

Supporting Information

Regioselective Indole C2-Alkylation using β -CF₃-Substituted Enones under Redox Neutral Rh(III) Catalysis

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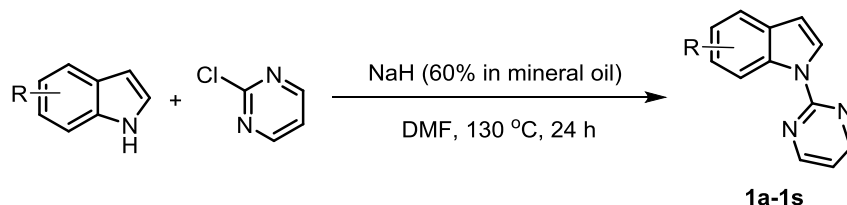
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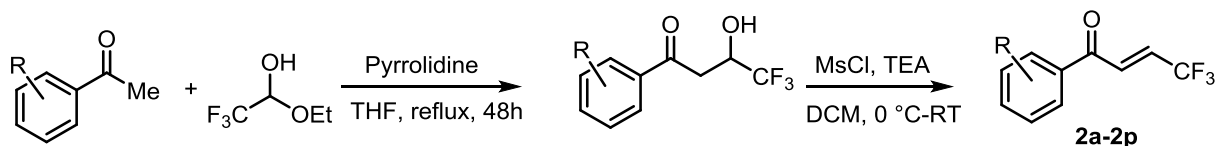
General Information. All the chemicals were purchased from Sigma-Aldrich. All the reactions were carried out under air using the sealed pressure tubes. NMR was recorded on Bruker (400, 500 MHz) spectrometer with CDCl₃ as reference solvent. Chemical shifts of ¹H and ¹³C NMR spectra are reported in parts per million (ppm). Column chromatography was performed on silica gel 230–400 mesh. IR spectra were recorded as KBr pellet on Bruker Alpha FT-IR spectrometer. High-resolution mass spectrometry (HRMS) was done on Agilent Q-TOF LC/MS. Melting points were uncorrected and was taken on Buchi M-560. Pyrimidyl- indoles (**1a-1s**)¹ and β-CF₃-substituted enones (**2a-2p**)^{2,3} were synthesized according to the previous reported procedures.

Synthesis of 1-(Pyrimidin-2-yl)-1*H*-indoles (**1a-1s**):¹



To a stirred solution of indole (10.0 mmol) in DMF (25 mL) at 0 °C, NaH (60% dispersion in mineral oil, 800 mg, 20.0 mmol) was added in portions. After stirring for 30 min at 0 °C, 2-chloropyrimidine (1.72 g, 15.0 mmol) was added and the mixture was stirred at 130 °C for 24 h. Then, the reaction mixture was cooled to ambient temperature, poured into H₂O (300 mL) and extracted with EtOAc (4×75 mL). The combined organic phase was dried over Na₂SO₄. After filtration and evaporation of the solvents under reduced pressure, the crude product was purified by column chromatography on silica gel (eluent; hexane/EtOAc = 4:1) to furnish the product (**1a-1s**) in good yields.

Synthesis of β -CF₃-Substituted Enones (2a-2p):



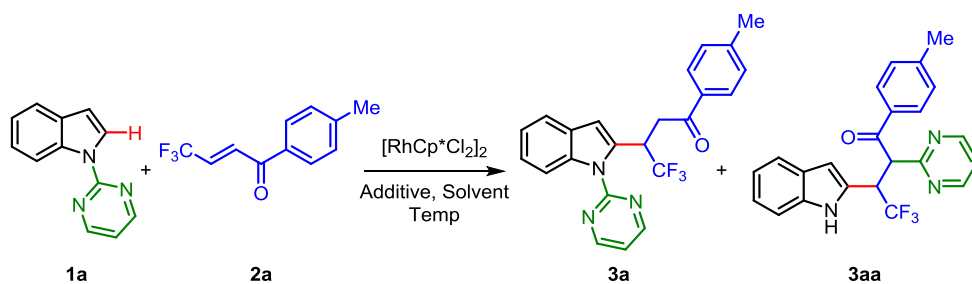
Step-A²: To a solution of the trifluoroacetaldehyde ethyl hemiacetal (1.44 g, 10.0 mmol) in THF (20 mL), pyrrolidine (0.49 g, 7.0 mmol) was added and the resulting mixture was stirred at room temperature for 30 min. Then corresponding acetophenones (10.0 mmol) was poured into the solution. The reaction mixture was stirred at reflux for 48 h. After cooled to ambient temperature, all the volatiles were removed under reduced pressure. The resulting residue was purification by silica gel column chromatography (eluent; hexane/EtOAc = 10:1) to afford β -hydroxy ketones.

Step-B³: To a solution of β -hydroxy ketones (5 mmol), in dichloromethane (10 mL) was added methanesulfonyl chloride (0.63 g, 5.5 mmol) and triethylamine (1.11 g, 11 mmol) at 0 °C. After being stirred at r.t. for 3 h, the reaction mixture was quenched with H₂O, followed by extraction with dichloromethane three times. The combined organic layers were dried over anhydrous Na₂SO₄, concentrated in *vacuo*. The residue was purified by silica gel column chromatography (eluent; hexane/EtOAc = 40:1) to afford β -CF₃-substituted enones (2a-2p).

Reference:

1. Ackermann, L. and Lygin, A.V., *Org. Lett.*, 2011, **13**, 3332-3335.
2. Jiang, Q., Guo, T., Wu, K. and Yu, Z., *Chem. Commun.*, 2016, **52**, 2913-2915.
3. Morigaki A., Kawamura, M., Arimitsu, S., Ishihara, T. and Konno, T., *Asian. J. Org. Chem.*, 2013, **2**, 239-243.

Optimization table for reaction conditions:



Entry	Additives (mol %)	Solvent	Yield (%) ^b	
			3a	3aa
1	AgSbF_6 (10)	Toluene	Trace	-
2	AgSbF_6 (10) + AgOAc (50)	THF	54	42
3	AgSbF_6 (10) + AgOAc (50)	DCE	83	-
4	AgSbF_6 (10) + AgOAc (50)	1,4-dioxane	73	-
5	AgSbF_6 (10) + AgOAc (50)	TFE	78	Trace
6	AgSbF_6 (10) + AgOAc (50)	t-BuOH	68	Trace
7	AgSbF_6 (10) + AgOAc (50)	DMF	-	-
8	AgSbF_6 (10) + AgOPiv (50)	THF	30	17
9	AgSbF_6 (10) + AgOTf (50)	THF	42	-
10	AgSbF_6 (10) + CsOAc (50)	THF	trace	-
11 ^c	K_2CO_3 (100)	TFE	-	-
12 ^c	Cs_2CO_3 (100)	TFE	-	-
13	AgSbF_6 (10) + NaOAc (100)	THF	trace	-
14	AgSbF_6 (10) + KOAc (100)	THF	-	-
15	AgSbF_6 (10) + CsOAc (150)	THF	-	-
16	AgSbF_6 (10) + AgOAc (50)	1,4-dioxane	73	-
17	AgSbF_6 (10) + AgOAc (50)	TFE	78	-
18	AgSbF_6 (10) + AgOAc (50)	DMF	-	-

19	AgSbF ₆ (10) + AgOAc (50)	t-BuOH	68	-
20 ^d	AgSbF ₆ (10) + AgOAc (50)	THF	44	24
21	AgOAc (50)	THF	-	-
22	AgOAc (50)	TFE	73	Trace
23	AgSbF ₆ (10) + AgOAc (50) + TfOH (50)	THF	37	-
24	AgSbF ₆ (10) + AgOPiv (50)	THF	29	17
25	AgSbF ₆ (10) + AgOTf (50)	THF	42	-
26	AgSbF ₆ (10) + AgOAc (50) + Ag ₂ CO ₃ (50)	THF	27	24
27	AgSbF ₆ (10) + Ag ₂ CO ₃ (100)	THF	29	-
28	AgSbF ₆ (10) + AgOAc (20) + PhI(OAc) ₂ (100)	THF	31	-
29	AgSbF ₆ (10) + AgOAc (50) + AcOH (100)	THF	42	15
30	AgSbF ₆ (10) + AgOAc (50) + AdCOOH (100)	THF	37	12
31	AgSbF ₆ (10) + AgOAc (50) + PivOH (100)	THF	36	12
32	AgSbF ₆ (10) + AgOAc (50) + K ₃ PO ₄ (50)	THF	-	-
33	AgSbF ₆ (10) + AgOAc (50) + Cy ₂ NH (50)	THF	-	-
34	AgSbF ₆ (10) + AgOAc (50)	Et ₂ O	-	-
35 ^e	AgSbF ₆ (4) + AgOAc (50)	THF	31	12
36 ^f	AgSbF ₆ (20) + AgOAc (50)	THF	37	26
37	AgSbF ₆ (20) + AgOAc (50) + K ₂ CO ₃ (100)	THF	29	-
38	AgSbF ₆ (20) + K ₂ CO ₃ (200)	THF	24	-
39	AgSbF ₆ (10)	THF	78	-
40	AgSbF₆ (10)	DCE	93	-
41	AgSbF₆ (10)	TFE	92	-
42	AgSbF ₆ (10)	1,4-dioxane	88	-

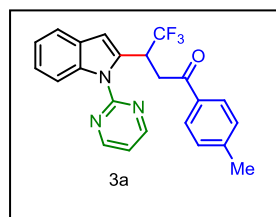
43	AgSbF ₆ (10)	t-BuOH	85	-
44	AgSbF ₆ (10)	H ₂ O	50	-
45	-	DCE	-	-
46	-	TFE	70	-
47^e	AgSbF₆ (4)	DCE	89	-
48 ^d	AgSbF ₆ (10)	DCE	42	-
49	AgSbF ₆ (10) + Cu(OAc) ₂ (100)	THF	56	-
50 ^c	AgSbF ₆ (10) + AcOH (200)	THF	88	-

^aReaction conditions: **1a** (0.2 mmol), **2a** (0.2 mmol), [RhCp*Cl₂]₂ (2.5 mol %), additives (as mentioned) in solvent (1.0 mL) at 70 °C for 24 h. ^bIsolated yield. ^c Reactions were carried out at 120 °C for 18 h. ^d Reactions were carried out at room temperature for 48 h. ^e[RhCp*Cl₂]₂ (1 mol %). ^f [RhCp*Cl₂]₂ (5 mol %)

General procedure for cross coupling and spectral data:

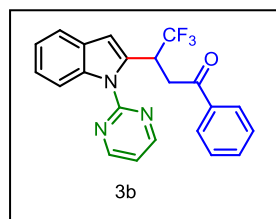
Typical procedure for the coupling reaction of indoles and (*E*)-4,4,4-trifluoro-1-(aryl)but-2-en-1-one : To an oven-dried sealed tube with 1-(Pyrimidin-2-yl)-1*H*-indole (**1a**) (39 mg, 0.2 mmol, 100 mol %), (*E*)-4,4,4-Trifluoro-1-(*p*-tolyl)but-2-en-1-one (**2a**) (42.8 mg, 0.2 mmol, 100 mol %), [RhCp*Cl₂]₂ (1.2 mg, 0.002 mmol, 1 mol %) and AgSbF₆ (2.7 mg, 0.008 mmol, 4 mol %) was added in DCE (1 mL). The reaction mixture was allowed to stir at 70 °C for 24 h. After cooling at room temperature, the reaction mixture was evaporated and the residue was purified by flash column chromatography (SiO₂: *n*-hexanes/EtOAc = 9:1) to provide **3a** (73 mg) in 89% yield.

4,4,4-Trifluoro-3-(1-(pyrimidin-2-yl)-1*H*-indol-2-yl)-1-(*p*-tolyl)butan-1-one (**3a**):



73 mg (89%); white solid; mp = 99.1–100.3 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.79 (d, *J* = 4.8 Hz, 2H), 8.26 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 7.6 Hz, 1H), 7.29–7.22 (m, 3H), 7.20–7.15 (m, 2H), 6.78 (s, 1H), 6.00–5.90 (m, 1H) 3.81 (dd, *J* = 17.6, 8.4 Hz, 1H), 3.65 (dd, *J* = 17.6, 4.8 Hz, 1H), 2.38 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 194.8, 158.3, 158.0, 144.3, 136.9, 134.4, 133.8, 129.3, 128.4, 128.2, 126.7 (q, *J*_{C-F} = 279.6 Hz), 123.8, 122.1, 120.4, 117.5, 114.3, 107.3, 39.2, 37.3 (q, *J*_{C-F} = 28.1 Hz), 21.6; IR (KBr) ν 3053, 2952, 2912, 1676, 1607, 1569, 1436, 1432, 1332, 1264, 1184, 1157, 1116, 994, 817, 766, 729 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₉F₃N₃O [M+H]⁺ 410.1475, found 410.1479.

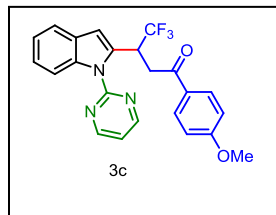
4,4,4-Trifluoro-1-phenyl-3-(1-(pyrimidin-2-yl)-1*H*-indol-2-yl)butan-1-one (**3b**):



74 mg (94%); white solid; mp = 138.6–139.3 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.79 (d, *J* = 4.8 Hz, 2H), 8.27 (d, *J* = 8.4 Hz, 1H), 7.94 (d, *J* = 7.2 Hz, 2H), 7.56 (t, *J* = 7.6 Hz, 2H), 7.44 (t, *J* = 8.0 Hz, 2H), 7.28 (td, *J* = 8.4, 1.2 Hz, 1H), 7.21–7.16 (m, 2H), 6.79 (s, 1H), 6.00–5.90 (m, 1H), 3.83 (dd, *J* = 17.6, 8.0 Hz, 1H), 3.68 (dd, *J* = 18.0, 5.2 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 195.2, 158.3, 158.0, 136.9, 136.3, 134.3, 133.4, 128.7, 128.4, 128.1, 126.7 (q, *J*_{C-F} = 278.4 Hz), 123.8, 122.1, 120.4, 117.6, 114.3, 107.3, 39.4, 37.3 (q, *J*_{C-F} = 28.2 Hz); IR (KBr) ν 3048, 2925, 1689, 1566, 1456, 1424, 1350, 1317, 1262, 1218, 1161, 1104, 997, 820, 748

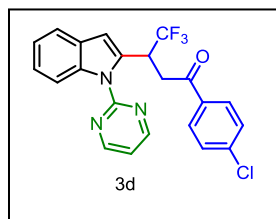
cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₂H₁₇F₃N₃O [M+H]⁺ 396.1318, found 396.1321.

4,4,4-Trifluoro-1-(4-methoxyphenyl)-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3c):



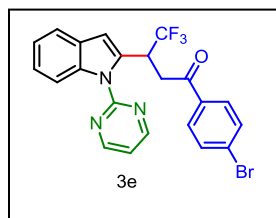
78 mg (92%); Off white solid; mp = 102.1–103.2 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.80 (d, *J* = 4.8 Hz, 2H), 8.26 (d, *J* = 8.4 Hz, 1H), 7.92 (dt, *J* = 9.2, 2.8 Hz, 2H), 7.54 (d, *J* = 7.6 Hz, 1H), 7.27 (td, *J* = 7.2, 1.2 Hz, 1H), 7.20–7.15 (m, 2H), 6.90 (d, *J* = 9.2 Hz, 2H) 6.78 (s, 1H), 6.00–5.91 (m, 1H), 3.83 (s, 3H) 3.78 (dd, *J* = 17.6, 8.8 Hz, 1H), 3.62 (dd, *J* = 17.6, 4.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 193.7, 163.7, 158.3, 158.1, 137.0, 134.5, 130.4, 129.4, 128.4, 126.8 (q, *J*_{C-F} = 278.1 Hz), 123.7, 122.1, 120.4, 117.5, 114.3, 113.8, 107.2, 55.5, 38.9, 37.4 (q, *J*_{C-F} = 28.0 Hz); IR (KBr) ν 3049, 2991, 2933, 1676, 1601, 1569, 1513, 1455, 1424, 1322, 1259, 1100, 1026, 992, 810, 744 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₉F₃N₃O₂ [M+H]⁺ 426.1424, found 426.1430.

1-(4-Chlorophenyl)-4,4,4-trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3d):



76 mg (88%); white solid; mp = 99.5–100.1 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.79 (d, *J* = 4.4 Hz, 2H), 8.26 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 7.6 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 2H), 7.28 (t, *J* = 8.0 Hz, 1H), 7.21–7.17 (m, 2H), 6.78 (s, 1H), 5.97–5.87 (m, 1H) 3.79 (dd, *J* = 17.6, 8.4 Hz, 1H), 3.64 (dd, *J* = 17.6, 4.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 194.1, 158.3, 158.0, 140.0, 136.9, 134.6, 134.1, 129.5, 129.0, 128.3, 126.6 (q, *J*_{C-F} = 278.3 Hz), 123.9, 122.2, 120.4, 117.6, 114.3, 107.3, 39.4, 37.3 (q, *J*_{C-F} = 28.2 Hz); IR (KBr) ν 3045, 2958, 2926, 1692, 1590, 1569, 1456, 1436, 1352, 1263, 1228, 1144, 1102, 979, 812, 749 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₂H₁₆ClF₃N₃O [M+H]⁺ 430.0929, found 430.0933.

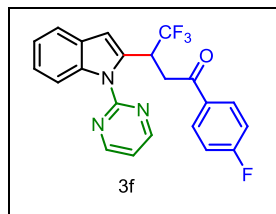
1-(4-Bromophenyl)-4,4,4-trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3e):



88 mg (93%); off white solid; mp = 95.7–96.3 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.79 (d, *J* = 4.4 Hz, 2H), 8.26 (d, *J* = 8.4 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 2H), 7.59–7.54 (m, 3H), 7.28 (t, *J* = 7.2 Hz, 1H), 7.21–7.17 (m, 2H), 6.78 (s, 1H), 5.95–5.89 (m, 1H), 3.78 (dd, *J* = 17.6, 8.0 Hz, 1H), 3.65 (dd, *J* = 17.6, 4.4 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 194.3, 158.3,

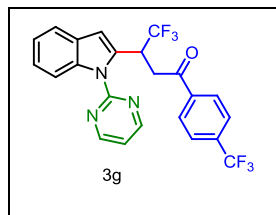
158.0, 136.9, 135.0, 134.1, 132.0, 129.6, 128.7, 128.3, 126.6 (q, $J_{C-F} = 278.3$ Hz), 123.9, 122.2, 120.4, 117.6, 114.3, 107.3, 39.4, 37.3 (q, $J_{C-F} = 28.2$ Hz); IR (KBr) ν 3054, 2955, 2923, 1692, 1582, 1455, 1433, 1351, 1317, 1264, 1222, 1146, 1101, 1069, 1010, 975, 809, 748 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{BrF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 474.0424, found 474.0429.

4,4,4-Trifluoro-1-(4-fluorophenyl)-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3f):



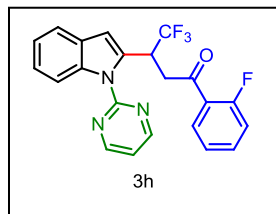
79 mg (96%); white solid; mp = 80.6–81.4 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.4$ Hz, 2H), 8.26 (d, $J = 8.4$ Hz, 1H), 7.97–7.94 (m, 2H), 7.55 (d, $J = 7.6$ Hz, 1H), 7.28 (t, $J = 7.6$ Hz, 1H), 7.21–7.16 (m, 2H), 7.10 (t, $J = 8.4$ Hz, 2H), 6.78 (s, 1H), 5.99–5.89 (m, 1H), 3.79 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.64 (dd, $J = 17.6, 4.4$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.7, 165.9 (d, $J_{C-F} = 254.1$ Hz), 158.3, 158.0, 136.9, 134.2, 132.7 (d, $J_{C-F} = 2.8$ Hz), 130.1 (d, $J_{C-F} = 9.3$ Hz), 128.3, 126.6 (q, $J_{C-F} = 278.2$ Hz), 123.9, 122.2, 120.4, 117.6, 115.8 (d, $J_{C-F} = 21.8$ Hz), 114.3, 107.3, 39.3, 37.3 (q, $J_{C-F} = 28.1$ Hz); IR (KBr) ν 3069, 2955, 2927, 1691, 1595, 1507, 1457, 1434, 1351, 1318, 1266, 1229, 1162, 1099, 981, 847, 818, 748 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{F}_4\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 414.1224, found 414.1226.

4,4,4-Trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(4-(trifluoromethyl)phenyl)butan-1-one (3g):



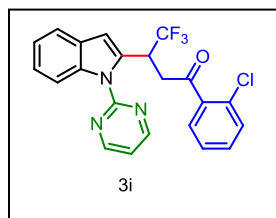
88 mg (95%); white solid; mp = 113.4–113.8 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.4$ Hz, 2H), 8.27 (d, $J = 8.4$ Hz, 1H), 8.02 (d, $J = 8.0$ Hz, 2H), 7.71 (d, $J = 8.4$ Hz, 2H), 7.55 (d, $J = 8.0$ Hz, 1H), 7.29 (t, $J = 7.2$ Hz, 1H), 7.22–7.18 (m, 2H), 6.79 (s, 1H), 5.98–5.88 (m, 1H), 3.83 (dd, $J = 18.0, 8.4$ Hz, 1H), 3.70 (dd, $J = 17.6, 4.8$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.5, 158.3, 158.0, 138.8, 136.9, 134.7 (q, $J_{C-F} = 32.7$ Hz), 133.9, 128.4, 128.3, 126.6 (q, $J_{C-F} = 278.2$ Hz), 125.8 (q, $J_{C-F} = 3.6$ Hz), 124.0, 123.5 (q, $J_{C-F} = 271.2$ Hz), 122.3, 120.5, 117.6, 114.3, 107.4, 39.8, 37.3 (q, $J_{C-F} = 28.4$ Hz); IR (KBr) ν 3051, 2948, 2926, 1698, 1569, 1455, 1424, 1319, 1263, 1216, 1164, 1135, 1104, 1070, 997, 823, 740 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{16}\text{F}_6\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 464.1192, found 464.1195.

4,4,4-Trifluoro-1-(2-fluorophenyl)-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3h):



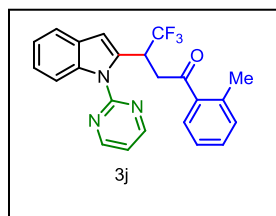
79 mg (96%); white solid; mp = 100.8–101.2 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.80 (d, J = 4.8 Hz, 2H), 8.27 (d, J = 8.4 Hz, 1H), 7.77 (td, J = 7.6, 1.6 Hz, 1H), 7.55 (d, J = 8.0 Hz, 1H), 7.53–7.47 (m, 1H), 7.29 (ddd, J = 8.4, 6.8, 1.2 Hz, 1H), 7.21–7.11 (m, 4H), 6.80 (s, 1H), 6.02–5.92 (m, 1H), 3.82 (ddd, J = 18.8, 8.4, 2.8 Hz, 1H), 3.72 (ddd, J = 18.4, 4.8, 2.8 Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.6, 162.0 (d, $J_{\text{C-F}}$ = 252.8 Hz), 158.3, 158.1, 136.9, 135.0 (d, $J_{\text{C-F}}$ = 9.1 Hz), 134.2, 130.8, 128.4, 126.6 (q, $J_{\text{C-F}}$ = 278.4 Hz), 124.9 (d, $J_{\text{C-F}}$ = 12.5 Hz), 124.6, 123.8, 122.1, 120.5, 117.5, 116.7 (d, $J_{\text{C-F}}$ = 23.6 Hz), 114.3, 107.5, 44.1 (d, $J_{\text{C-F}}$ = 9.6 Hz), 37.1 (q, $J_{\text{C-F}}$ = 28.3 Hz); IR (KBr) ν 3050, 2933, 1688, 1609, 1566, 1456, 1423, 1350, 1316, 1265, 1214, 1162, 1104, 993, 819, 749 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{F}_4\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 414.1224, found 414.1230.

1-(2-Chlorophenyl)-4,4,4-trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3i):



81 mg (94%); off white solid; mp = 71.2–72.0 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, J = 4.8 Hz, 2H), 8.27 (d, J = 8.4 Hz, 1H), 7.57 (d, J = 7.6 Hz, 1H), 7.40–7.32 (m, 3H), 7.29 (td, J = 8.4, 1.2 Hz, 1H), 7.25–7.21 (m, 2H), 7.18 (t, J = 4.8 Hz, 1H), 6.79 (s, 1H), 5.96–5.86 (m, 1H), 3.75 (d, J = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.5, 158.3, 157.9, 138.5, 136.9, 133.7, 132.1, 130.9, 130.5, 129.3, 128.4, 127.0, 126.4 (q, $J_{\text{C-F}}$ = 278.6 Hz), 123.9, 122.2, 120.5, 117.6, 114.3, 107.9, 43.5, 37.5 (q, $J_{\text{C-F}}$ = 28.3 Hz); IR (KBr) ν 3045, 2937, 1699, 1565, 1423, 1349, 1311, 1241, 1212, 1162, 1106, 1034, 987, 817, 759, 674, 636 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{ClF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 430.0929, found 430.0935.

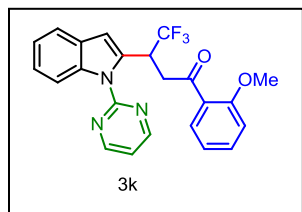
4,4,4-Trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(*o*-tolyl)butan-1-one (3j):



78 mg (95%); sticky solid; ^1H NMR (400 MHz, CDCl_3) δ 8.78 (d, J = 4.8 Hz, 2H), 8.27 (d, J = 8.4 Hz, 1H), 7.64 (d, J = 7.6 Hz, 1H), 7.56 (d, J = 8.0 Hz, 1H), 7.35 (td, J = 7.2, 1.2 Hz, 1H), 7.31–7.26 (m, 1H), 7.25–7.18 (m, 3H), 7.16 (t, J = 4.8 Hz, 1H), 6.79 (s, 1H), 5.96–5.86 (m, 1H), 3.71 (dd, J = 17.6, 7.6 Hz, 1H), 3.63 (dd, J = 17.6, 6.0 Hz, 1H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.1, 158.3, 158.0, 138.4, 137.2, 136.9, 134.1, 133.0, 131.6,

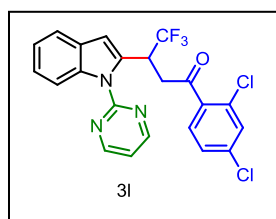
128.4, 128.2, 126.6 (q, $J_{C-F} = 278.4$ Hz), 125.7, 123.9, 122.1, 120.5, 117.5, 114.2, 107.6, 42.0, 37.5 (q, $J_{C-F} = 28.2$ Hz), 21.0; IR (KBr) ν 3049, 2967, 2926, 2854, 1691, 1569, 1454, 1426, 1347, 1315, 1259, 1153, 1104, 984, 808, 749 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 410.1475, found 410.1494.

4,4,4-Trifluoro-1-(2-methoxyphenyl)-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3k):



81 mg (91%); light orange sticky solid; ^1H NMR (400 MHz, CDCl_3) δ 8.77 (d, $J = 4.8$ Hz, 2H), 8.25 (d, $J = 8.0$ Hz, 1H), 7.61 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.55 (d, $J = 7.6$ Hz, 1H), 7.45–7.41 (m, 1H), 7.29–7.25 (m, 1H), 7.20–7.16 (m, 1H), 7.14 (t, $J = 4.8$ Hz, 1H), 6.95–6.91 (m, 2H), 6.78 (s, 1H), 5.99–5.89 (m, 1H), 3.90 (s, 3H), 3.80 (dd, $J = 18.0, 8.4$ Hz, 1H), 3.73 (dd, $J = 18.0, 5.6$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 197.2, 158.6, 158.2, 158.1, 137.0, 134.6, 133.9, 130.7, 128.4, 127.2, 126.7 (q, $J_{C-F} = 278.3$ Hz), 123.7, 122.0, 120.7, 120.4, 117.5, 114.2, 111.4, 107.5, 55.5, 44.2, 37.4 (q, $J_{C-F} = 27.7$ Hz); IR (KBr) ν 3321, 3082, 2922, 1647, 1582, 1509, 1488, 1386, 1302, 1195, 1065, 894, 880, 829, 791, 702 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 426.1424, found 426.1430.

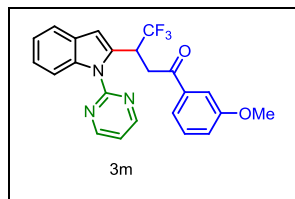
1-(2,4-Dichlorophenyl)-4,4,4-trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3l):



88 mg (95%); transparent sticky solid; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.8$ Hz, 2H), 8.28 (d, $J = 8.4$ Hz, 1H), 7.56 (d, $J = 7.6$ Hz, 1H), 7.39 (s, 1H), 7.31–7.25 (m, 2H), 7.23–7.18 (m, 3H), 6.78 (s, 1H), 5.93–5.83 (m, 1H) 3.71 (d, $J = 6.8$ Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 197.3, 158.3, 157.9, 137.8, 136.9, 136.6, 133.4, 132.0, 130.6, 130.3, 128.3, 127.5, 126.3 (q, $J_{C-F} = 278.5$ Hz), 124.0, 122.3, 120.5, 117.6, 114.4, 108.0, 43.5, 37.6 (q, $J_{C-F} = 28.5$ Hz); IR (KBr) ν 3048, 1703, 1579, 1454, 1427, 1347, 1316, 1260, 1156, 1107, 988, 956, 812 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{15}\text{Cl}_2\text{F}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 464.0539, found 464.0544.

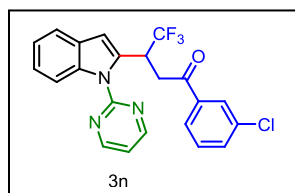
4,4,4-Trifluoro-1-(3-methoxyphenyl)-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3m):

81 mg (95%); Light yellow sticky solid; ^1H NMR (400 MHz, CDCl_3) δ 8.00 (d, $J = 4.8$ Hz, 2H), 8.27 (d, $J = 8.4$ Hz, 1H), 7.55 (d, $J = 7.6$ Hz, 2H), 7.44 (t, $J = 2.0$ Hz, 1H), 7.36 (d, $J = 8.0$ Hz, 1H),



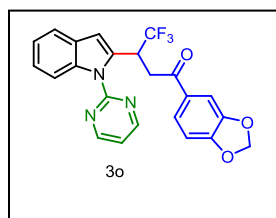
7.30–7.26 (m, 1H), 7.21–7.16 (m, 2H), 7.18 (dd, $J = 8.0, 2.0$ Hz, 1H), 6.79 (s, 1H), 6.00–5.90 (m, 1H), 3.83 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.80 (s, 3H), 3.67 (dd, $J = 18.0, 4.8$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 195.1, 159.8, 158.3, 158.0, 137.6, 136.9, 134.3, 132.1, 129.7, 128.4, 126.7 (q, $J_{\text{C-F}} = 278.1$ Hz), 123.8, 122.5, 120.7, 120.1, 117.5, 114.3, 112.2, 107.3, 55.4, 39.5, 37.4 (q, $J_{\text{C-F}} = 28.2$ Hz); IR (KBr) ν 3051, 2837, 1687, 1571, 1427, 1347, 1317, 1259, 1156, 1107, 1041, 747 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 426.1424, found 426.1436.

1-(3-Chlorophenyl)-4,4,4-trifluoro-3-(1-pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3n):



80 mg (93%); white solid; mp = 97.6–98.2 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.8$ Hz, 2H), 8.27 (d, $J = 8.4$ Hz, 1H), 7.90 (t, $J = 2.0$ Hz, 1H), 7.80 (dt, $J = 8.0, 1.2$ Hz, 1H), 7.56 (d, $J = 7.2$ Hz, 1H), 7.54–7.51 (m, 1H), 7.38 (t, $J = 8.0$ Hz, 1H), 7.30–7.26 (m, 1H), 7.22–7.17 (m, 2H), 6.79 (s, 1H), 5.96–5.87 (m, 1H), 3.80 (dd, $J = 18.0, 8.4$ Hz, 1H), 3.65 (dd, $J = 17.6, 4.8$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.1, 158.3, 158.0, 137.7, 136.9, 135.0, 134.0, 133.4, 130.0, 128.3, 128.2, 126.6 (q, $J_{\text{C-F}} = 278.4$ Hz), 126.2, 123.9, 122.2, 120.5, 117.6, 114.3, 107.3, 39.6, 37.3 (q, $J_{\text{C-F}} = 28.3$ Hz); IR (KBr) ν 3045, 2923, 1692, 1568, 1455, 1424, 1349, 1318, 1263, 1216, 1162, 1104, 997, 815, 744, 681, 640 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{ClF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 430.929, found 430.0936.

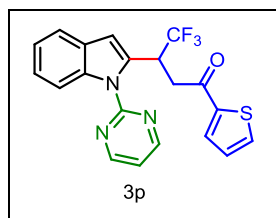
1-(Benzo[d][1,3]dioxol-5-yl)-4,4,4-trifluoro-3-(1-pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (3o):



81 mg (92%); off white solid; mp = 109.7–110.2 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.81 (d, $J = 4.8$ Hz, 2H), 8.25 (d, $J = 8.4$ Hz, 1H), 7.57–7.54 (m, 2H), 7.39 (d, $J = 1.6$ Hz, 1H), 7.29–7.25 (m, 1H), 7.21–7.17 (m, 2H), 6.83 (d, $J = 8.4$ Hz, 1H), 6.78 (s, 1H), 6.01 (s, 2H), 5.99–5.88 (m, 1H) 3.76 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.58 (dd, $J = 17.6, 5.2$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.2, 158.3, 158.0, 152.0, 148.2, 136.9, 134.4, 131.1, 128.4, 126.7 (q, $J_{\text{C-F}} = 278.1$ Hz), 124.4, 123.8, 122.1, 120.4, 117.6, 114.2, 107.9, 107.8, 107.2, 101.9, 39.0, 37.4 (q, $J_{\text{C-F}} = 28.0$ Hz); IR (KBr) ν 3047, 2992, 2962, 2943, 1679, 1607, 1750, 1425, 1349, 1255, 1157,

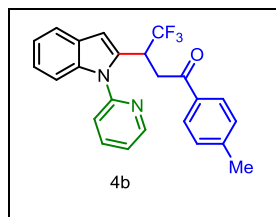
1103, 1039, 934, 892, 810, 748 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{17}\text{F}_3\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$ 440.1217, found 440.1242.

