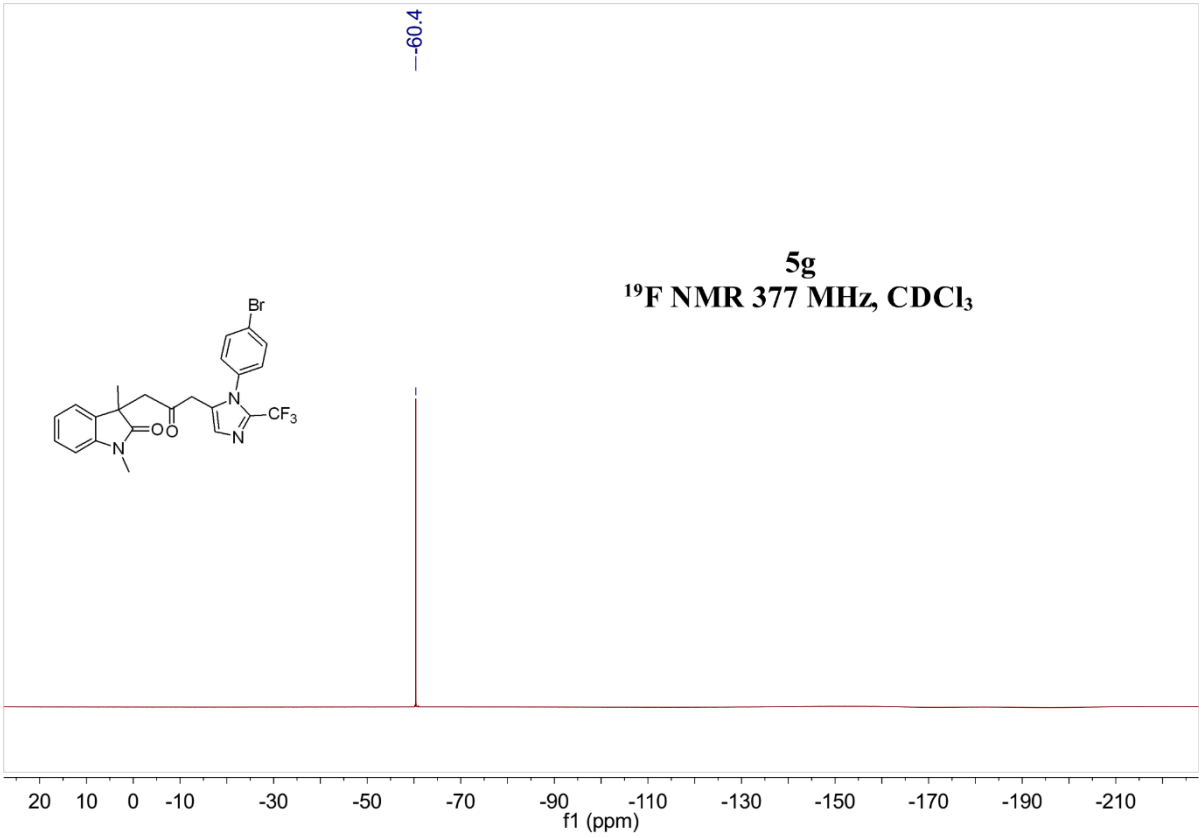
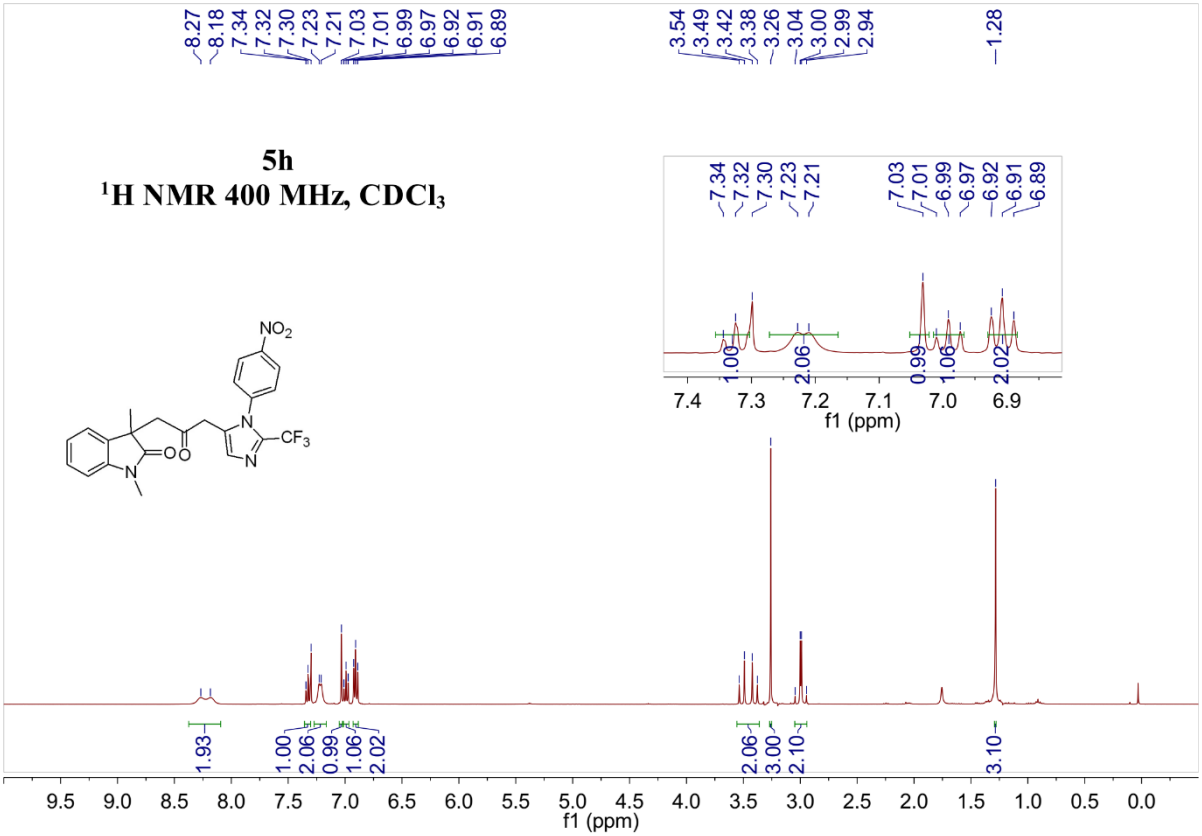
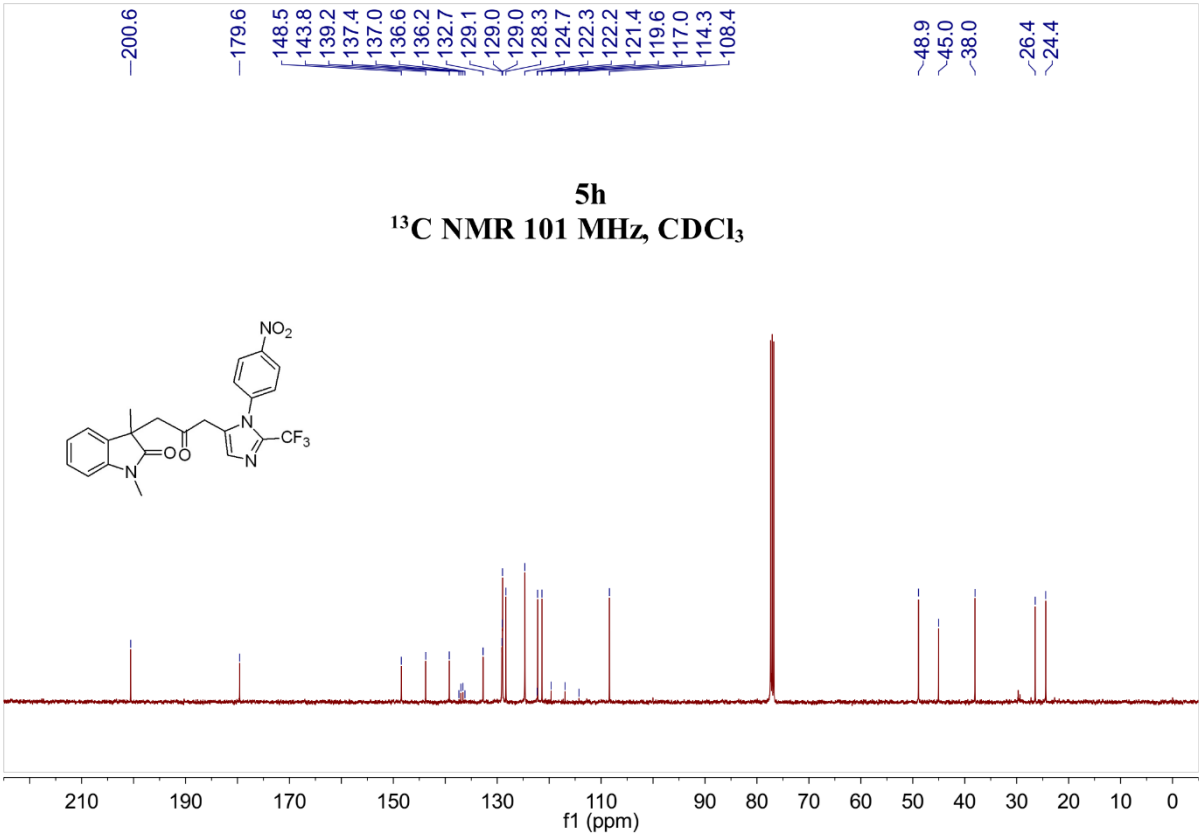
26.4
24.3
5g
13C NMR 101 MHz, CDCl3
Br
N
CF3
O
O
N
f1 (ppm)



5g

^{19}F NMR 377 MHz, $CDCl_3$


8.27
8.18
7.34
7.32
7.30
7.23
7.21
7.03
7.01
6.99
6.97
6.92
6.91
6.89
3.54
3.49
3.42
3.38
3.26
3.04
3.00
2.99
2.94
1.28
5h
1H NMR 400 MHz, CDCl3
NO2
CF3
O
O
N
N
N
1.00
2.06
0.99
1.06
2.02
7.4
7.3
7.2
7.1
7.0
6.9
f1 (ppm)
1.93
1.00
2.06
0.99
1.06
2.02
2.06
3.00
2.10
3.10
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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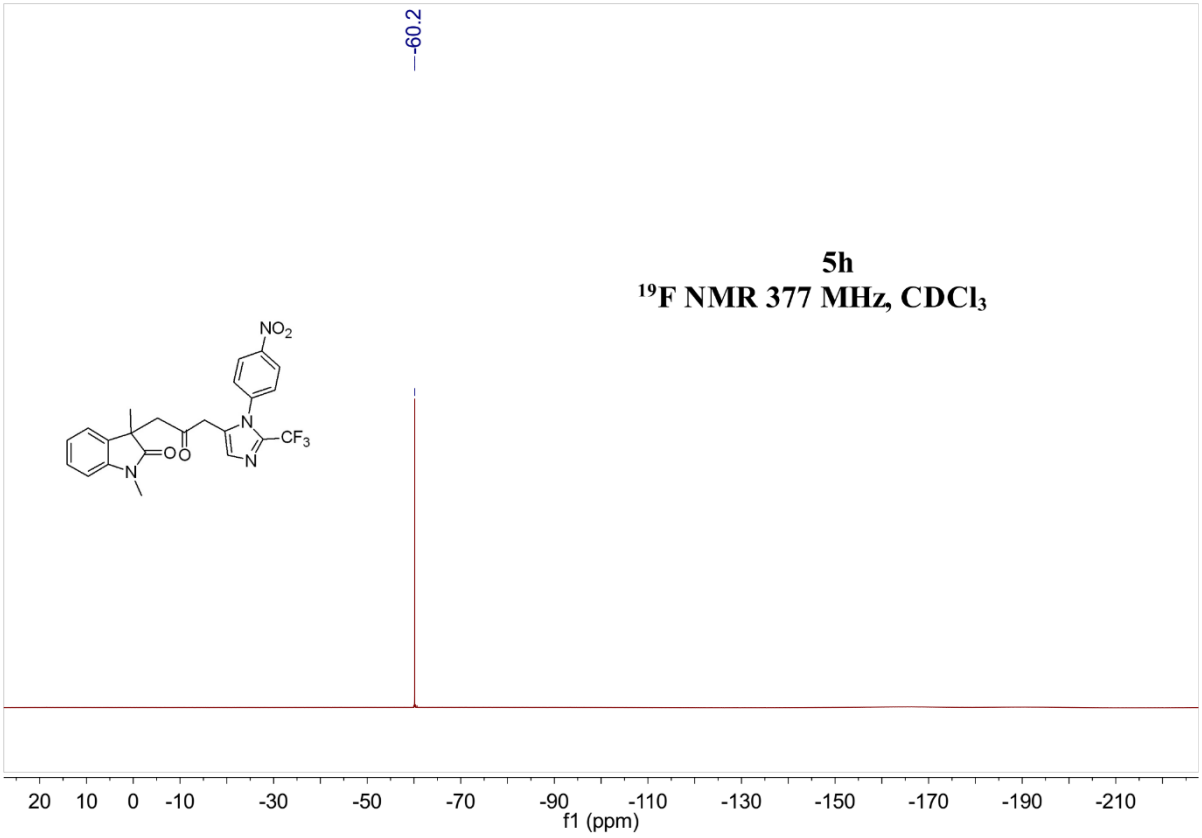
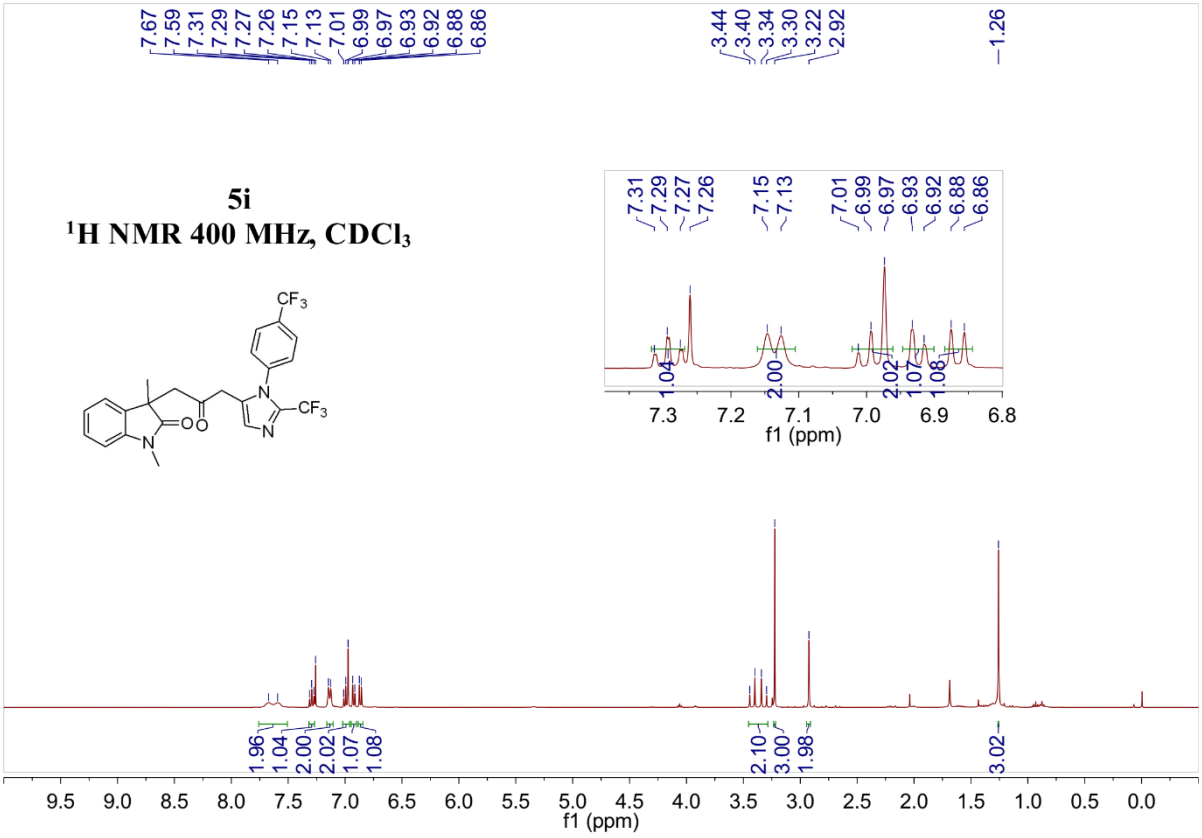
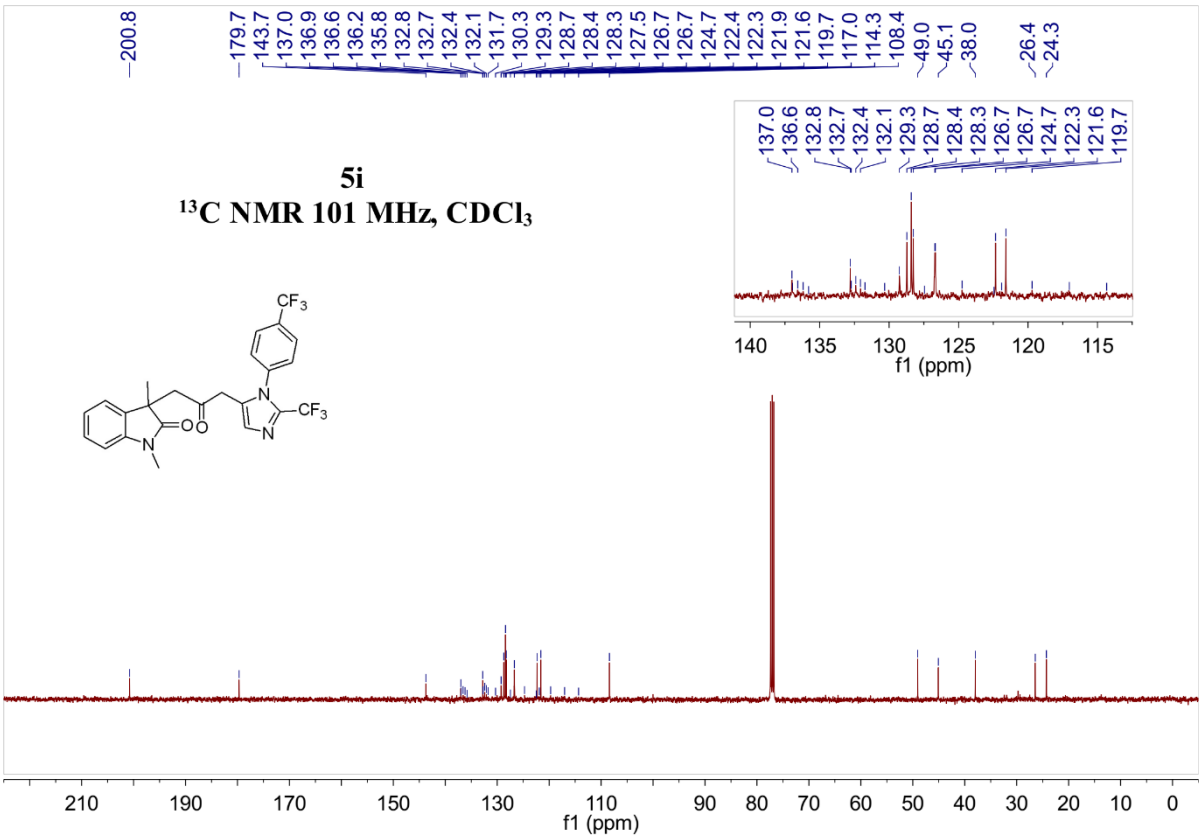
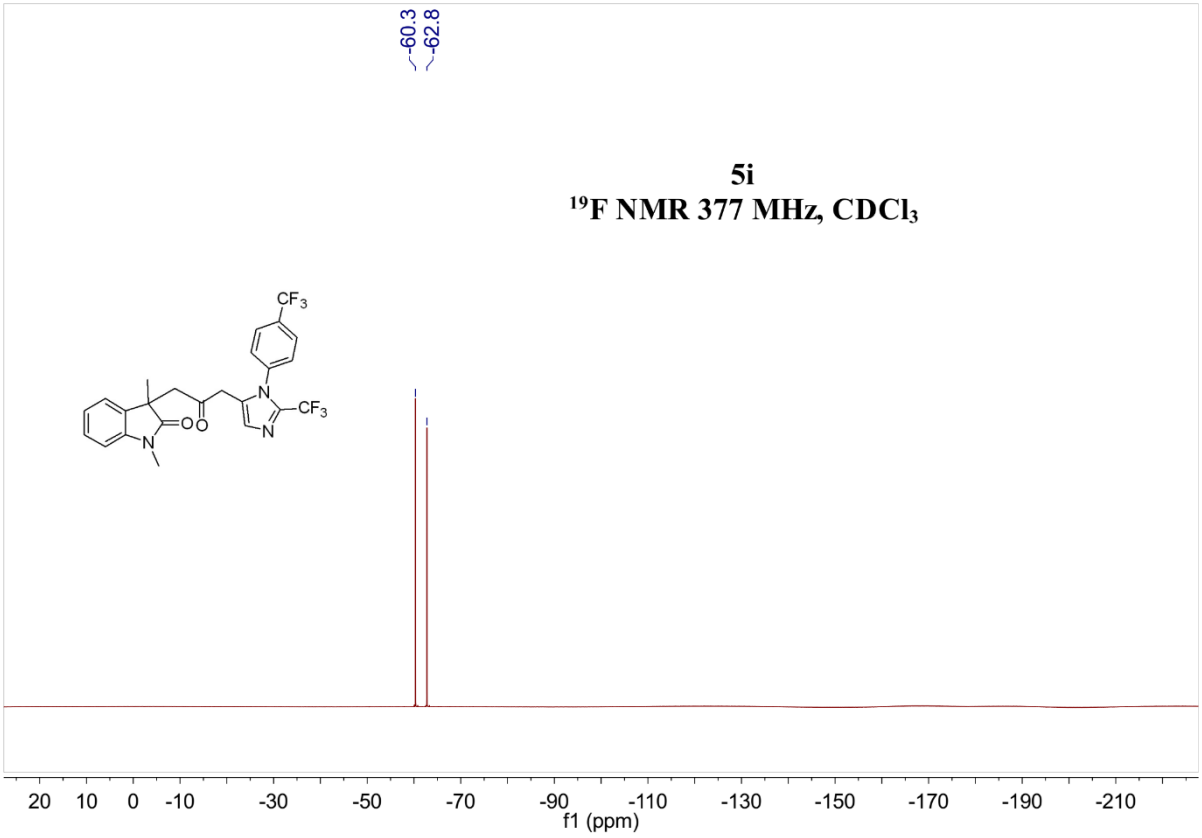
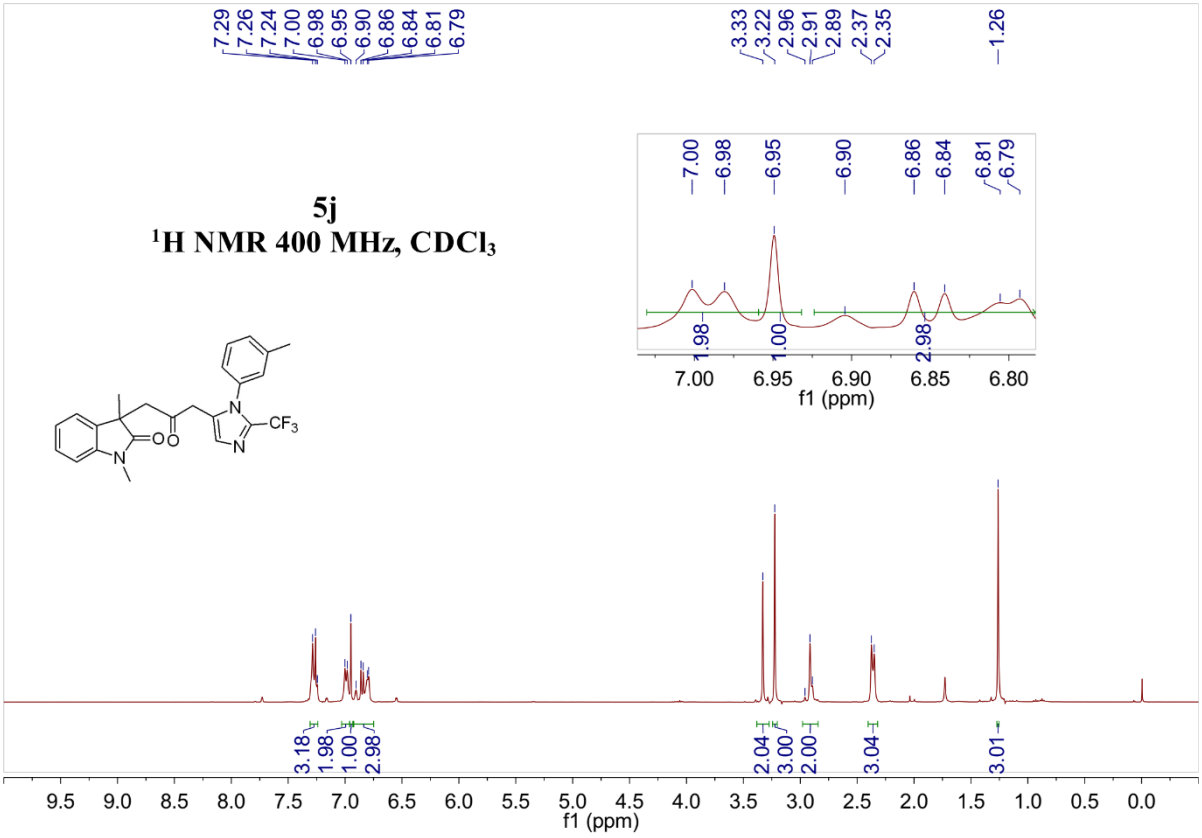
143.8
139.2
137.4
137.0
136.6
136.2
132.7
129.1
129.0
129.0
128.3
124.7
122.3
122.2
121.4
119.6
117.0
114.3
108.4
48.9
45.0
38.0
26.4
24.4
5h
13C NMR 101 MHz, CDCl3
NO2
CF3
O
O
N
N
N
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