4,4,4-Trifluoro-3-(1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(thiophen-2-yl)butan-1-one (3p):



73 mg (91%); white solid; mp = 152.3–152.8 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, J = 4.8 Hz, 2H), 8.27 (d, J = 8.0 Hz, 1H), 7.75 (dd, J = 4.0, 1.2 Hz, 1H), 7.62 (dd, J = 4.8, 1.2 Hz, 1H), 7.56 (d, J = 7.6 Hz, 1H), 7.30–7.26 (m, 1H), 7.22–7.20 (m, 1H), 7.16 (t, J = 4.8 Hz, 1H), 7.10 (dd, J = 4.8, 4.0 Hz, 1H), 6.82 (s, 1H), 5.98–5.88 (m, 1H) 3.74 (dd, J = 17.2, 8.0 Hz, 1H), 3.62 (dd, J = 17.2, 5.2 Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 188.1, 158.3, 157.9, 143.4, 136.9, 134.2, 134.0, 132.1, 128.4, 128.2, 126.3 (q, $J_{\text{C-F}}$ = 278.3 Hz), 123.9, 122.1, 120.5, 117.6, 114.3, 107.4, 39.8, 37.4 (q, $J_{\text{C-F}}$ = 28.2 Hz); IR (KBr) ν 3104, 3046, 2926, 1668, 1567, 1517, 1457, 1422, 1350, 1316, 1239, 1316, 1239, 1163, 1105, 1036, 820, 755, 734 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{20}\text{H}_{15}\text{F}_3\text{N}_3\text{OS}$ $[\text{M}+\text{H}]^+$ 402.0883, found 402.0902.

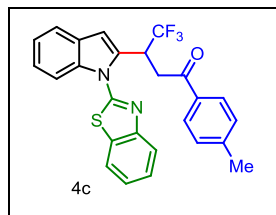
4,4,4-Trifluoro-3-(1-(pyridin-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4b):



66 mg (81%); white solid; mp = 77.2–78.5 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.67 (d, J = 1.6 Hz, 1H), 7.95 (td, J = 7.6, 2.0 Hz, 1H), 7.84 (d, J = 8.0 Hz, 2H), 7.69 (d, J = 8.0 Hz, 1H), 7.58 (d, J = 7.6 Hz, 1H), 7.38–7.34 (m, 2H), 7.25 (d, J = 8.0 Hz, 2H), 7.18 (t, J = 7.2 Hz, 1H), 7.13 (t, J = 7.2 Hz, 1H), 6.72 (s, 1H), 4.91–4.81 (m, 1H), 3.86 (dd, J = 18.0, 9.2 Hz, 1H), 3.69 (dd, J = 18.0, 4.8 Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.7, 150.9, 149.8, 144.5, 138.6, 137.3, 133.8, 133.7, 129.4, 128.2, 127.7, 126.3 (q, $J_{\text{C-F}}$ = 278.0 Hz), 122.9, 122.5, 121.8, 121.0, 120.6, 110.8, 103.8, 38.8, 36.8 (q, $J_{\text{C-F}}$ = 28.5 Hz), 21.7; IR (KBr) ν 3055, 2926, 1683, 1586, 1465, 1346, 1318, 1260, 1161, 1104, 1025, 991, 762, 746, 678 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{24}\text{H}_{20}\text{F}_3\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 409.1522, found 409.1540.

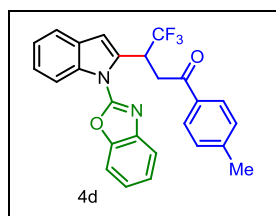
3-(1-(Benzo[d]thiazol-2-yl)-1H-indol-2-yl)-4,4,4-trifluoro-1-(p-tolyl)butan-1-one (4c):

77 mg (83%); light yellow solid; mp = 119.6–121.4 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, J = 8.0 Hz, 1H), 7.88 (dd, J = 17.2, 8.0 Hz, 2H), 7.82 (d, J = 8.0 Hz, 2H), 7.57 (d, J = 7.6 Hz, 1H), 7.53 (td, J = 8.0, 1.2 Hz, 1H), 7.44 (td, J = 8.0, 1.2 Hz, 1H), 7.28 (td, J = 8.0, 1.2 Hz, 1H), 7.22



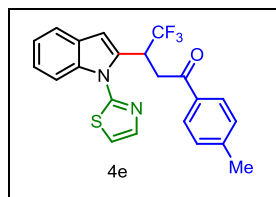
(d, $J = 8.0$ Hz, 3H), 6.78 (s, 1H), 5.44–5.34 (m, 1H), 3.84 (dd, $J = 17.6$, 9.6 Hz, 1H), 3.66 (dd, $J = 17.6$, 4.4 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.3, 157.1, 150.2, 144.5, 137.4, 134.9, 134.4, 133.6, 129.4, 128.2, 126.5, 126.3 (q, $J_{\text{C-F}} = 278.1$ Hz), 125.5, 123.9, 123.5, 122.4, 121.5, 120.9, 111.6, 106.3, 39.0, 37.0 (q, $J_{\text{C-F}} = 28.5$ Hz), 21.7; IR (KBr) ν 3055, 2942, 1687, 1518, 1432, 1335, 1264, 1209, 1182, 1155, 1111, 975, 949, 814, 752 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{26}\text{H}_{20}\text{F}_3\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$ 465.1243, found 465.1558.

3-(1-(Benzo[d]oxazol-2-yl)-1H-indol-2-yl)-4,4,4-trifluoro-1-(p-tolyl)butan-1-one (4d):



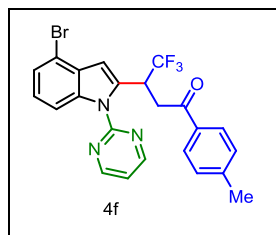
63 mg (70%); light yellow solid; mp = 113.4–113.9 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.27 (d, $J = 8.4$ Hz, 1H), 7.82 (d, $J = 8.4$ Hz, 2H), 7.61–7.59 (m, 1H), 7.54 (d, $J = 7.6$ Hz, 1H), 7.50 (d, $J = 8.0$ Hz, 1H), 7.40–7.33 (m, 3H), 7.24–7.21 (m, 3H), 6.78 (s, 1H), 6.00–5.90 (m, 1H), 3.84 (dd, $J = 17.6$, 10.0 Hz, 1H), 3.69 (dd, $J = 18.0$, 4.0 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.4, 154.4, 148.6, 140.7, 136.5, 134.2, 133.6, 129.4, 128.3, 128.2, 126.4 (q, $J_{\text{C-F}} = 278.0$ Hz), 124.9, 124.7, 124.3, 123.0, 120.8, 119.6, 114.1, 110.2, 108.4, 38.9, 37.2 (q, $J_{\text{C-F}} = 28.6$ Hz), 21.6; IR (KBr) ν 3033, 2923, 1682, 1624, 1570, 1457, 1409, 1311, 1239, 1180, 1107, 1041, 978, 807, 748, 682 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{26}\text{H}_{20}\text{F}_3\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 449.1472, found 449.1484.

4,4,4-Trifluoro-3-(1-(thiazol-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4e):



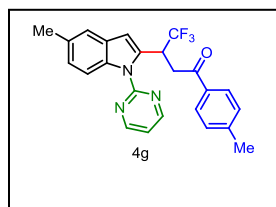
60 mg (72%); white sticky solid; ^1H NMR (400 MHz, CDCl_3) δ 7.84 (d, $J = 3.6$ Hz, 1H), 7.82 (d, $J = 8.4$ Hz, 2H), 7.61 (d, $J = 8.4$ Hz, 1H), 7.55 (d, $J = 8.0$ Hz, 1H), 7.45 (d, $J = 3.6$ Hz, 1H), 7.25–7.22 (m, 3H), 7.18 (t, $J = 8.0$ Hz, 1H), 6.72 (s, 1H), 5.13–5.03 (m, 1H), 3.83 (dd, $J = 17.6$, 9.6 Hz, 1H), 3.60 (dd, $J = 17.6$, 4.0 Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.3, 157.8, 144.5, 140.8, 137.8, 134.8, 133.6, 129.4, 128.2, 127.9, 126.3 (q, $J_{\text{C-F}} = 279.0$ Hz), 123.6, 121.9, 120.7, 119.3, 111.1, 105.1, 38.8, 36.7 (q, $J_{\text{C-F}} = 28.8$ Hz), 21.6; IR (KBr) ν 3058, 2924, 2855, 1684, 1608, 1456, 1310, 1259, 1221, 1160, 1105, 986, 938, 809, 742, 684 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{18}\text{F}_3\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$ 415.1087, found 415.1105.

3-(4-Bromo-1-(pyrimidin-2-yl)-1H-indol-2-yl)-4,4,4-trifluoro-1-(p-tolyl)butan-1-one (4f):



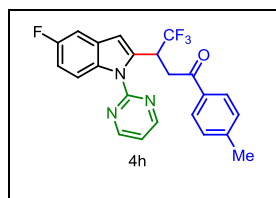
88 mg (90%); white solid; mp = 141.8–142.6 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.84 (t, $J = 4.4$ Hz, 2H), 8.20–8.17 (m, 1H), 7.85–7.84 (m, 2H), 7.37–7.34 (m, 1H), 7.25–7.23 (m, 3H), 7.15–7.10 (m, 1H), 6.84 (d, $J = 2.8$ Hz, 1H), 5.96–5.88 (m, 1H), 3.85–3.68 (m, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.7, 158.4, 157.8, 144.5, 137.2, 135.4, 133.7, 129.4, 129.0, 128.2, 126.6 (q, $J_{\text{C-F}} = 278.1$ Hz), 124.9, 124.6, 118.1, 114.2, 113.4, 106.9, 39.2, 37.3 (q, $J_{\text{C-F}} = 28.2$ Hz), 21.7; IR (KBr) ν 3077, 3053, 2955, 2917, 1675, 1607, 1569, 1436, 1332, 1264, 1181, 1156, 1115, 994, 816, 765, 728 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{BrF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 488.0580, found 488.0607.

4,4,4-Trifluoro-3-(5-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4g):



76 mg (90%); white solid; mp = 106.5–108.4 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.77 (d, $J = 4.8$ Hz, 2H), 8.17 (d, $J = 8.8$ Hz, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.31 (s, 1H), 7.24 (d, $J = 8.0$ Hz, 2H), 7.14 (t, $J = 4.8$ Hz, 1H), 7.09 (dd, $J = 8.4, 1.2$ Hz, 1H), 6.70 (s, 1H), 6.03–5.93 (m, 1H), 3.80 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.63 (dd, $J = 17.6, 4.8$ Hz, 1H), 2.41 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.9, 158.2, 158.1, 144.3, 135.2, 134.4, 133.9, 131.5, 129.3, 128.6, 128.2, 126.8 (q, $J_{\text{C-F}} = 278.4$ Hz), 125.2, 120.1, 117.3, 114.1, 107.1, 39.1, 37.4 (q, $J_{\text{C-F}} = 28.0$ Hz), 21.6, 21.3; IR (KBr) ν 2990, 2921, 2853, 1681, 1573, 1479, 1459, 1424, 1322, 1259, 1241, 1195, 1104, 810, 684 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{24}\text{H}_{21}\text{F}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 424.1631, found 424.1642.

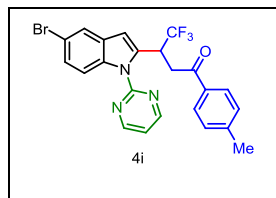
4,4,4-Trifluoro-3-(5-fluoro-1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4h):



85 mg (96%); white solid; mp = 96.0–96.3 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.8$ Hz, 2H), 8.23 (dd, $J = 9.2, 4.8$ Hz, 1H), 7.84 (d, $J = 8.0$ Hz, 2H), 7.24 (d, $J = 8.0$ Hz, 2H), 7.20–7.16 (m, 2H), 7.00 (td, $J = 9.2, 2.0$ Hz, 1H), 6.73 (s, 1H), 6.03–5.93 (m, 1H), 3.80 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.65 (dd, $J = 17.6, 4.8$ Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.7, 158.9, (d, $J_{\text{C-F}} = 236.5$ Hz), 158.3, 158.0, 144.4, 136.1, 133.7, 133.3, 129.4, 129.0 (d, $J_{\text{C-F}} = 10.2$ Hz), 128.2, 126.7 (q, $J_{\text{C-F}} = 278.3$ Hz), 117.9, 115.5 (d, $J_{\text{C-F}} = 9.1$

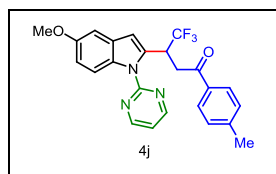
Hz), 111.7 (d, $J_{C-F} = 25.0$ Hz), 107.0, 105.4 (d, $J_{C-F} = 23.4$ Hz), 39.1, 37.4 (q, $J_{C-F} = 28.0$ Hz), 21.6; IR (KBr) ν 3078, 2960, 2927, 1677, 1604, 1571, 1474, 1452, 1426, 1338, 1287, 1263, 1210, 1184, 1157, 1116, 994, 855, 793 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{F}_4\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 428.1381, found 428.1389.

3-(5-Bromo-1-(pyrimidin-2-yl)-1H-indol-2-yl)-4,4,4-trifluoro-1-(p-tolyl)butan-1-one (4i):



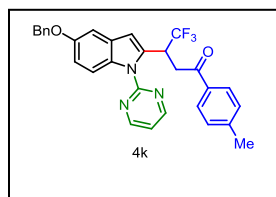
95 mg (97%); white solid; mp = 88.4–89.2 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.81 (d, $J = 4.8$ Hz, 2H), 8.15 (d, $J = 8.8$ Hz, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.65 (d, $J = 2.0$ Hz, 1H), 7.34 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.24 (d, $J = 7.2$ Hz, 2H), 7.21 (t, $J = 4.8$ Hz, 1H), 6.70 (s, 1H), 6.01–5.91 (m, 1H), 3.80 (dd, $J = 18.0, 8.8$ Hz, 1H), 3.64 (dd, $J = 18.0, 4.8$ Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.7, 158.4, 157.8, 144.5, 135.8, 135.6, 133.7, 130.1, 129.4, 128.2, 126.6 (q, $J_{C-F} = 278.3$ Hz), 126.5, 122.9, 117.9, 116.0, 115.2, 106.4, 39.1, 37.3 (q, $J_{C-F} = 28.1$ Hz), 21.7; IR (KBr) ν 2994, 2954, 2922, 1681, 1605, 1568, 1424, 1318, 1258, 1156, 1104, 869, 806, 680 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{BrF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 488.0580, found 488.0586.

4,4,4-Trifluoro-3-(5-methoxy-1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4j):



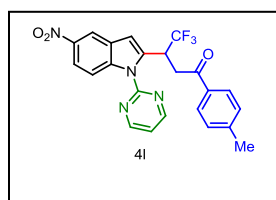
80 mg (91%); white solid; mp = 95.9–96.2 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.76 (d, $J = 4.8$ Hz, 2H), 8.22 (d, $J = 8.8$ Hz, 1H), 7.84 (d, $J = 7.6$ Hz, 2H), 7.24 (d, $J = 7.6$ Hz, 2H), 7.14 (t, $J = 4.8$ Hz, 1H), 6.99 (d, $J = 2.4$ Hz, 1H), 6.91 (dd, $J = 8.8, 2.4$ Hz, 1H), 6.71 (s, 1H), 6.06–5.96 (m, 1H), 3.82 (s, 3H), 3.80 (dd, $J = 17.6, 8.4$ Hz, 1H), 3.64 (dd, $J = 17.6, 4.8$ Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.8, 158.2, 158.1, 155.5, 144.3, 135.0, 133.8, 131.8, 129.3, 129.1, 128.2, 126.7 (q, $J_{C-F} = 278.5$ Hz), 117.3, 115.5, 113.2, 107.2, 102.3, 55.7, 39.1, 37.4 (q, $J_{C-F} = 28.0$ Hz), 21.6; IR (KBr) ν 3043, 2932, 2835, 1692, 1612, 1568, 1476, 1428, 1337, 1262, 1212, 1152, 1104, 1033, 982, 854, 805 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{24}\text{H}_{21}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 440.1581, found 440.1590.

3-(5-(Benzyloxy)-1-(pyrimidin-2-yl)-1*H*-indol-2-yl)-4,4,4-trifluoro-1-(*p*-tolyl)butan-1-one (4k):



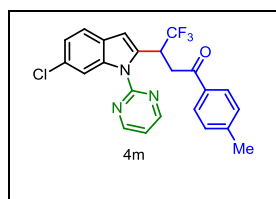
95 mg (92%); white solid; mp = 150.8–151.4 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.75 (d, *J* = 4.8 Hz, 2H), 8.22 (d, *J* = 9.2 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 2H), 7.44 (d, *J* = 7.2 Hz, 2H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.30 (t, *J* = 7.2 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 2H), 7.13 (t, *J* = 4.8 Hz, 1H), 7.06 (d, *J* = 2.4 Hz, 1H), 6.99 (dd, *J* = 9.2, 2.4 Hz, 1H), 6.69 (s, 1H), 6.05–5.95 (m, 1H), 5.09 (s, 2H), 3.79 (dd, *J* = 17.6, 8.4 Hz, 1H), 3.63 (dd, *J* = 17.6, 4.8 Hz, 1H), 2.38 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 194.8, 158.2, 158.0, 154.6, 144.3, 137.4, 135.0, 133.8, 132.0, 129.3, 129.1, 128.5, 128.2, 127.8, 127.4, 126.7 (q, *J*_{C-F} = 278.6 Hz), 117.3, 115.5, 113.9, 107.2, 103.9, 70.6, 39.1, 37.4 (q, *J*_{C-F} = 27.9 Hz), 21.6; IR (KBr) ν 3032, 2991, 2919, 2871, 1686, 1612, 1570, 1475, 1430, 1327, 1302, 1265, 1186, 1152, 1096, 1014, 807, 748, 703 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₃₀H₂₅F₃N₃O₂ [M+H]⁺ 516.1894, found 516.1907.

4,4,4-Trifluoro-3-(5-nitro-1-(pyrimidin-2-yl)-1*H*-indol-2-yl)-1-(*p*-tolyl)butan-1-one (4l):



87 mg (96%); white solid; mp = 153.7–154.7 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.90 (d, *J* = 4.8 Hz, 2H), 8.46 (d, *J* = 2.0 Hz, 1H), 8.28 (d, *J* = 9.2 Hz, 1H), 8.14 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.34 (t, *J* = 4.8 Hz, 1H), 7.25 (d, *J* = 8.0 Hz, 2H), 6.90 (s, 1H), 5.96–5.86 (m, 1H), 3.84 (dd, *J* = 18.0, 9.2 Hz, 1H), 3.68 (dd, *J* = 17.6, 4.4 Hz, 1H), 2.40 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 194.5, 158.6, 157.4, 144.7, 143.1, 139.7, 138.0, 133.5, 129.4, 128.2, 127.8, 126.4 (q, *J*_{C-F} = 278.0 Hz), 118.9, 118.7, 117.0, 114.5, 107.8, 39.0, 37.3 (q, *J*_{C-F} = 28.2 Hz), 21.6; IR (KBr) ν 3076, 2923, 1680, 1608, 1569, 1516, 1455, 1422, 1340, 1263, 1161, 1116, 1078, 992, 811, 751, 682 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₈F₃N₄O₃ [M+H]⁺ 455.1326, found 455.1334.

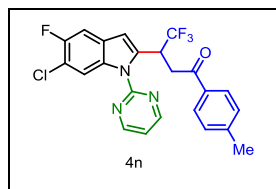
3-(6-Chloro-1-(pyrimidin-2-yl)-1*H*-indol-2-yl)-4,4,4-trifluoro-1-(*p*-tolyl)butan-1-one (4m):



80 mg (90%); Light yellow solid; mp = 149.3–149.5 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.82 (d, *J* = 4.8 Hz, 2H), 8.32 (d, *J* = 1.6 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.25–7.20 (m, 3H), 7.16 (dd, *J* = 8.0, 1.6 Hz, 1H), 6.74 (s, 1H), 6.00–5.90 (m, 1H), 3.79 (dd, *J* = 18.0, 8.8

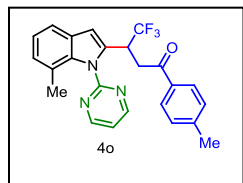
Hz, 1H), 3.64 (dd, $J = 18.0, 4.8$ Hz, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.7, 158.4, 157.8, 144.5, 137.2, 135.3, 133.7, 129.7, 129.4, 128.2, 126.9, 126.6 (q, $J_{\text{C-F}} = 278.4$ Hz), 122.7, 121.1, 117.8, 114.6, 107.0, 39.1, 37.4 (q, $J_{\text{C-F}} = 28.1$ Hz), 21.6; IR (KBr) ν 3097, 3053, 2942, 1673, 1605, 1569, 1465, 1425, 1325, 1256, 1183, 1152, 1113, 993, 959, 933, 820, 718 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{ClF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 444.1085, found 444.1093.

3-(6-Chloro-5-fluoro-1-(pyrimidin-2-yl)-1H-indol-2-yl)-4,4,4-trifluoro-1-(p-tolyl)butan-1-one (4n):



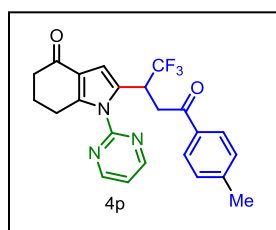
87 mg (96%); white solid; mp = 151.7–152.4 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.83 (d, $J = 4.8$ Hz, 2H), 8.41 (d, $J = 6.4$ Hz, 1H), 7.83 (d, $J = 8.4$ Hz, 2H), 7.26 (t, $J = 2.0$ Hz, 2H), 7.24 (s, 2H), 6.70 (s, 1H), 6.04–5.94 (m, 1H), 3.79 (dd, $J = 17.6, 8.8$ Hz, 1H), 3.65 (dd, $J = 17.6, 4.4$ Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.6, 158.4, 157.7, 154.1 (d, $J_{\text{C-F}} = 239.4$ Hz), 144.6, 136.6, 133.6, 133.0, 129.4, 128.2, 127.4 (d, $J_{\text{C-F}} = 9.1$ Hz), 126.5 (q, $J_{\text{C-F}} = 278.4$ Hz), 117.9, 117.2 (d, $J_{\text{C-F}} = 10.1$ Hz), 116.3, 106.8, 106.4 (d, $J_{\text{C-F}} = 23.3$ Hz), 39.1, 37.5 (q, $J_{\text{C-F}} = 28.3$ Hz), 21.7; IR (KBr) ν 3134, 3002, 2920, 1681, 1606, 1574, 1454, 1417, 1318, 1267, 1180, 1097, 991, 872, 810, 680 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{17}\text{ClF}_4\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 462.0991, found 462.1000.

4,4,4-trifluoro-3-(7-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-1-(p-tolyl)butan-1-one (4o):



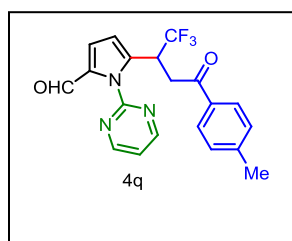
75 mg (89%); light orange sticky solid; ^1H NMR (500 MHz, CDCl_3) δ 8.91 (d, $J = 4.5$ Hz, 2H), 7.78 (d, $J = 7.5$ Hz, 2H), 7.42 (d, $J = 7.5$ Hz, 1H), 7.34 (s, 1H), 7.22 (d, $J = 7.5$ Hz, 2H), 7.08 (t, $J = 7.5$ Hz, 1H), 7.00 (d, $J = 7.0$ Hz, 1H), 6.72 (s, 1H), 4.96–4.88 (m, 1H), 3.77 (dd, $J = 18.0, 8.5$ Hz, 1H), 3.56 (dd, $J = 17.5, 3.5$ Hz, 1H), 2.38 (s, 3H), 1.97 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 194.4, 158.5, 158.2, 144.4, 136.7, 135.1, 133.6, 129.3, 129.0, 128.2, 126.4 (q, $J_{\text{C-F}} = 278.0$ Hz), 126.2, 122.5, 121.8, 119.3, 118.5, 105.2, 39.2, 36.5 (q, $J_{\text{C-F}} = 28.5$ Hz), 21.6, 20.3; IR (KBr) ν 3045, 2960, 2926, 2861, 1686, 1607, 1565, 1420, 1346, 1300, 1264, 1155, 1108, 988, 850, 745, 702 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{24}\text{H}_{21}\text{F}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 424.1631, found 424.1644.

1-(Pyrimidin-2-yl)-2-(1,1,1-trifluoro-4-oxo-4-(*p*-tolyl)butan-2-yl)-1,5,6,7-tetrahydro-4*H*-indol-4-one (4p):



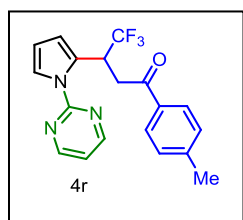
82 mg (96%); white solid; mp = 119.0–121.3 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.88 (d, J = 4.8 Hz, 2H), 8.82 (d, J = 8.4 Hz, 2H), 7.36 (t, J = 4.8 Hz, 1H), 7.25 (d, J = 8.0 Hz, 2H), 6.73 (s, 1H), 5.41–5.31 (m, 1H), 3.75 (dd, J = 17.6, 8.8 Hz, 1H), 3.49 (dd, J = 18.0, 4.0 Hz, 1H), 3.12–3.05 (m, 1H), 2.94–2.87 (m, 1H), 2.51–2.47 (m, 2H), 2.40 (s, 3H), 2.16–2.03 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.9, 194.8, 158.6, 156.8, 146.2, 145.5, 133.5, 129.3, 129.3, 128.2, 126.4 (q, $J_{\text{C-F}}$ = 278.0 Hz), 121.6, 119.3, 107.3, 38.5, 37.6, 36.3 (q, $J_{\text{C-F}}$ = 28.3 Hz), 24.5, 23.7, 21.6; IR (KBr) ν 3052, 2949, 2925, 2874, 1679, 1635, 1570, 1467, 1422, 1290, 1263, 1154, 1115, 992, 943, 831, 706 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 428.1581, found 428.1594.

1-(Pyrimidin-2-yl)-5-(1,1,1-trifluoro-4-oxo-4-(*p*-tolyl)butan-2-yl)-1*H*-pyrrole-2-carbaldehyde (4q):



30 mg (39%); white solid; mp = 108.9–110.6 °C; ^1H NMR (400 MHz, CDCl_3) δ 9.67 (s, 1H), 8.87 (d, J = 4.8 Hz, 2H), 7.79 (d, J = 8.0 Hz, 2H), 7.40 (t, J = 4.8 Hz, 1H), 7.24 (d, J = 8.0 Hz, 2H), 7.10 (d, J = 4.0 Hz, 1H), 6.46 (d, J = 4.0 Hz, 1H), 5.07–4.97 (m, 1H), 3.67 (dd, J = 18.0, 8.0 Hz, 1H), 3.56 (dd, J = 17.6, 4.4 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.2, 179.5, 158.1, 156.5, 144.6, 135.8, 134.4, 133.4, 129.4, 128.2, 126.1 (q, $J_{\text{C-F}}$ = 277.8 Hz), 121.3, 120.0, 110.8, 38.8, 36.4 (q, $J_{\text{C-F}}$ = 28.7 Hz), 21.6; IR (KBr) ν 2923, 2854, 1664, 1605, 1573, 1480, 1441, 1416, 1304, 1247, 1220, 1161, 1117, 1039, 826, 726 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{20}\text{H}_{17}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 388.1268, found 388.1283.

4,4,4-Trifluoro-3-(1-(pyrimidin-2-yl)-1*H*-pyrrol-2-yl)-1-(*p*-tolyl)butan-1-one (4r):

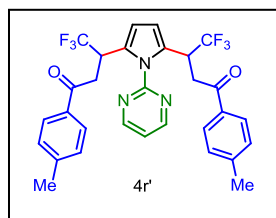


42 mg (58%); light orange solid; mp = 96.0–96.6 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.65 (d, J = 4.0 Hz, 2H), 7.84 (d, J = 8.0 Hz, 2H), 7.82–7.79 (m, 1H), 7.24 (d, J = 8.0 Hz, 2H), 7.11–7.07 (m, 1H), 6.37 (s, 1H), 6.30–6.24 (m, 1H), 6.23–6.21 (m, 1H), 3.75–3.67 (m, 1H), 3.57–3.51 (m, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 195.3, 158.1, 157.7, 144.2, 134.0,

129.3, 128.2, 127.8, 126.9 (q, $J_{C-F} = 278.0$ Hz), 122.6, 117.5, 113.0, 109.9, 38.8, 37.0 (q, $J_{C-F} = 28.0$ Hz), 21.6; IR (KBr) ν 3057, 2994, 1677, 1608, 1577, 1479, 1435, 1349, 1303, 1249, 1184, 1150, 1102, 944, 882, 813, 730 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{19}\text{H}_{17}\text{F}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 360.1318, found 360.1331.

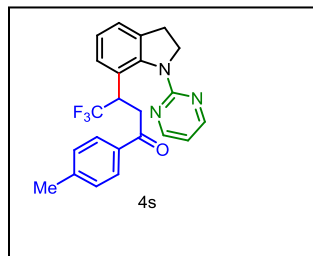
3,3'-(1-(Pyrimidin-2-yl)-1*H*-pyrrole-2,5-diyl)bis(4,4,4-trifluoro-1-(*p*-tolyl)butan-1-one)

(4r²):



104 mg (90%); white solid; mp = 124.3–125.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.79 (d, $J = 4.0$ Hz, 2H), 7.86 (d, $J = 8.0$ Hz, 4H), 7.28–7.74 (m, 5H), 6.34 (s, 2H), 5.23–5.13 (m, 2H), 3.64 (dd, $J = 17.6, 6.4$ Hz, 2H), 3.57 (dd, $J = 17.6, 6.0$ Hz, 2H), 2.40 (s, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ 194.8, 158.7, 157.1, 144.2, 133.9, 129.3, 128.7, 128.2, 126.5 (q, $J_{C-F} = 278.1$ Hz), 119.0, 110.0, 38.8, 36.5 (q, $J_{C-F} = 28.1$ Hz), 21.6; IR (KBr) ν 3038, 2963, 2922, 2374, 1691, 1608, 1566, 1434, 1319, 1255, 1157, 1112, 977, 820, 734 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{30}\text{H}_{26}\text{F}_6\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 574.1924, found 574.1945.

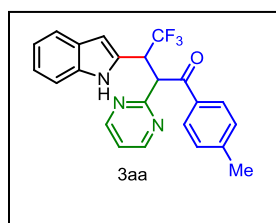
4,4,4-trifluoro-3-(1-(pyrimidin-2-yl)indolin-7-yl)-1-(*p*-tolyl)butan-1-one (4s):



25 mg (30%); white solid; mp = 110.4–112.8 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.23 (d, $J = 4.8$ Hz, 2H), 7.86 (d, $J = 8.4$ Hz, 2H), 7.36 (d, $J = 8.0$ Hz, 1H), 7.27–7.25 (m, 3H), 7.13 (t, $J = 7.6$ Hz, 1H), 6.66 (t, $J = 4.8$ Hz, 1H), 4.70–4.61 (m, 2H), 4.28–4.20 (m, 1H), 3.86 (dd, $J = 17.2, 8.4$ Hz, 1H), 3.47 (dd, $J = 17.2, 4.0$ Hz, 1H), 3.17–3.08 (m, 1H), 2.96–2.89 (m, 1H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 195.1, 161.1, 157.8, 144.0, 143.5, 135.9, 134.2, 129.3, 128.1, 127.1 (q, $J_{C-F} = 279.1$ Hz), 128.8, 126.6, 124.7, 124.5, 112.6, 52.8, 40.6 (q, $J_{C-F} = 26.6$ Hz), 38.9, 29.8, 21.6; IR (KBr) ν 3034, 2923, 2854, 1686, 1607, 1578, 1552, 1423, 1363, 1256, 1220, 1154, 1104, 1054, 969, 804, 753 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{F}_3\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$ 412.1631, found 412.1653.

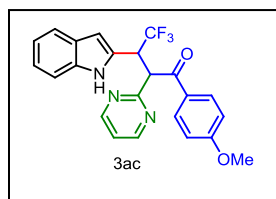
Typical procedure for migratory product (3aa, 3ac, 3ad, 3am, 3an, 3ia, 3ma, 3oa): To an oven-dried sealed tube with 1-(pyrimidin-2-yl)-1*H*-indole (**1a**) (39 mg, 0.2 mmol, 100 mol %), (*E*)-4,4,4-trifluoro-1-(*p*-tolyl)but-2-en-1-one (**2a**) (42.8 mg, 0.2 mmol, 100 mol %), [RhCp*Cl₂]₂ (3.1 mg, 0.005 mmol, 2.5 mol %) and AgSbF₆ (6.9 mg, 0.02 mmol, 10 mol %) in THF (1 mL) was added AgOAc (16.6 mg, 0.01 mmol, 50 mol %). The reaction mixture was allowed to stir at 70 °C for 20 h. After cooling at room temperature, the reaction mixture was evaporated and the residue was purified by flash column chromatography (SiO₂: *n*-hexanes/EtOAc = 4:1) provided **3a** (46 mg) in 56% and **3aa** (34 mg) in 42% yield.