-60.2
5h
19F NMR 377 MHz, CDCl3
NO2
CF3
O
O
N
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)


5i
^{1}H NMR 400 MHz, $CDCl_3$
f1 (ppm)


5i
^{13}C NMR 101 MHz, $CDCl_3$
f1 (ppm)


-60.3
-62.8
5i
19F NMR 377 MHz, CDCl3
CF3
CF3
N
O
O
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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6.95
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6.86
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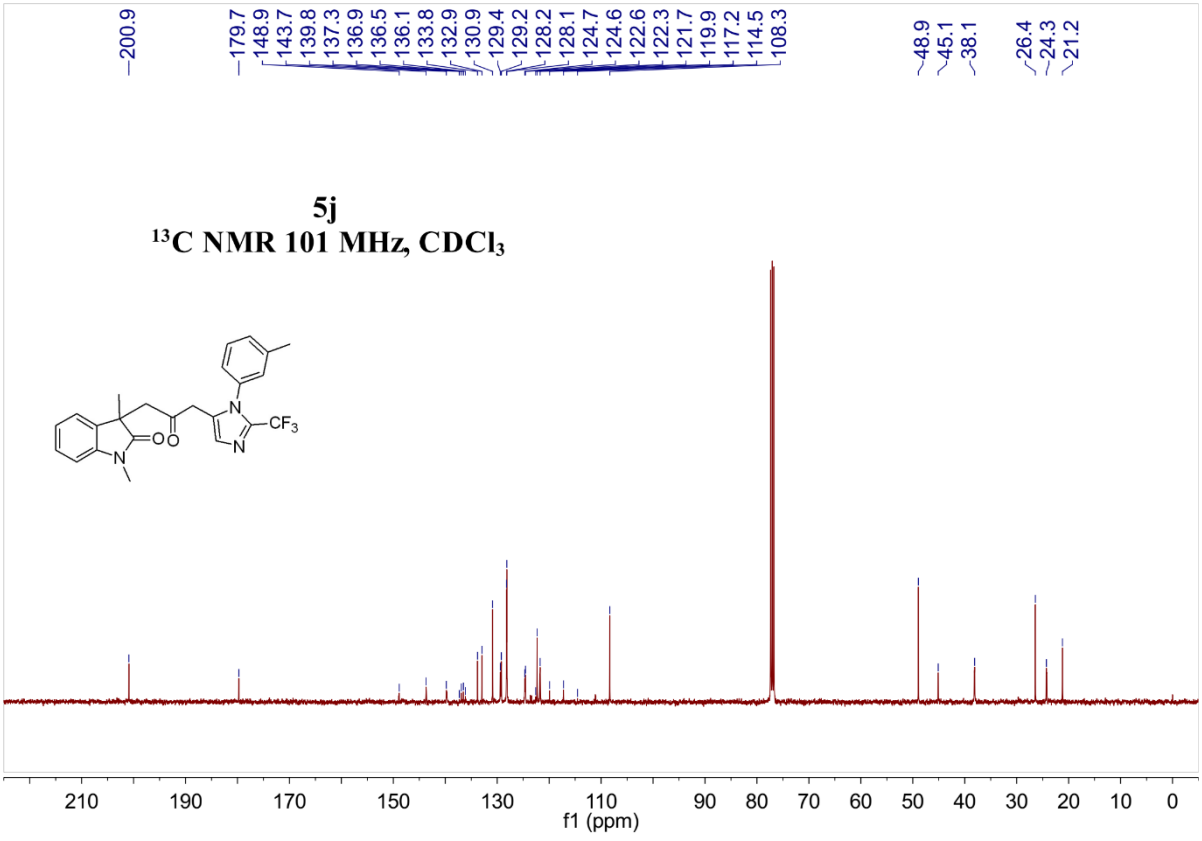
6.81
6.79
3.33
3.22
2.96
2.91
2.89
2.37
2.35
1.26
5j
1H NMR 400 MHz, CDCl3
CF3
1.98
1.00
2.98
7.00
6.95
6.90
6.85
6.80
f1 (ppm)
3.18
1.98
1.00
2.98
2.04
3.00
2.00
3.04
3.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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129.2
128.2
128.1
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124.6
122.6
122.3
121.7
119.9
117.2
114.5
108.3
48.9
45.1
38.1
26.4
24.3
21.2
5j
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CF3
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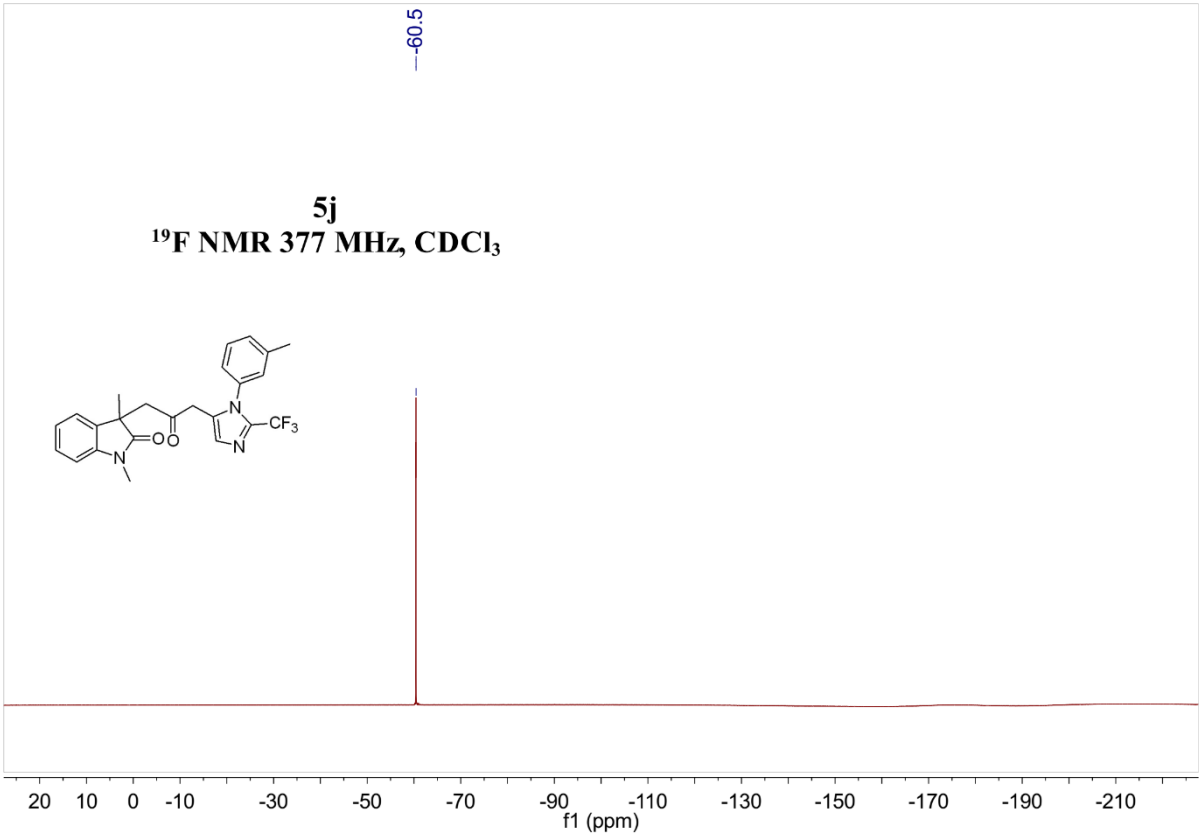
f1 (ppm)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CF3
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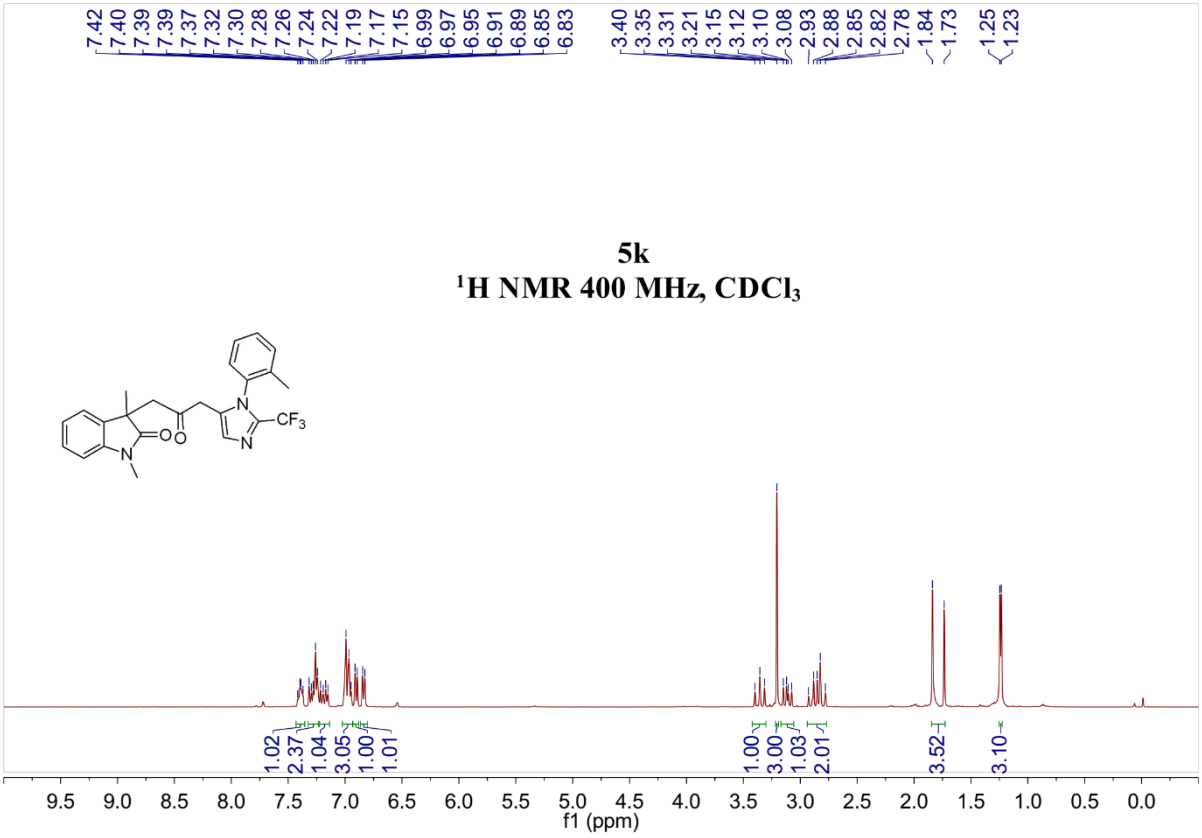
f1 (ppm)



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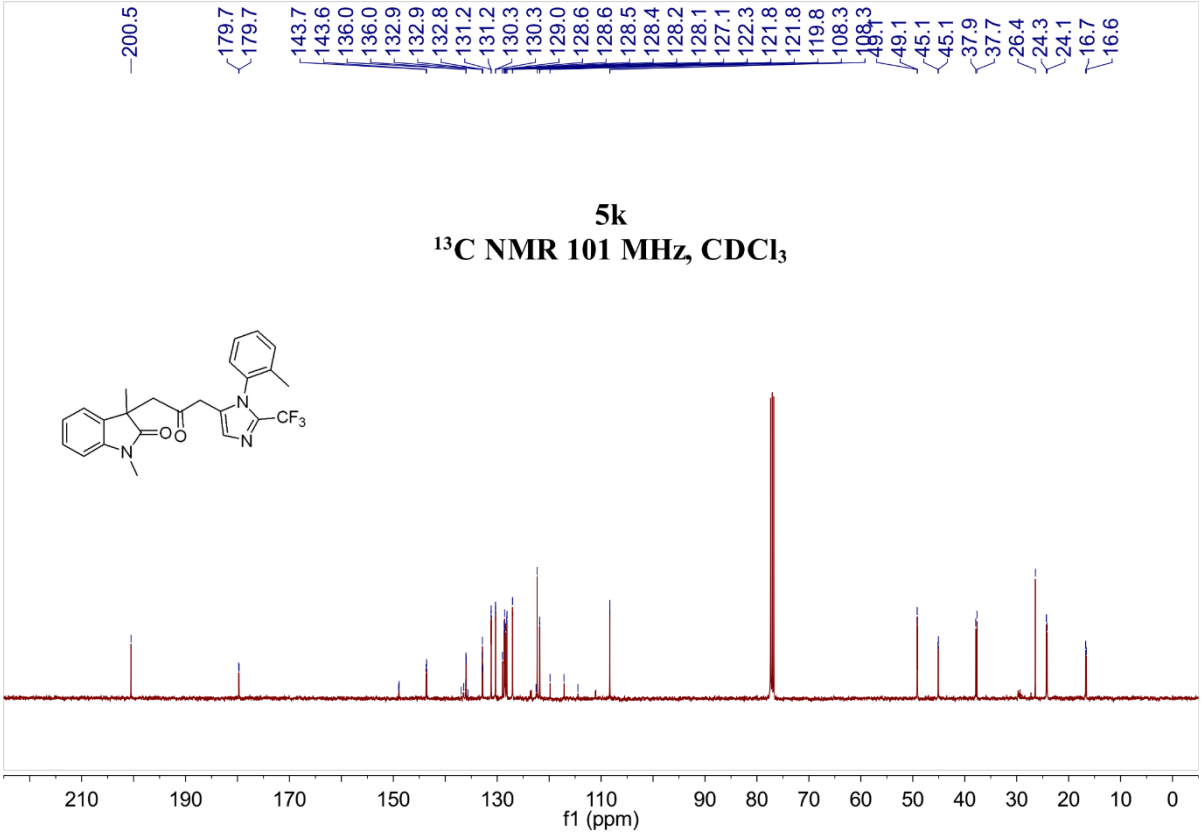

5k

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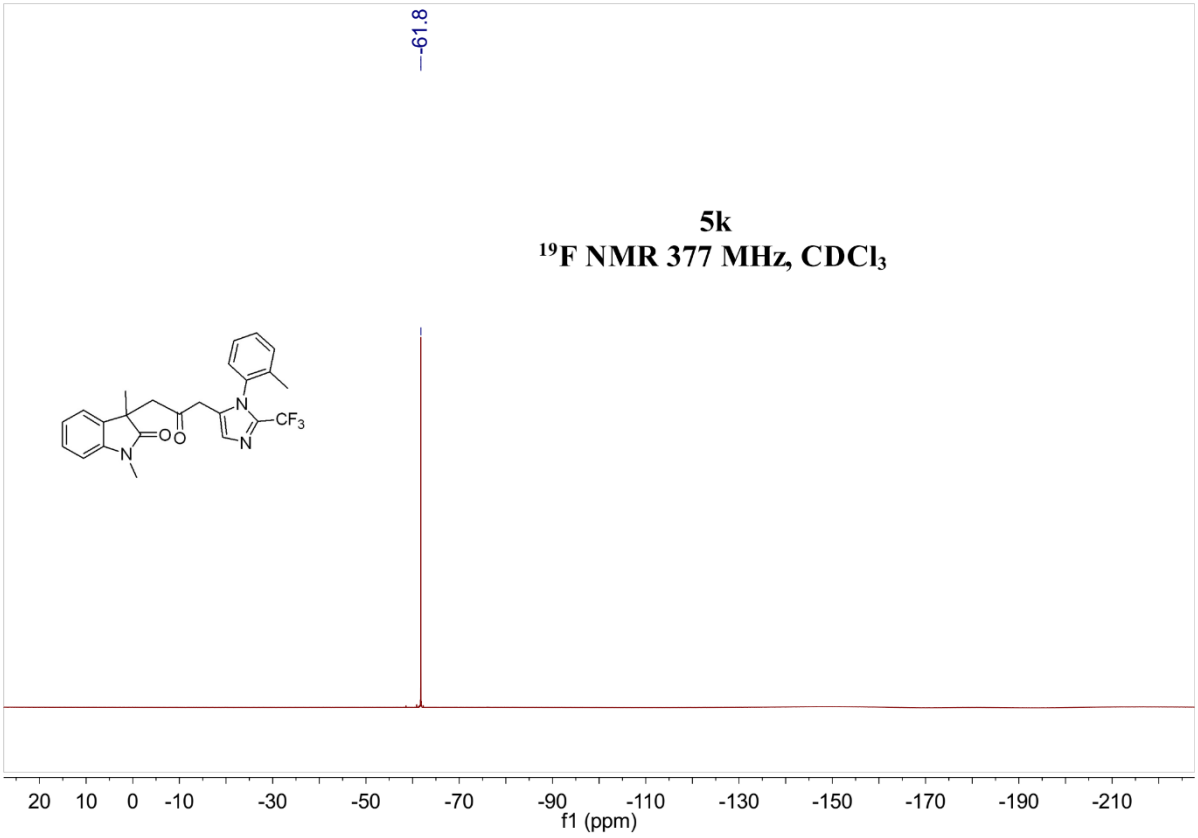
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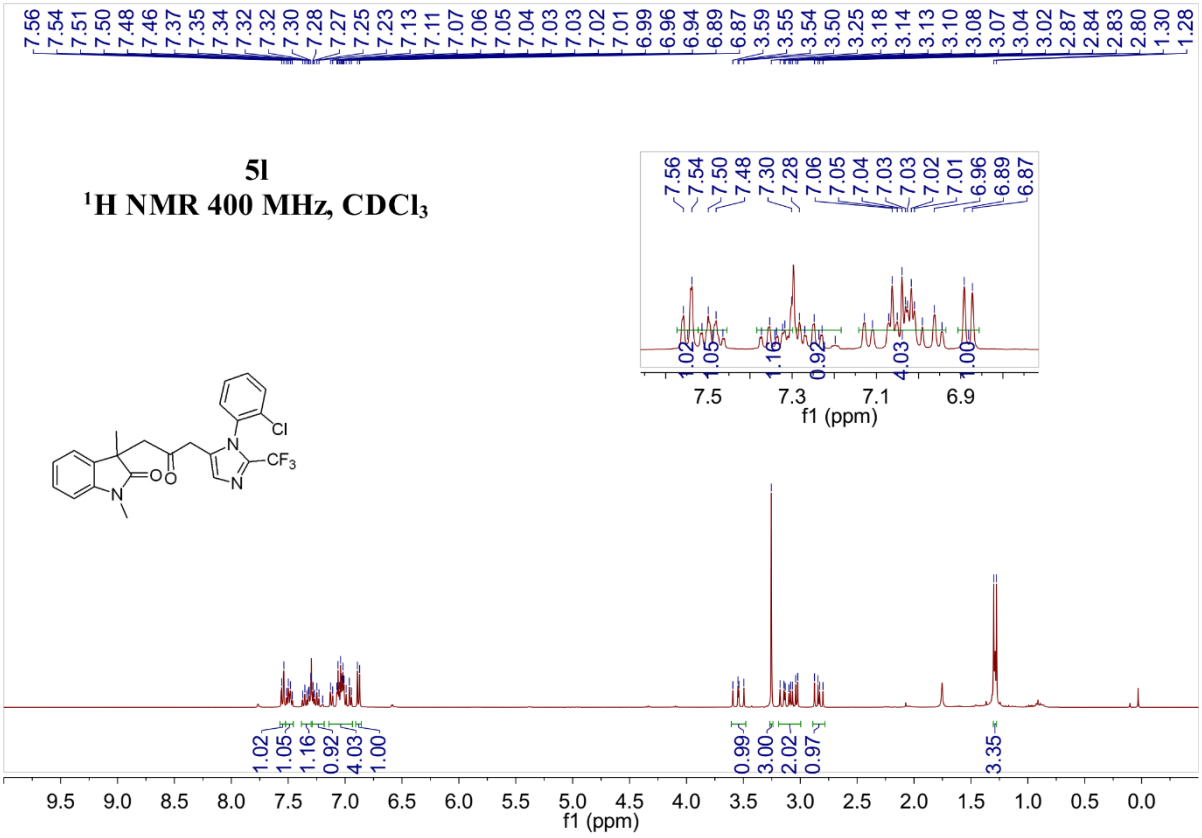
f1 (ppm)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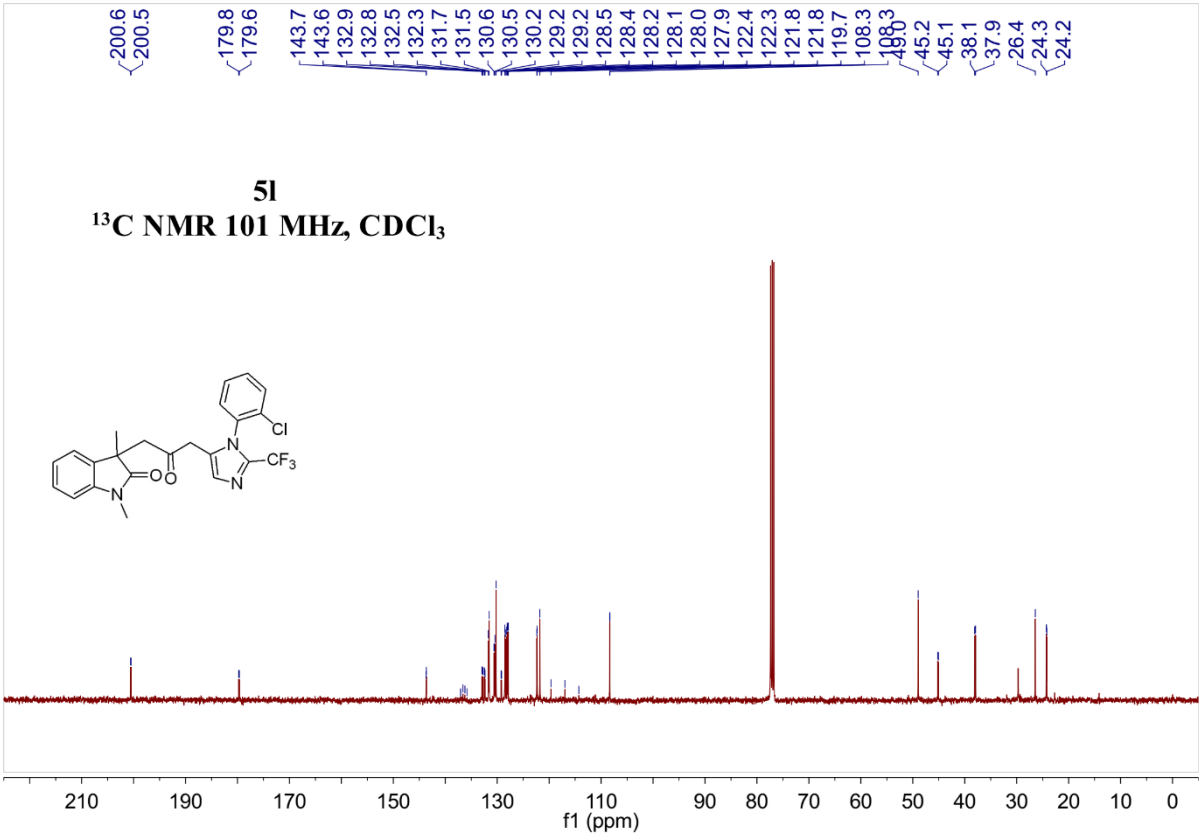
f1 (ppm)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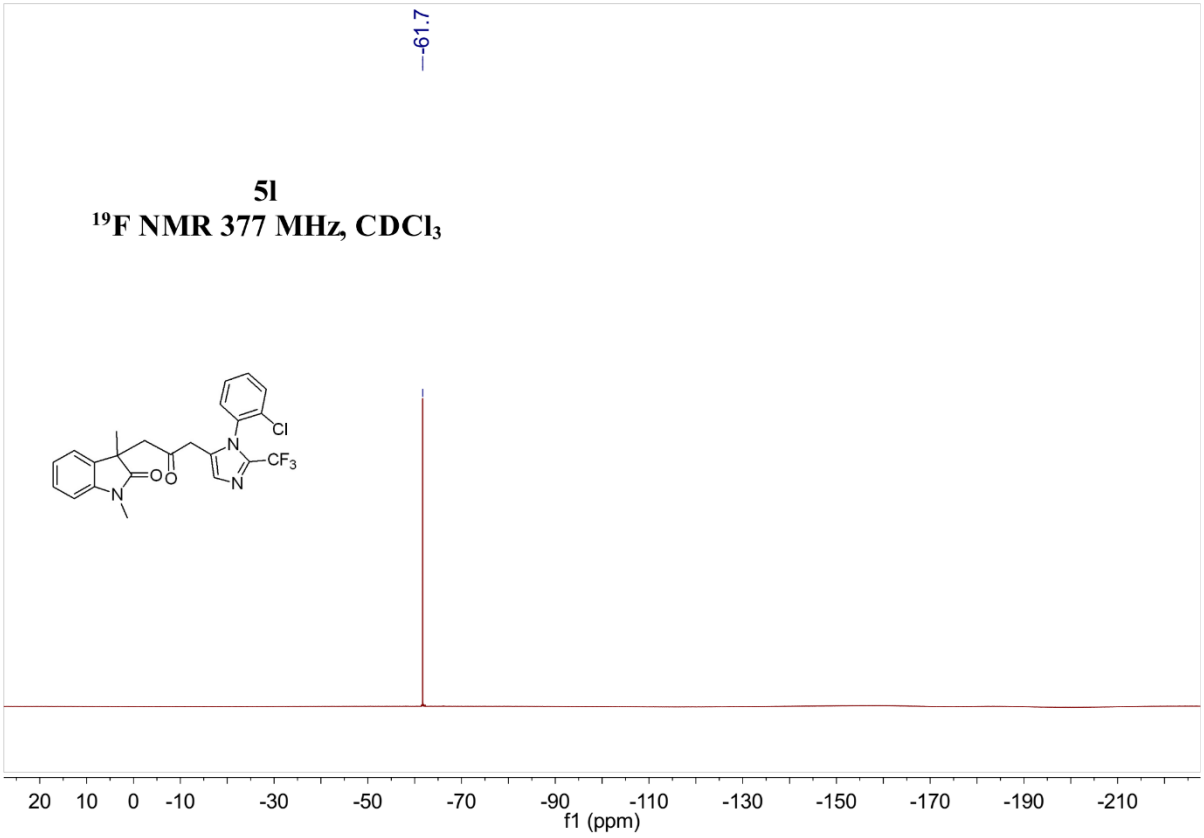
f1 (ppm)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Cl
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O
O
N
N
N
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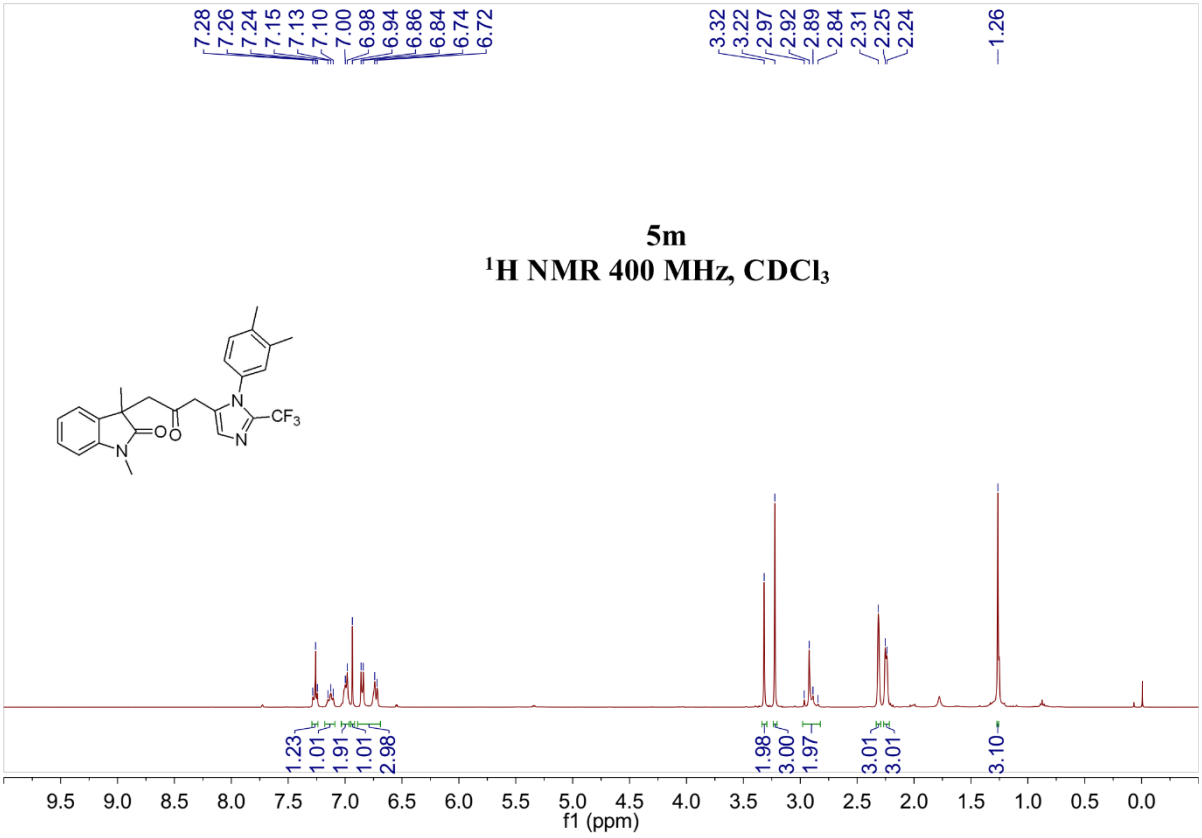
f1 (ppm)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3.22
2.97
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2.89
2.84
2.31
2.25
2.24
1.26
5m
1H NMR 400 MHz, CDCl3
CF3
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1.01
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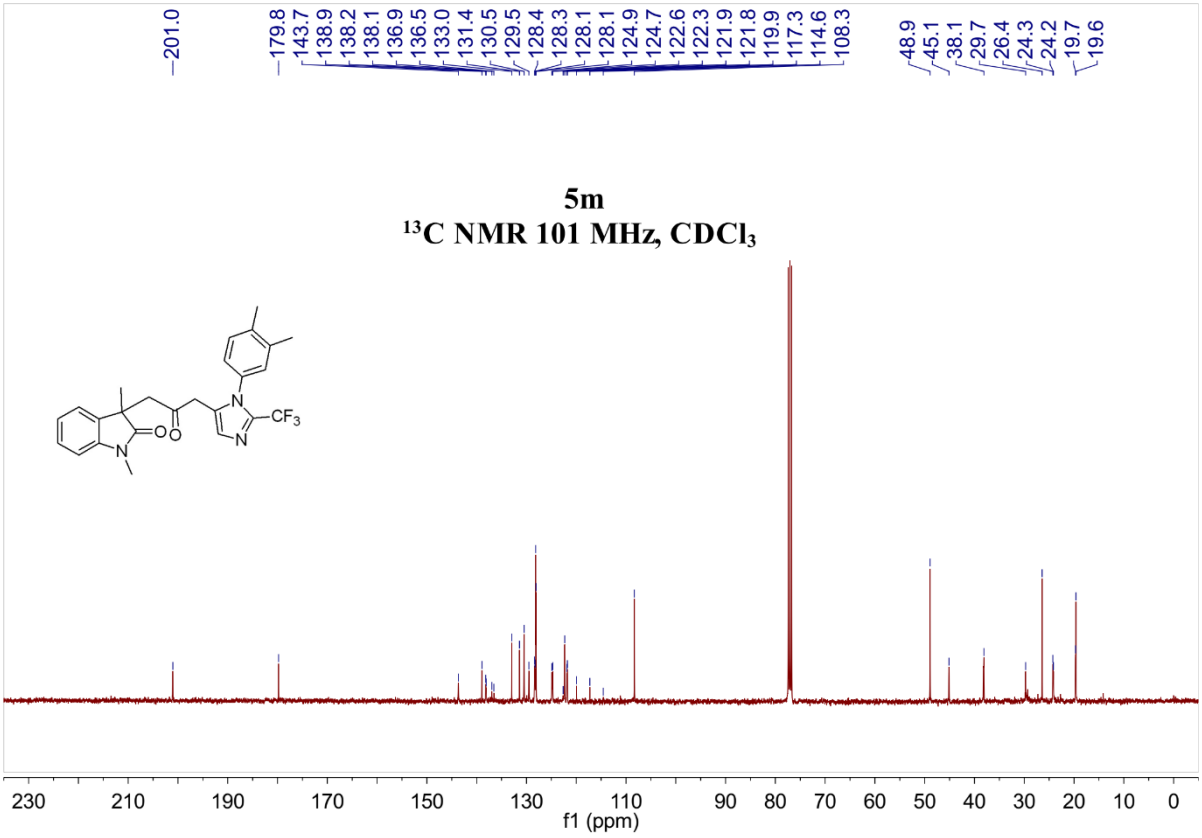
1.01
2.98
1.98
3.00
1.97
3.01
3.01
3.10
f1 (ppm)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128.4
128.3
128.1
128.1
124.9
124.7
122.6
122.3
121.9
121.8
119.9
117.3
114.6
108.3
48.9