4,4,4-Trifluoro-3-(1*H*-indol-2-yl)-2-(pyrimidin-2-yl)-1-(*p*-tolyl)butan-1-one (3aa):



34 mg (42%); white solid; mp = 216.8–217.5 °C; ¹H NMR (400 MHz, CDCl₃) δ 9.00 (br s, 1H), 8.42 (d, *J* = 4.8 Hz, 2H), 8.15 (d, *J* = 8.0 Hz, 2H), 7.42 (d, *J* = 7.6 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 3H), 7.08 (t, *J* = 7.2 Hz, 1H), 6.99 (d, *J* = 7.2 Hz, 1H), 6.82 (d, *J* = 4.8 Hz, 1H), 6.37 (s, 1H), 5.92 (d, *J* = 9.6 Hz, 1H), 5.37 (quint, *J* = 8.8 Hz, 1H), 2.39 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 193.8, 164.8, 157.2, 145.0, 135.8, 133.2, 129.6, 129.4, 127.8, 126.1 (q, *J*_{C-F} = 279.0 Hz), 122.0, 120.4, 119.6, 119.2, 111.0, 103.8, 55.8, 44.7 (q, *J*_{C-F} = 27.6 Hz), 21.7; IR (KBr) ν 3359, 3062, 2949, 1673, 1603, 1567, 1435, 1414, 1367, 1305, 1250, 1189, 1162, 1104, 977, 797, 724 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₉F₃N₃O [M+H]⁺ 410.1475, found 410.1480.

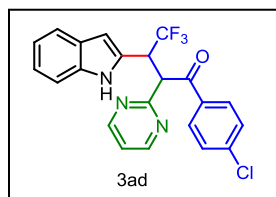
4,4,4-Trifluoro-3-(1*H*-indol-2-yl)-1-(4-methoxyphenyl)-2-(pyrimidin-2-yl)butan-1-one (3ac):



28 mg (33%); light yellow solid; mp = 226.1–227.4 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.63 (br s, 1H), 8.43 (d, *J* = 4.5 Hz, 2H), 8.19 (d, *J* = 9.0 Hz, 2H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.27 (d, *J* = 9.0 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 6.99 (t, *J* = 8.0 Hz, 1H), 6.94 (d, *J* = 9.0 Hz, 2H), 6.86 (t, *J* = 5.0 Hz, 1H), 6.32 (s, 1H), 5.83 (d, *J* = 9.5 Hz, 1H), 5.27 (quint, *J* = 9.0 Hz, 1H), 3.86 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 191.3, 165.1, 164.1, 157.2, 135.8, 131.7, 129.4, 128.7, 127.8, 126.1 (q, *J*_{C-F} = 279 Hz), 122.1, 120.4, 119.7, 119.2, 114.0, 110.8, 104.1, 55.7, 55.5, 44.6 (q, *J*_{C-F} = 27.5 Hz); IR (KBr) ν 3348, 3061, 2924, 2848, 1667, 1597, 1567, 1513, 1457, 1414, 1350, 1330, 1254, 1158, 1096, 1026, 978, 827, 794, 727 cm⁻¹; HRMS (Q-

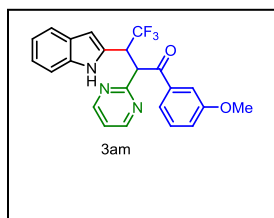
TOF, ESI) calcd for C₂₃H₁₉F₃N₃O₂ [M+H]⁺ 426.1424, found 426.1433.

1-(4-Chlorophenyl)-4,4,4-trifluoro-3-(1H-indol-2-yl)-2-(pyrimidin-2-yl)butan-1-one (3ad):



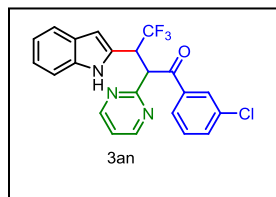
15 mg (17%); white orange solid; mp = 201.3–202.8 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.64 (br s, 1H), 8.44 (d, *J* = 4.8 Hz, 2H), 8.16 (d, *J* = 8.8 Hz, 2H), 7.45 (d, *J* = 8.4 Hz, 2H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.26 (d, *J* = 7.6 Hz, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.89 (t, *J* = 4.8 Hz, 1H), 6.33 (s, 1H), 5.83 (d, *J* = 9.6 Hz, 1H), 5.27 (quint, *J* = 8.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 192.9, 164.5, 157.3, 140.5, 135.8, 134.0, 130.6, 129.2, 129.0, 127.7, 126.0 (q, *J*_{C-F} = 278.9 Hz), 122.2, 120.5, 119.7, 119.4, 110.8, 104.2, 56.0, 44.6 (q, *J*_{C-F} = 27.7 Hz); IR (KBr) ν 3370, 2957, 2919, 2870, 1680, 1568, 1457, 1379, 1251, 1156, 980 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₂H₁₆ClF₃N₃O [M+H]⁺ 430.0929, found 430.0931.

4,4,4-Ttrifluoro-3-(1H-indol-2-yl)-1-(3-methoxyphenyl)-2-(pyrimidin-2-yl)butan-1-one (3am):



17 mg (20%); light yellow solid; mp = 178.3–181.1 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.62 (br s, 1H), 8.44 (d, *J* = 5.0 Hz, 2H), 7.81 (d, *J* = 7.5 Hz, 1H), 7.68 (t, *J* = 2.0 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.37 (d, *J* = 8.0 Hz, 1H), 7.27 (d, *J* = 8.5 Hz, 1H), 7.12–7.08 (m, 2H), 6.99 (t, *J* = 7.0 Hz, 1H), 6.87 (t, *J* = 5.0 Hz, 1H), 6.32 (s, 1H), 5.85 (d, *J* = 9.5 Hz, 1H), 5.26 (quint, *J* = 9.0 Hz, 1H), 3.84 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 193.7, 164.8, 160.0, 157.2, 137.1, 135.8, 129.8, 129.1, 127.8, 126.0 (q, *J*_{C-F} = 279.2 Hz), 122.1, 121.9, 120.7, 120.5, 119.7, 119.3, 113.1, 110.8, 104.3, 56.1, 55.5, 44.6 (q, *J*_{C-F} = 27.6 Hz); IR (KBr) ν 3362, 3055, 3014, 2925, 1854, 1677, 1598, 1566, 1458, 1413, 1363, 1309, 1262, 1160, 1102, 1042, 1012, 866, 796, 722, 680 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₉F₃N₃O₂ [M+H]⁺ 426.1424, found 426.1432.

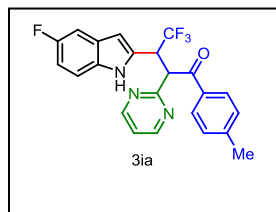
1-(3-Chlorophenyl)-4,4,4-trifluoro-3-(1H-indol-2-yl)-2-(pyrimidin-2-yl)butan-1-one (3an):



10 mg (12%); white solid; mp = 218.8–220.5 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.45 (d, *J* = 5.0 Hz, 2H), 8.39 (br s, 1H), 8.15 (t, *J* = 2.0 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.55–7.53 (m, 1H), 7.43–7.40 (m, 2H), 7.27 (d, *J* = 11.0 Hz, 1H), 7.13–7.09 (m, 1H), 7.02–6.99 (m, 1H), 6.90 (t, *J* =

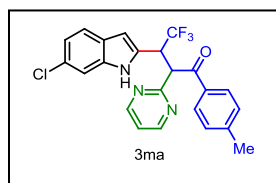
5.0 Hz, 1H), 6.31 (s, 1H), 5.78 (d, $J = 9.5$ Hz, 1H), 5.20 (quint, $J = 9.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 192.7, 164.4, 157.3, 137.3, 135.2, 133.7, 130.1, 129.2, 127.7, 127.2, 126.1 (q, $J_{\text{C-F}} = 278.1$ Hz), 122.3, 120.5, 119.8, 119.4, 119.4, 110.8, 104.5, 102.0, 56.1, 44.6 (q, $J_{\text{C-F}} = 28.2$ Hz); IR (KBr) ν 3308, 3216, 2961, 2924, 2853, 1694, 1568, 1416, 1416, 1372, 1254, 1160, 1106, 815, 746, 715 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{ClF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 430.0929, found 430.0936.

4,4,4-Trifluoro-3-(5-fluoro-1*H*-indol-2-yl)-2-(pyrimidin-2-yl)-1-(*p*-tolyl)butan-1-one (3ia):



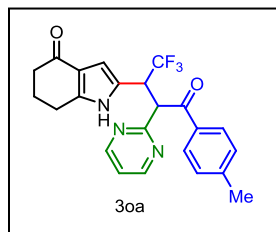
22 mg (26%); light yellow solid; mp = 223.5–225.1 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.96 (br s, 1H), 8.45 (d, $J = 4.8$ Hz, 2H), 8.11 (d, $J = 8.4$ Hz, 2H), 7.28 (d, $J = 8.0$ Hz, 2H), 7.18 (dd, $J = 8.8, 4.4$ Hz, 1H), 7.05 (dd, $J = 9.6, 2.4$ Hz, 1H), 6.89 (t, $J = 4.8$ Hz, 1H), 6.87 (td, $J = 9.2, 2.4$ Hz, 1H), 6.29 (s, 1H), 5.87 (d, $J = 9.2$ Hz, 1H), 5.30 (quint, $J = 8.8$ Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.7, 164.8, 157.8 (d, $J_{\text{C-F}} = 232.8$ Hz), 157.2, 141.1, 133.1, 132.3, 131.1, 129.6, 129.4, 128.0 (d, $J_{\text{C-F}} = 10.3$ Hz), 127.7, 126.0 (q, $J_{\text{C-F}} = 279$ Hz), 119.3, 111.5 (d, $J_{\text{C-F}} = 9.7$ Hz), 110.5 (d, $J_{\text{C-F}} = 26.3$ Hz), 105.1 (d, $J_{\text{C-F}} = 23.3$ Hz), 103.9, 55.8, 44.6 (q, $J_{\text{C-F}} = 27.6$ Hz); IR (KBr) ν 3359, 1677, 1603, 1566, 1492, 1452, 1413, 1361, 1305, 1248, 1170, 1104, 979, 857, 818, 785 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{F}_4\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 428.1381, found 428.1389.

3-(6-Chloro-1*H*-indol-2-yl)-4,4,4-trifluoro-2-(pyrimidin-2-yl)-1-(*p*-tolyl)butan-1-one (3ma):



22 mg (25%); white solid; mp = 224.7–227.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.81 (br s, 1H), 8.45 (d, $J = 4.8$ Hz, 2H), 8.09 (d, $J = 8.4$ Hz, 2H), 7.32–7.27 (m, 4H), 6.96 (dd, $J = 8.4, 2.0$ Hz, 1H), 6.90 (t, $J = 4.8$ Hz, 1H), 6.29 (s, 1H), 5.84 (d, $J = 9.2$ Hz, 1H), 5.25 (quint, $J = 8.8$ Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.5, 164.8, 157.3, 145.1, 136.0, 133.1, 130.1, 129.6, 129.4, 127.9, 126.3, 125.9 (q, $J_{\text{C-F}} = 278.9$ Hz), 121.3, 120.5, 119.3, 110.8, 104.0, 55.8, 44.5 (q, $J_{\text{C-F}} = 27.8$ Hz), 21.7; IR (KBr) ν 3452, 3066, 2926, 1679, 1605, 1570, 1412, 1242, 1173, 1122, 976, 923, 810, 725 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{18}\text{ClF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 444.1085, found 444.1108.

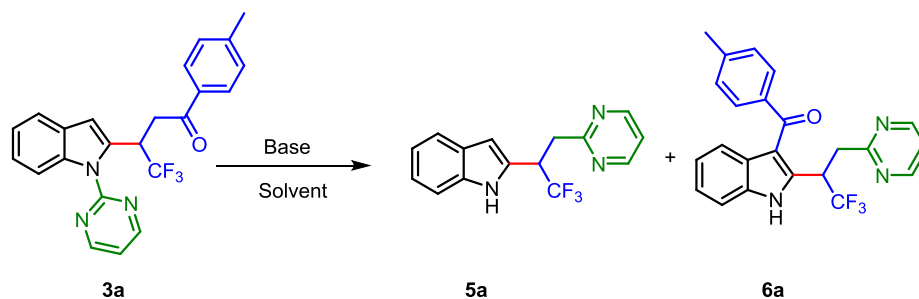
2-(1,1,1-Trifluoro-4-oxo-3-(pyrimidin-2-yl)-4-(*p*-tolyl)butan-2-yl)-1,3a,5,6,7,7a-hexahydro-4*H*-indol-4-one (3oa):



25 mg (29%); white solid; mp = 233.2–235.3 °C; ¹H NMR (400 MHz, CDCl₃) δ 9.71 (br s, 1H), 8.68 (d, *J* = 4.8 Hz, 2H), 7.95 (d, *J* = 8.0 Hz, 2H), 7.19 (d, *J* = 8.4 Hz, 2H), 7.16 (t, *J* = 5.2 Hz, 1H), 6.48 (d, *J* = 2.4 Hz, 1H), 5.79 (d, *J* = 10.0 Hz, 1H), 4.84 (quint, *J* = 8.4 Hz, 1H), 2.78–2.71 (m, 2 H), 2.42–2.39 (m, 2 H), 2.35 (s, 3H), 2.08 (quint, *J* = 6.4 Hz, 2H);

¹³C NMR (100 MHz, CDCl₃) δ 194.5, 194.0, 165.2, 157.7, 145.2, 144.0, 133.1, 129.5, 129.3, 125.8 (q, *J*_{C-F} = 279 Hz), 125.0, 120.7, 119.7, 105.5, 57.0, 45.2 (q, *J*_{C-F} = 27.8 Hz), 37.6, 23.7, 22.6, 21.7; IR (KBr) ν 3451, 2948, 2874, 1675, 1568, 1423, 1263, 1154, 1115, 993, 831, 578 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₂₁F₃N₃O₂ [M+H]⁺ 428.1580, found 428.1601.

Optimization table for 5a and 6a:



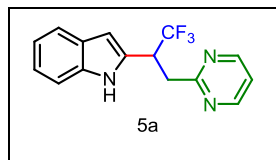
Entry	Base (mol%)	Solvent	Condition	Yield (%) ^b	
				5a	6a
1	K ₂ CO ₃ (100)	DCE	120 °C, 12 h	Not observed	Not observed
2	K ₂ CO ₃ (100)	THF	120 °C, 12 h	Not observed	Not observed
3	K ₂ CO ₃ (100)	TFE	RT, 12 h	Not observed	Not observed
4	BF ₃ .OEt ₂ (100)	TFE	120 °C, 15 h	Trace	Not observed
5	K ₂ CO ₃ (100)	TFE	70 °C, 12 h	Trace	Trace
6	K ₂ CO ₃ (200)	TFE	100 °C, 12 h	55	18
7 ^c	K ₂ CO ₃ (200)	TFE	120 °C, 4 h	66	23
8	KtOBu (200)	TFE	120 °C, 4 h	60	20
9	NaOMe (200)	TFE	120 °C, 4 h	58	19
10	NaOMe (200)	THF	70 °C, 12 h	65	Not observed
11	KtOBu (200)	THF	70 °C, 12 h	68	Not observed
12	NaOMe (100)	DMSO	115 °C, 3 h	trace	Not observed
13	Cs ₂ CO ₃ (200)	TFE	120 °C, 4 h	44	25
14	DBU (200)	TFE	120 °C, 4 h	47	24

^aReaction conditions: **3a** (0.1 mmol). ^bIsolated yield. ^c**3a** (0.24 mmol).

General Procedure for the Synthesis of 5 and 6: To an oven-dried sealed tube with **3a** (100 mg, 0.24 mmol, 100 mol %) in TFE (1 mL) was added K₂CO₃ (49.7 mg, 0.36 mmol, 150 mol %). The reaction mixture was allowed to stir at 120 °C for 4 h. After complete disappearance of **3a** on TLC, the reaction mixture was cooled at room temperature and quenched with water. The compound was extracted with Ethyl acetate and dried over Na₂SO₄. The organic layer was

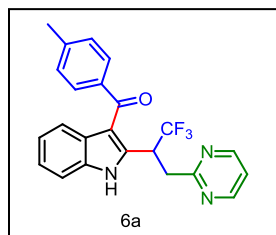
evaporated and the residue was purified by flash column chromatography (SiO₂: *n*-hexanes/EtOAc = 2:1 to 1:1) provided compound **5a** and **6a**.

2-(1,1,1-Trifluoro-3-(pyrimidin-2-yl)propan-2-yl)-1H-indole (5a):



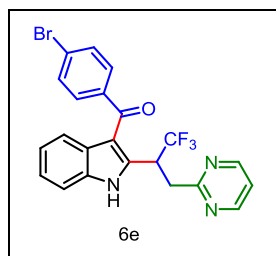
46 mg (66%); white solid; mp = 162.0–162.5 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.83 (br s, 1H), 8.60 (d, *J* = 3.6 Hz, 2H), 7.51 (d, *J* = 7.2 Hz, 1H), 7.23 (s, 1H), 7.14–7.03 (m, 3H), 6.47 (s, 1H), 4.57 (s, 1H), 3.77–3.62 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 166.8, 157.1, 136.2, 131.0, 127.8, 126.3 (q, *J*_{C-F} = 278.6 Hz), 122.2, 120.5, 119.9, 119.2, 110.8, 103.3, 42.4 (q, *J*_{C-F} = 28.4 Hz), 37.7; IR (KBr) ν 3249, 3051, 2941, 1568, 1429, 1260, 1154, 1098, 1007, 933, 887, 789, 742, 684, 640 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₁₅H₁₃F₃N₃ [M+H]⁺ 292.1056, found 292.1057.

***p*-Tolyl(2-(1,1,1-trifluoro-3-(pyrimidin-2-yl)propan-2-yl)-1H-indol-3-yl)methanone (6a):**



23 mg (23%); light yellow solid; mp = 209.5–211.9 °C; ¹H NMR (400 MHz, CDCl₃) δ 10.67 (br s, 1H), 8.53 (d, *J* = 4.8 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.23 (d, *J* = 7.6 Hz, 3H), 7.15–7.08 (m, 3H), 7.00 (t, *J* = 7.6 Hz, 1H), 5.56–5.46 (m, 1H), 3.78 (dd, *J* = 15.2, 4.4 Hz, 1H), 3.69 (dd, *J* = 15.2, 10.8 Hz, 1H), 2.45 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 192.3, 166.2, 157.2, 142.9, 137.4, 136.0, 135.4, 129.7, 128.9, 126.5, 126.3 (q, *J*_{C-F} = 279.2 Hz), 123.1, 121.3, 121.2, 119.4, 117.6, 111.3, 40.0 (q, *J*_{C-F} = 28.3 Hz), 38.0, 21.7; IR (KBr) ν 3657, 3141, 3063, 2961, 2925, 1745, 1635, 1570, 1496, 1451, 1350, 1258, 1225, 1176, 1103, 1040, 910, 828, 749 cm⁻¹; HRMS (Q-TOF, ESI) calcd for C₂₃H₁₉F₃N₃O [M+H]⁺ 410.1475, found 410.1477.

(4-Bromophenyl)(2-(1,1,1-trifluoro-3-(pyrimidin-2-yl)propan-2-yl)-1H-indol-3-yl)methanone (6e):

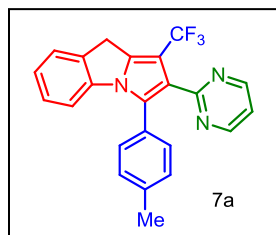


38 mg (38%); white solid; mp = 231.3–233.8 °C; ¹H NMR (400 MHz, CDCl₃) δ 10.50 (br s, 1H), 8.55 (d, *J* = 4.8 Hz, 2H), 7.58 (s, 4H), 7.31 (d, *J* = 8.0 Hz, 1H), 7.18 (t, *J* = 6.8 Hz, 1H), 7.17 (t, *J* = 4.8 Hz, 1H), 7.08–7.01 (m, 2H), 5.54–5.44 (m, 1H), 3.78 (dd, *J* = 15.2, 4.4 Hz, 1H), 3.68 (dd, *J* = 15.2, 10.8 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 191.4, 166.1,

157.2, 138.8, 136.6, 135.3, 131.6, 131.1, 127.2, 126.2, 126.2 (q, $J_{C-F} = 279.1$ Hz), 123.4, 121.6, 121.1, 119.4, 116.8, 111.5, 39.9 (q, $J_{C-F} = 28.4$ Hz), 38.0; IR (KBr) ν 3452, 3064, 2978, 2929, 1633, 1570, 1495, 1450, 1349, 1260, 1219, 1162, 1104, 1010, 908, 840, 747 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{22}\text{H}_{16}\text{BrF}_3\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 474.0423, found 474.0448.

Procedure for the Synthesis of 7a: To an oven-dried sealed tube with **3aa** (41 mg, 0.1 mmol, 100 mol %) in toluene (1 mL) was added *p*-toluenesulfonic acid monohydrate (3.8 mg, 0.02 mmol, 20 mol %). The reaction mixture was allowed to stir at 70 °C for 2 h. After complete disappearance of **3aa** on TLC, the reaction mixture was cooled at room temperature and quenched with water. The compound was extracted with ethyl acetate and dried over Na_2SO_4 . The organic layer was evaporated and the residue was purified by flash column chromatography (SiO_2 : *n*-hexanes/EtOAc = 2:1 to 1:1) provided compound **7a**.

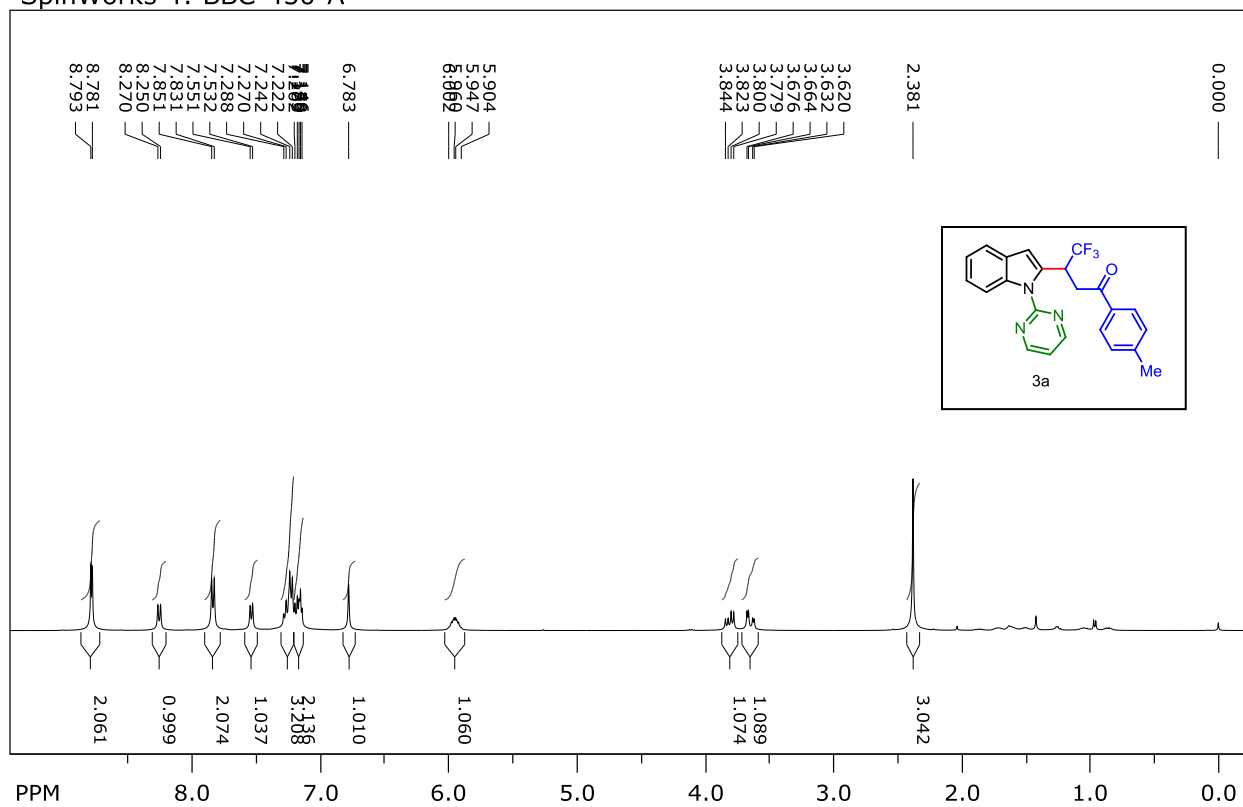
2-(Pyrimidin-2-yl)-3-(*p*-tolyl)-1-(trifluoromethyl)-9*H*-pyrrolo[1,2-*a*]indole (7a):



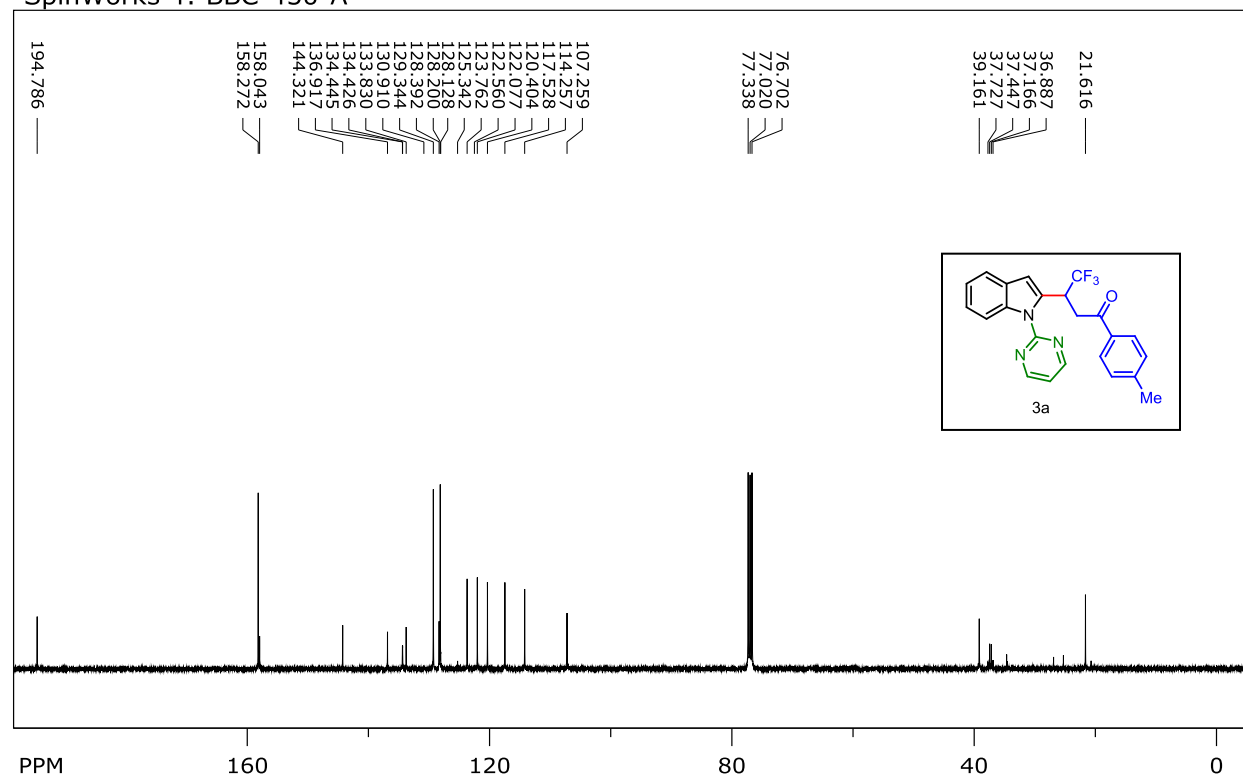
31 mg (79%); light yellow solid; mp = 147.7–148.0 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.62 (d, $J = 5.0$ Hz, 2H), 7.45 (d, $J = 7.5$ Hz, 1H), 7.35 (d, $J = 8.0$ Hz, 2H), 7.21 (d, $J = 8.0$ Hz, 2H), 7.14–7.11 (m, 1H), 7.10–7.07 (m, 1H), 7.03 (t, $J = 5.0$ Hz, 1H), 6.68 (d, $J = 7.5$ Hz, 1H), 4.09 (d, $J = 2.0$ Hz, 2H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.5, 156.6, 140.6, 138.4, 136.5, 134.5, 130.9, 129.1, 128.9, 127.9, 127.4, 126.3 (q, $J_{C-F} = 278.1$ Hz), 125.8, 124.4, 123.2, 123.1, 118.1, 112.7, 29.7, 21.5; IR (KBr) ν 2924, 2854, 1742, 1552, 1478, 1452, 1402, 1366, 1334, 1262, 1176, 1107, 1038, 821, 792, 752 cm^{-1} ; HRMS (Q-TOF, ESI) calcd for $\text{C}_{23}\text{H}_{17}\text{F}_3\text{N}_3$ $[\text{M}+\text{H}]^+$ 392.369, found 392.1391.

¹H and ¹³C NMR of all compounds

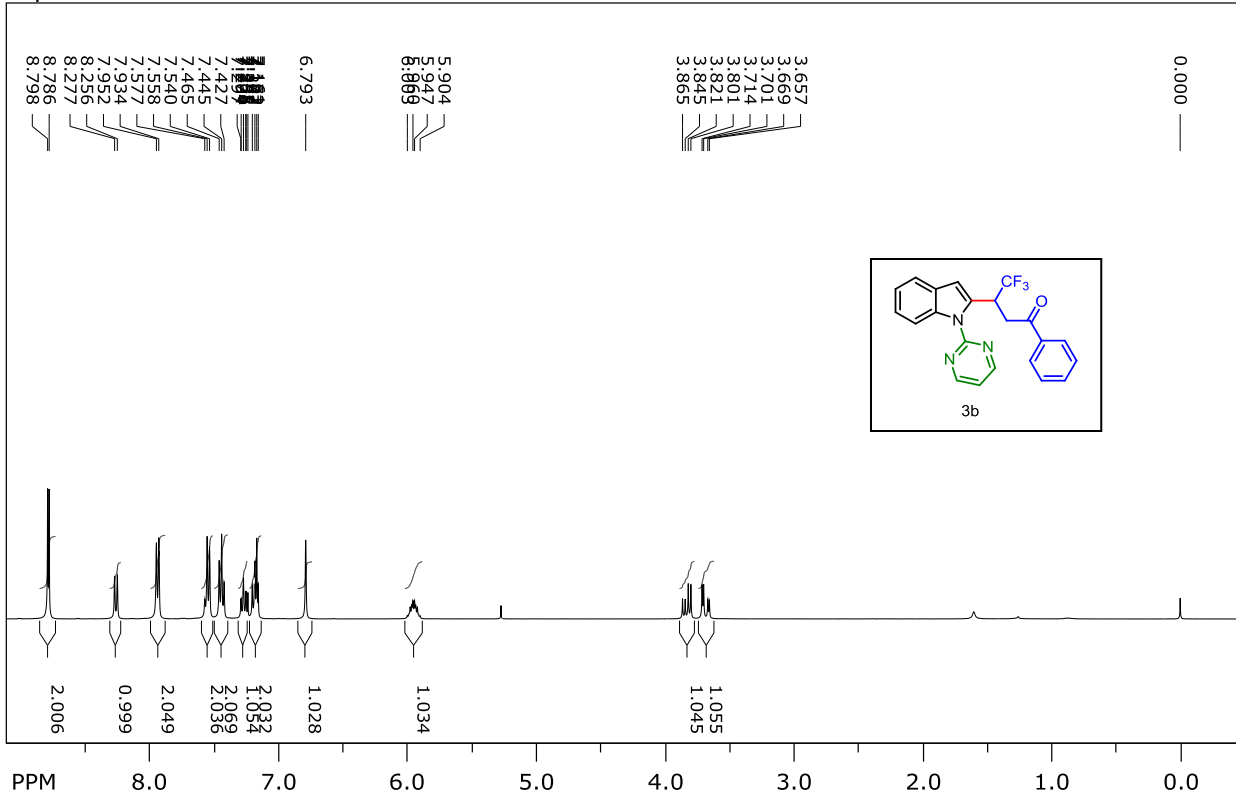
SpinWorks 4: BBC-450-A



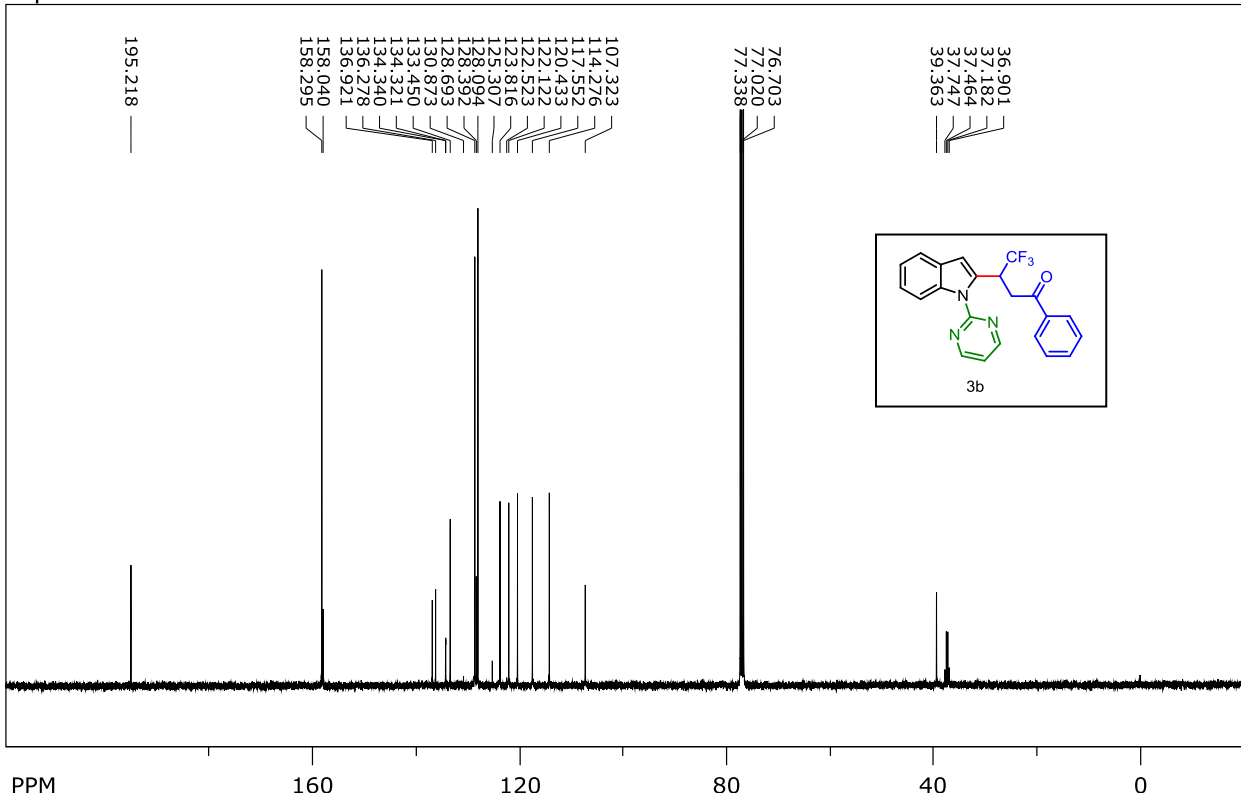
SpinWorks 4: BBC-450-A



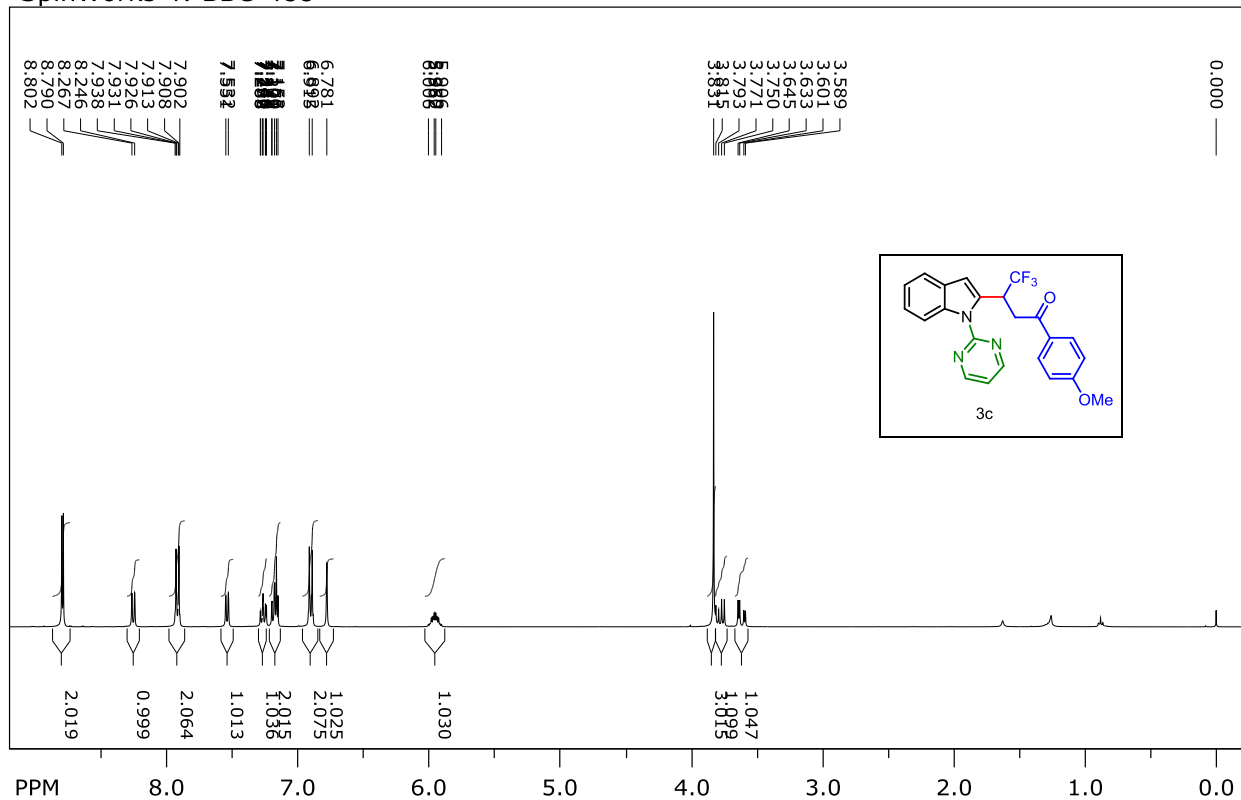
SpinWorks 4: BBC-489



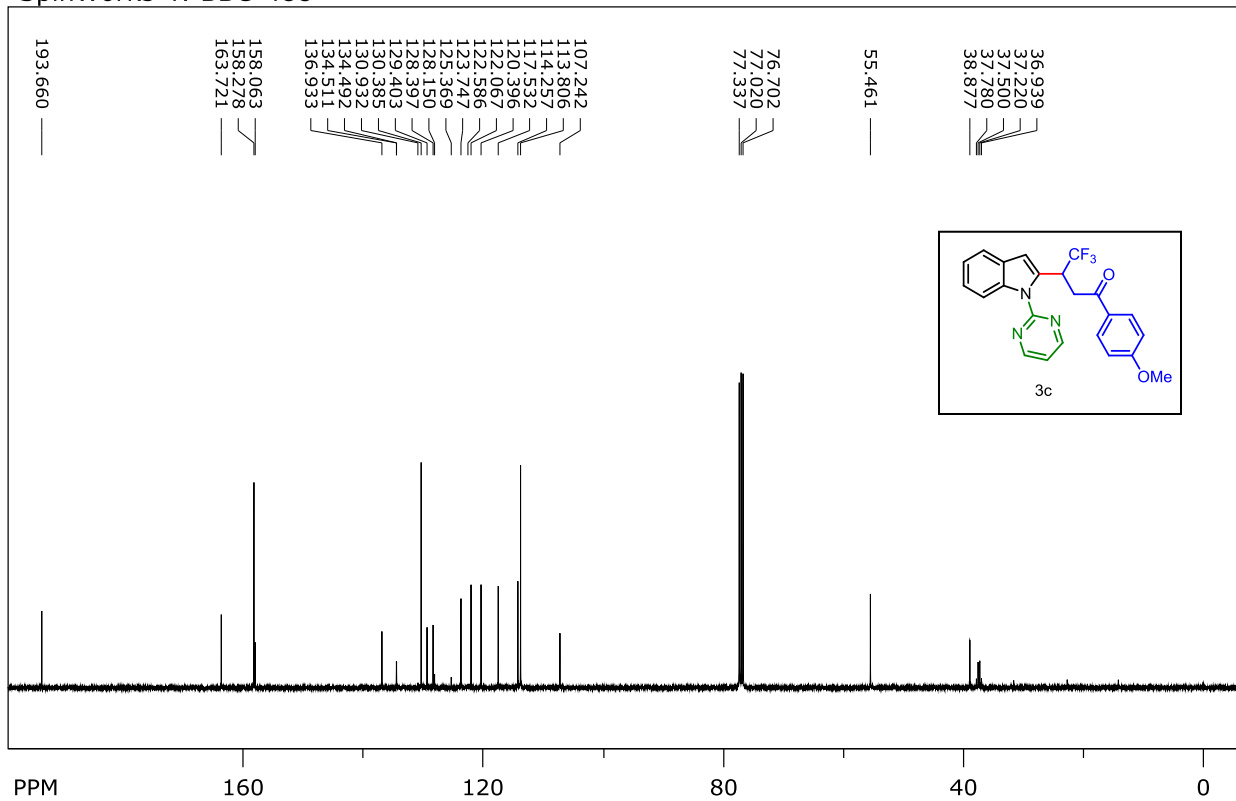
SpinWorks 4: BBC-489



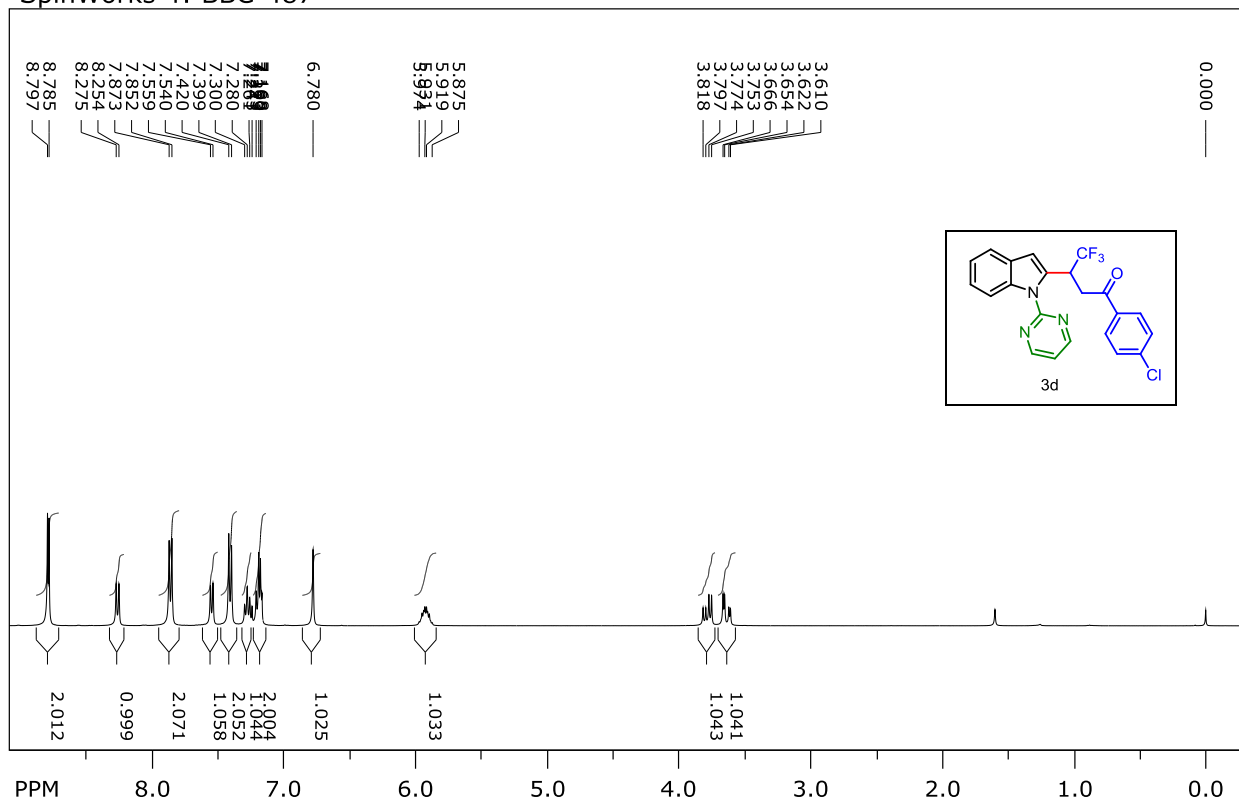
SpinWorks 4: BBC-488



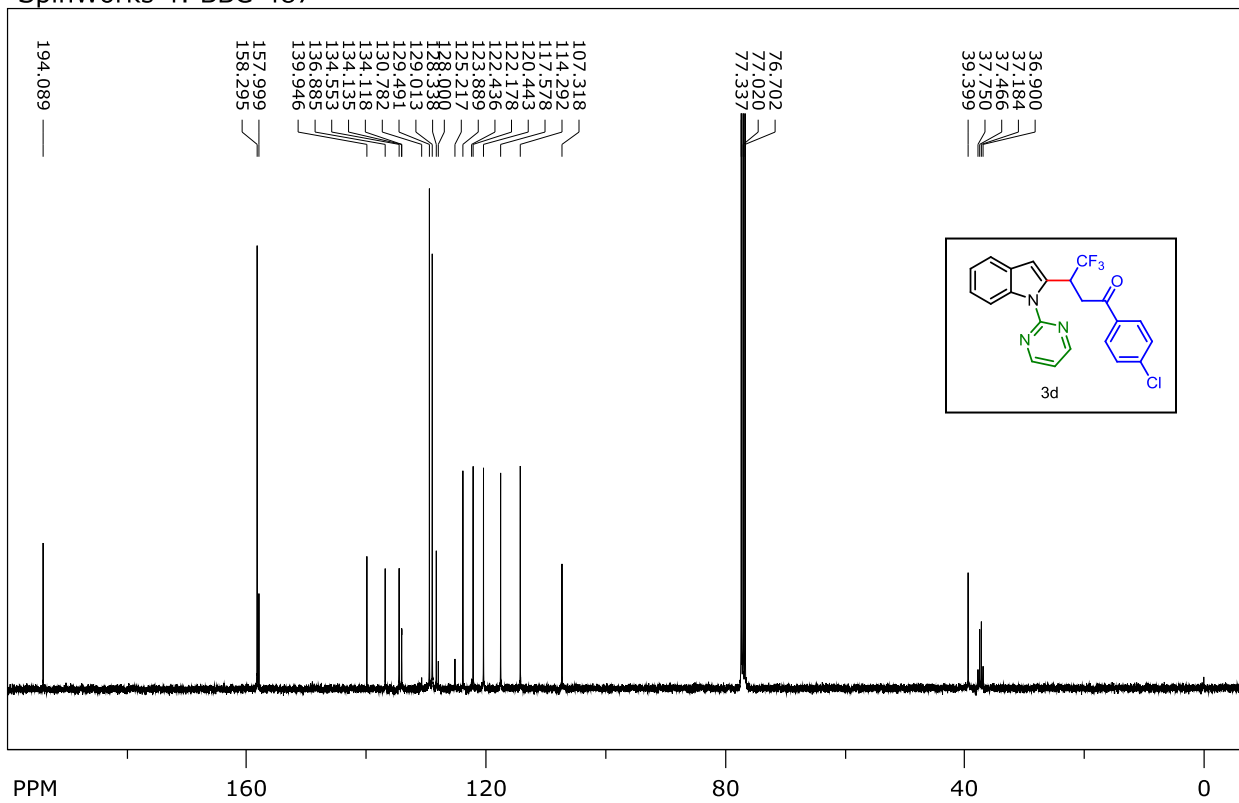
SpinWorks 4: BBC-488



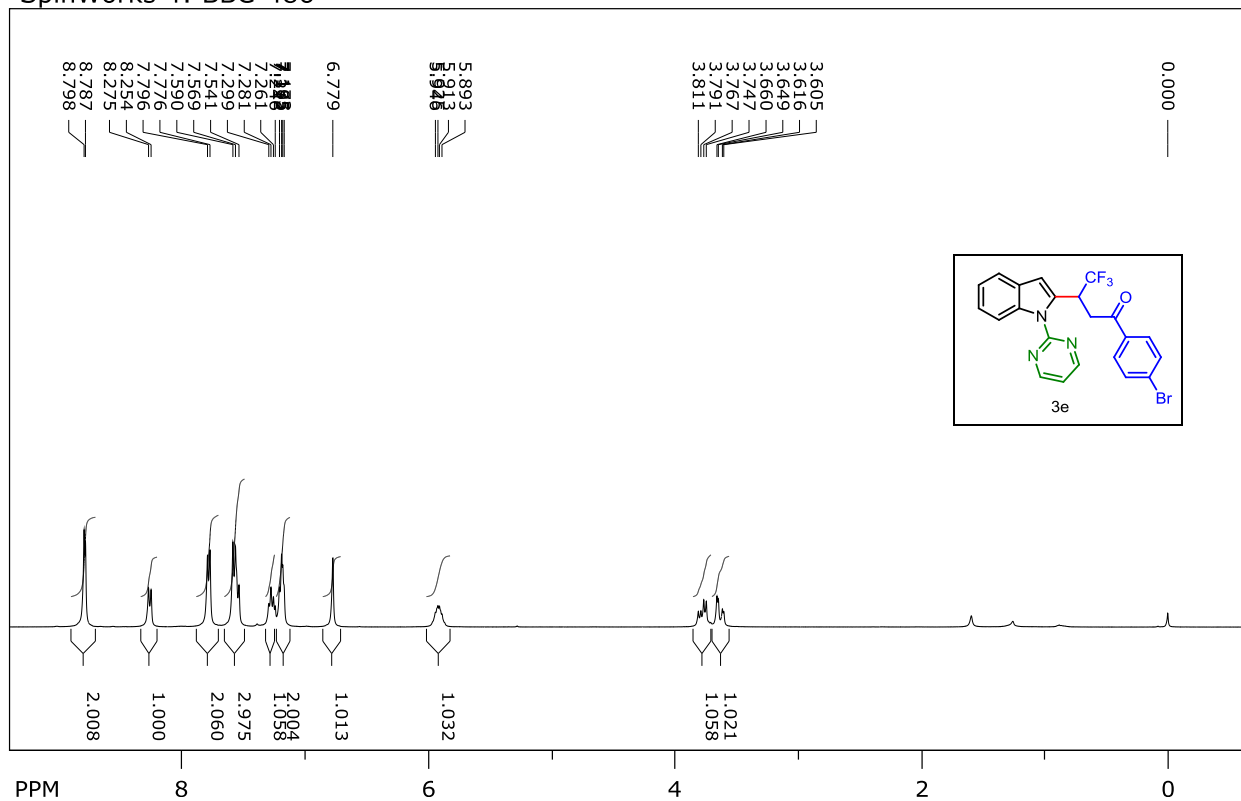
SpinWorks 4: BBC-487



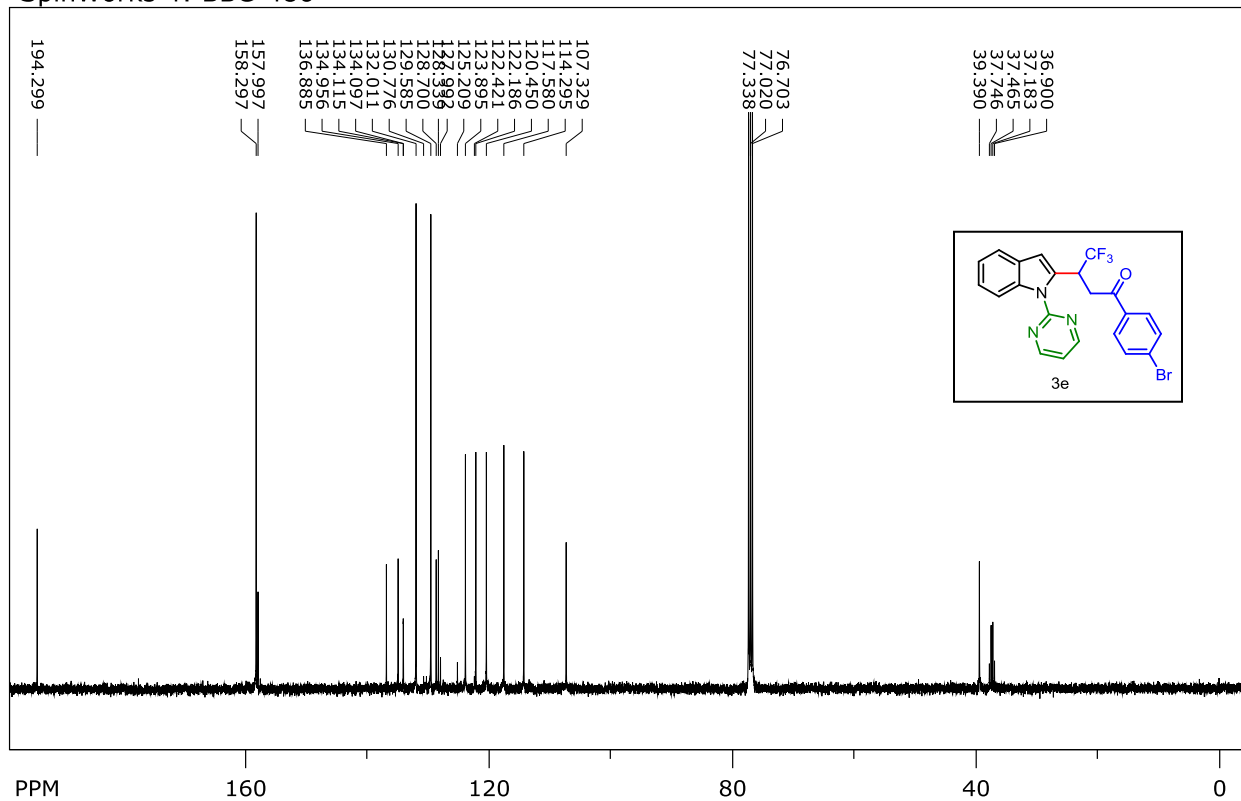
SpinWorks 4: BBC-487



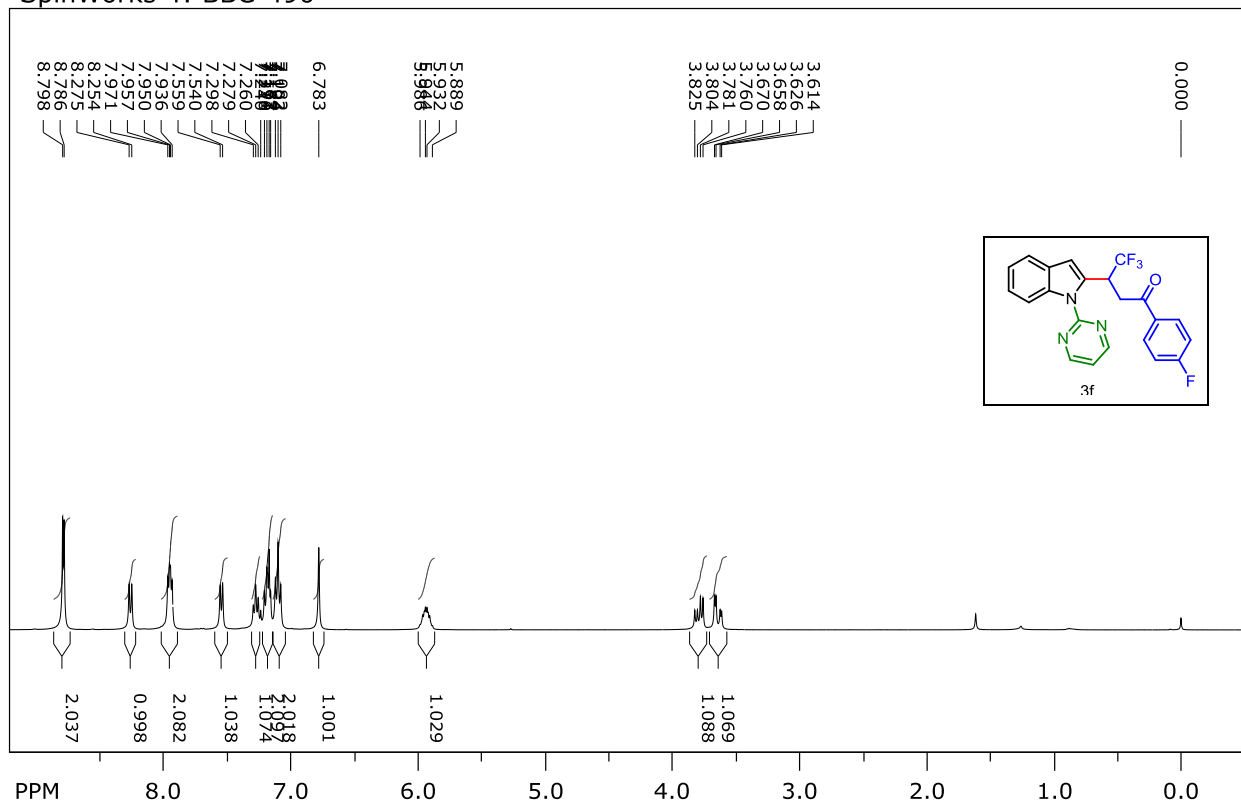
SpinWorks 4: BBC-486



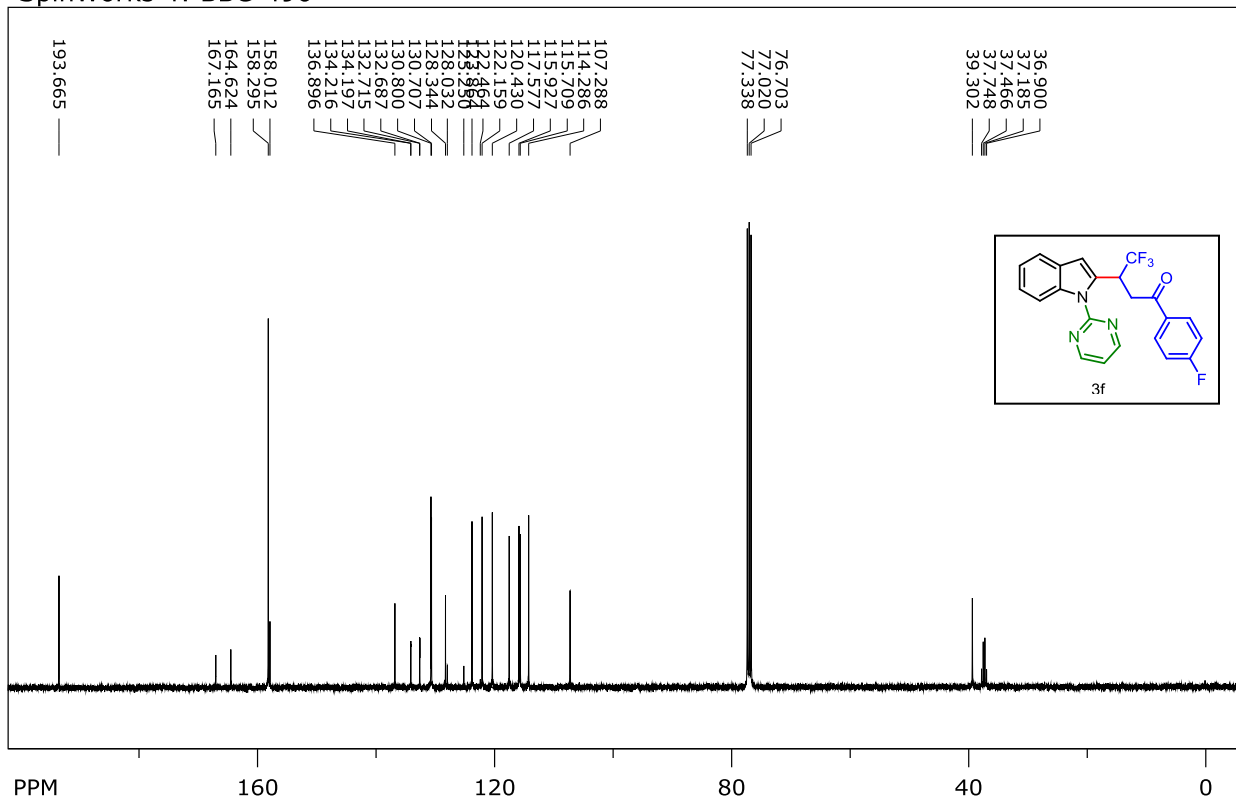
SpinWorks 4: BBC-486



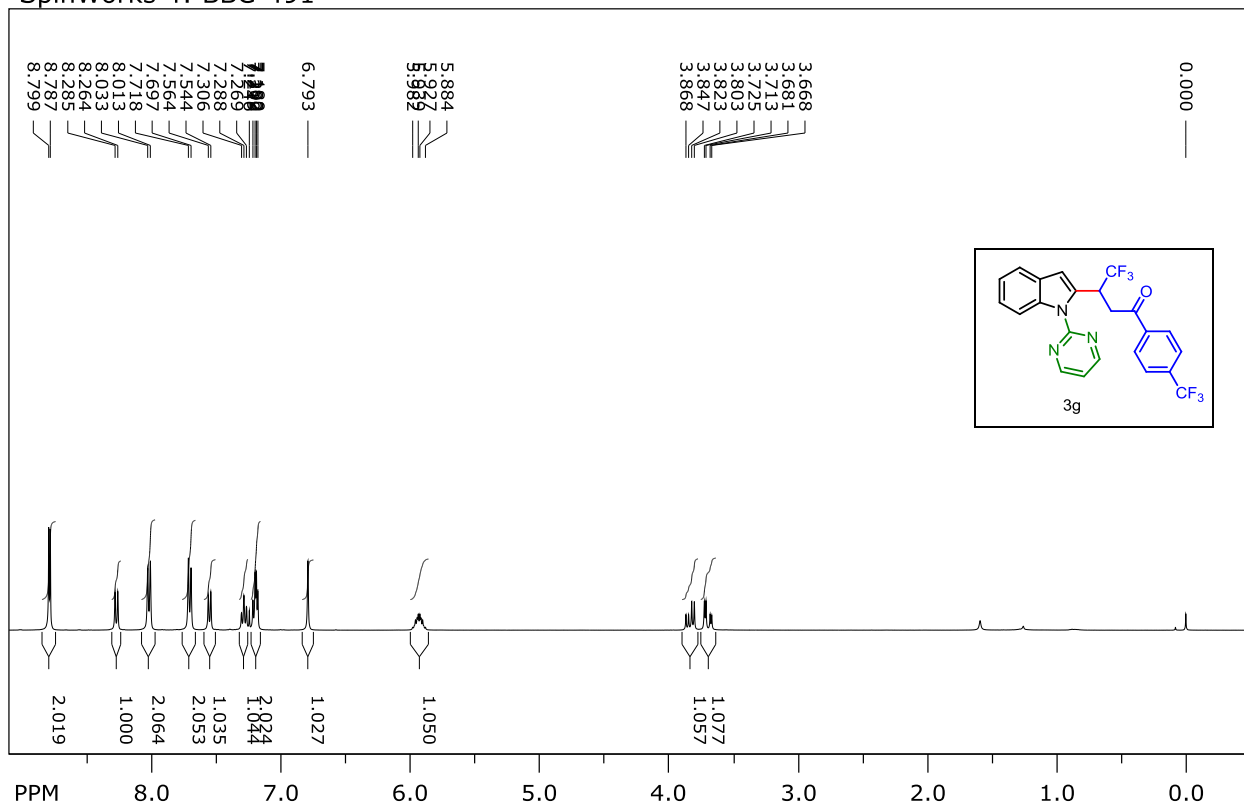