45.1
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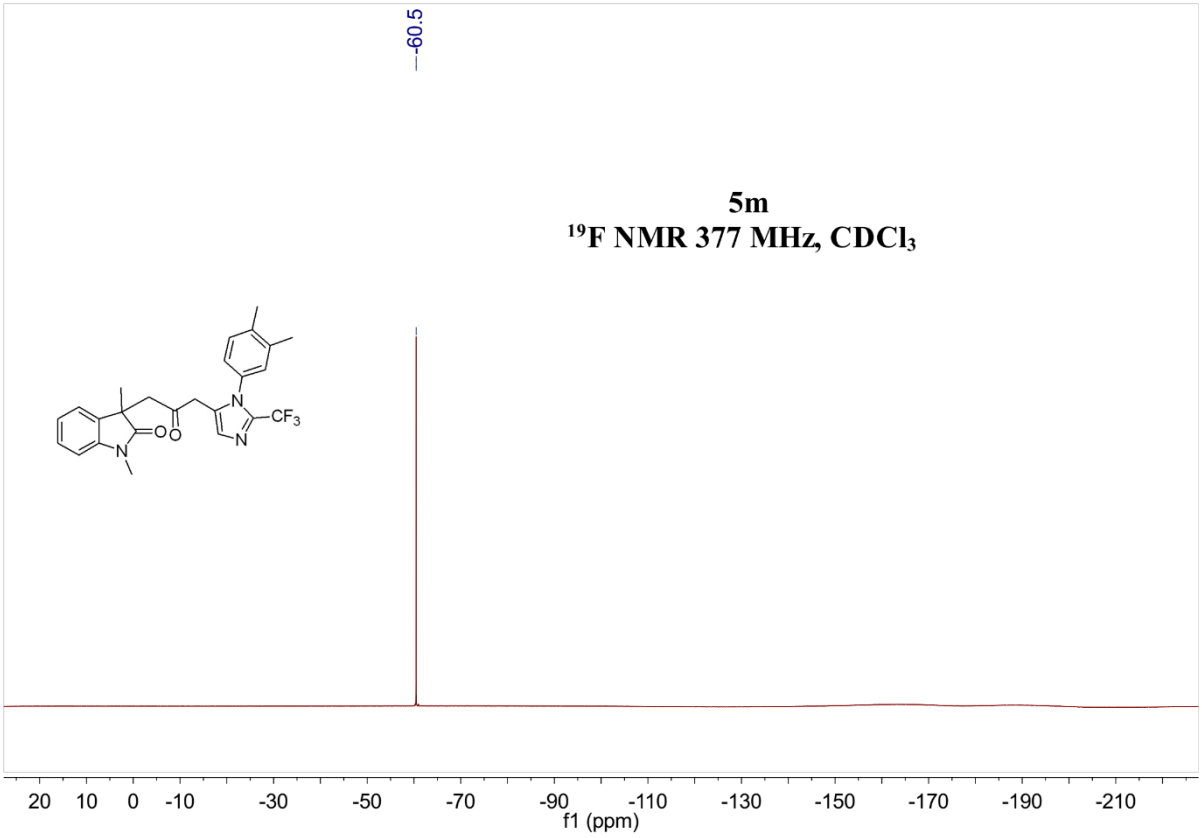
5m
13C NMR 101 MHz, CDCl3
CF3
f1 (ppm)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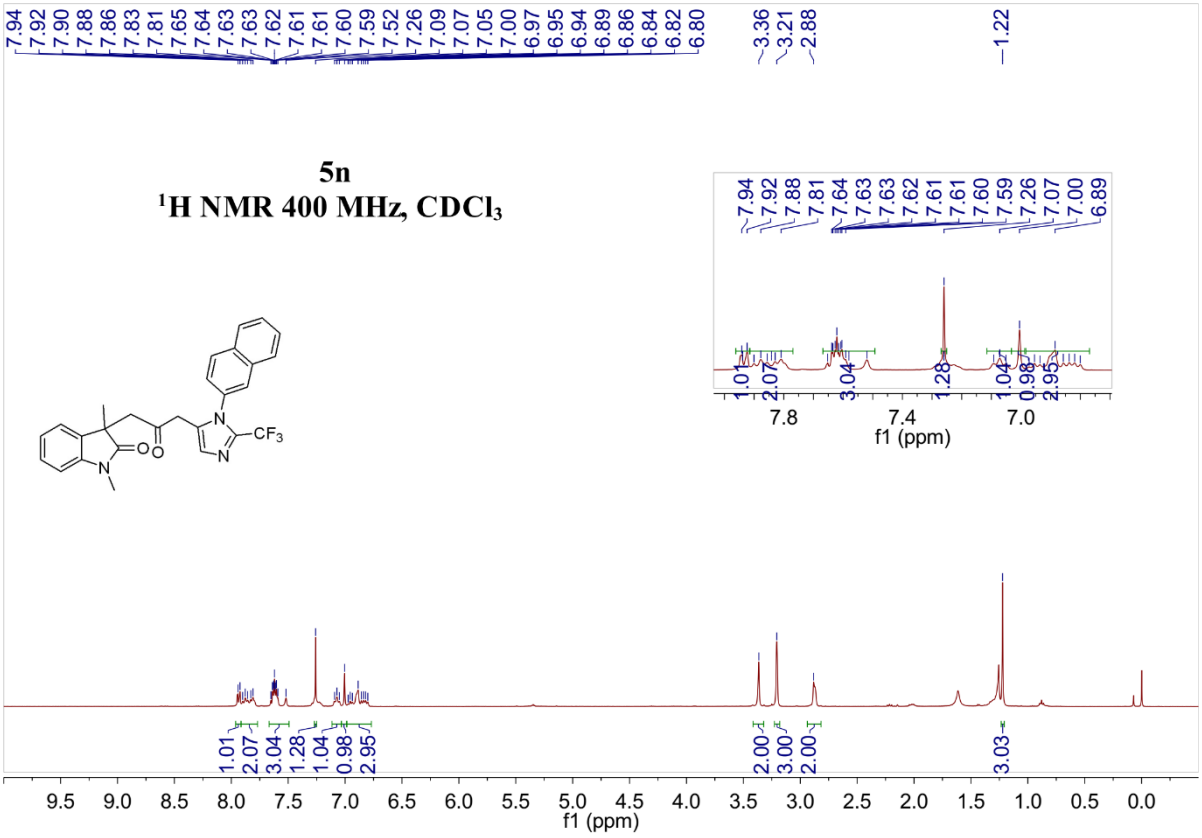
5m
19F NMR 377 MHz, CDCl3
CF3
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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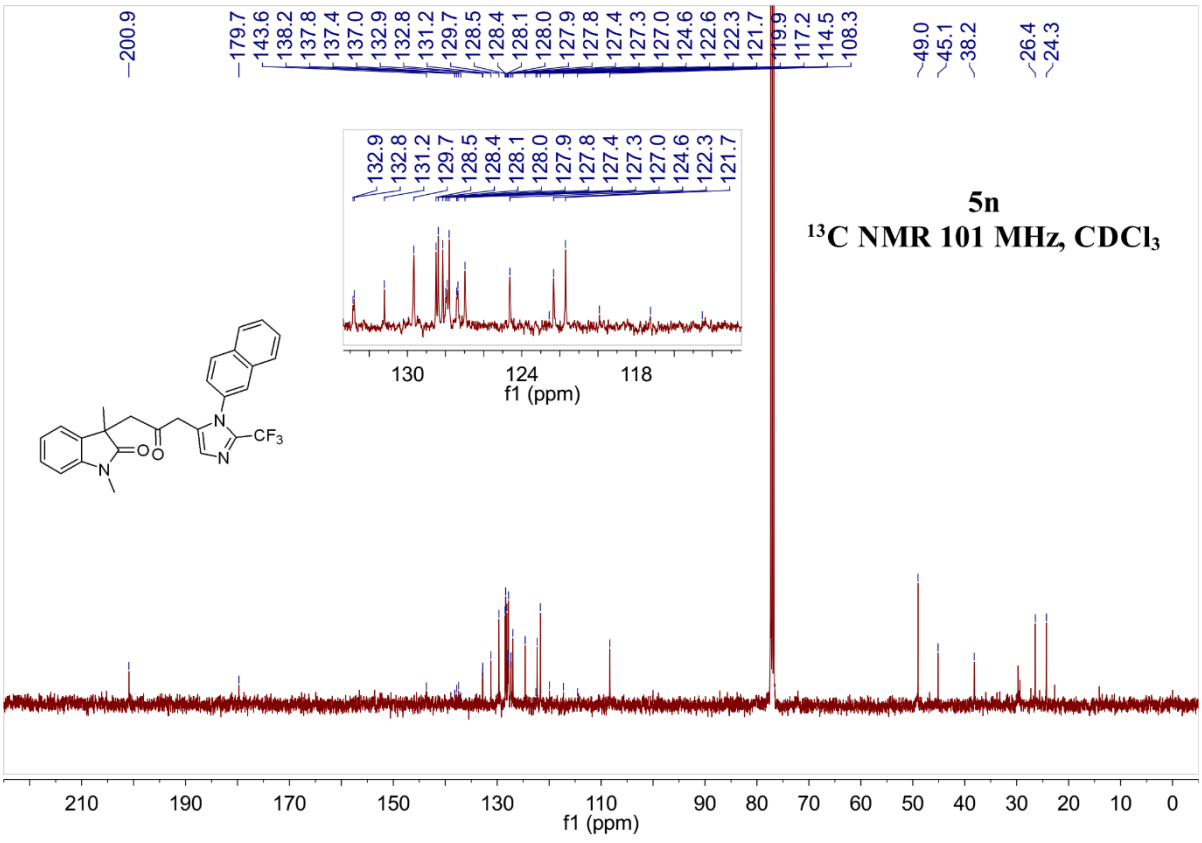
f1 (ppm)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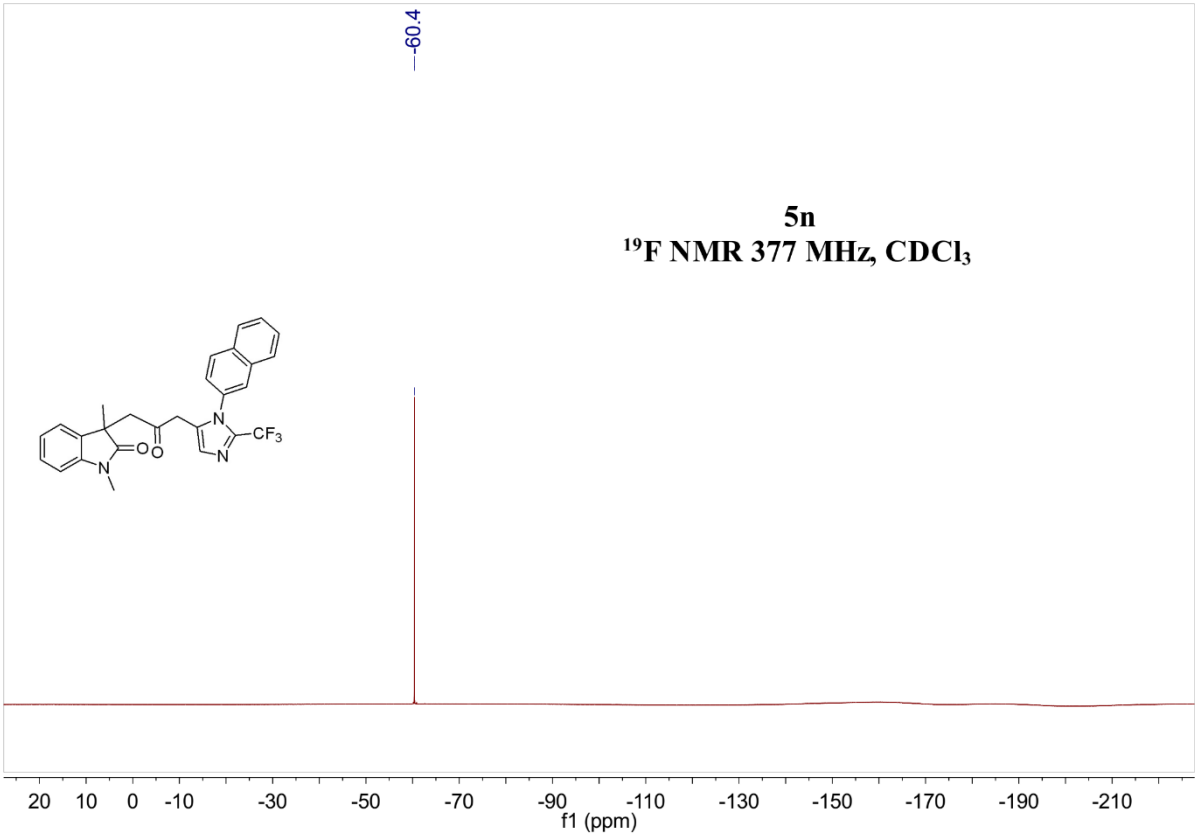
^{13}C NMR 101 MHz, CDCl$_3$
f1 (ppm)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O
N
N
N
CF3
20
10
0
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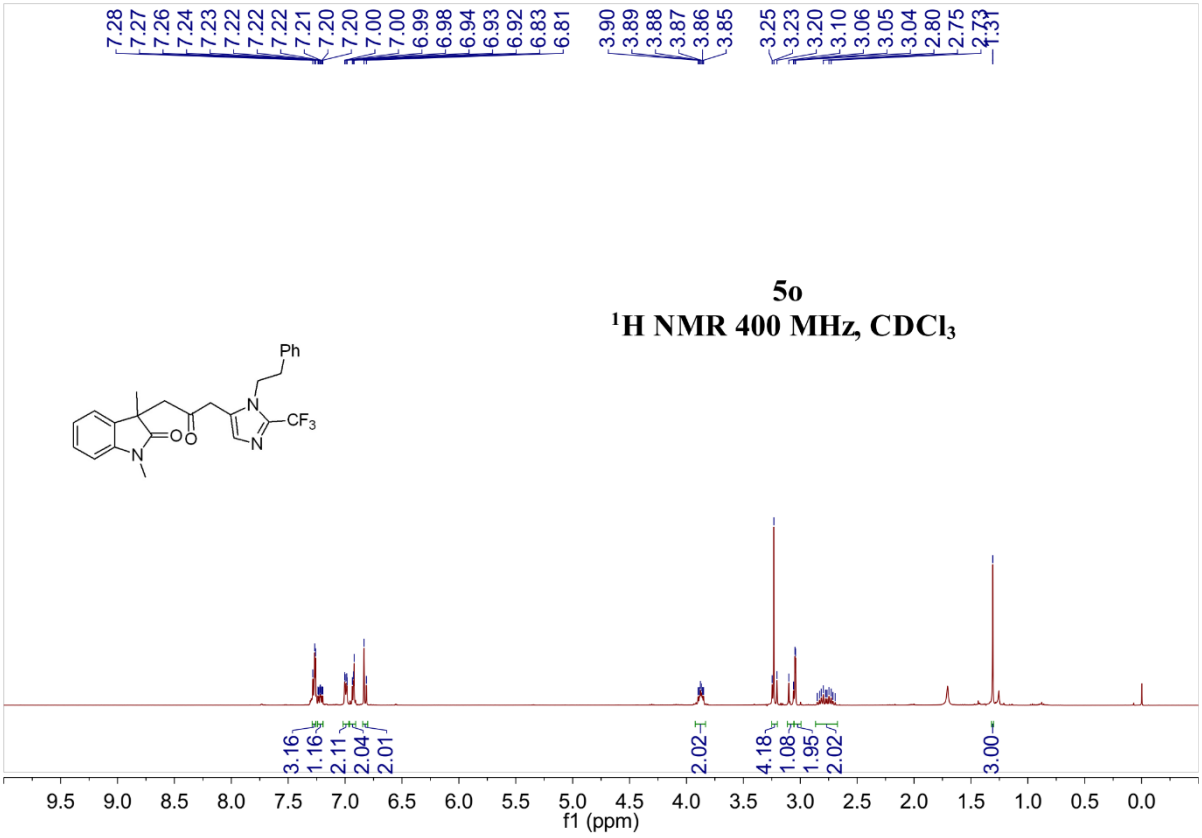
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
f1 (ppm)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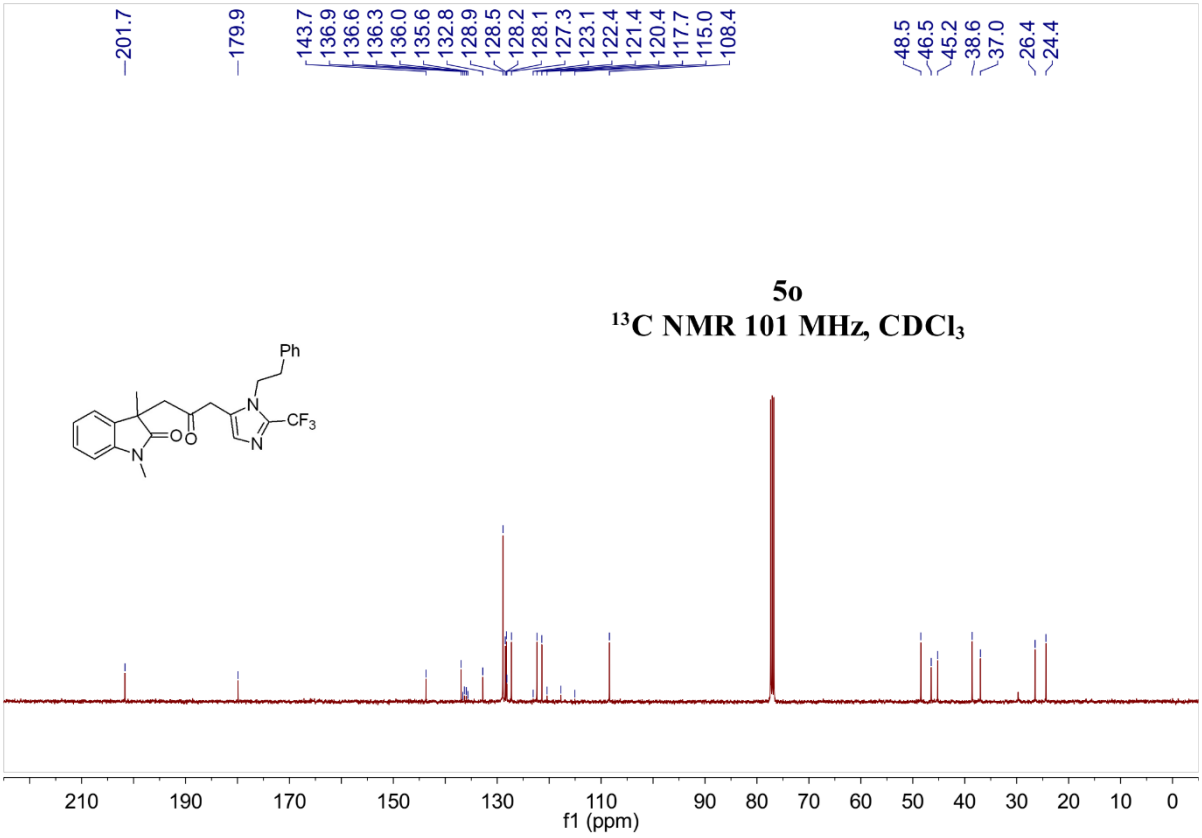
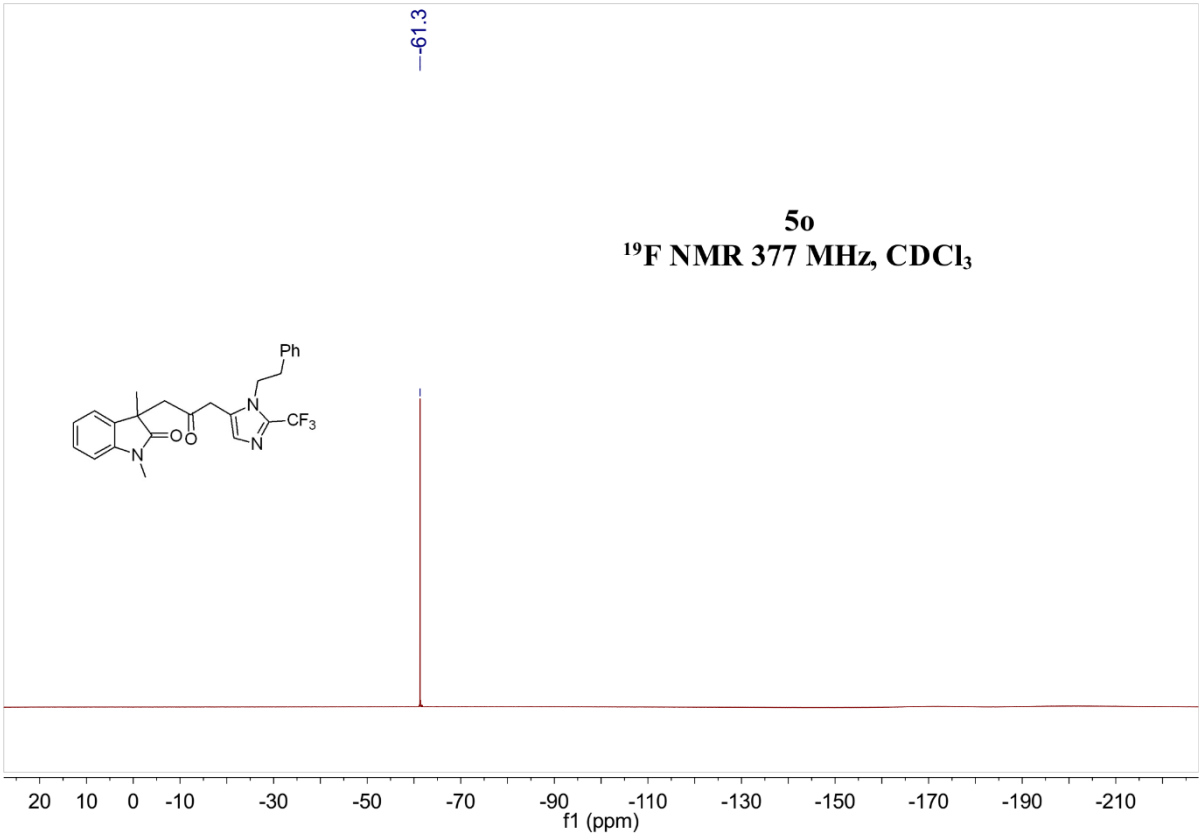
3.90 3.89 3.88 3.87 3.86 3.85
3.25 3.23 3.20 3.10 3.06 3.05 3.04 2.80 2.75 2.73 1.31
5o
1H NMR 400 MHz, CDCl3
Ph
N
CF3
O
O
N
N
3.16 1.16 2.11 2.04 2.01 2.02 4.18 1.08 1.95 2.02 3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


201.7 179.9 143.7 136.9 136.6 136.3 136.0 135.6 132.8 128.9 128.5 128.2 128.1 127.3 123.1 122.4 121.4 120.4 117.7 115.0 108.4
48.5 46.5 45.2 38.6 37.0 26.4 24.4
5o
13C NMR 101 MHz, CDCl3
Ph
N
CF3
O
O
N
N
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


-61.3
5o
19F NMR 377 MHz, CDCl3
Ph
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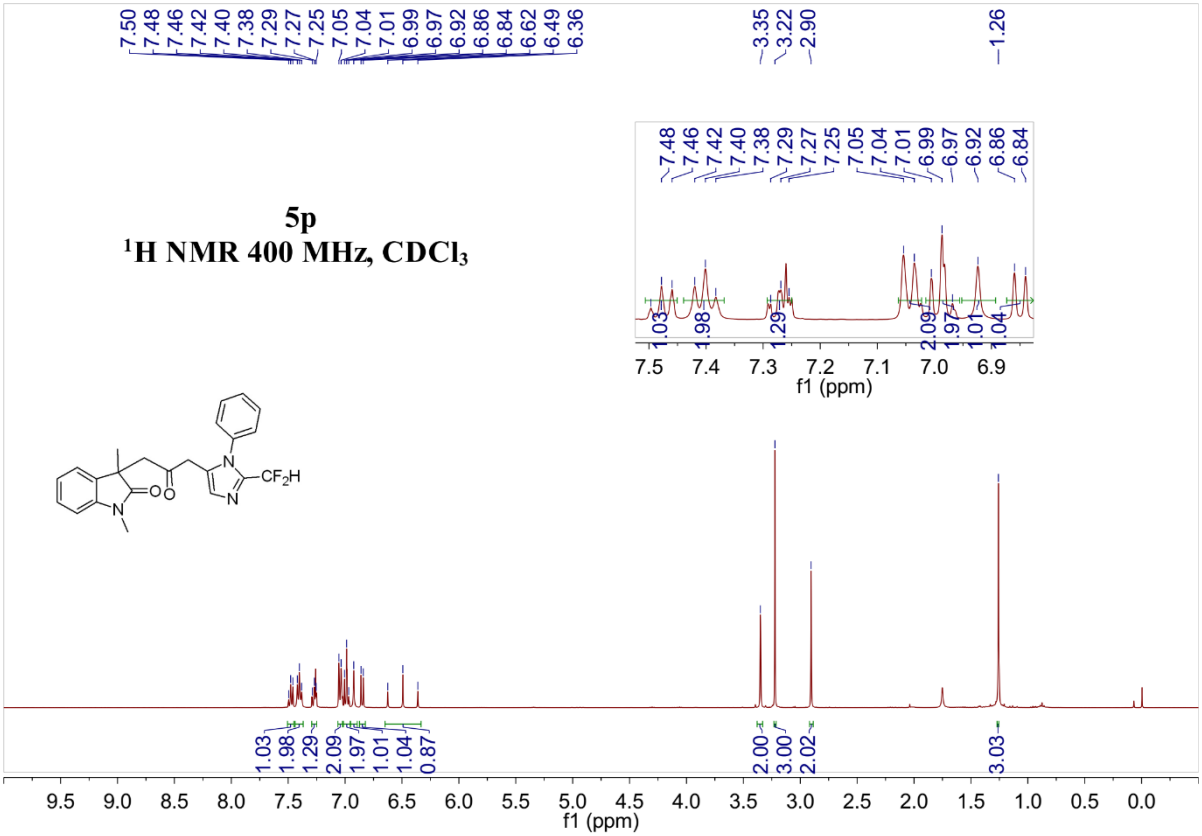
CF3
O
O
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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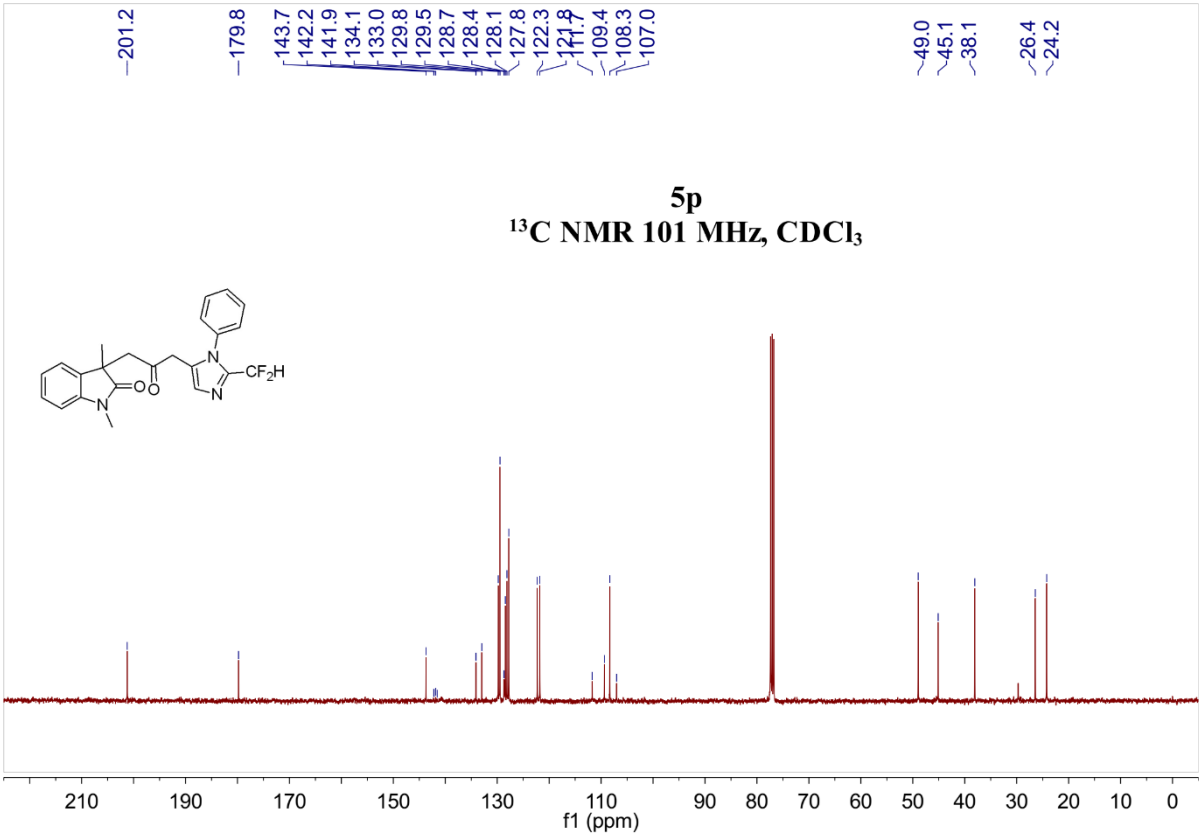
f1 (ppm)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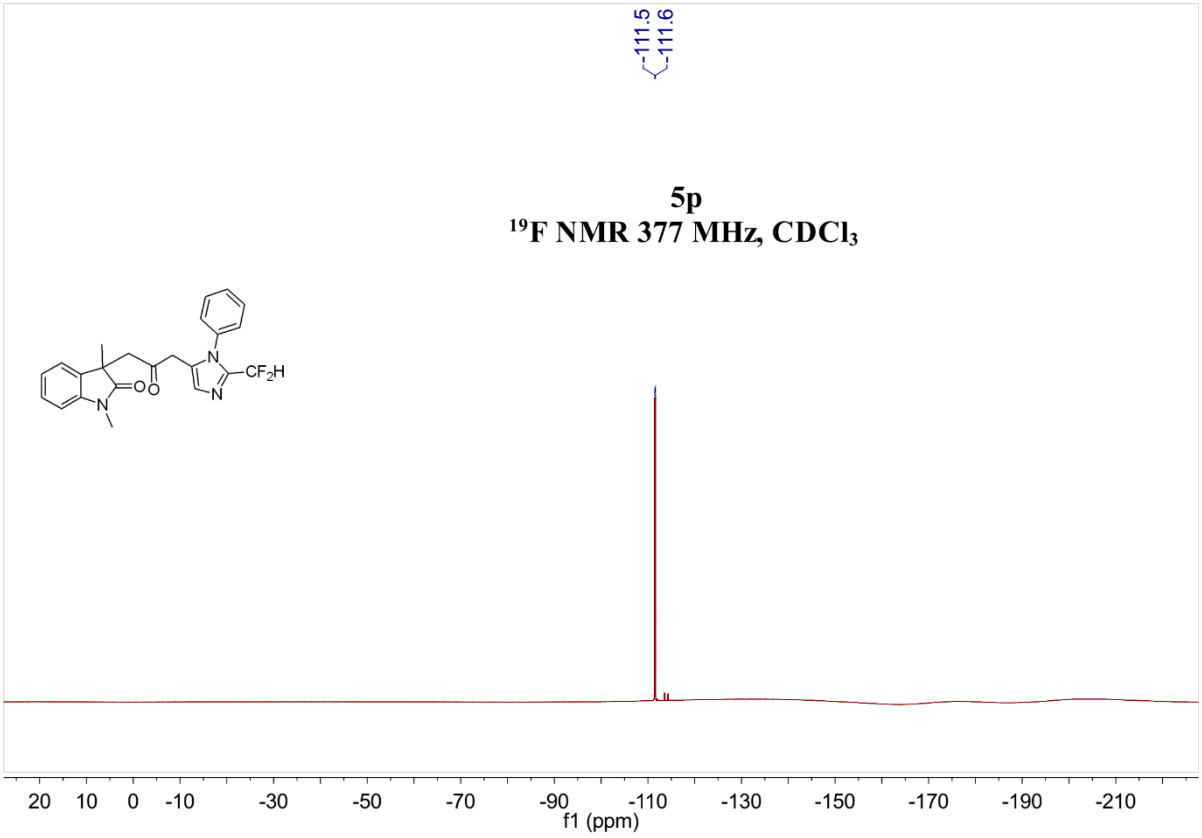
13C NMR 101 MHz, CDCl3
f1 (ppm)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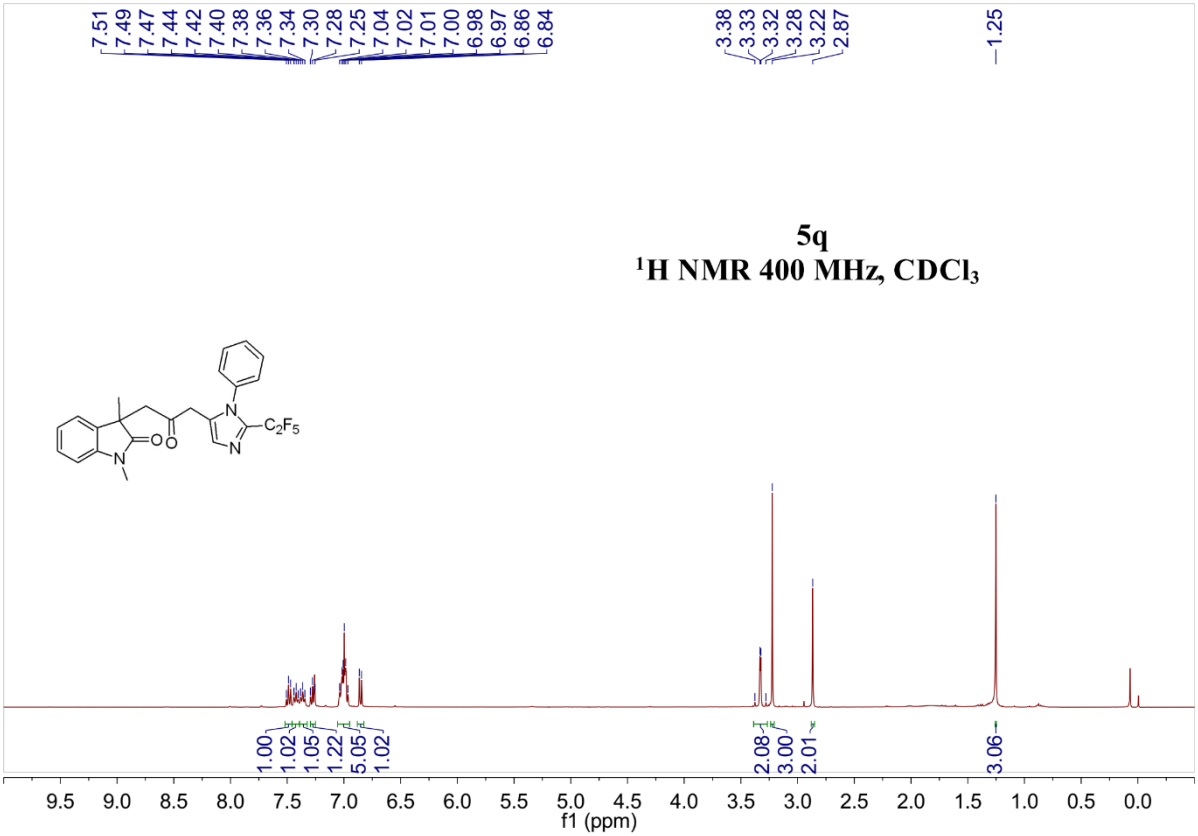
-111.6
5p
19F NMR 377 MHz, CDCl3
O
O
N
N
N
CF2H
20
10
0
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
f1 (ppm)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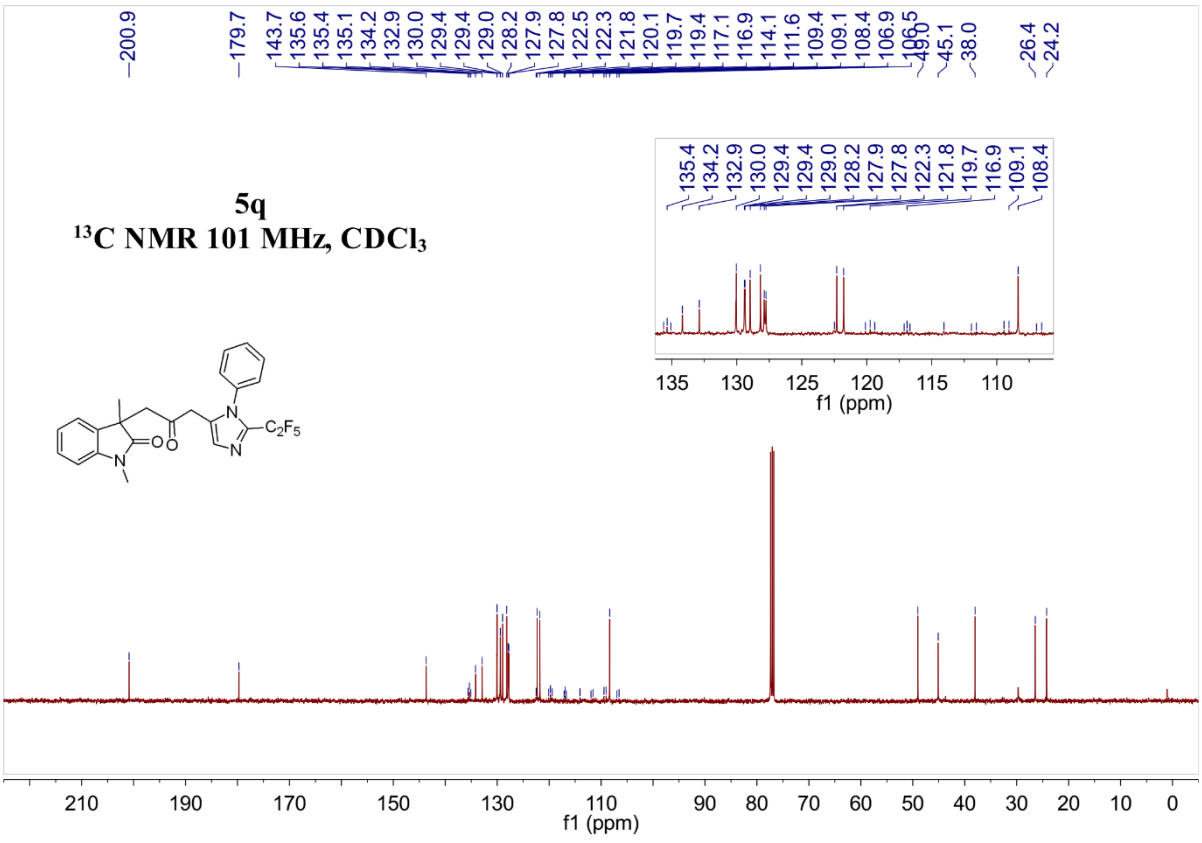
7.25
7.04
7.02
7.01
7.00
6.98
6.97
6.86
6.84
3.38
3.33
3.32
3.28
3.22
2.87
1.25
5q
1H NMR 400 MHz, CDCl3
C2F5
1.00
1.02
1.05
1.22
5.05
1.02
2.08
3.00
2.01
3.06
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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135.6
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134.2
132.9
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129.4
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129.0
128.2
127.9
127.8
122.5
122.3
121.8
120.1
119.7
119.4
117.1
116.9
114.1
111.6
109.4
109.1
108.4
106.9
106.5
49.0
45.1
38.0
26.4
24.2
5q
13C NMR 101 MHz, CDCl3
C2F5
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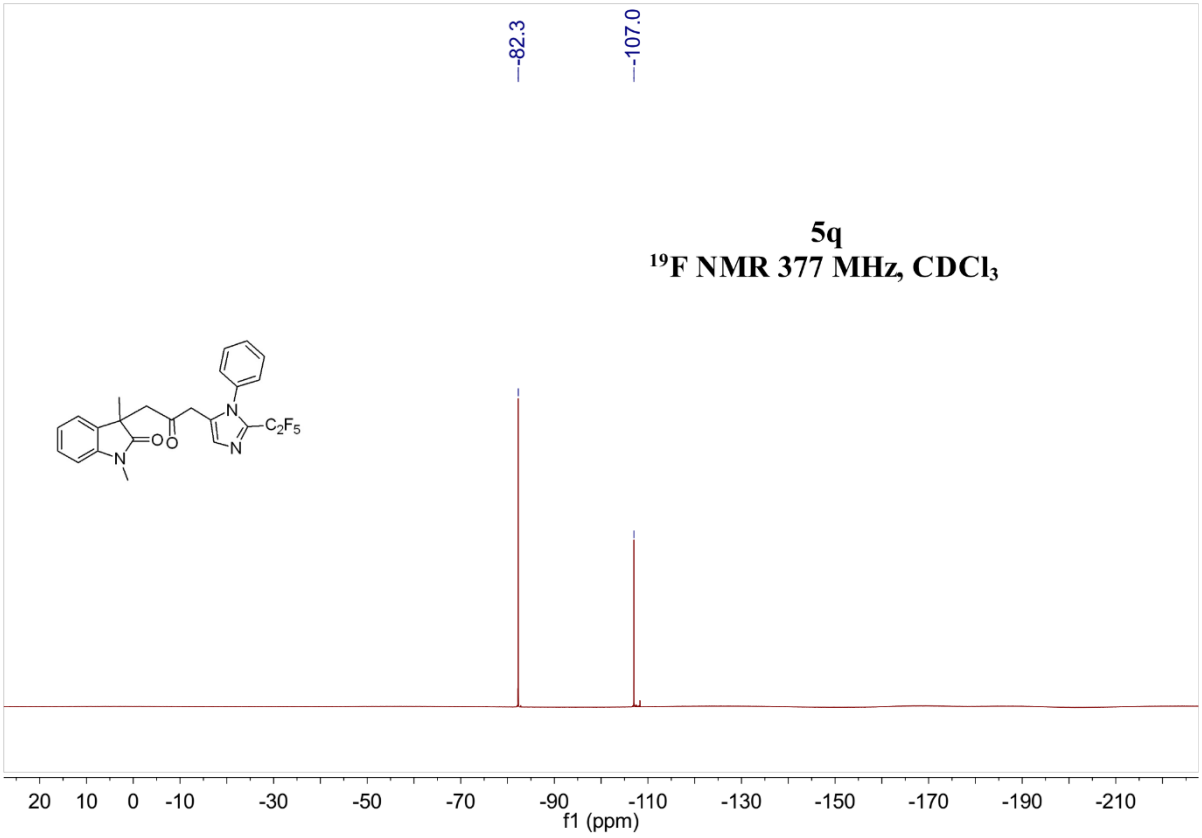
f1 (ppm)
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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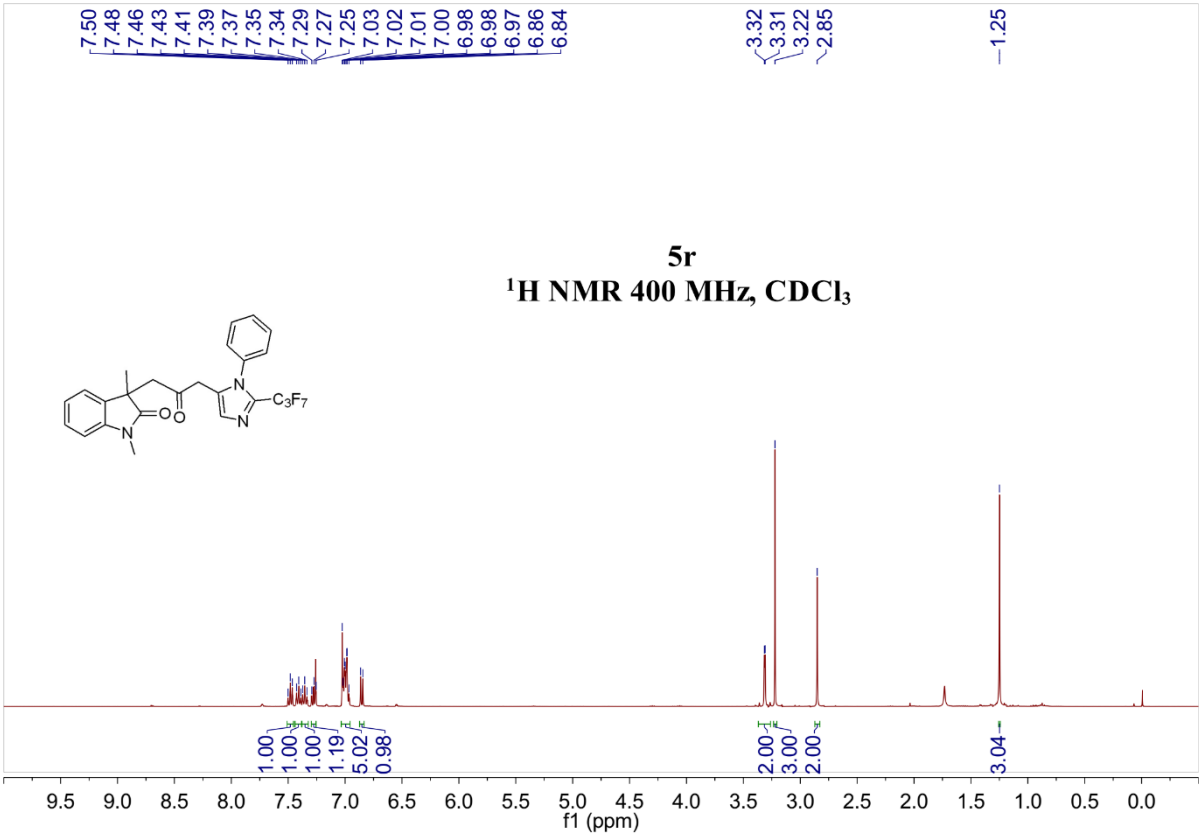
f1 (ppm)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7.02
7.01
7.00
6.98
6.98
6.97
6.86
6.84
3.32
3.31
3.22
2.85
1.25
5r
1H NMR 400 MHz, CDCl3
C3F7
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1.00
1.00
1.19
5.02
0.98
2.00
3.00
2.00
3.04
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