SpinWorks 4: BBC-490



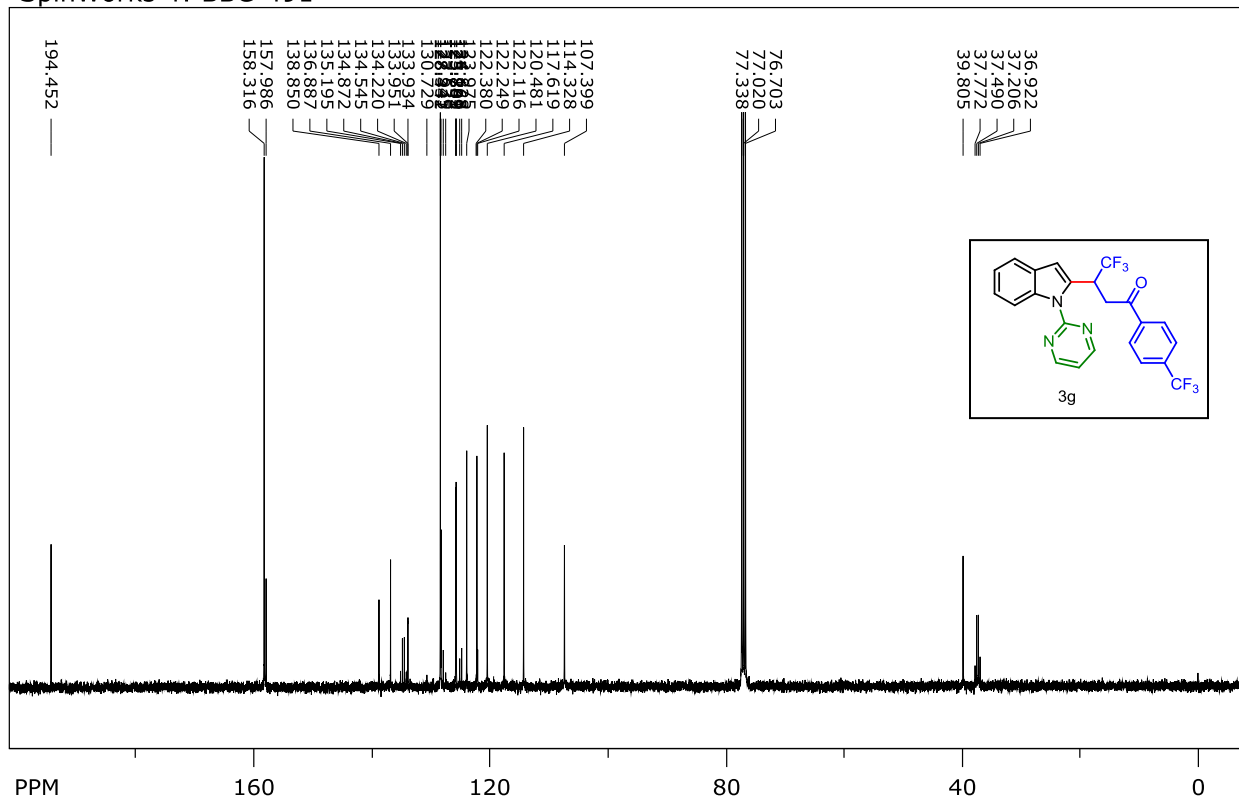
SpinWorks 4: BBC-490



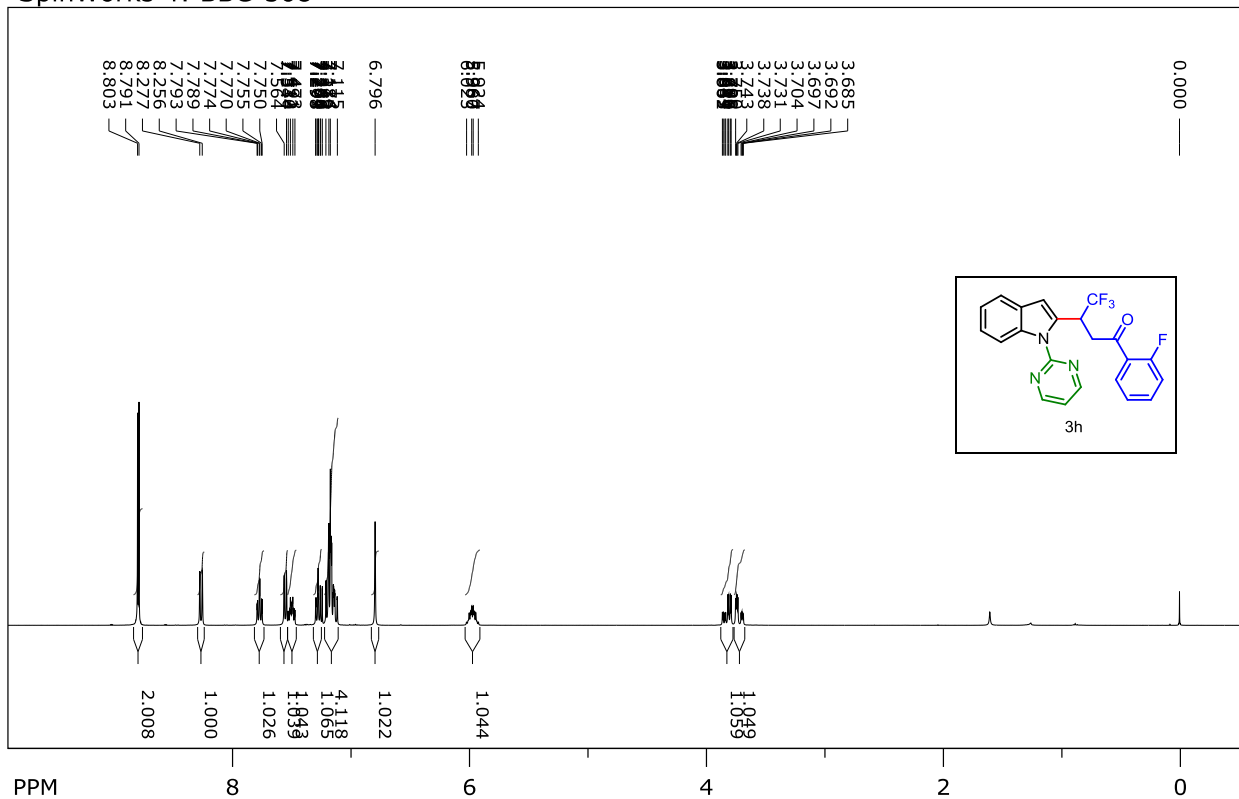
SpinWorks 4: BBC-491



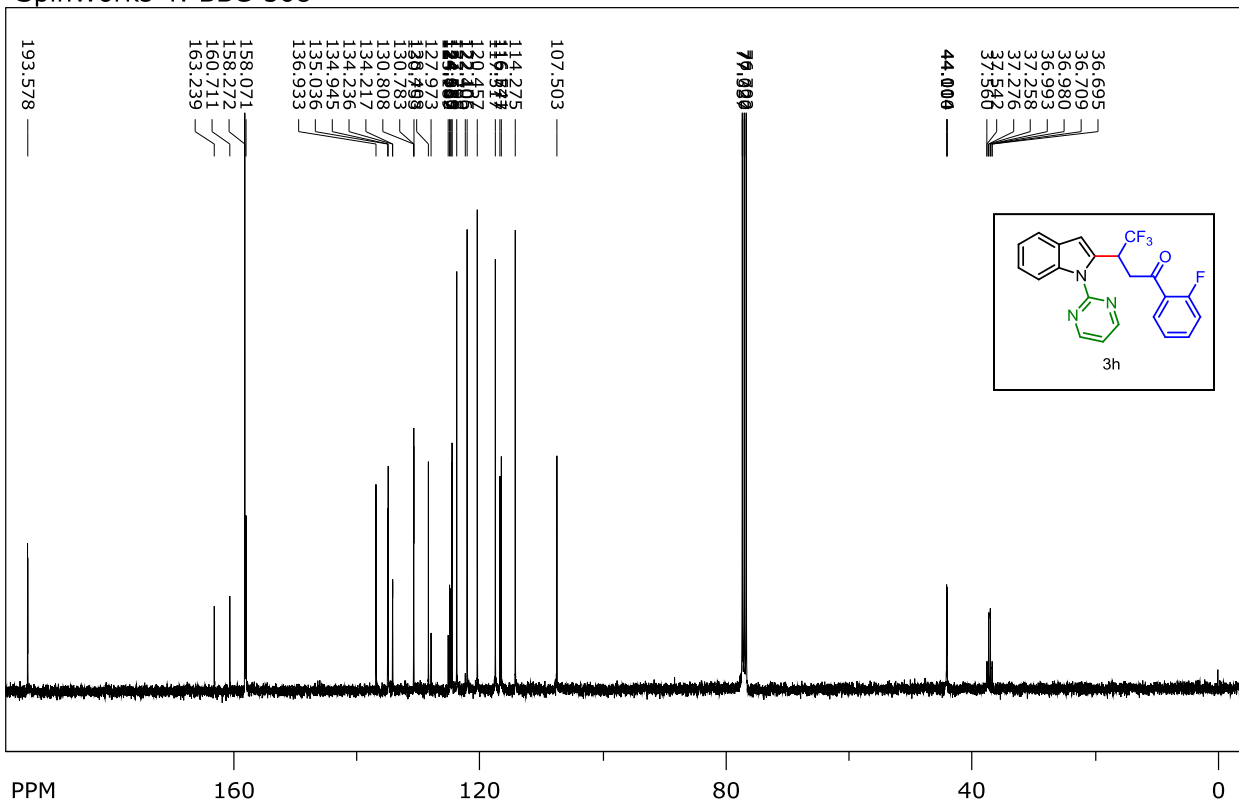
SpinWorks 4: BBC-491



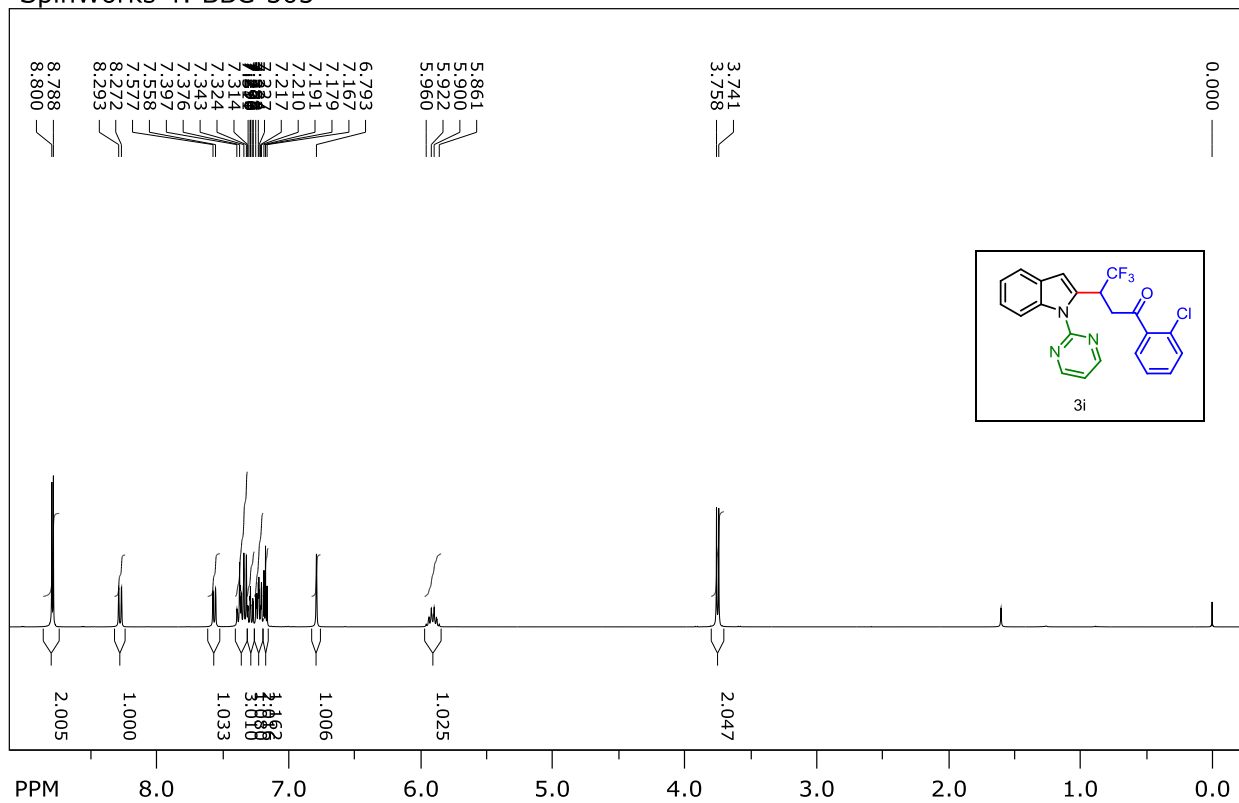
SpinWorks 4: BBC-508



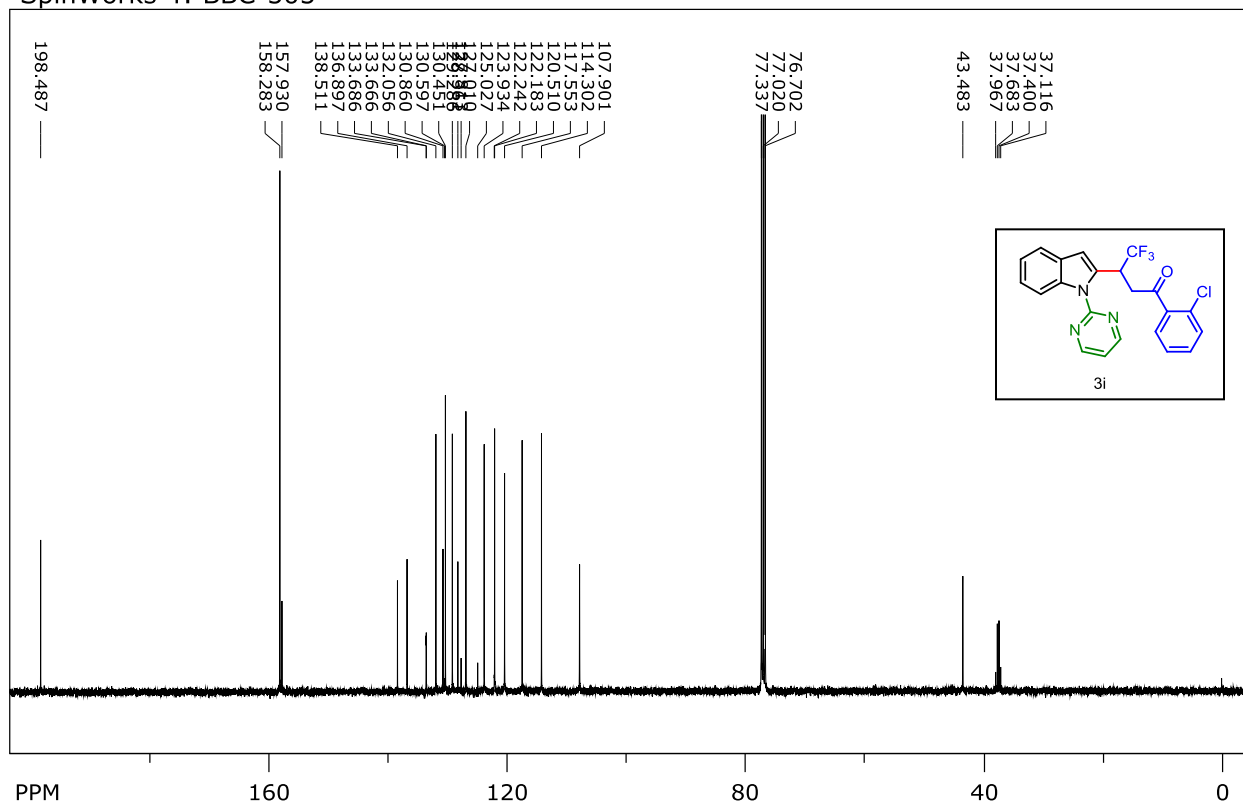
SpinWorks 4: BBC-508



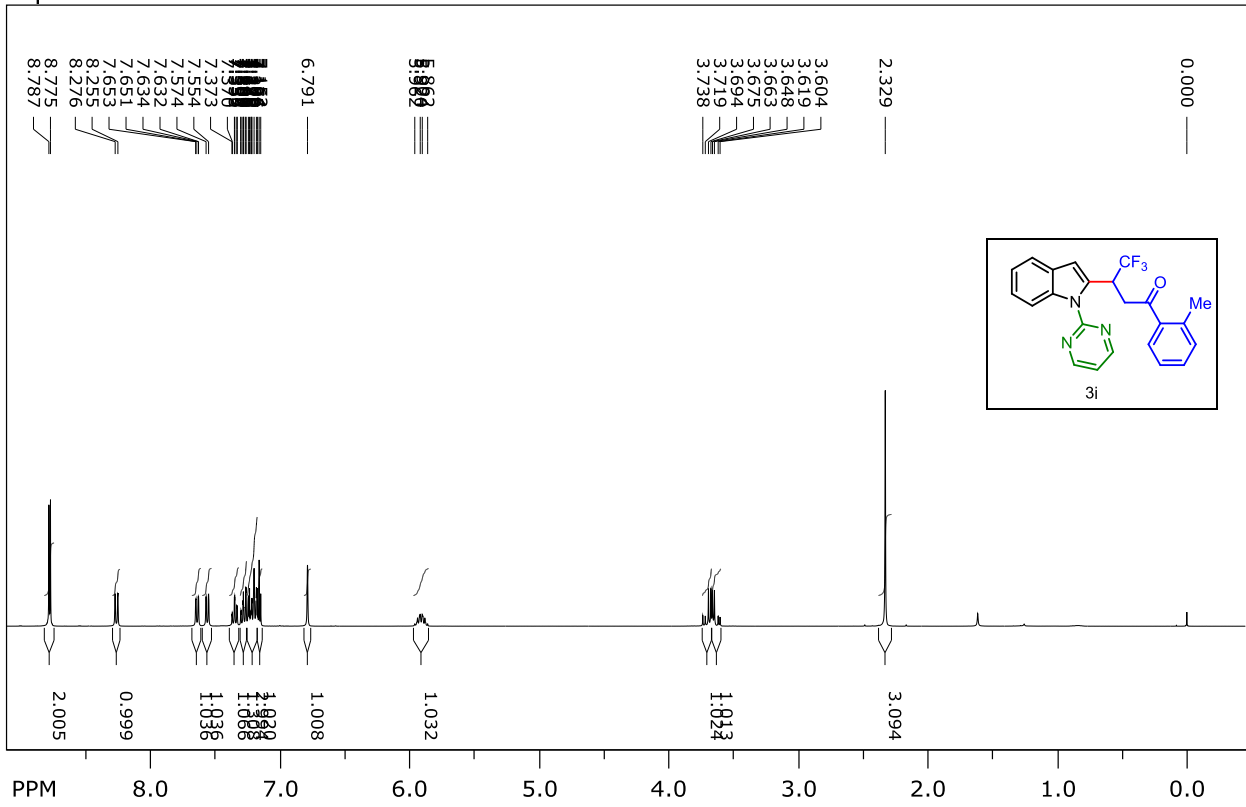
SpinWorks 4: BBC-505



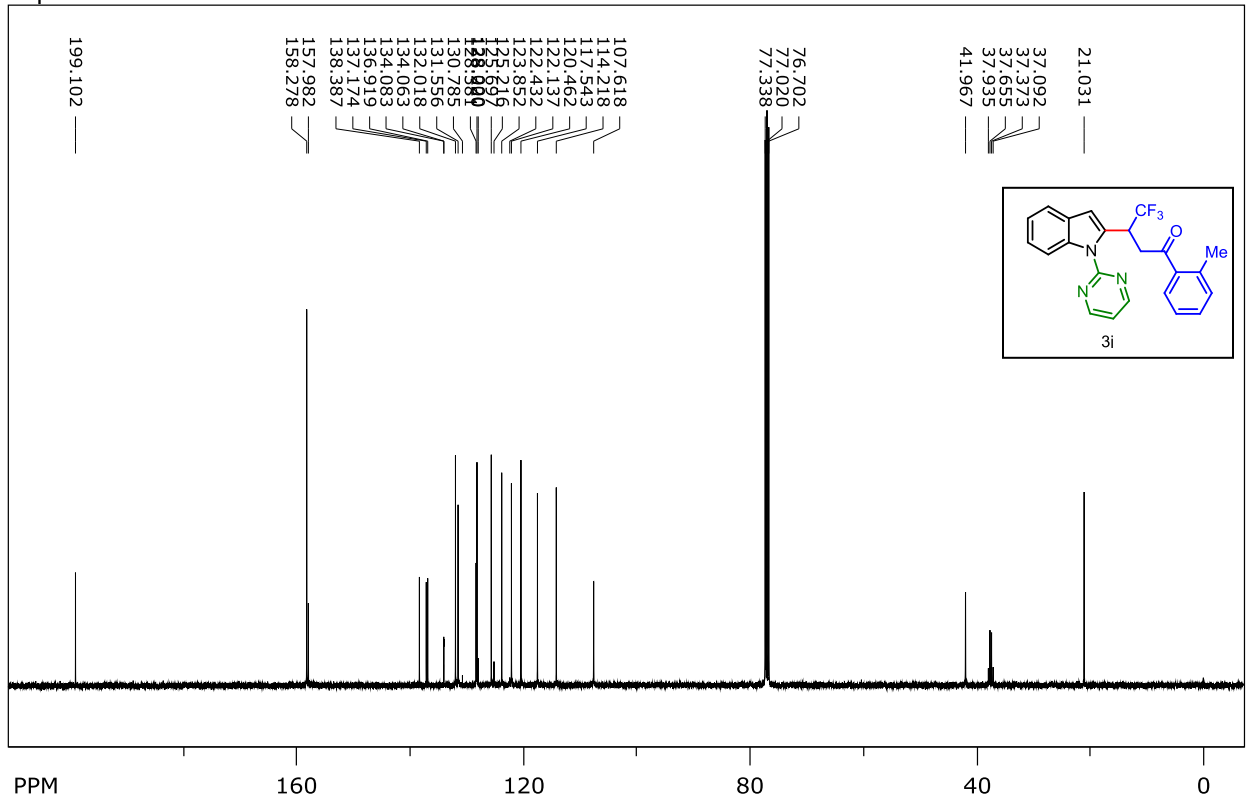
SpinWorks 4: BBC-505



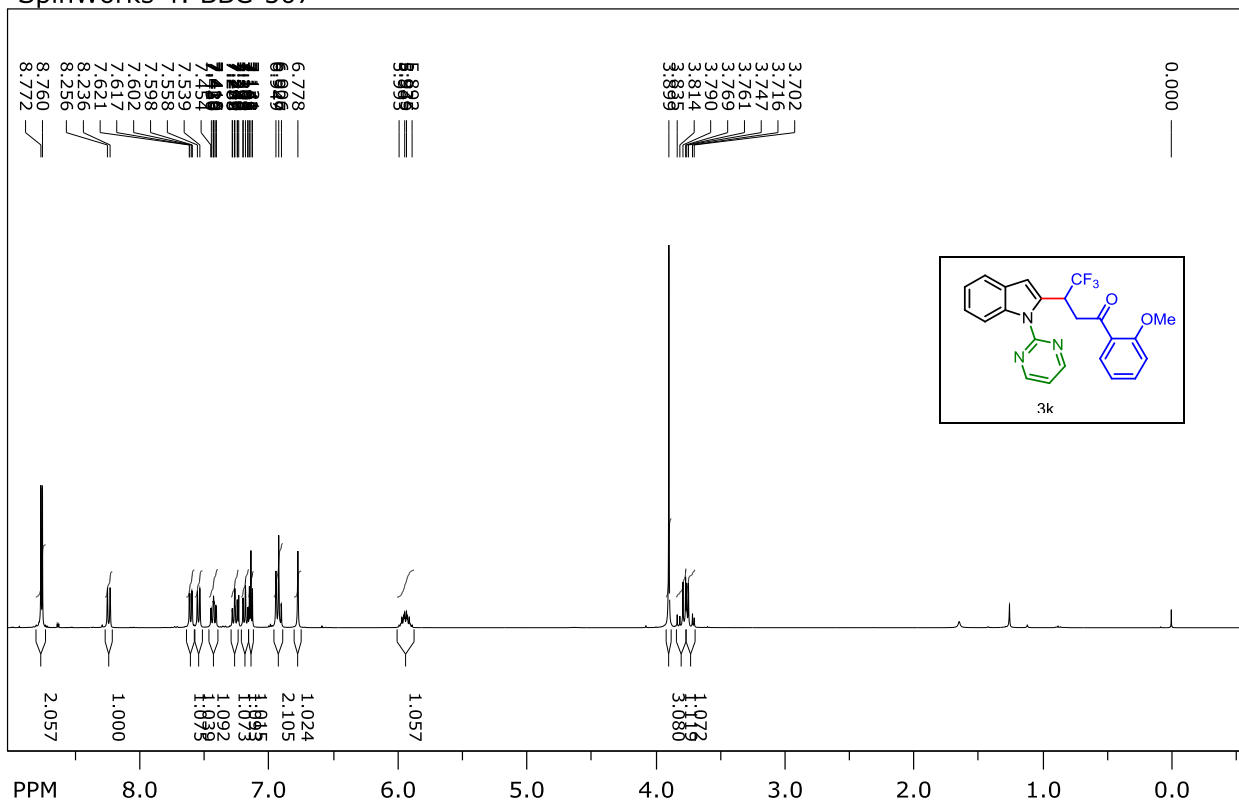
SpinWorks 4: BBC-553



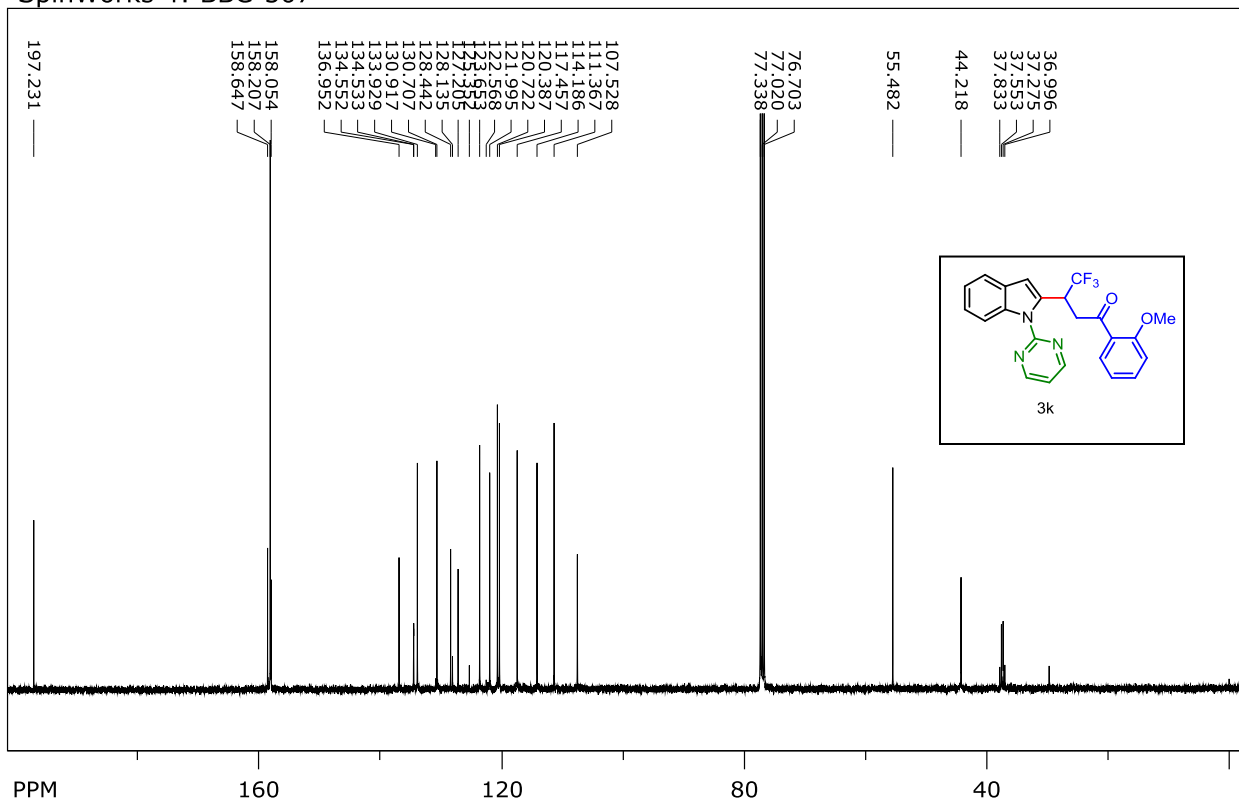
SpinWorks 4: BBC-553



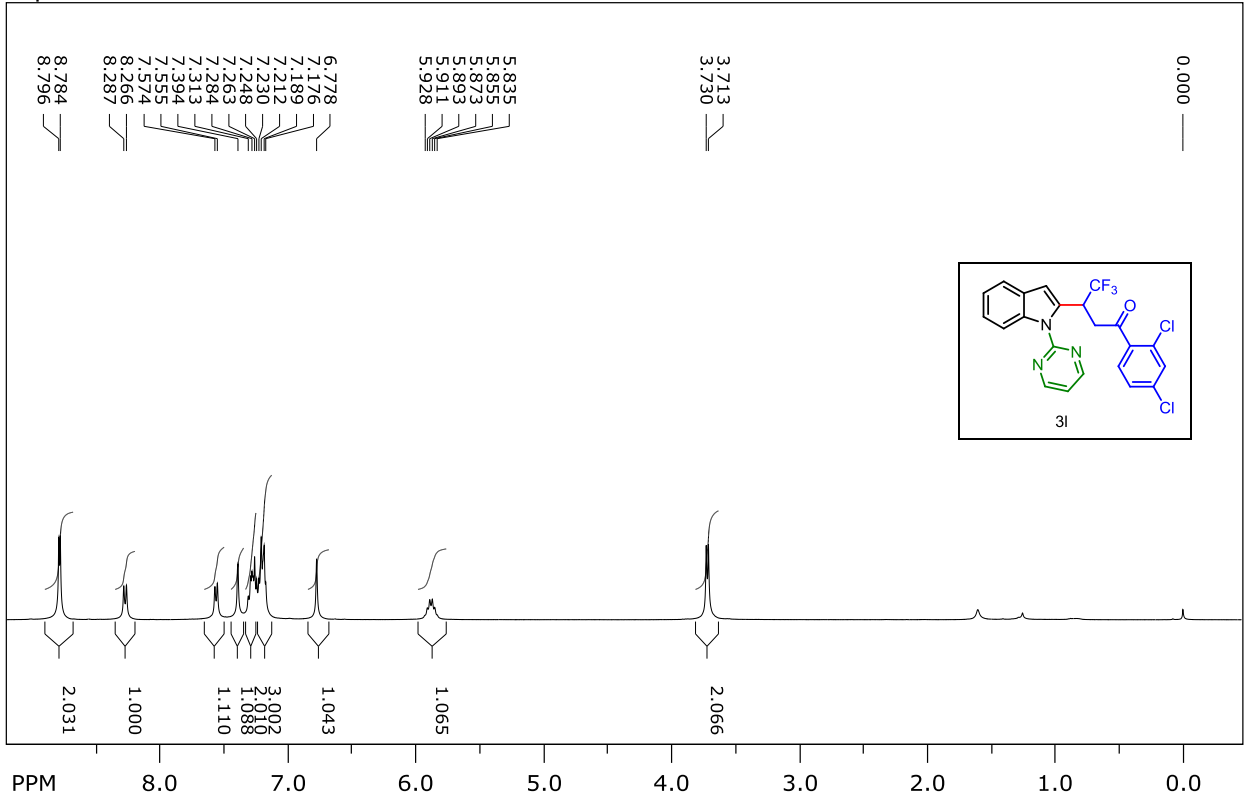
SpinWorks 4: BBC-507



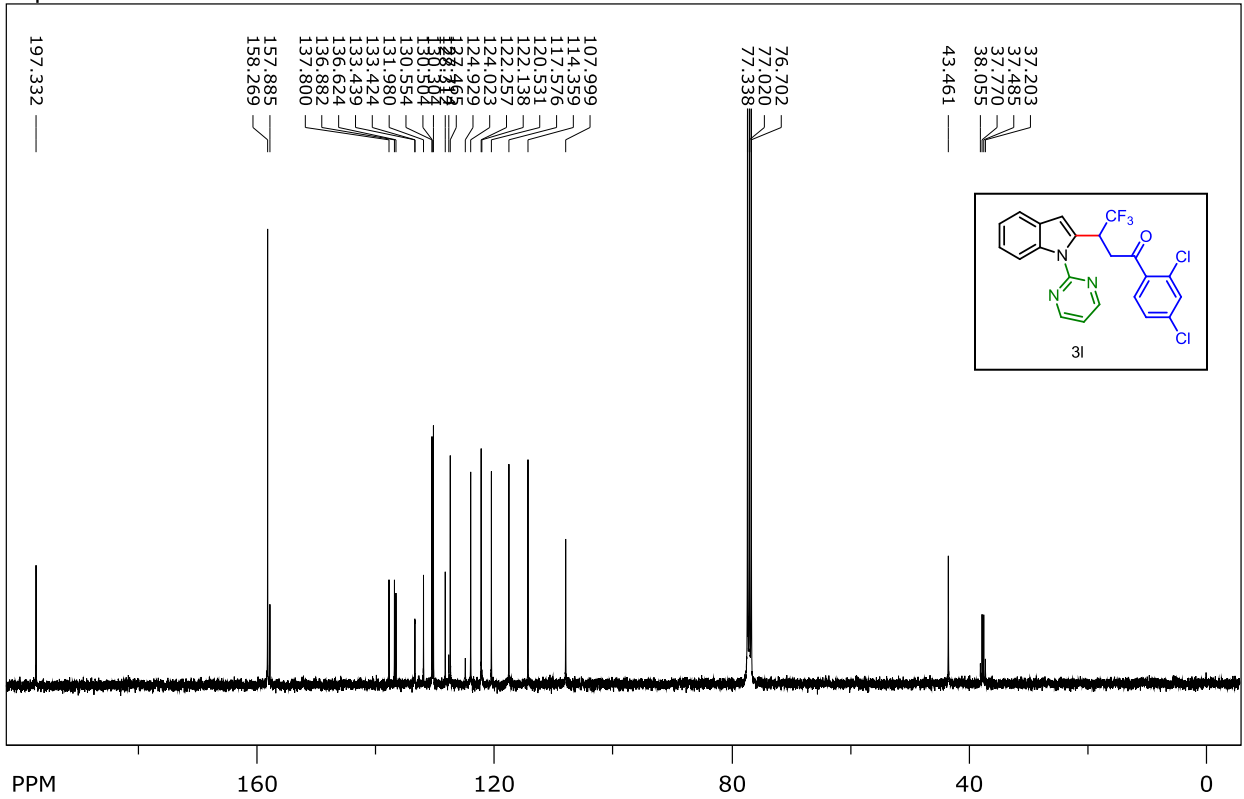
SpinWorks 4: BBC-507



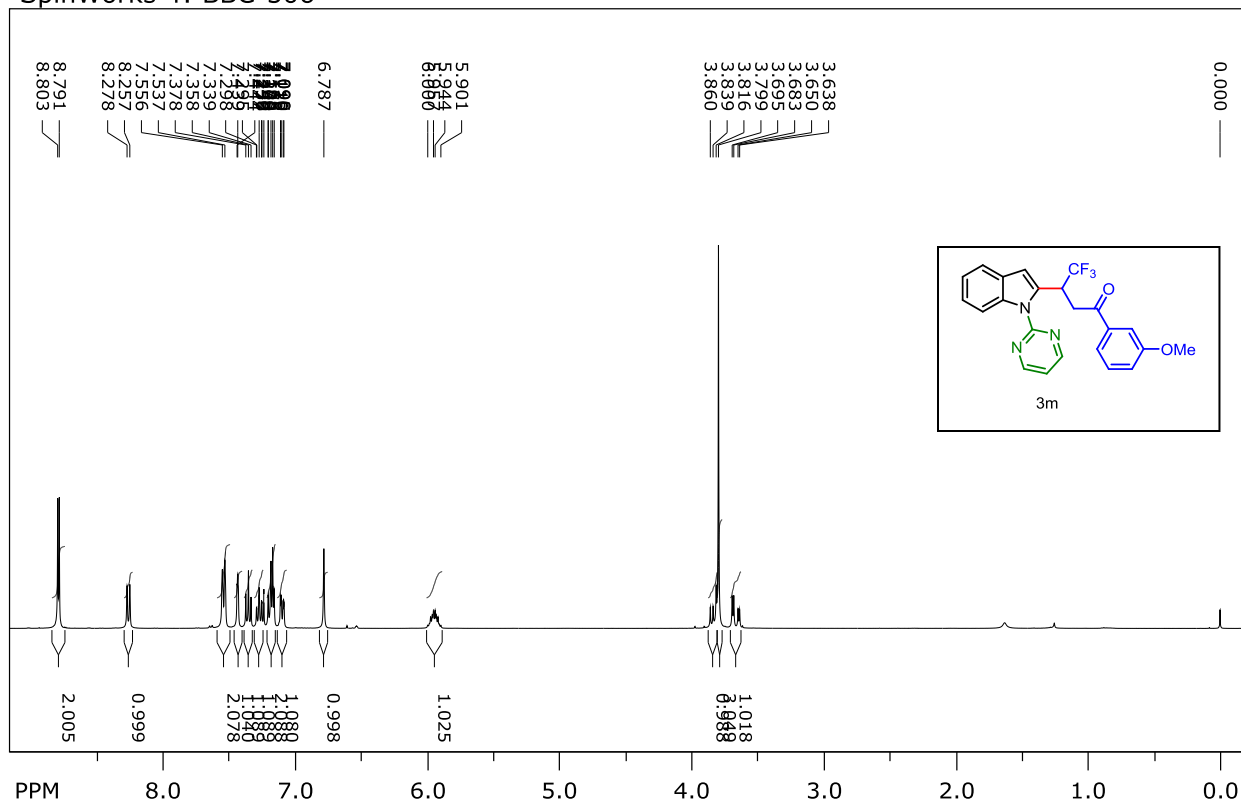
SpinWorks 4: BBC-485



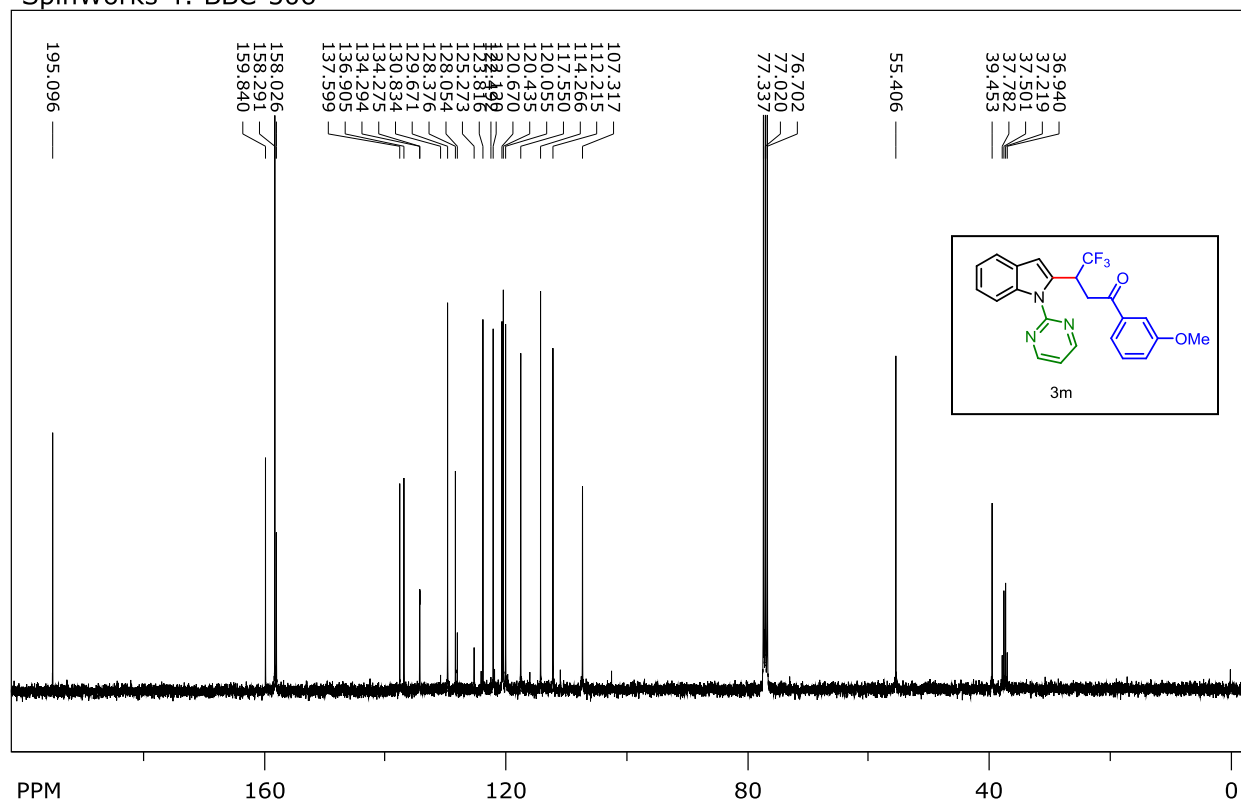
SpinWorks 4: BBC-485



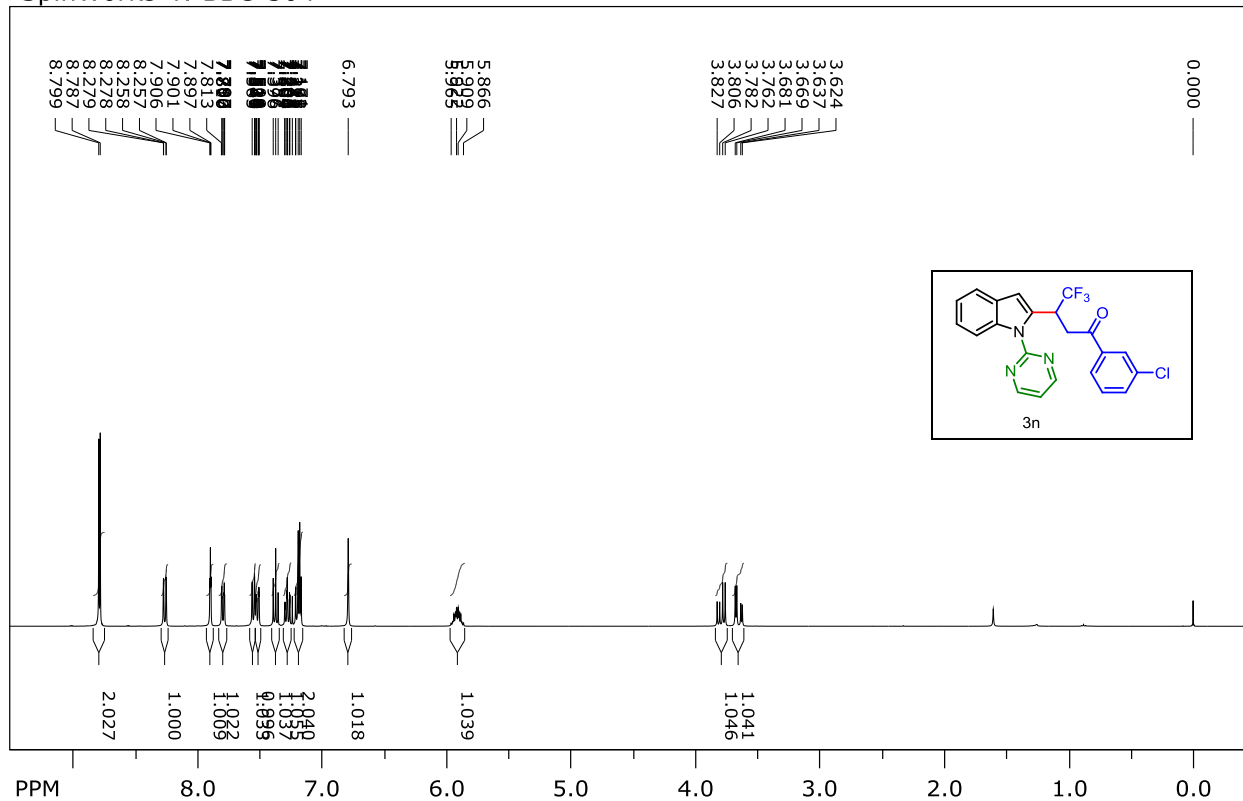
SpinWorks 4: BBC-506



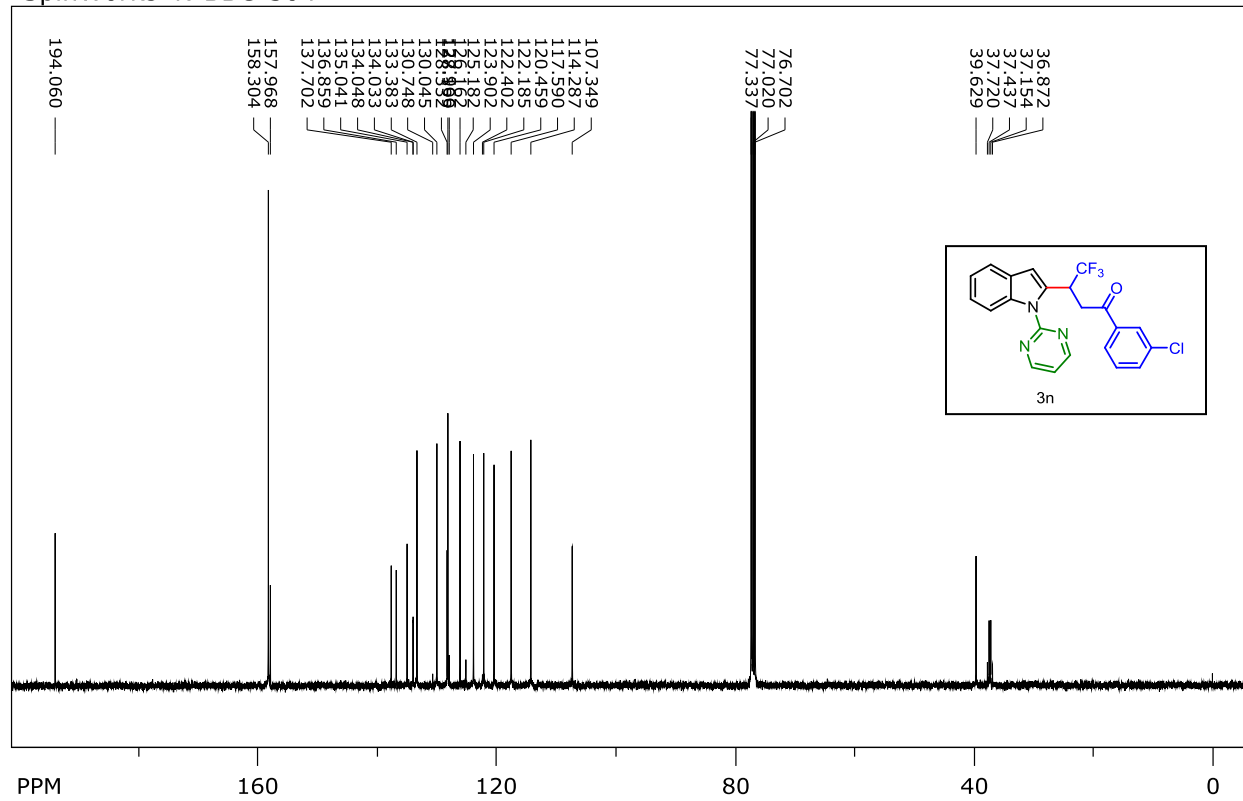
SpinWorks 4: BBC-506



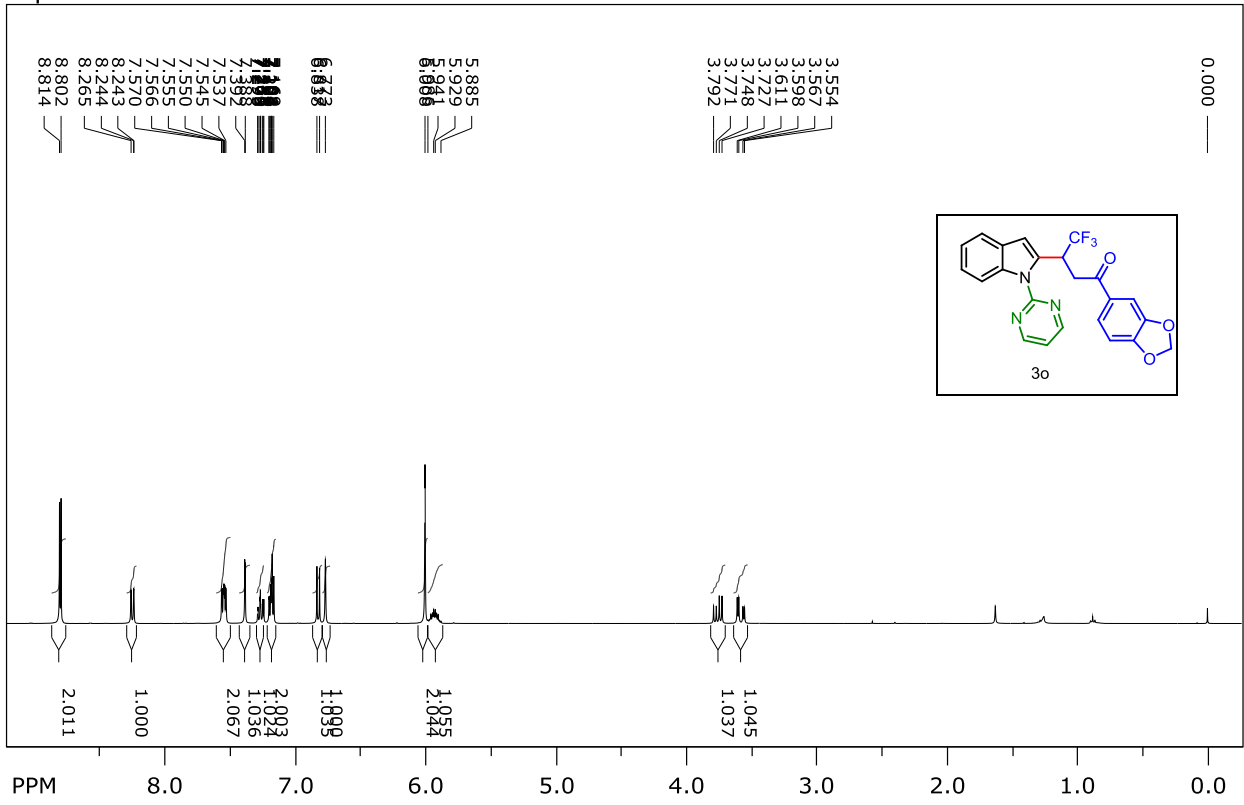
SpinWorks 4: BBC-504



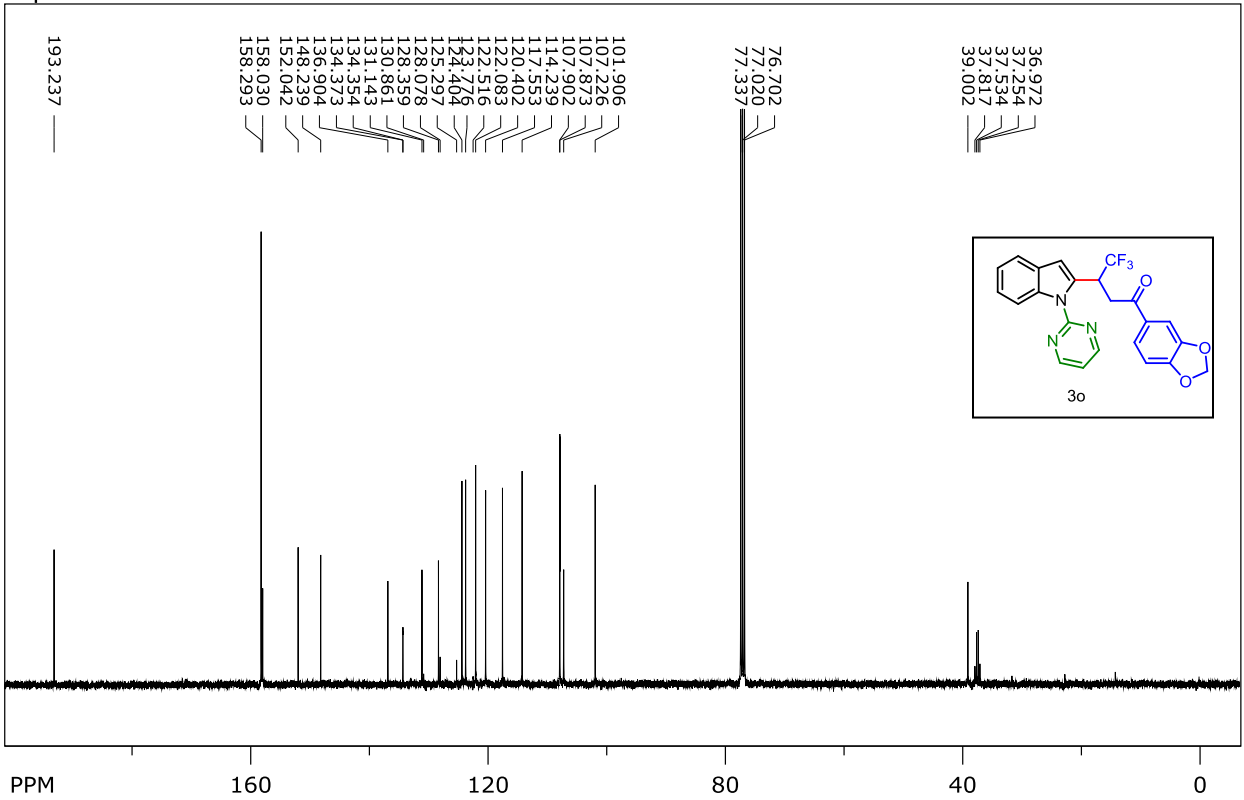
SpinWorks 4: BBC-504



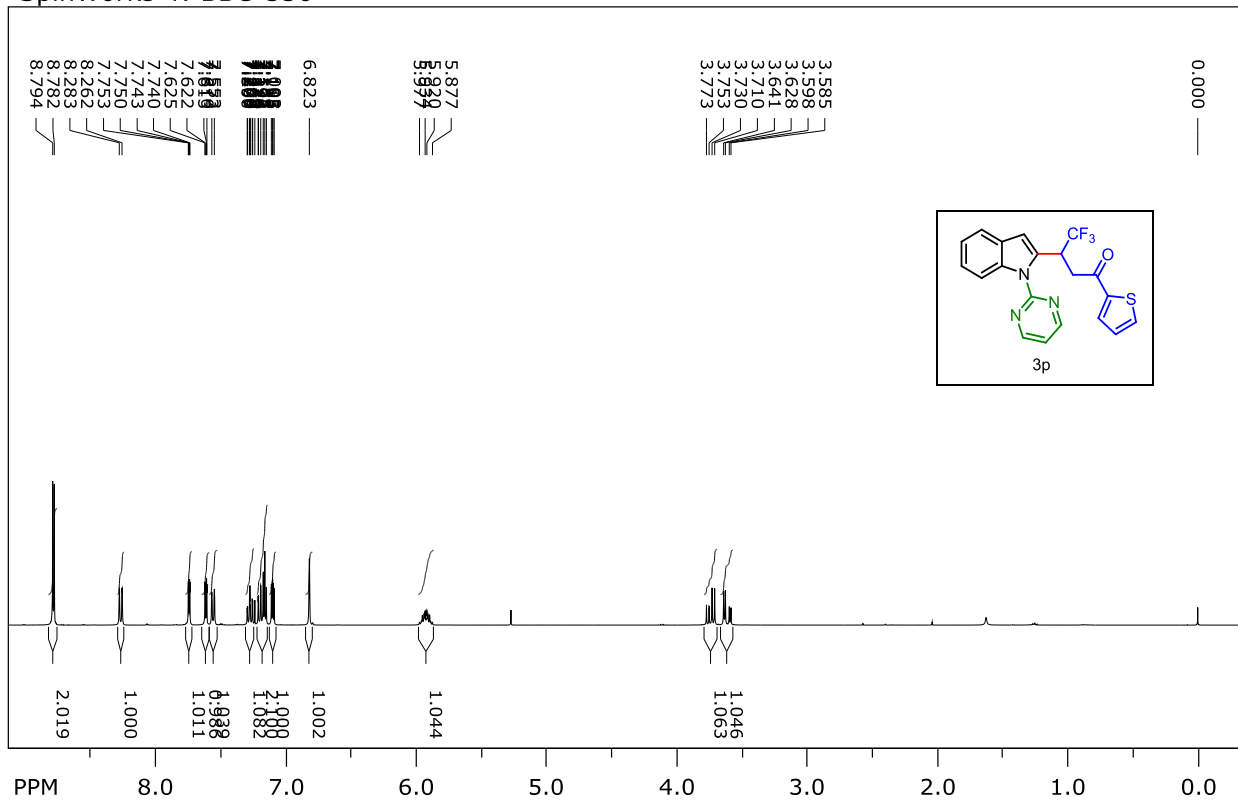
SpinWorks 4: BBC-554



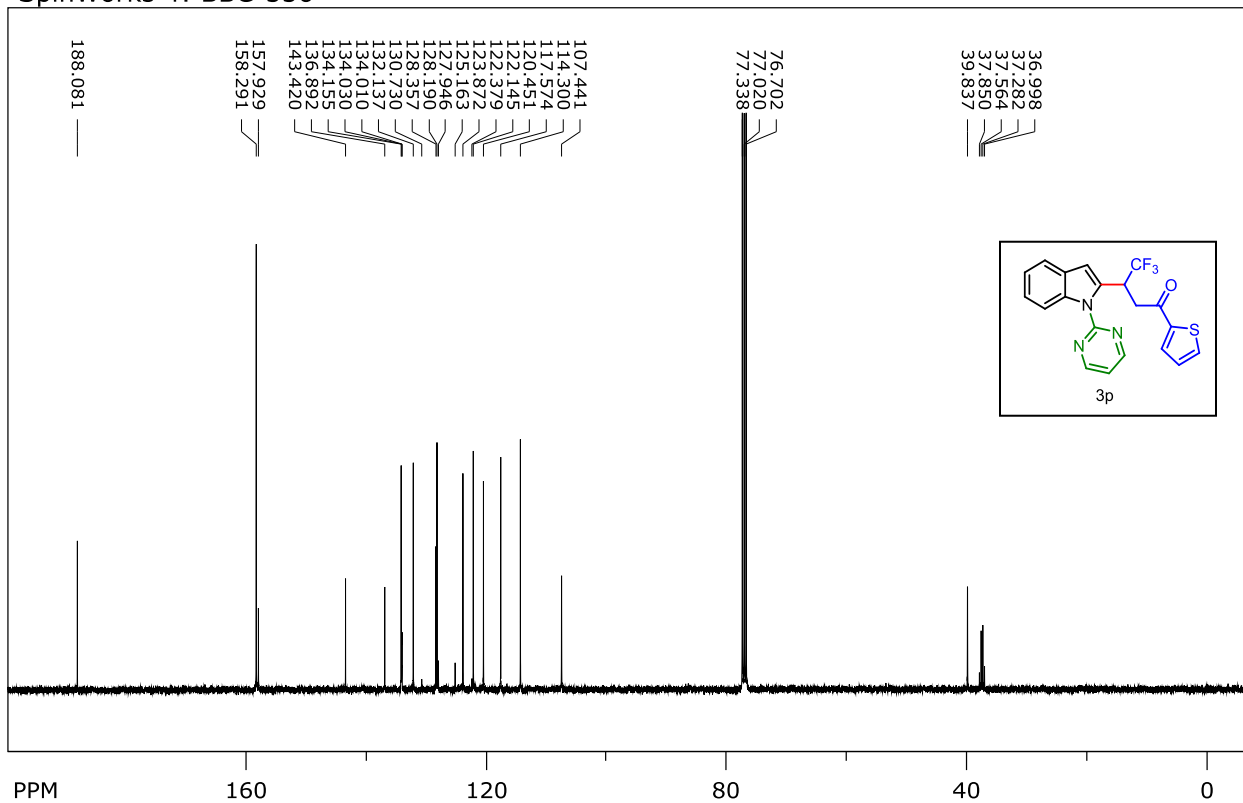
SpinWorks 4: BBC-554



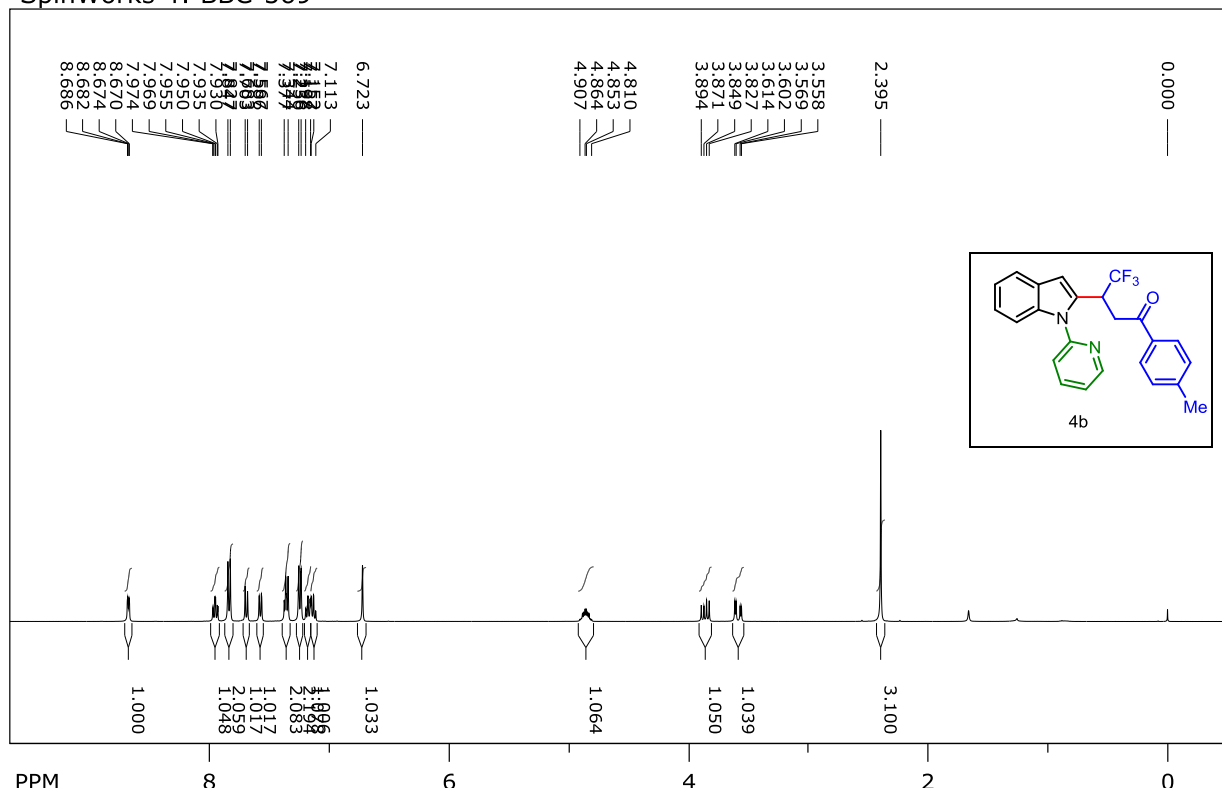
SpinWorks 4: BBC-556



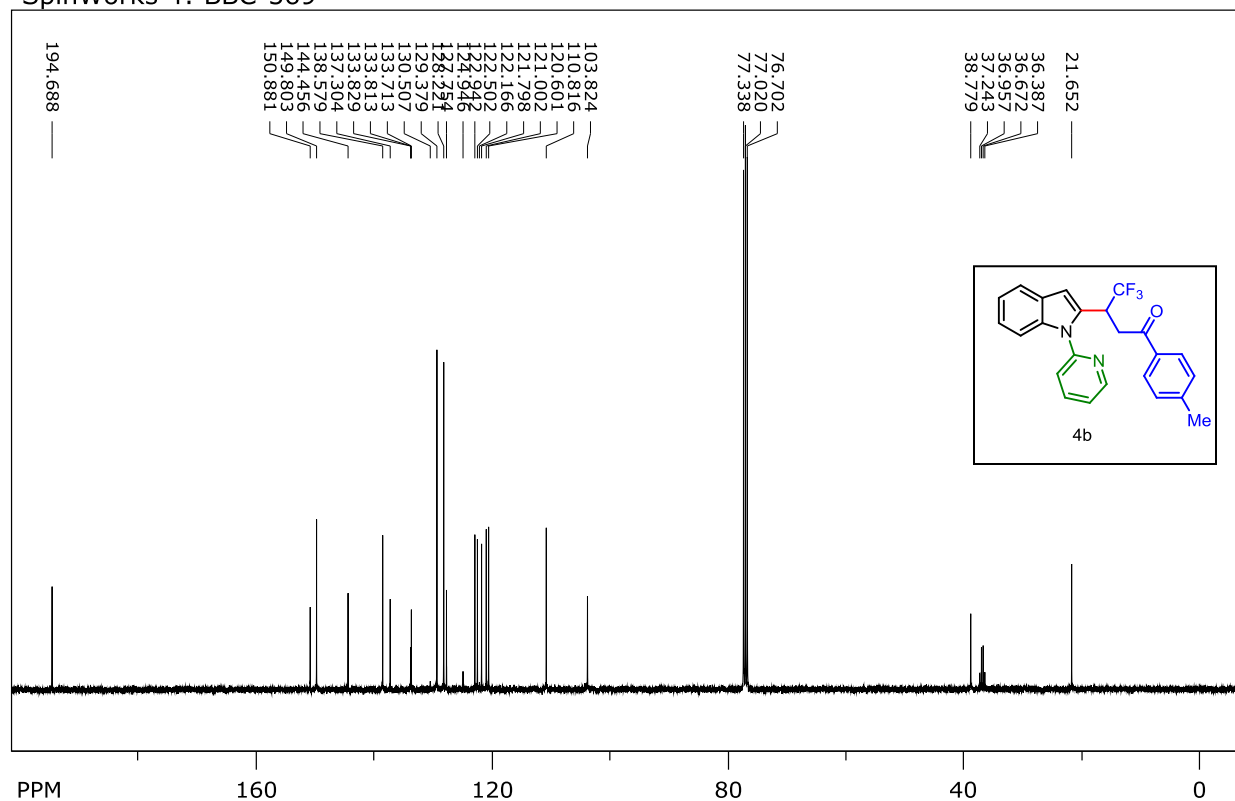
SpinWorks 4: BBC-556