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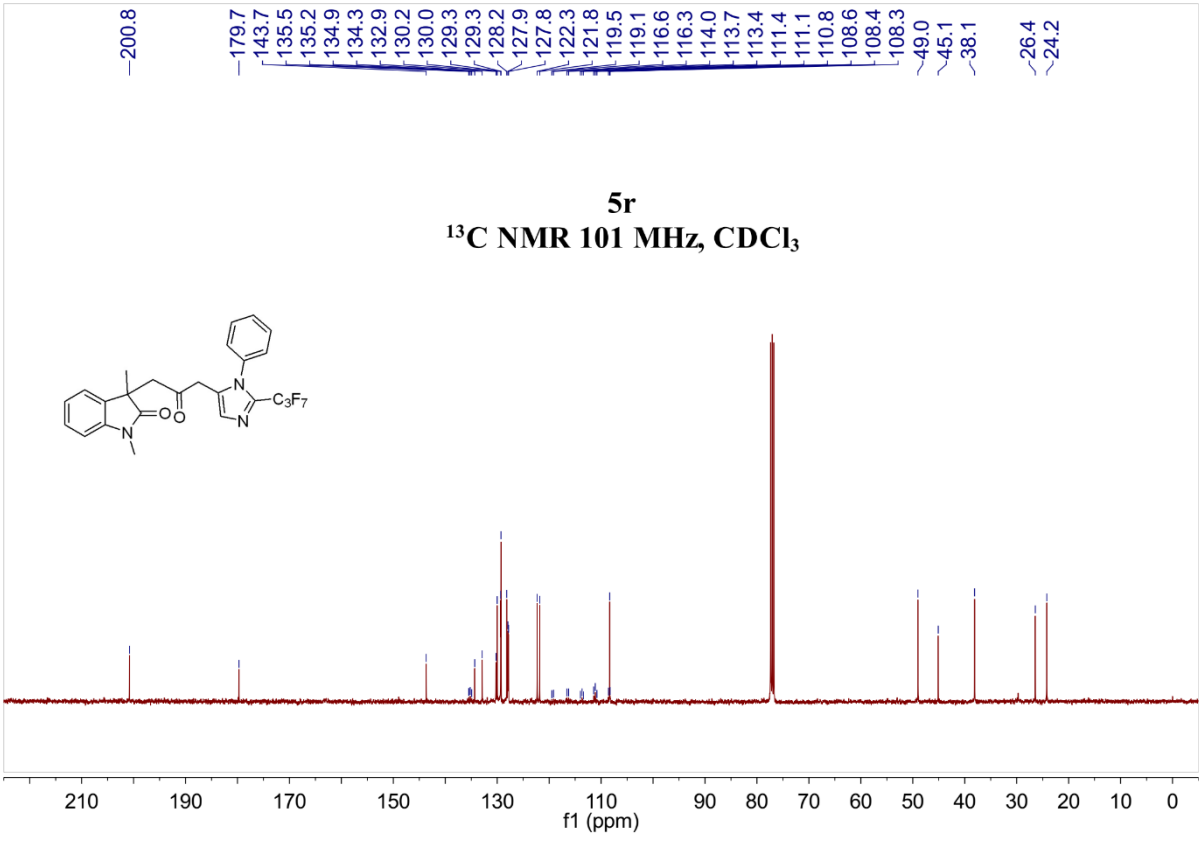
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128.2
127.9
127.8
122.3
121.8
119.5
119.1
116.6
116.3
114.0
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113.4
111.4
111.1
110.8
108.6
108.4
108.3
49.0
45.1
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5r
13C NMR 101 MHz, CDCl3
C3F7
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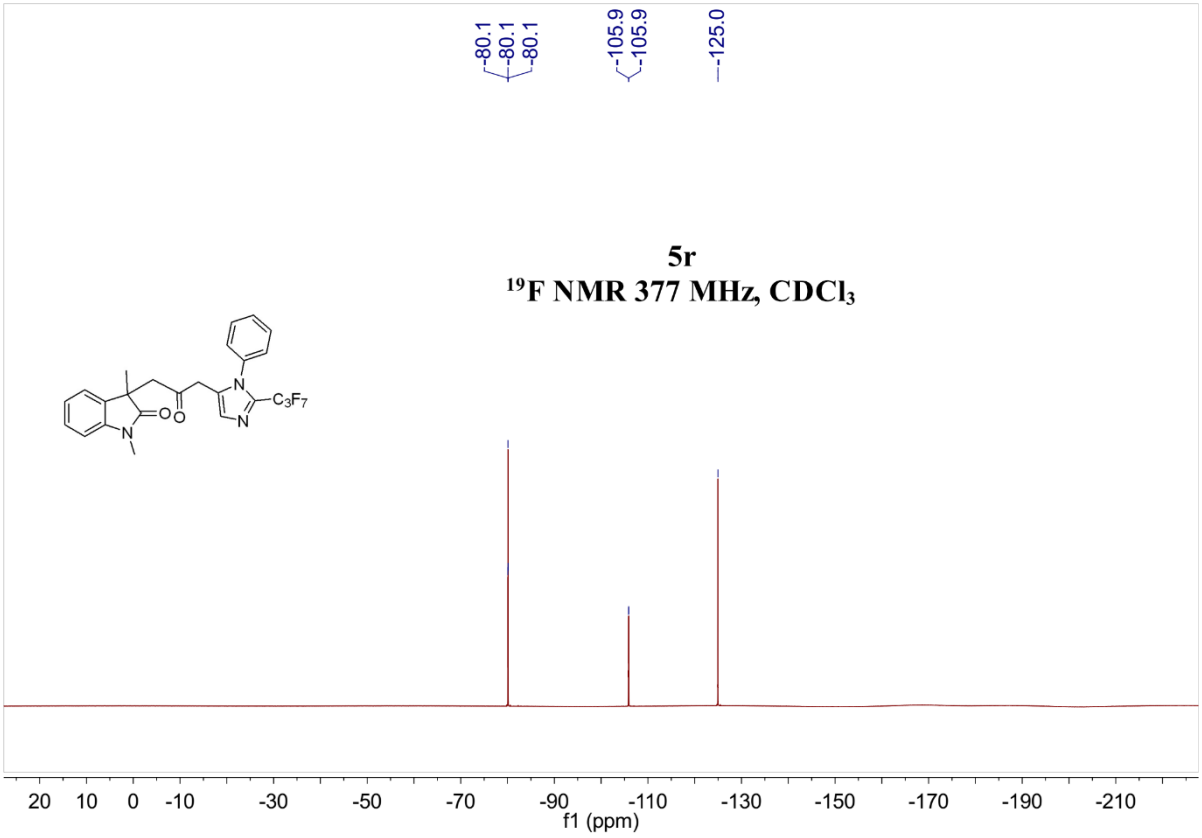
f1 (ppm)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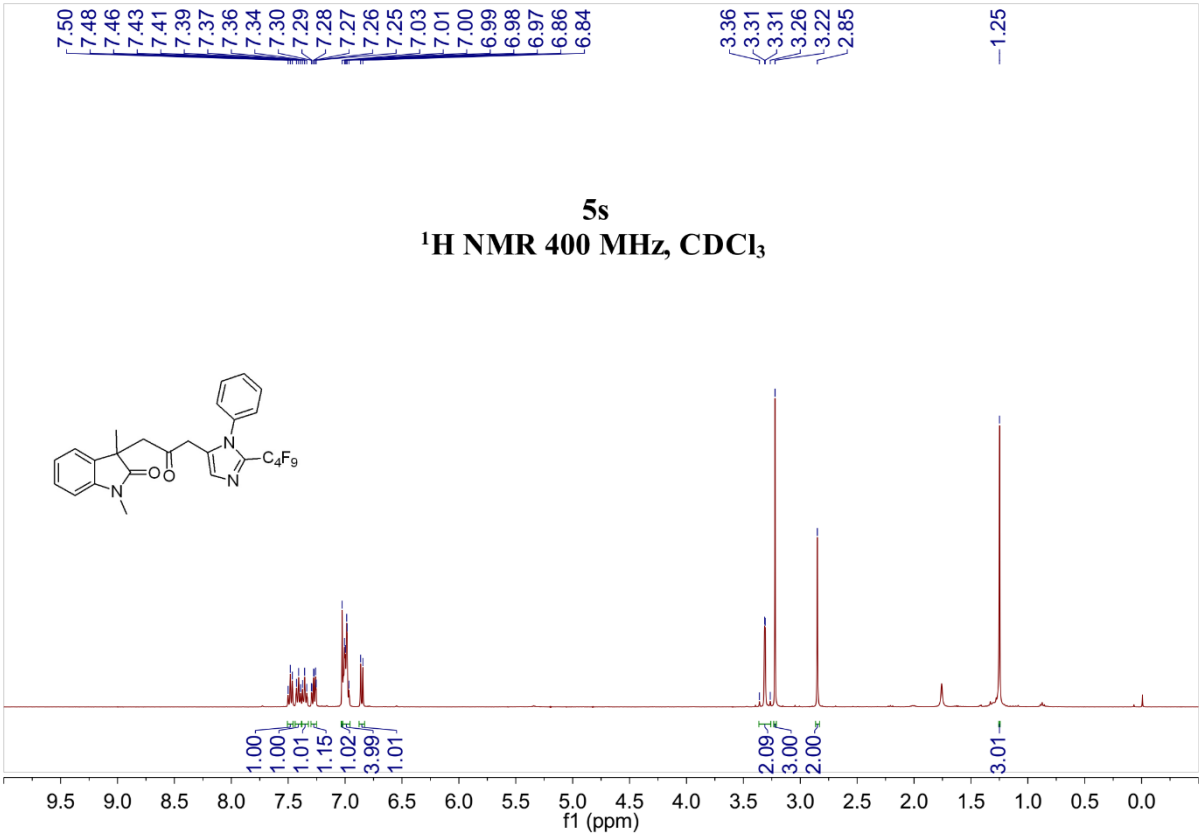
f1 (ppm)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3.31
3.31
3.26
3.22
2.85
1.25
5s
1H NMR 400 MHz, CDCl3
C4F9
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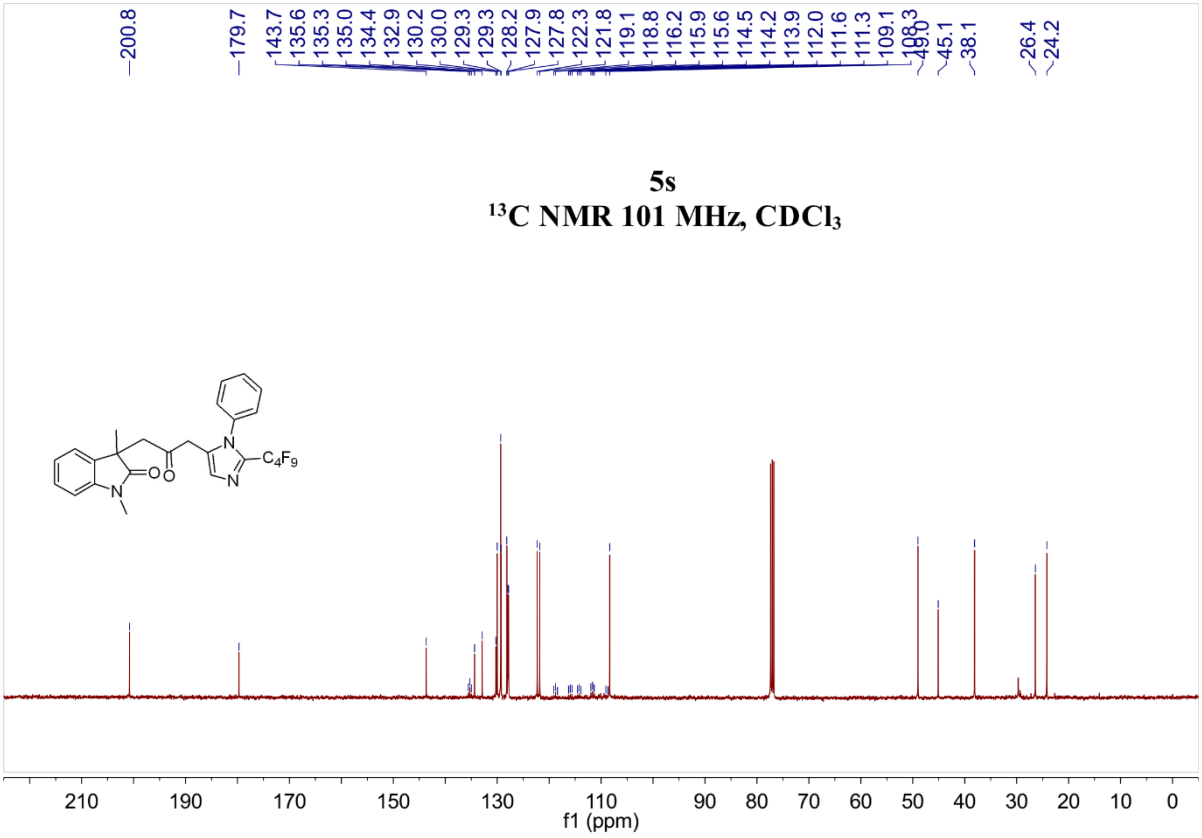
1.00
1.01
1.15
1.02
3.99
1.01
2.09
3.00
2.00
3.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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128.2
127.9
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115.9
115.6
114.5
114.2
113.9
112.0
111.6
111.3
109.1
108.3
49.0
45.1
38.1
26.4
24.2
5s
13C NMR 101 MHz, CDCl3
C4F9
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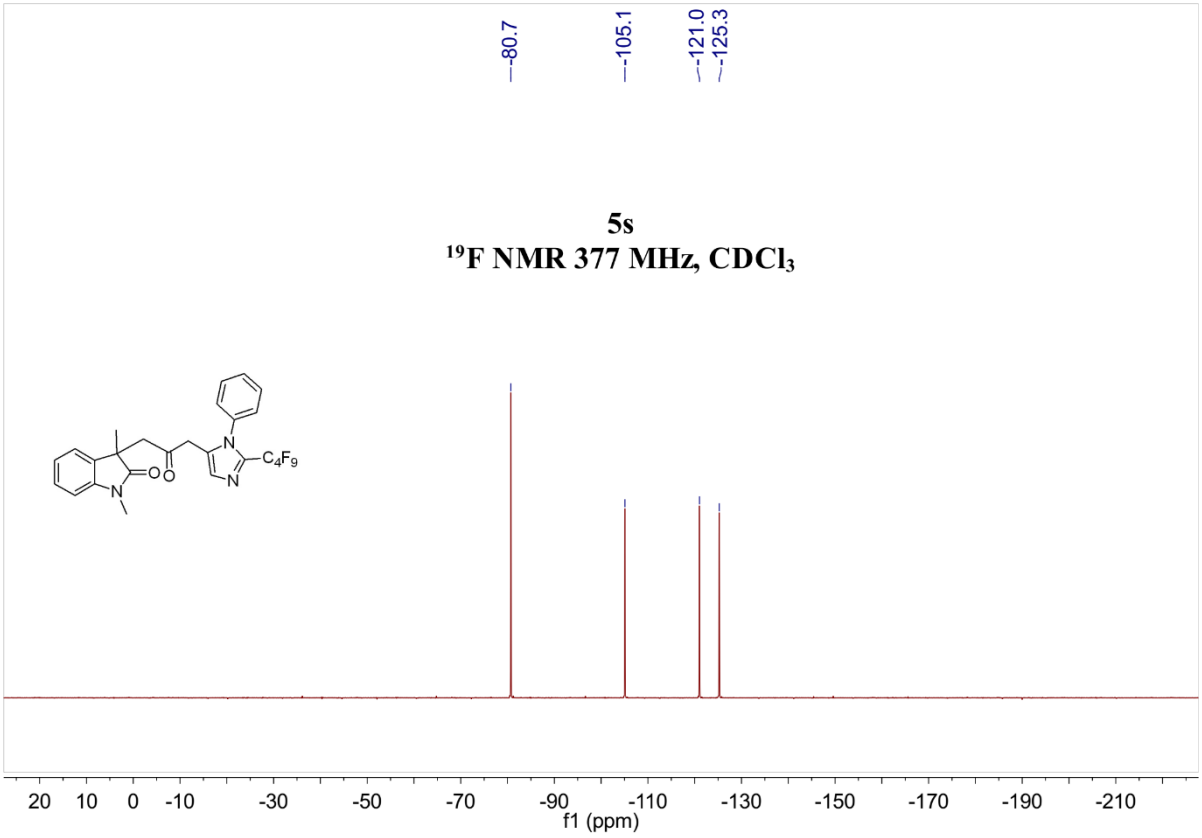
f1 (ppm)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-105.1
-121.0
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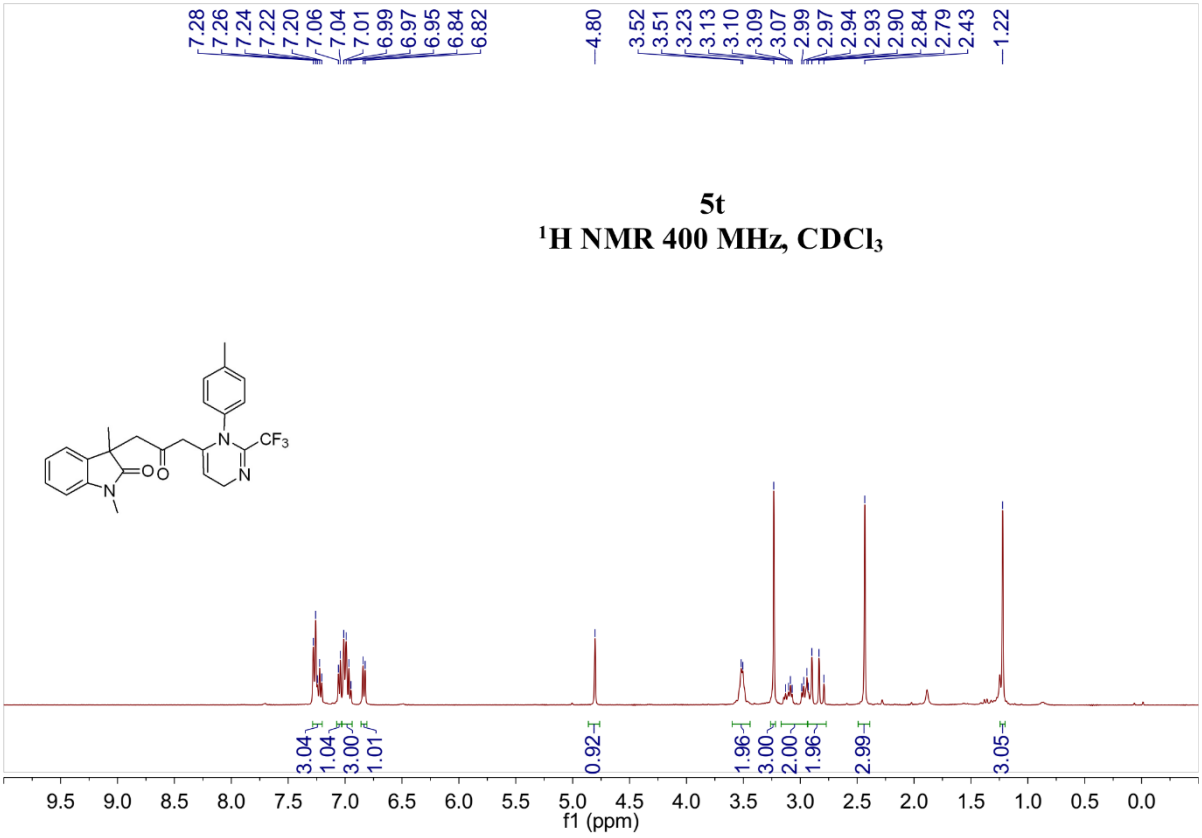
5s
19F NMR 377 MHz, CDCl3
C4F9
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)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3.51
3.23
3.13
3.10
3.09
3.07
2.99
2.97
2.94
2.93
2.90
2.84
2.79
2.43
1.22
5t
1H NMR 400 MHz, CDCl3
CF3
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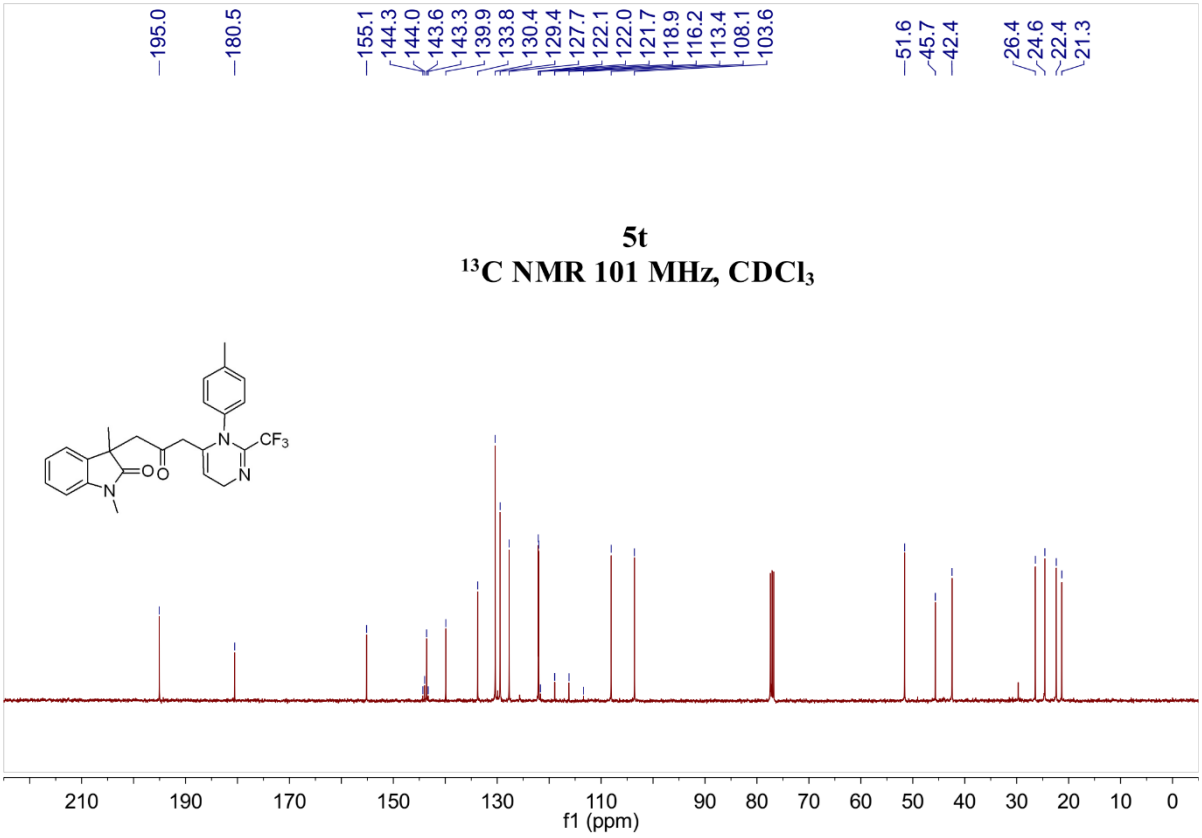
1.01
0.92
1.96
3.00
2.00
1.96
2.99
3.05
f1 (ppm)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116.2
113.4
108.1
103.6
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5t
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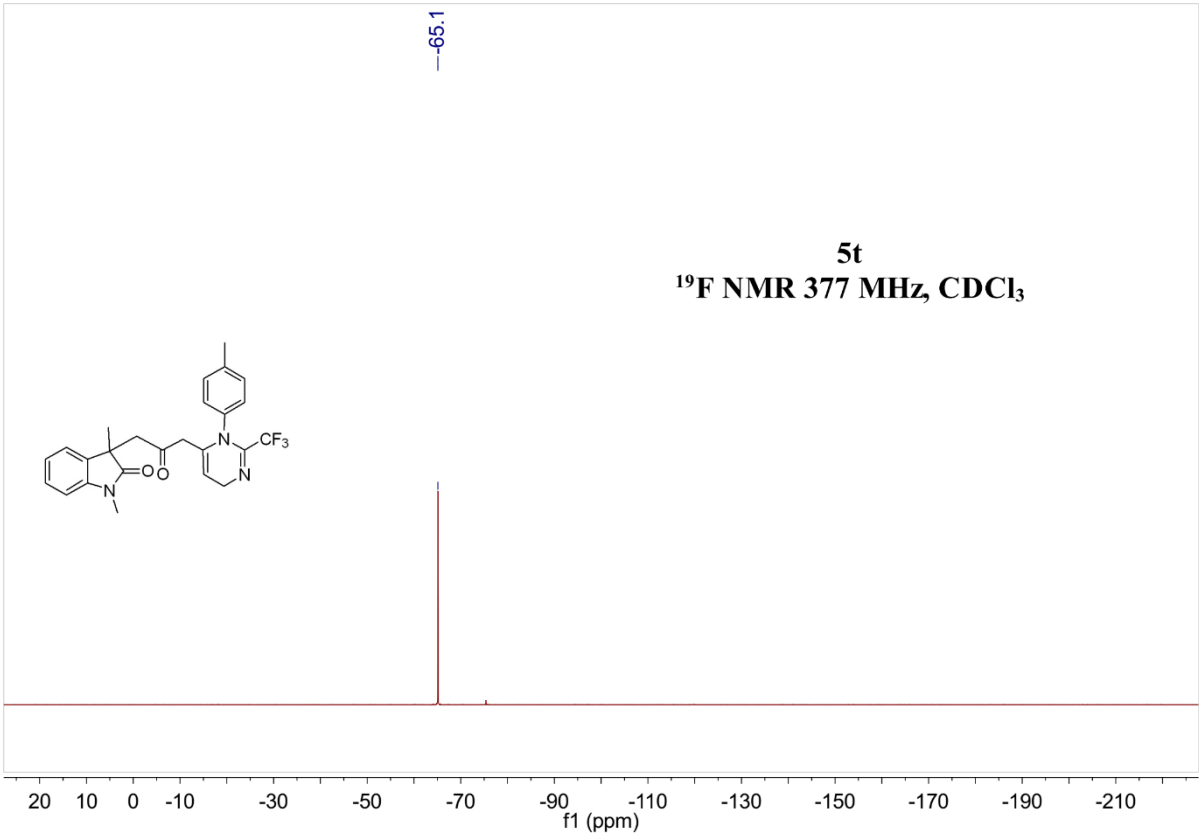
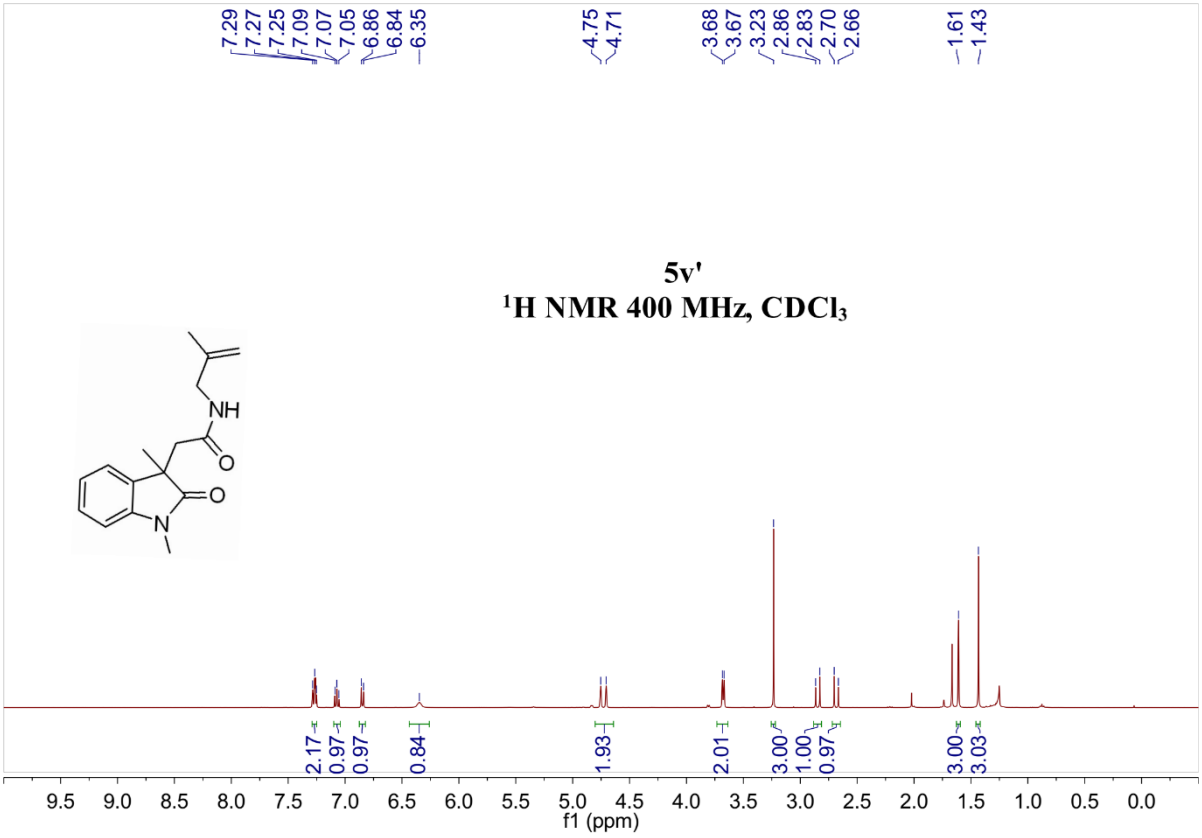
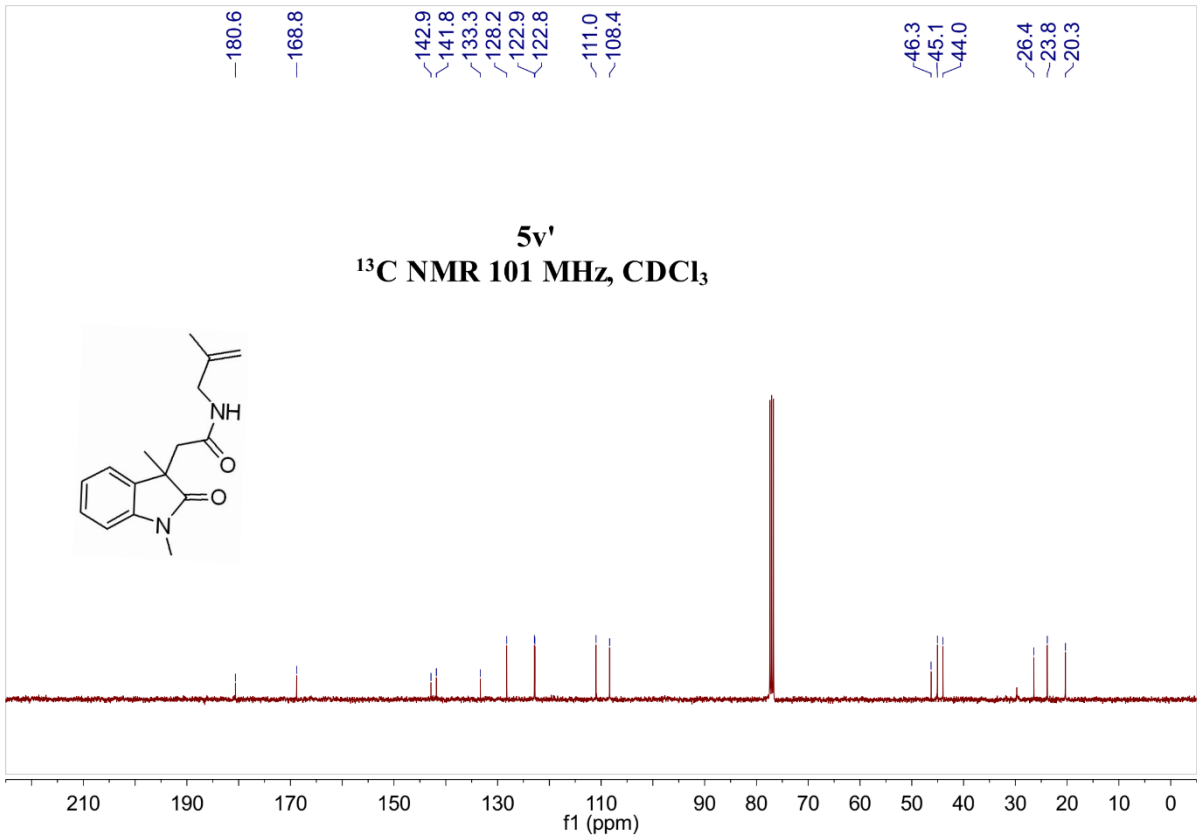
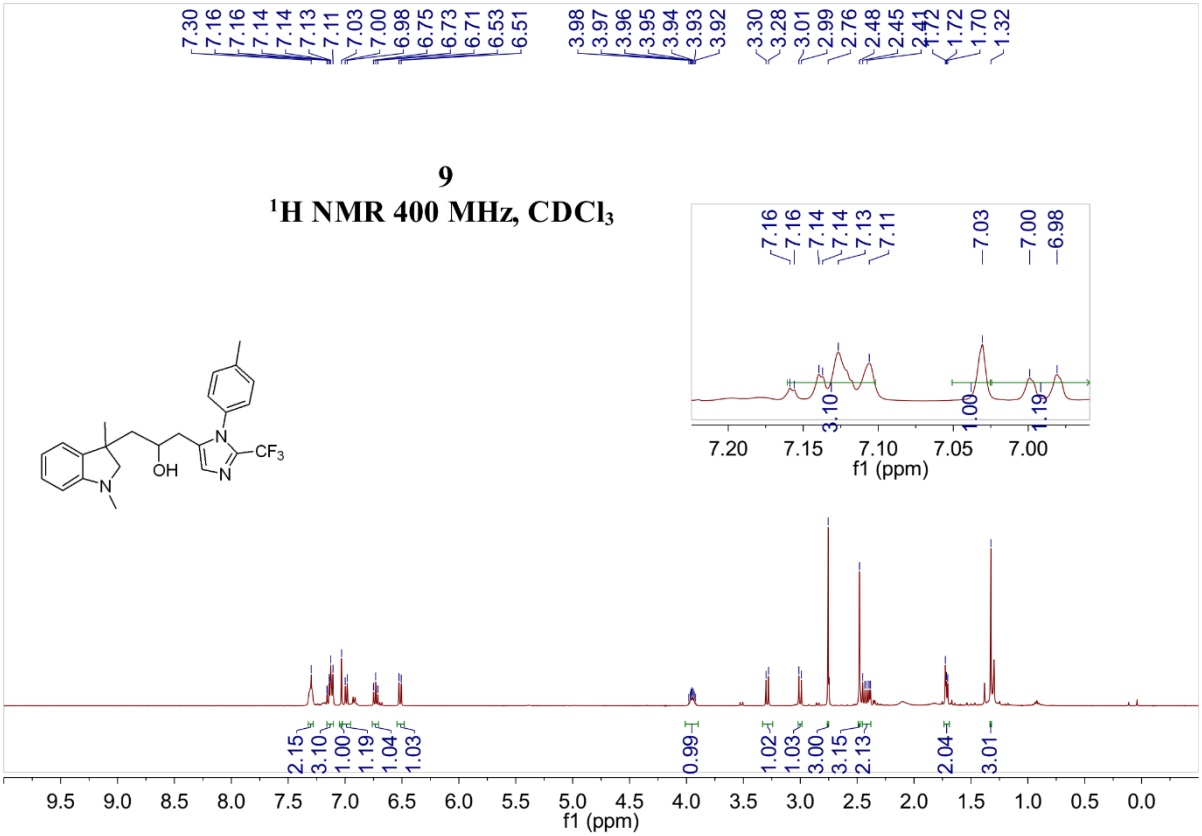
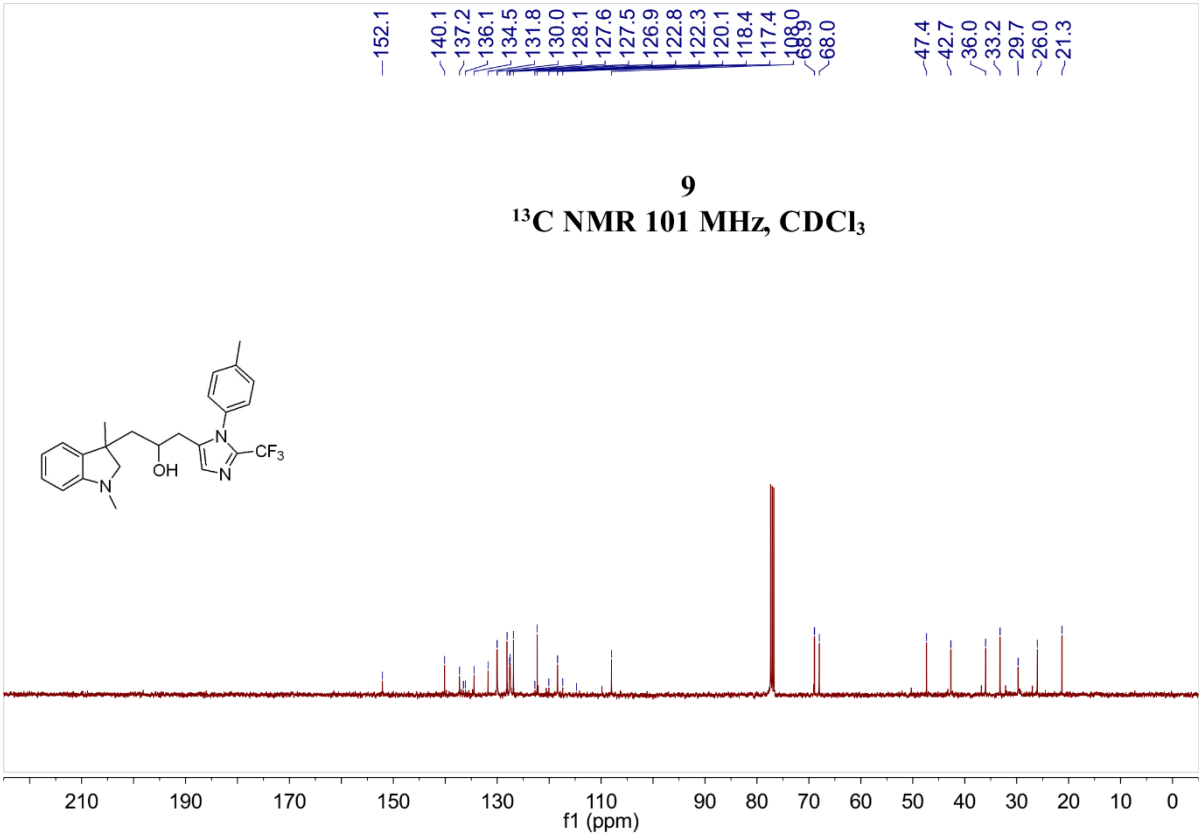
CF3
f1 (ppm)

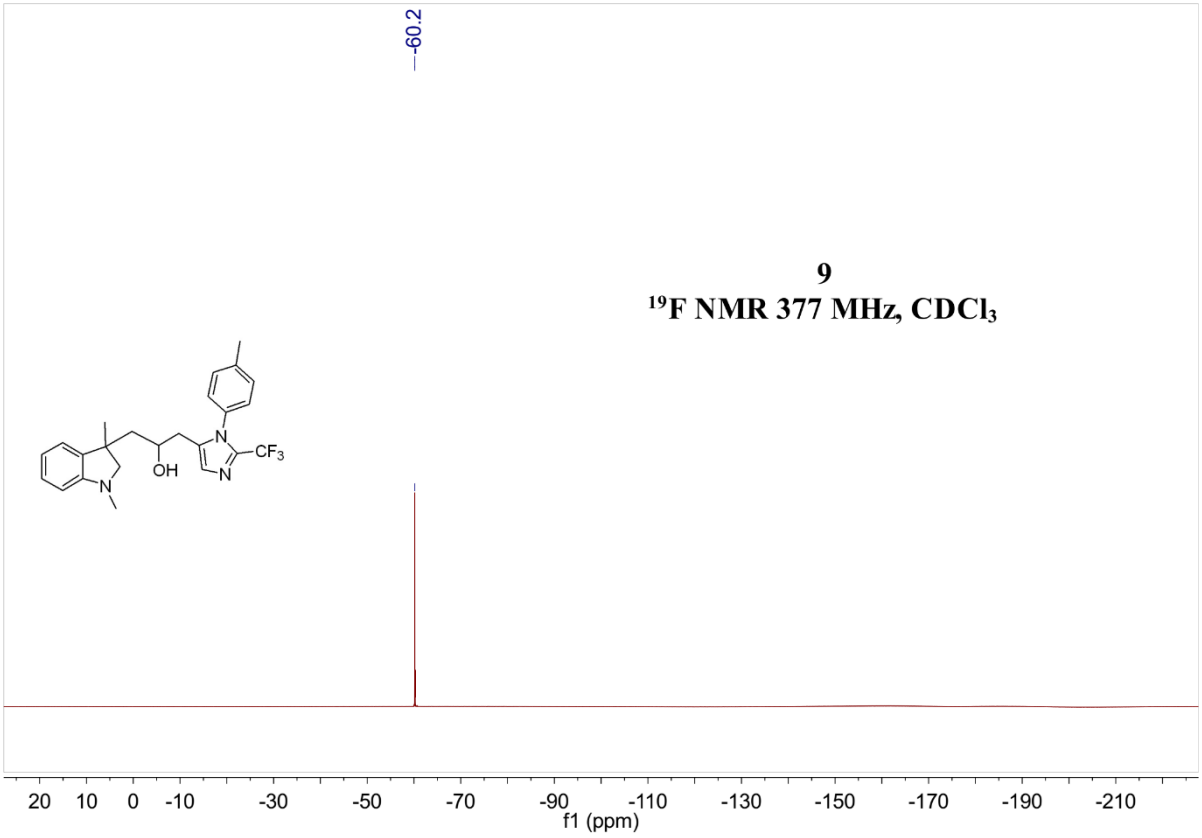

-65.1
5t
19F NMR 377 MHz, CDCl3
CF3
O
O
N
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)