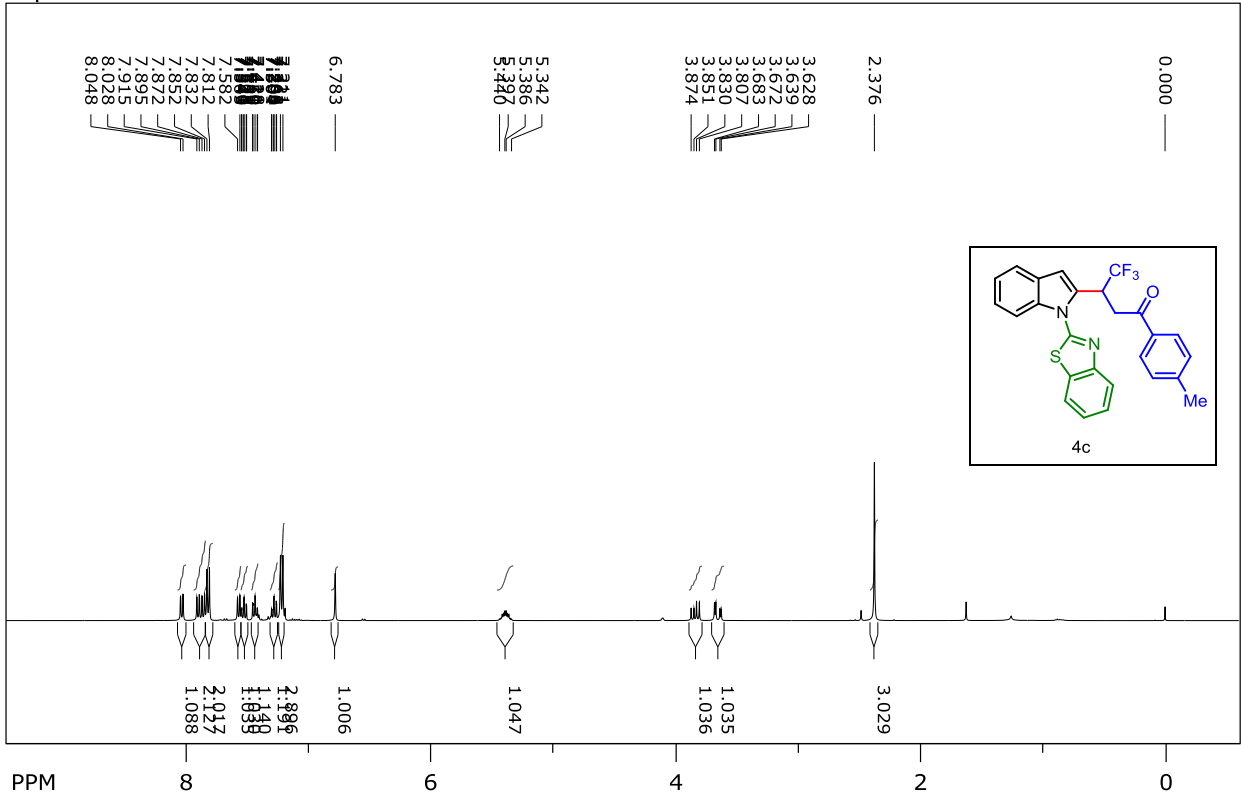
SpinWorks 4: BBC-569



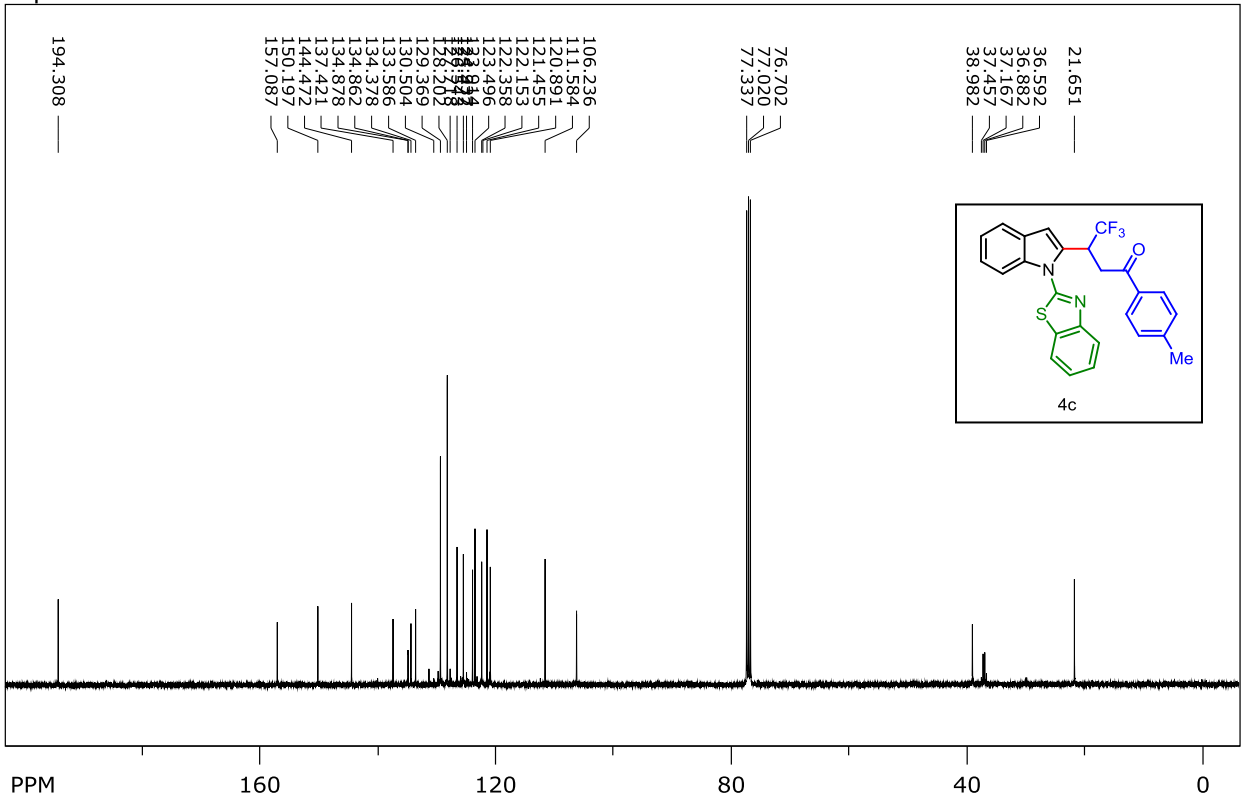
SpinWorks 4: BBC-569



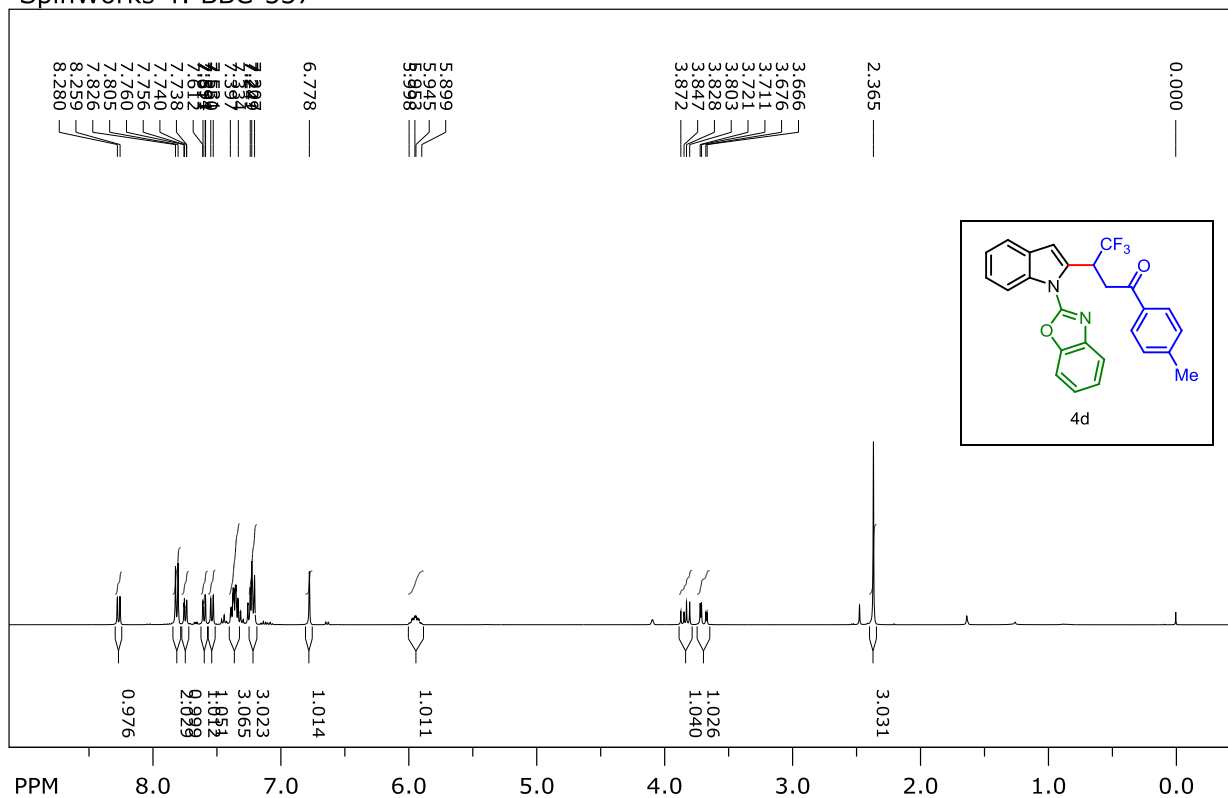
SpinWorks 4: BBC-548



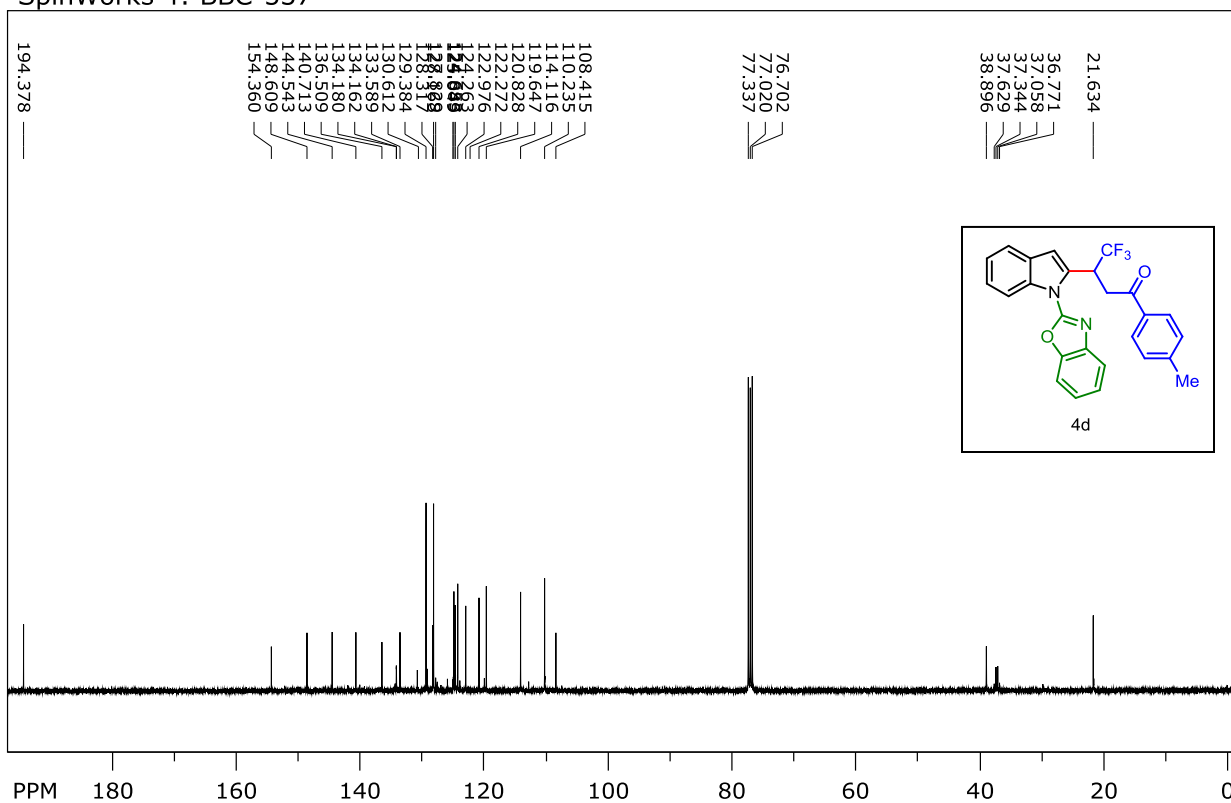
SpinWorks 4: BBC-548



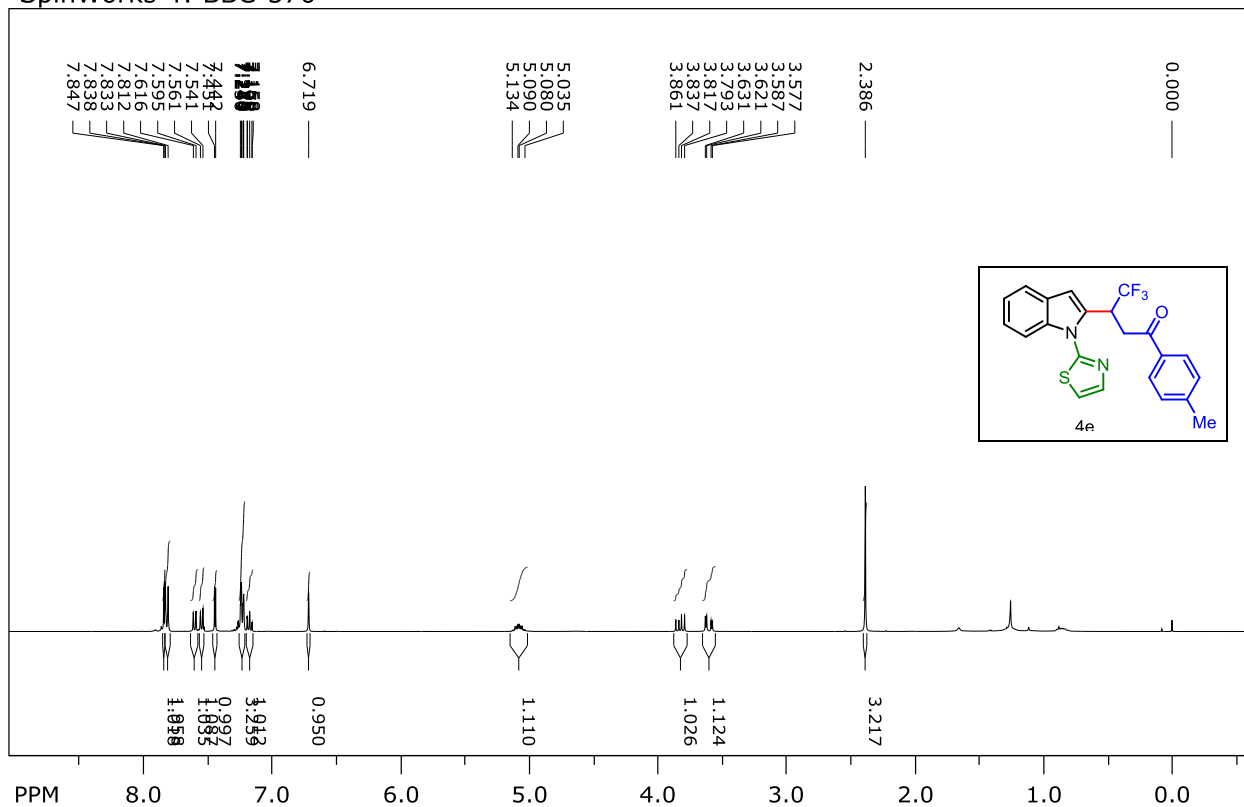
SpinWorks 4: BBC-537



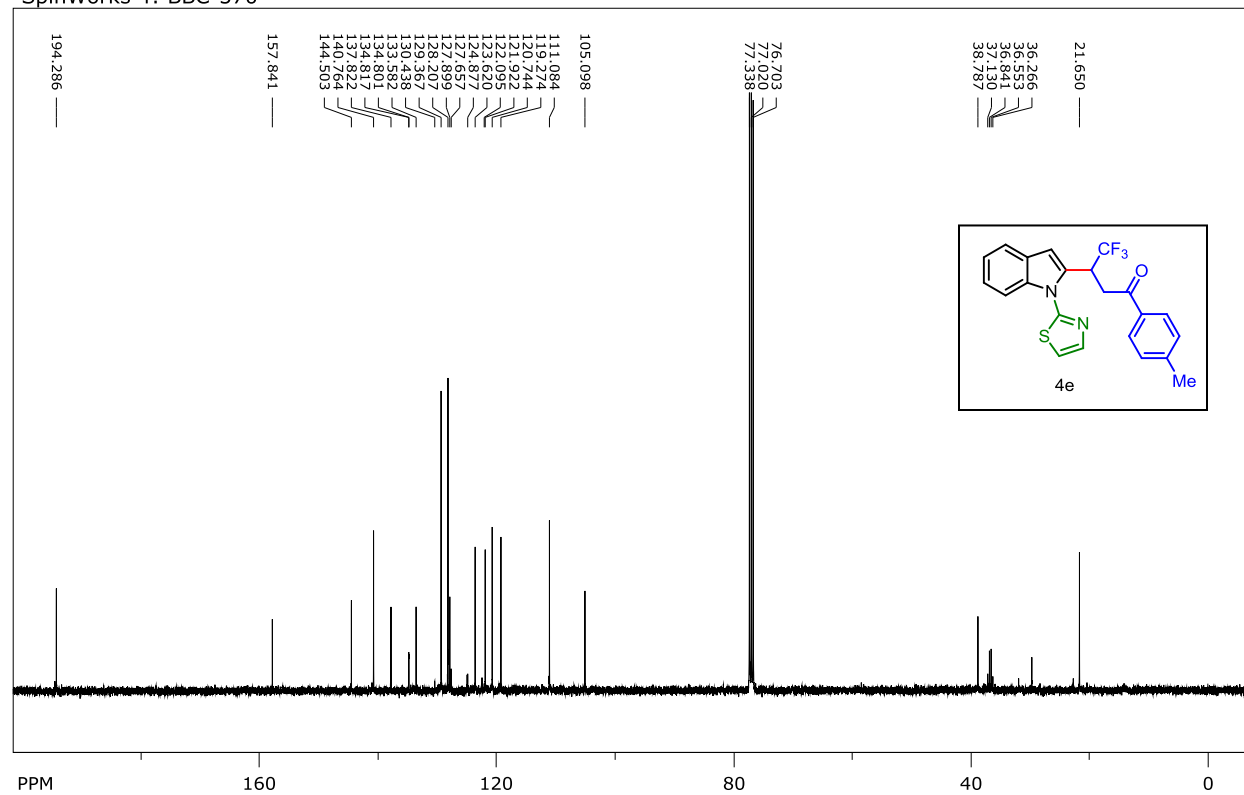
SpinWorks 4: BBC-537



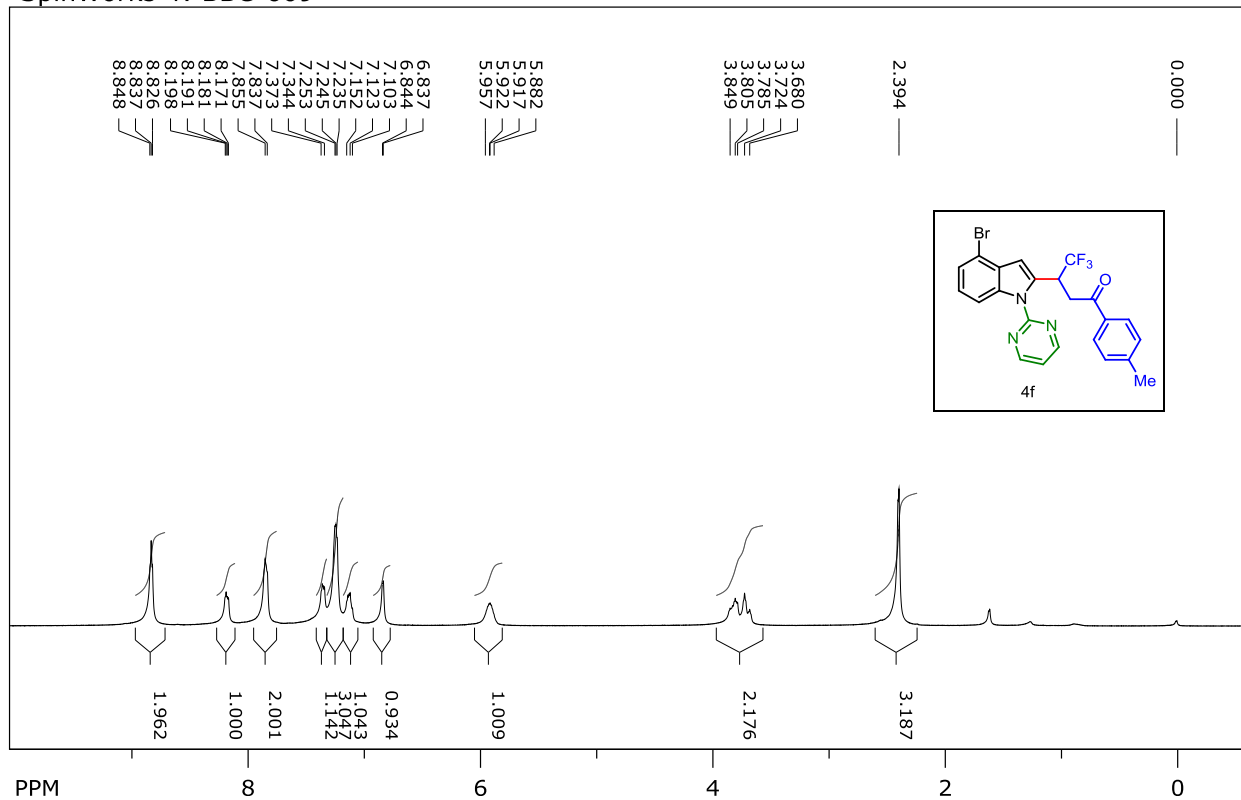
SpinWorks 4: BBC-570



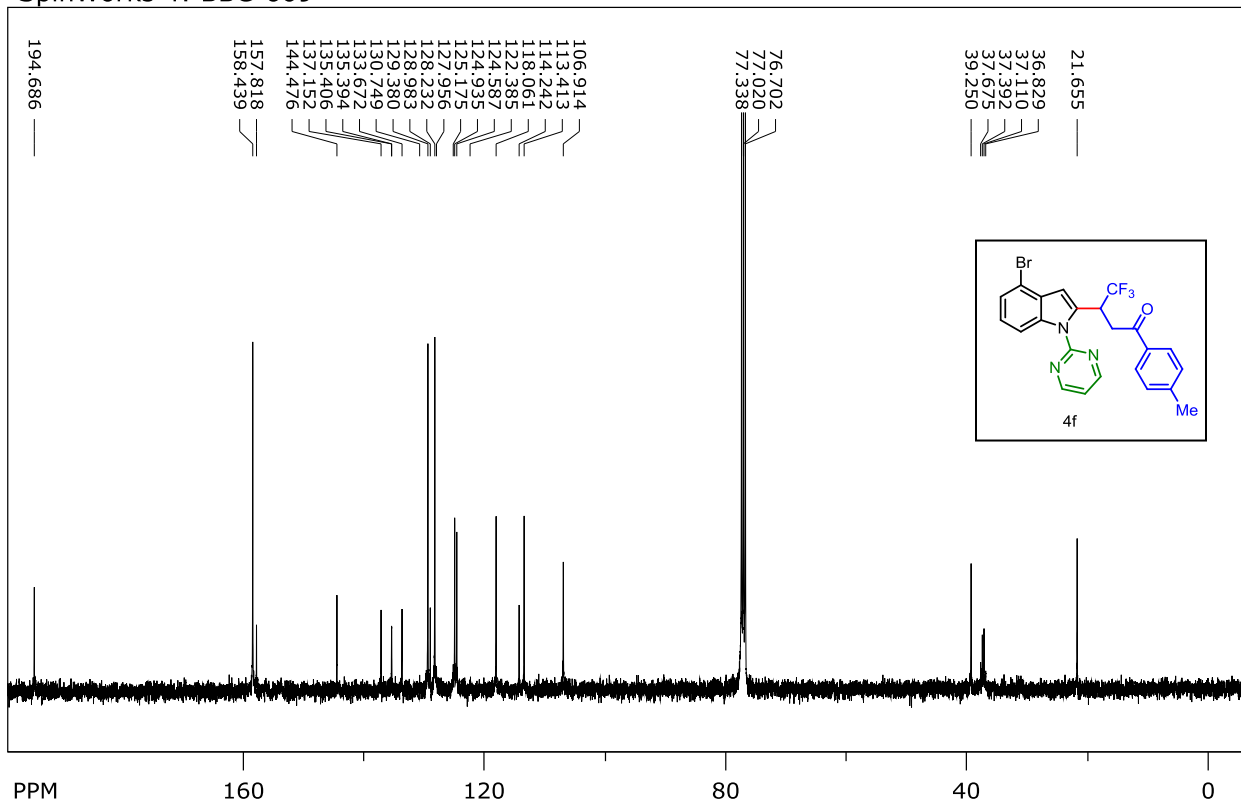
SpinWorks 4: BBC-570



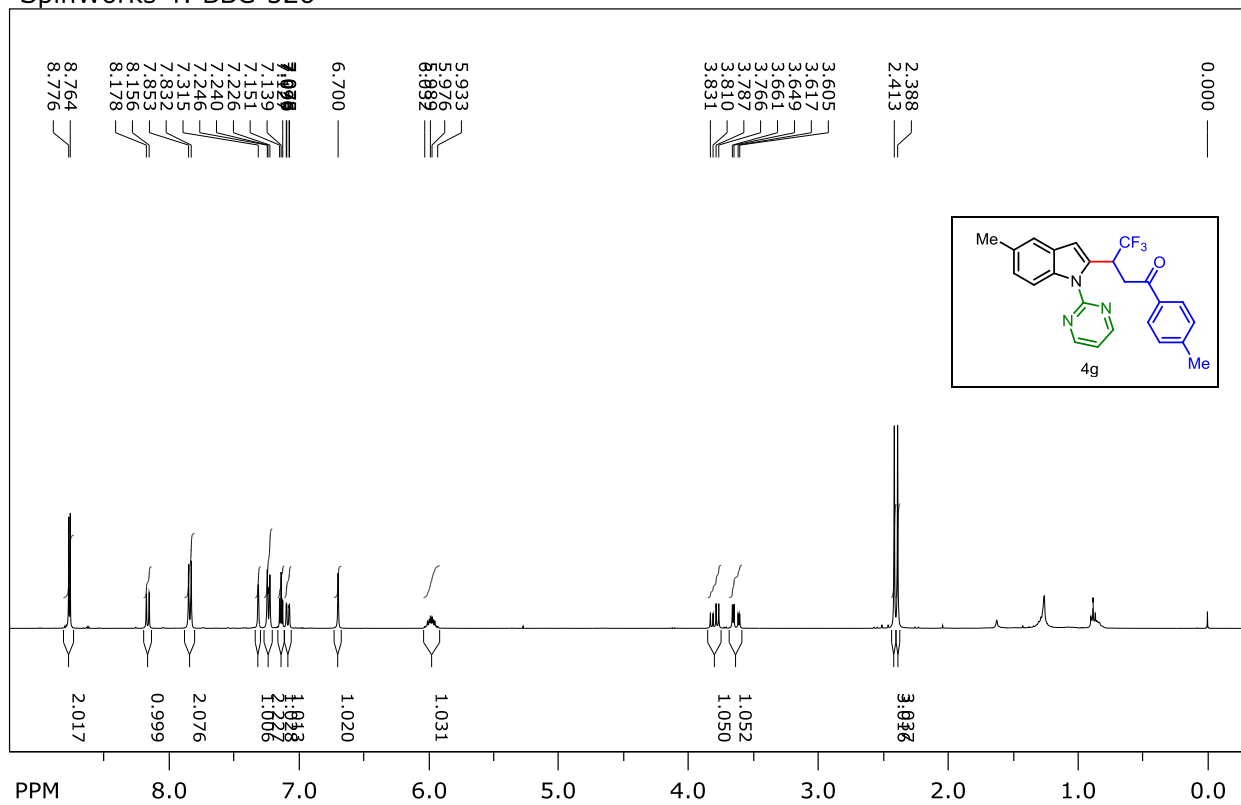
SpinWorks 4: BBC-609



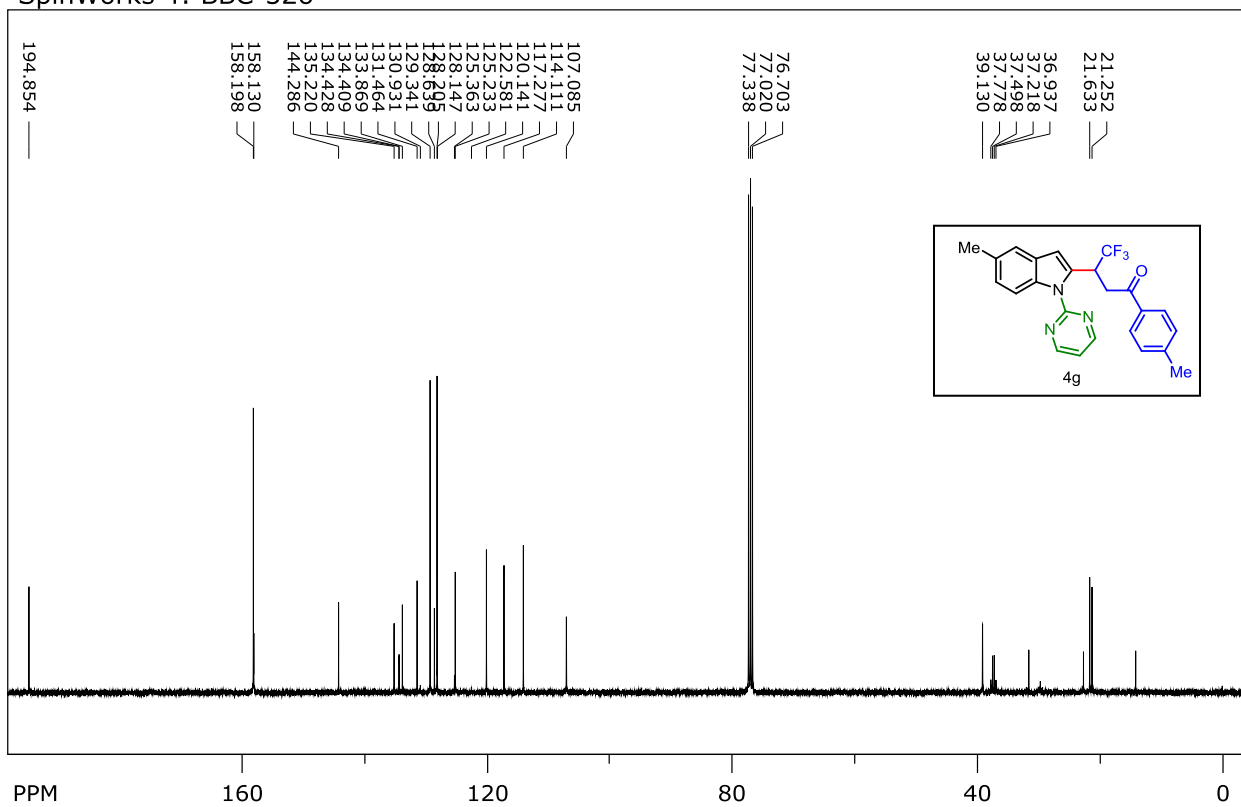
SpinWorks 4: BBC-609



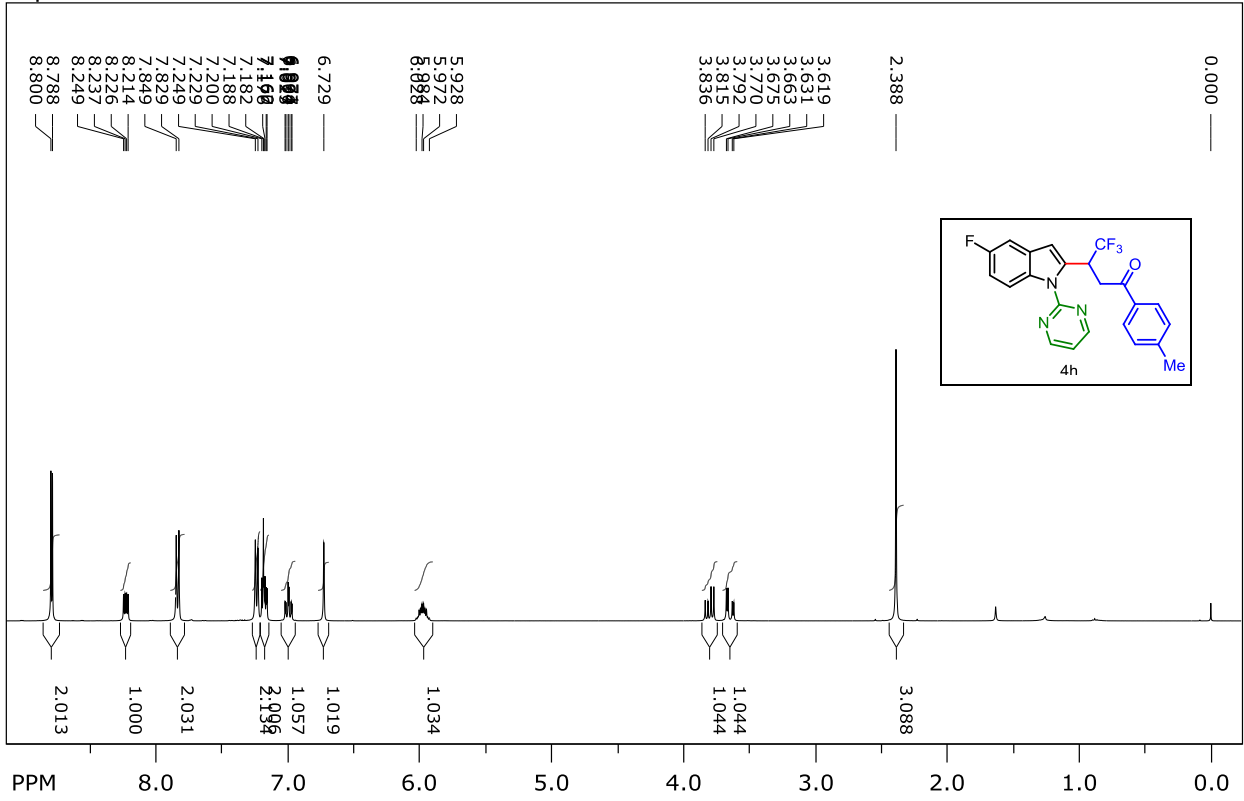
SpinWorks 4: BBC-526