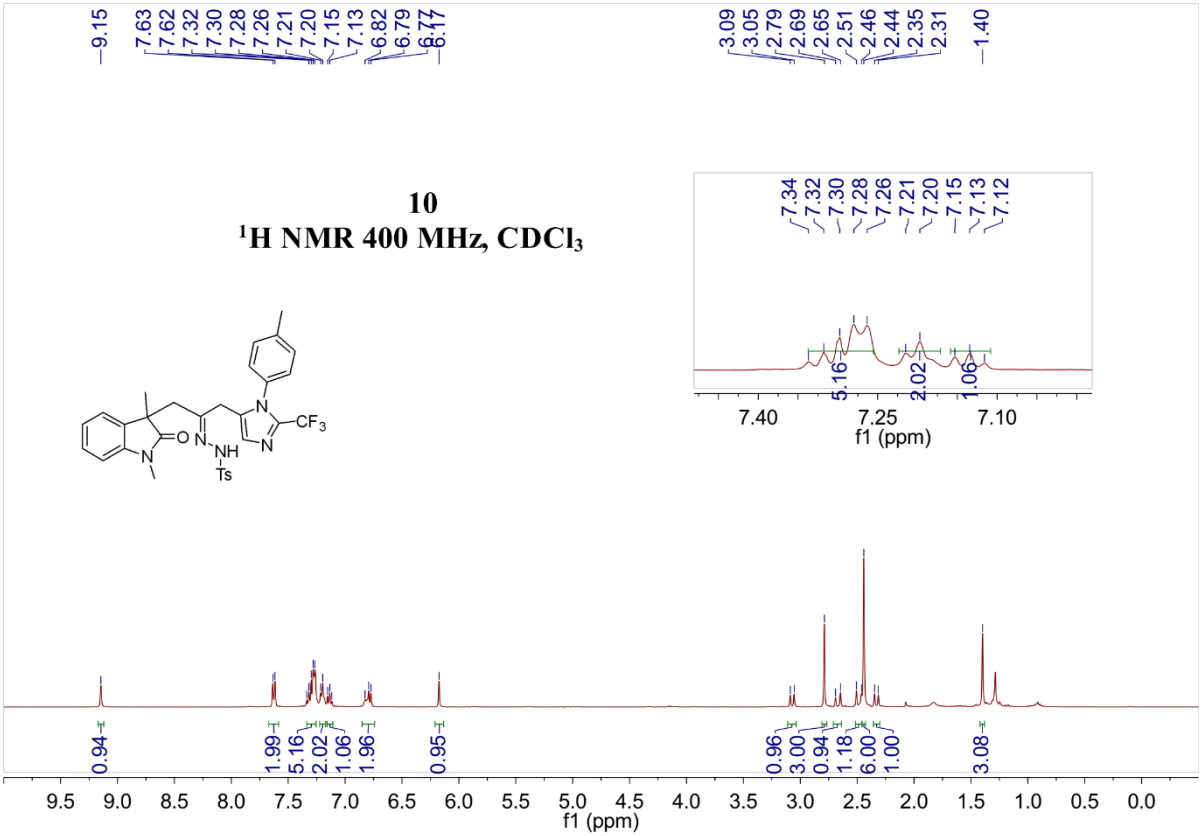

7.29
7.27
7.25
7.09
7.07
7.05
6.86
6.84
6.35
4.75
4.71
3.68
3.67
3.23
2.86
2.83
2.70
2.66
1.61
1.43
5v'
1H NMR 400 MHz, CDCl3
NH
O
O
N
2.17
0.97
0.97
0.84
1.93
2.01
3.00
1.00
0.97
3.00
3.03
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

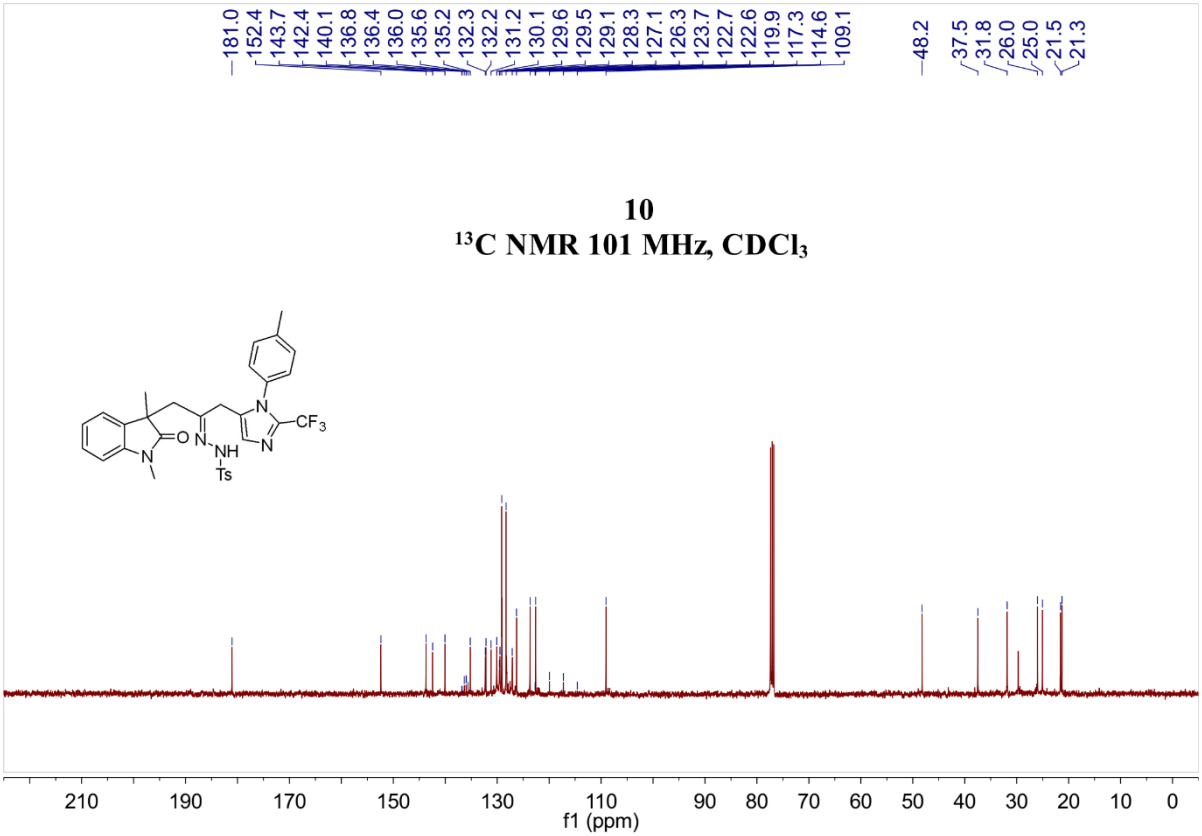

180.6
168.8
142.9
141.8
133.3
128.2
122.9
122.8
111.0
108.4
46.3
45.1
44.0
26.4
23.8
20.3
5v'
13C NMR 101 MHz, CDCl3
NH
O
O
N
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

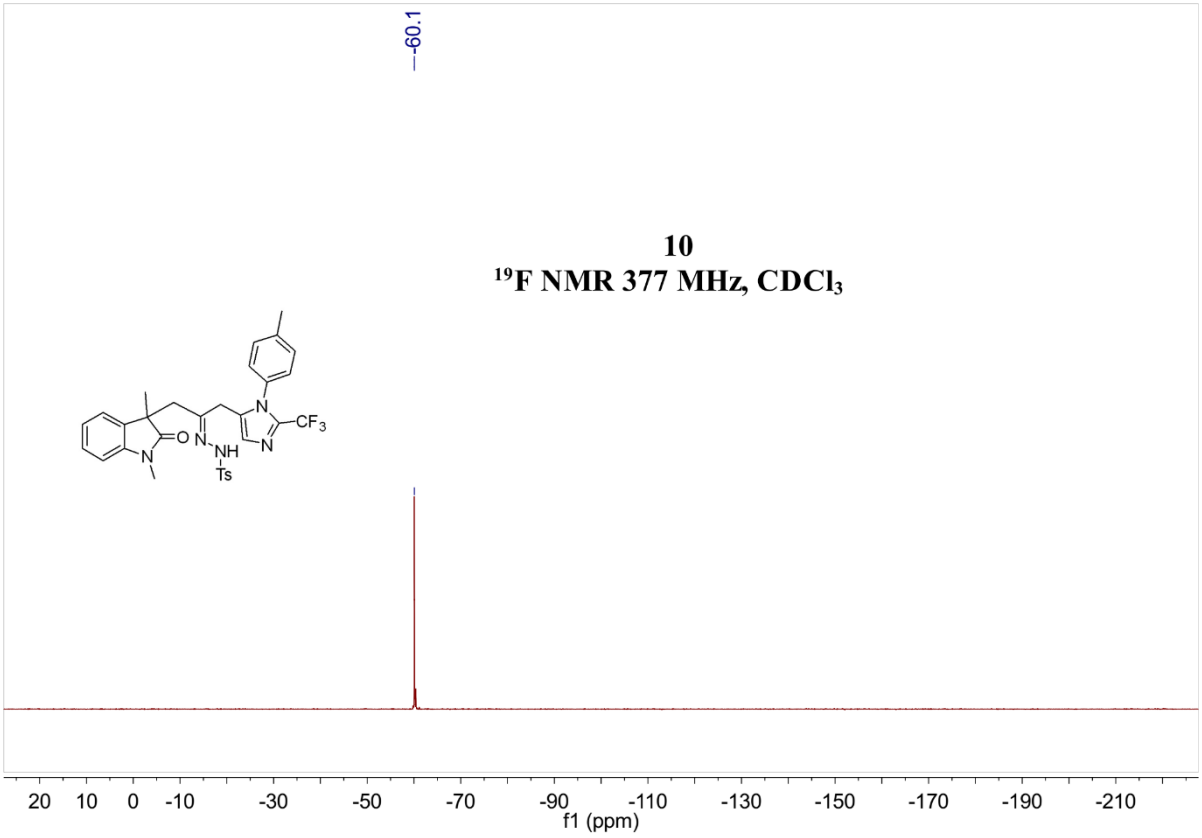

9
1H NMR 400 MHz, CDCl3
f1 (ppm)

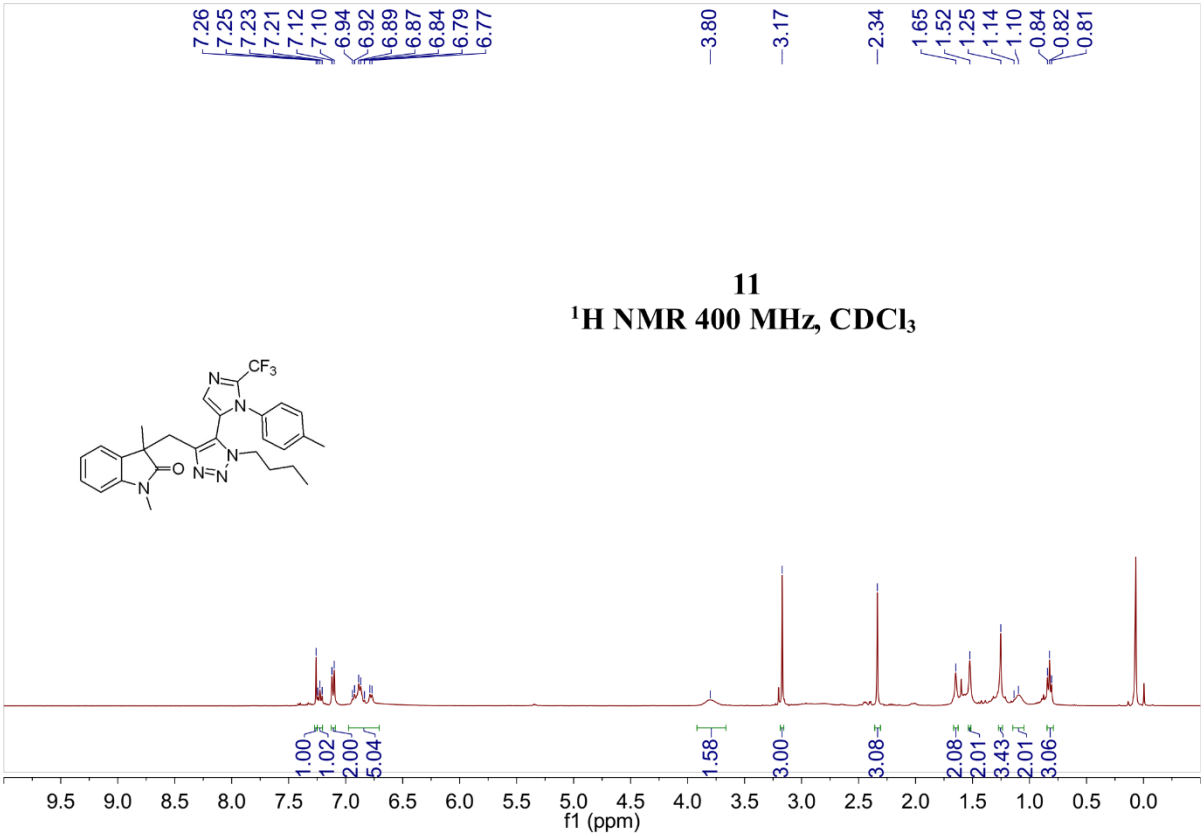

9
13C NMR 101 MHz, CDCl3
f1 (ppm)

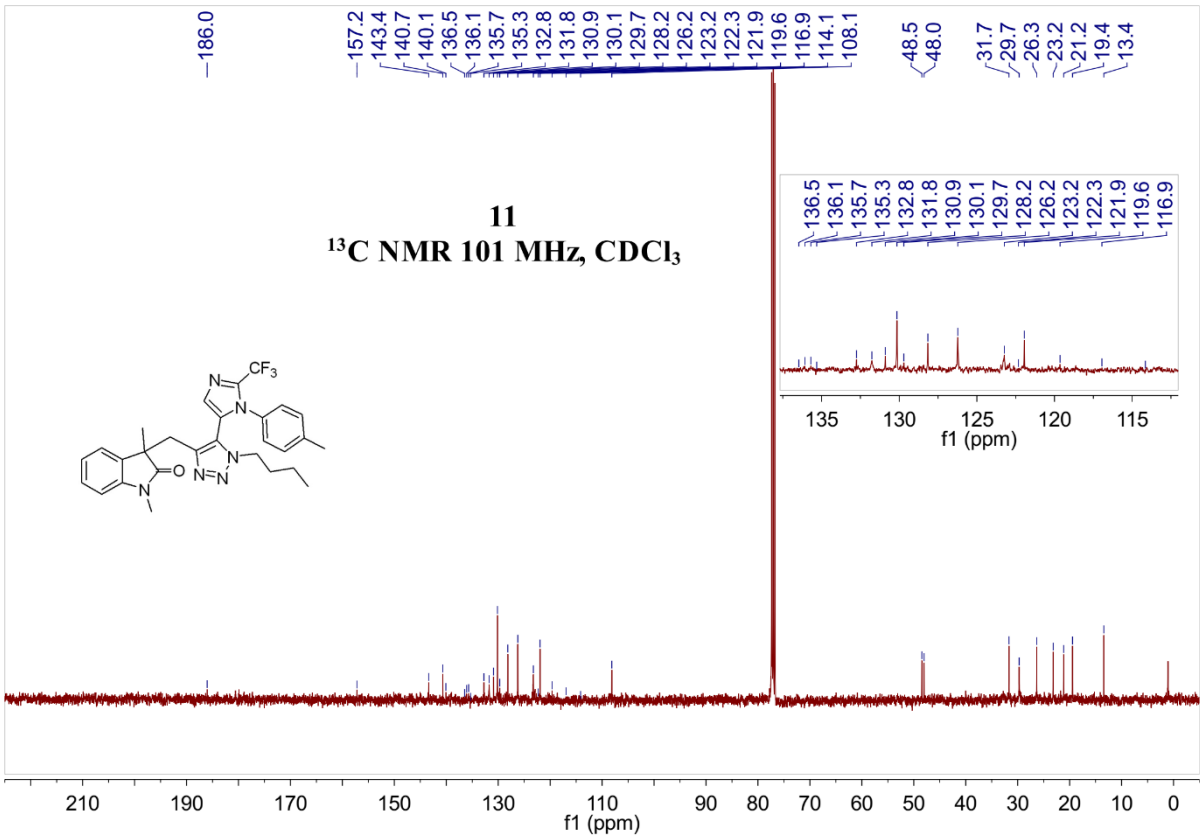

-60.2
9
19F NMR 377 MHz, CDCl3
N
CF3
OH
N
N
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)

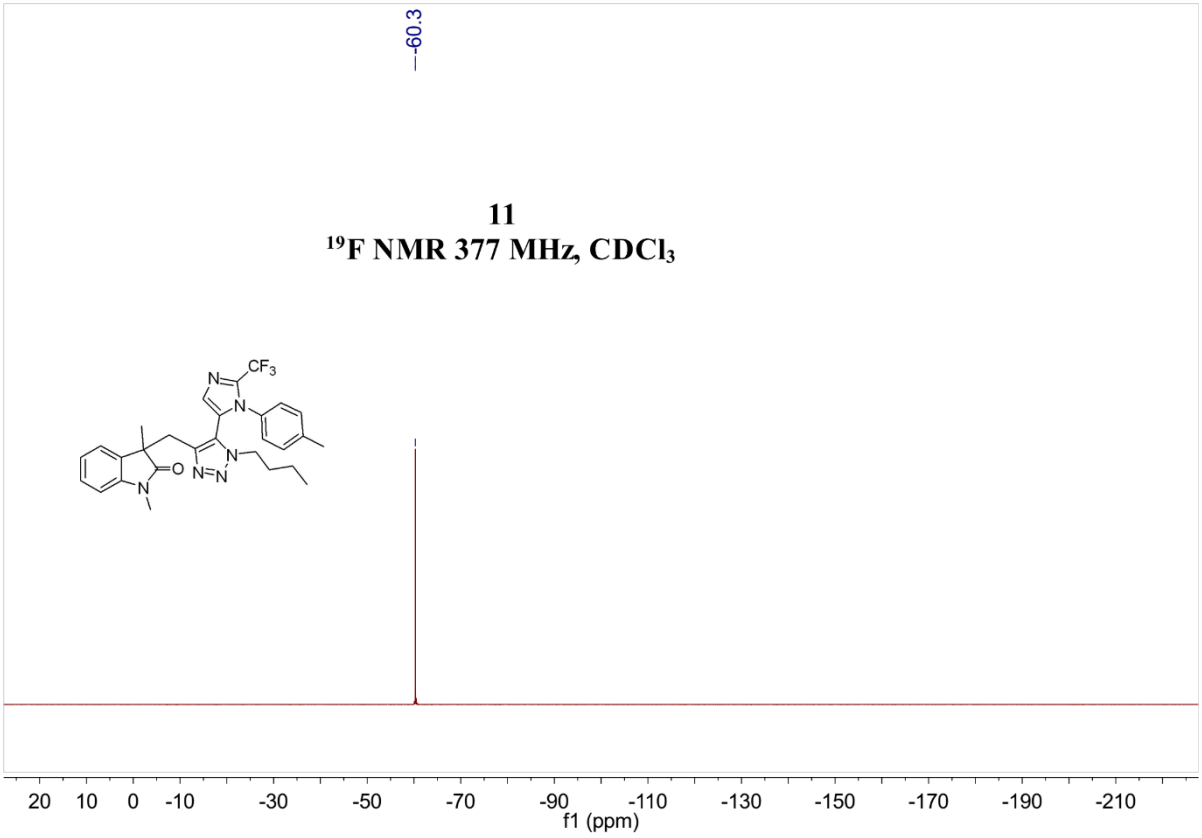

10
1H NMR 400 MHz, CDCl3
f1 (ppm)


10
13C NMR 101 MHz, CDCl3
f1 (ppm)


-60.1
10
19F NMR 377 MHz, CDCl3
CF3
O
N
NH
Ts
20 10 0 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210
f1 (ppm)


11
1H NMR 400 MHz, CDCl3
7.26
7.25
7.23
7.21
7.12
7.10
6.94
6.92
6.89
6.87
6.84
6.79
6.77
3.80
3.17
2.34
1.65
1.52
1.25
1.14
1.10
0.84
0.82
0.81
1.00
1.02
2.00
5.04
1.58
3.00
3.08
2.08
2.01
3.43
2.01
3.06
f1 (ppm)


11
13C NMR 101 MHz, CDCl3
186.0
157.2
143.4
140.7
140.1
136.5
136.1
135.7
135.3
132.8
131.8
130.9
130.1
129.7
128.2
126.2
123.2
122.3
121.9
119.6
116.9
114.1
108.1
48.5
48.0
31.7
29.7
26.3
23.2
21.2
19.4
13.4
f1 (ppm)


-60.3
11
19F NMR 377 MHz, CDCl3
CF3
N
N
N
N
O
N
20
10
0
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
f1 (ppm)