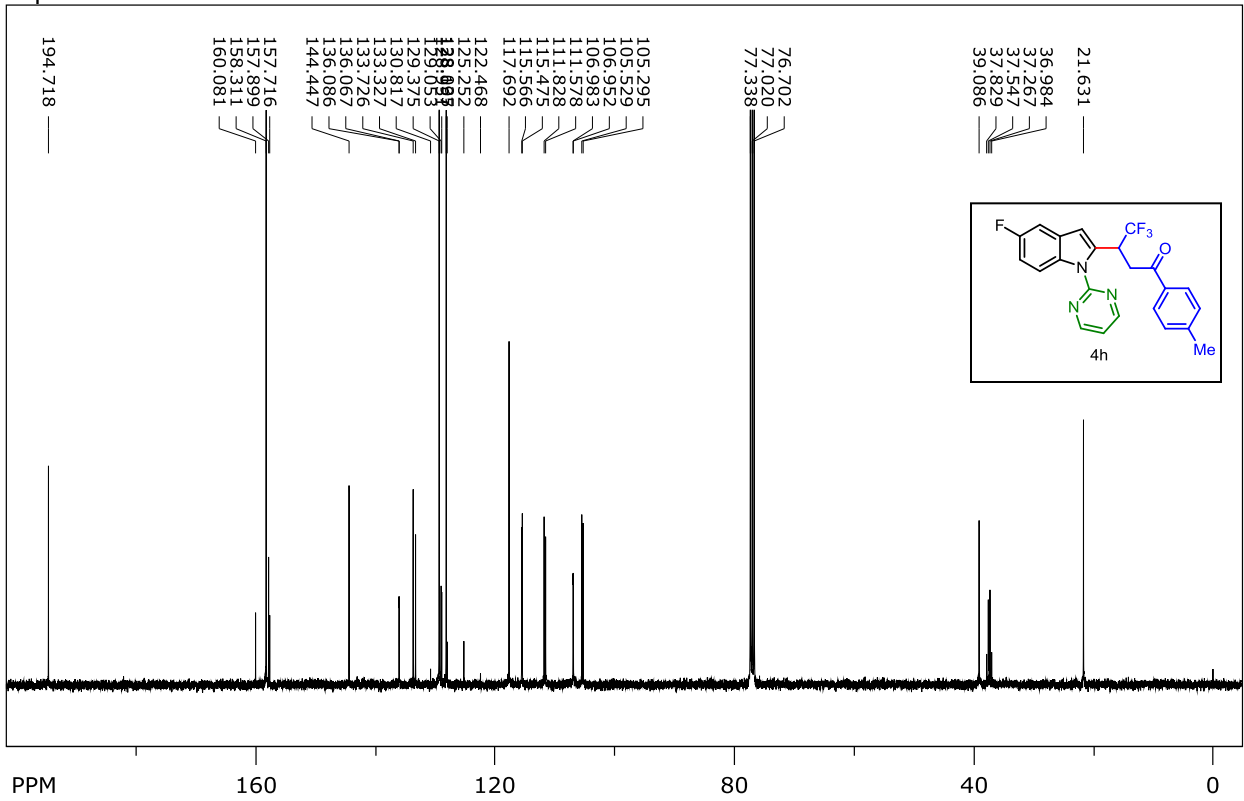
SpinWorks 4: BBC-526



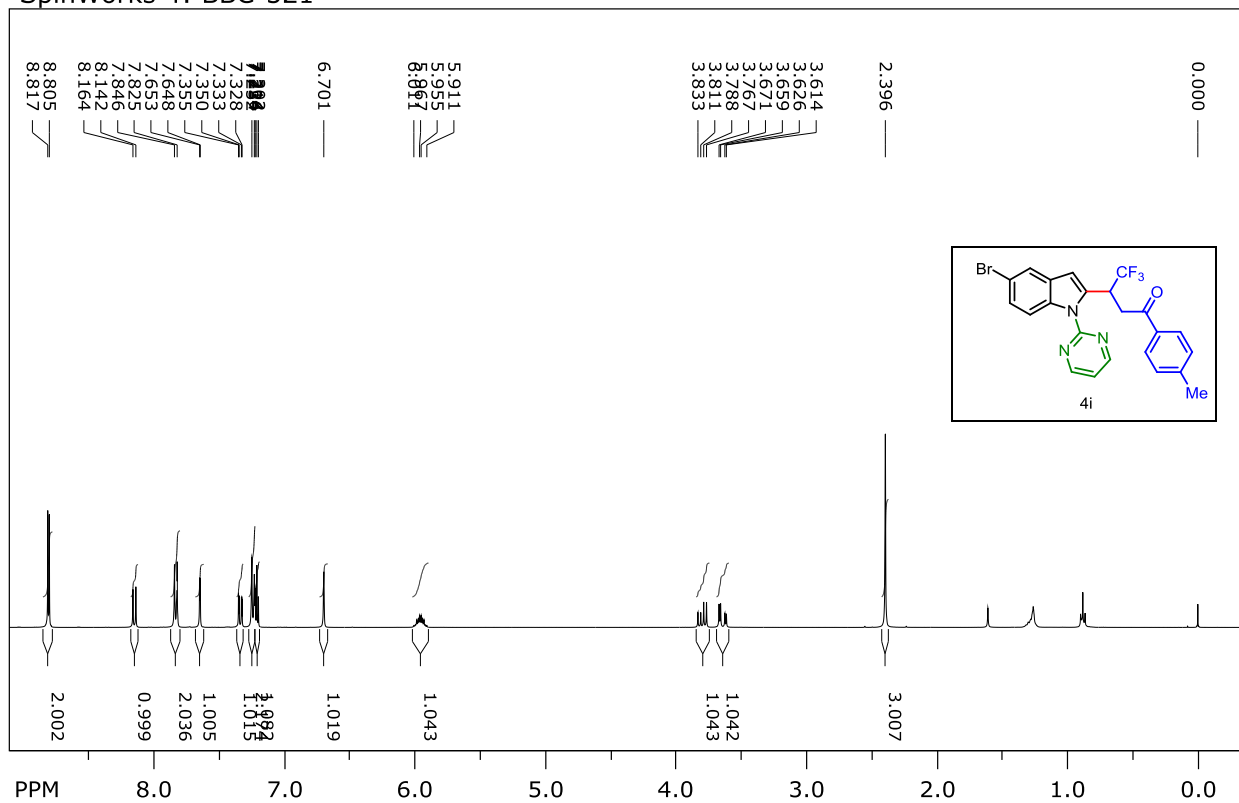
SpinWorks 4: BBC-522



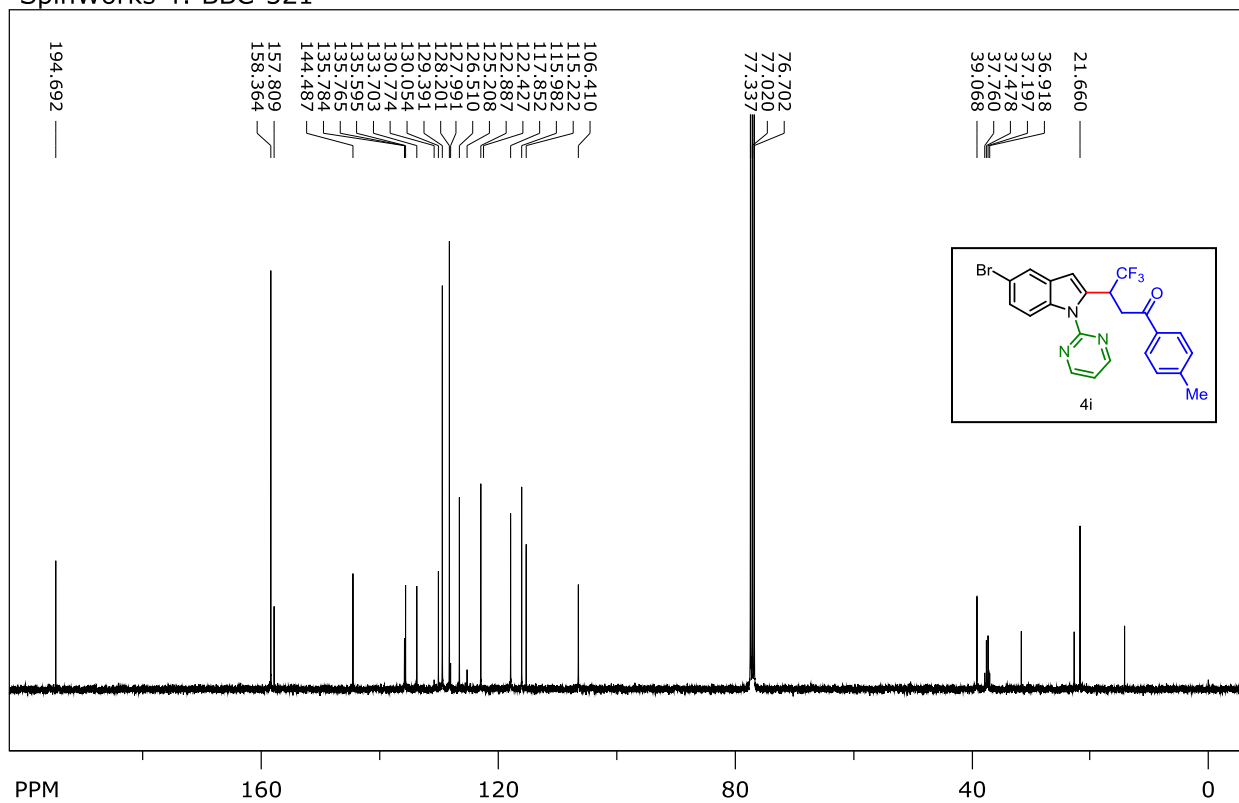
SpinWorks 4: BBC-522



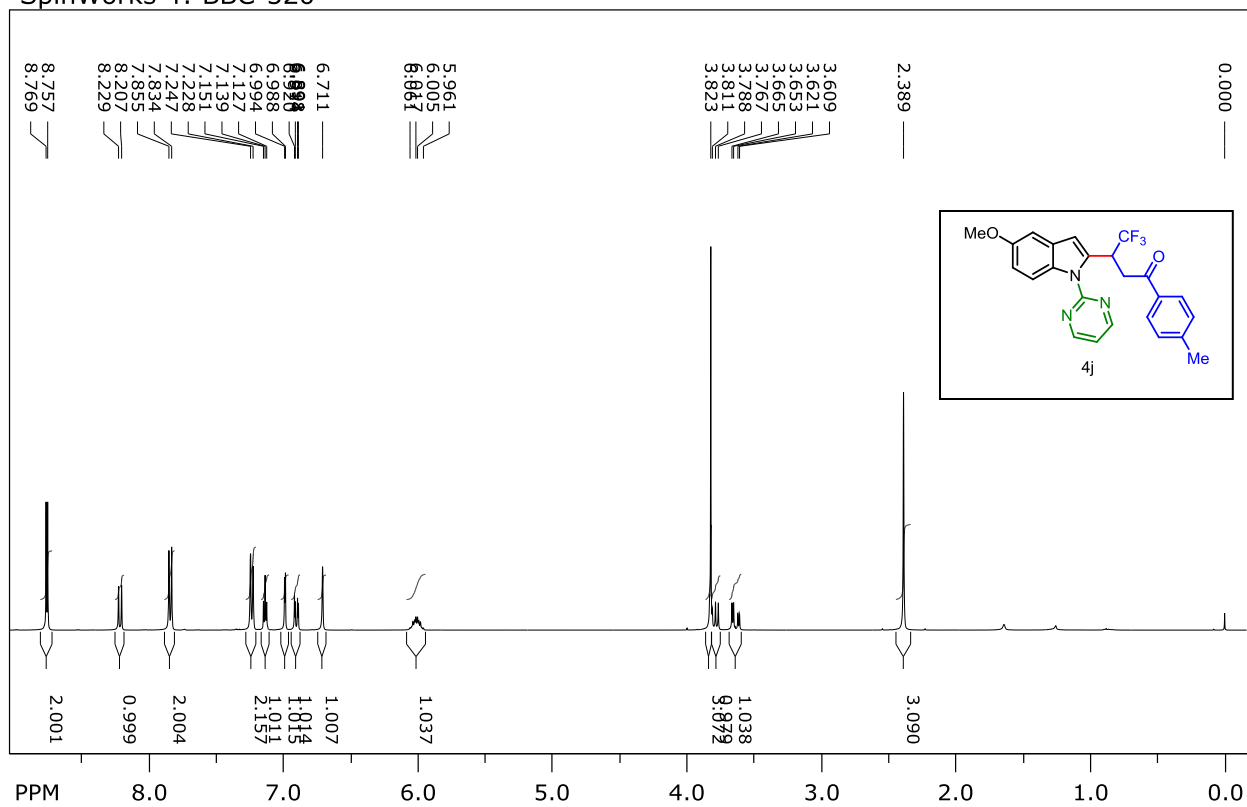
SpinWorks 4: BBC-521



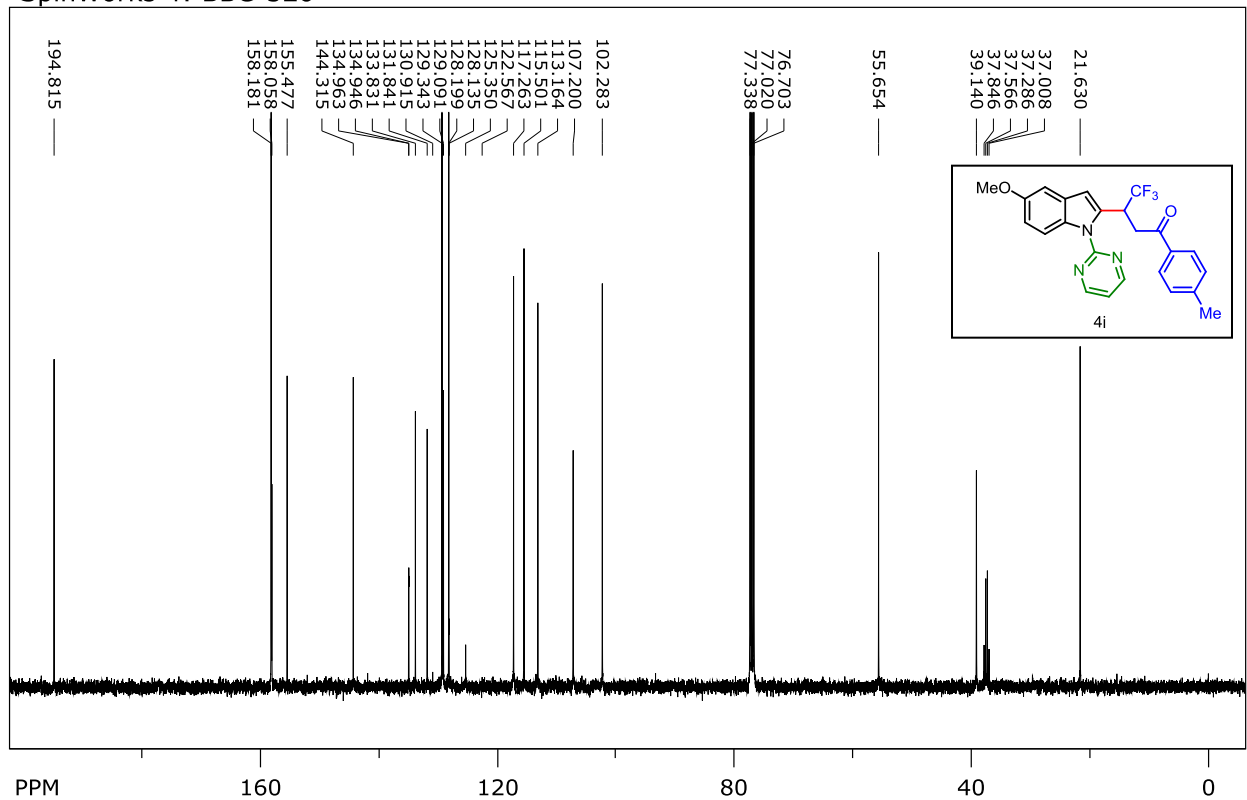
SpinWorks 4: BBC-521



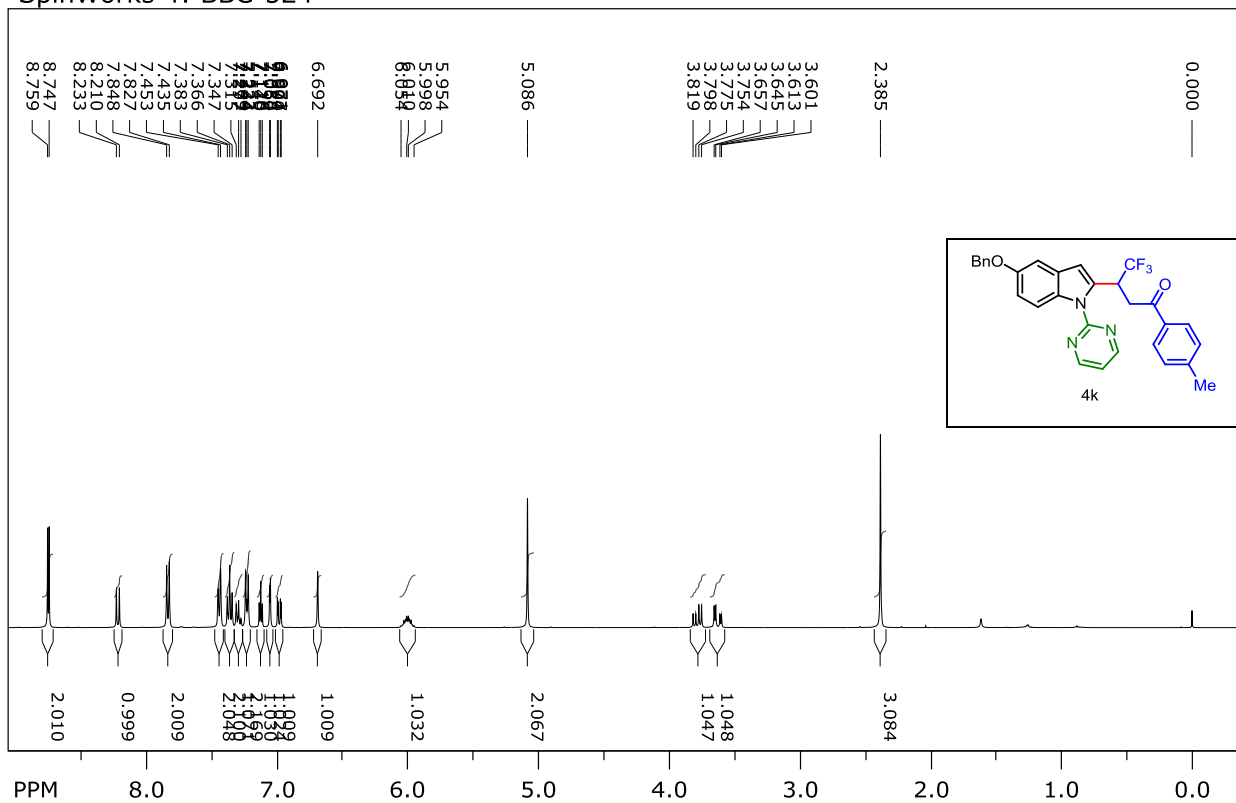
SpinWorks 4: BBC-520



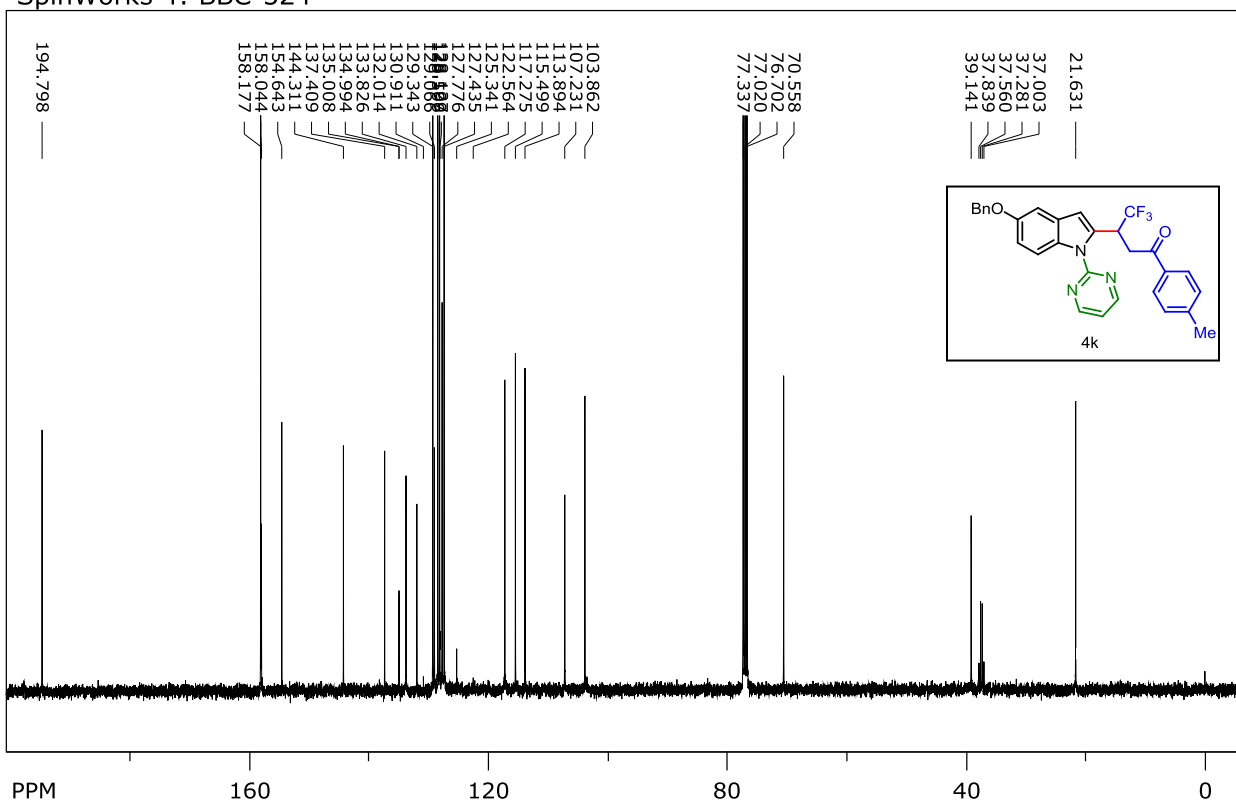
SpinWorks 4: BBC-520



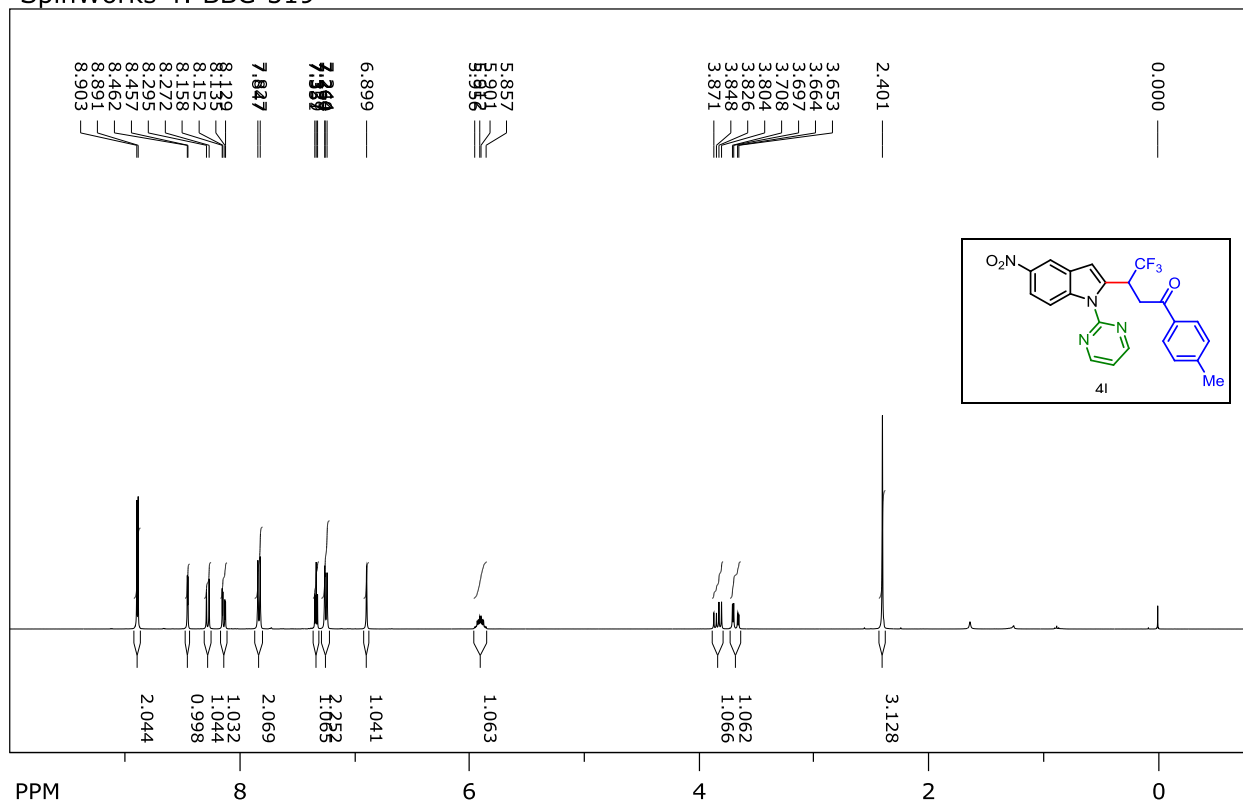
SpinWorks 4: BBC-524



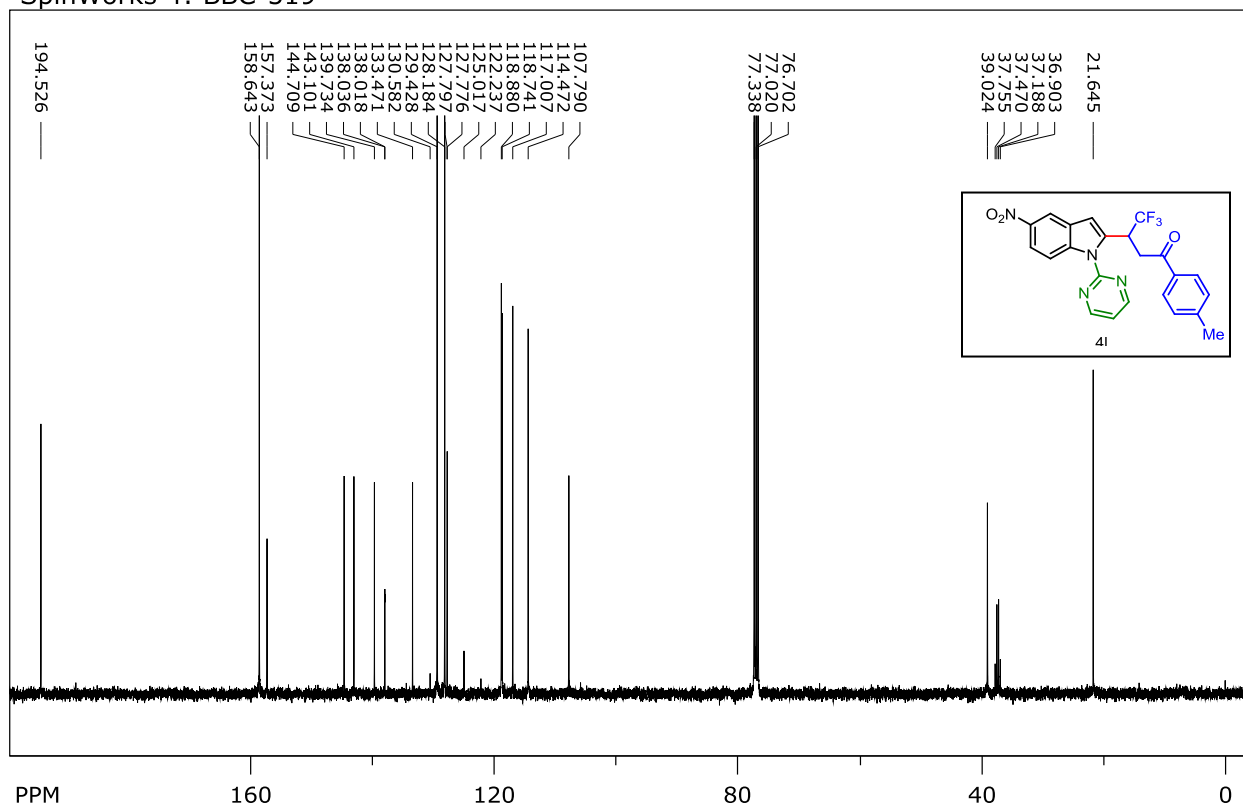
SpinWorks 4: BBC-524



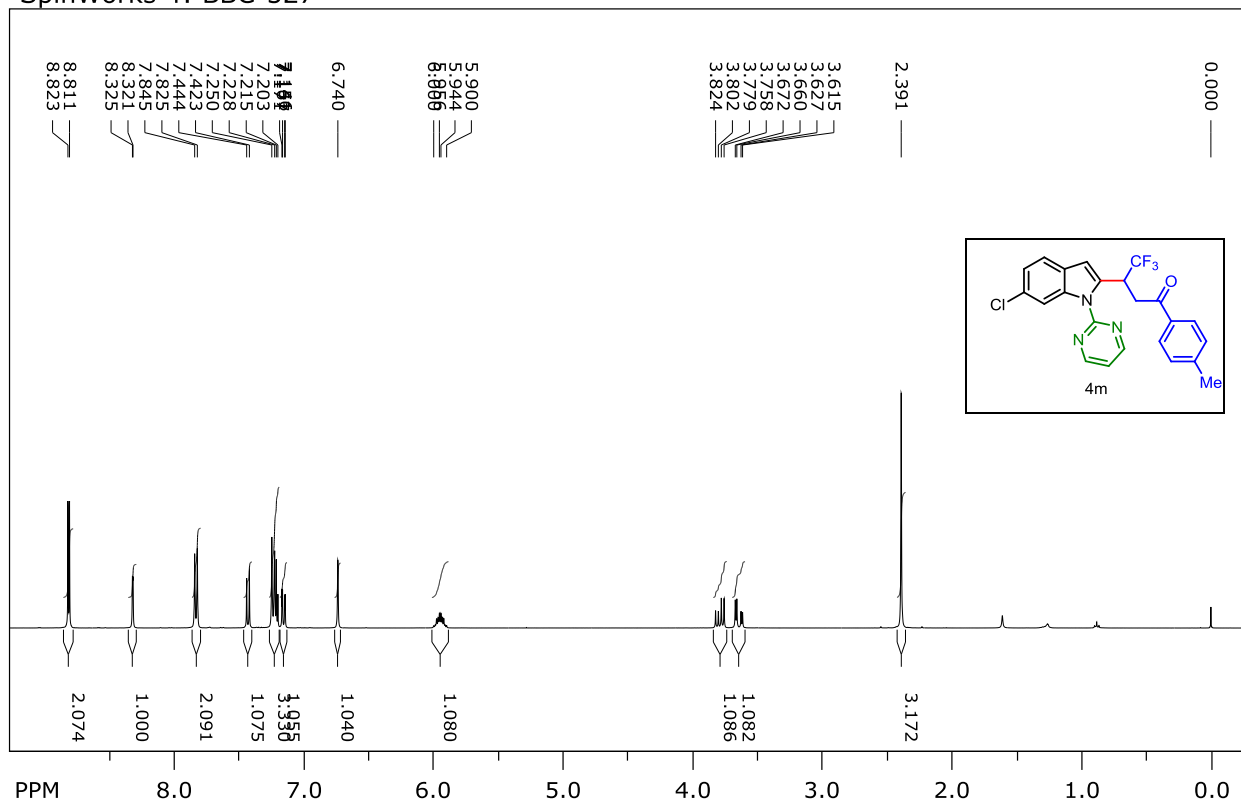
SpinWorks 4: BBC-519



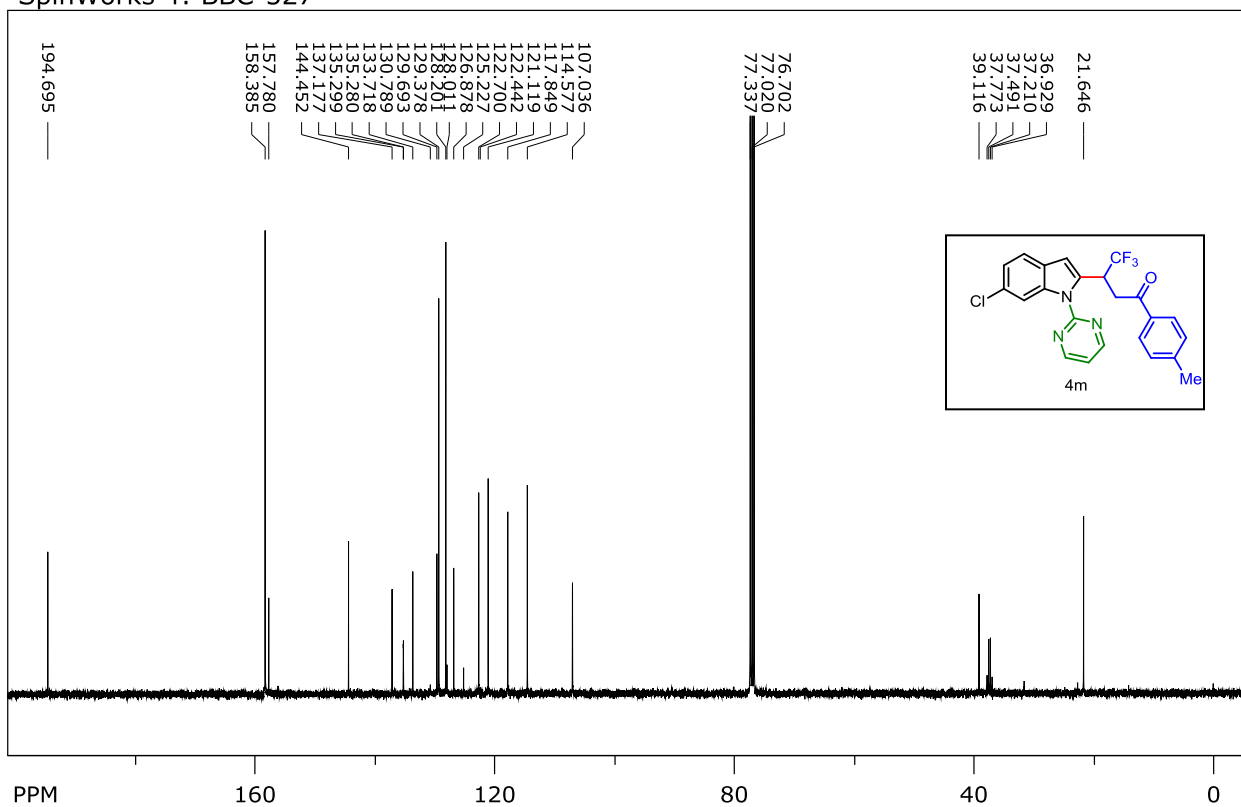
SpinWorks 4: BBC-519



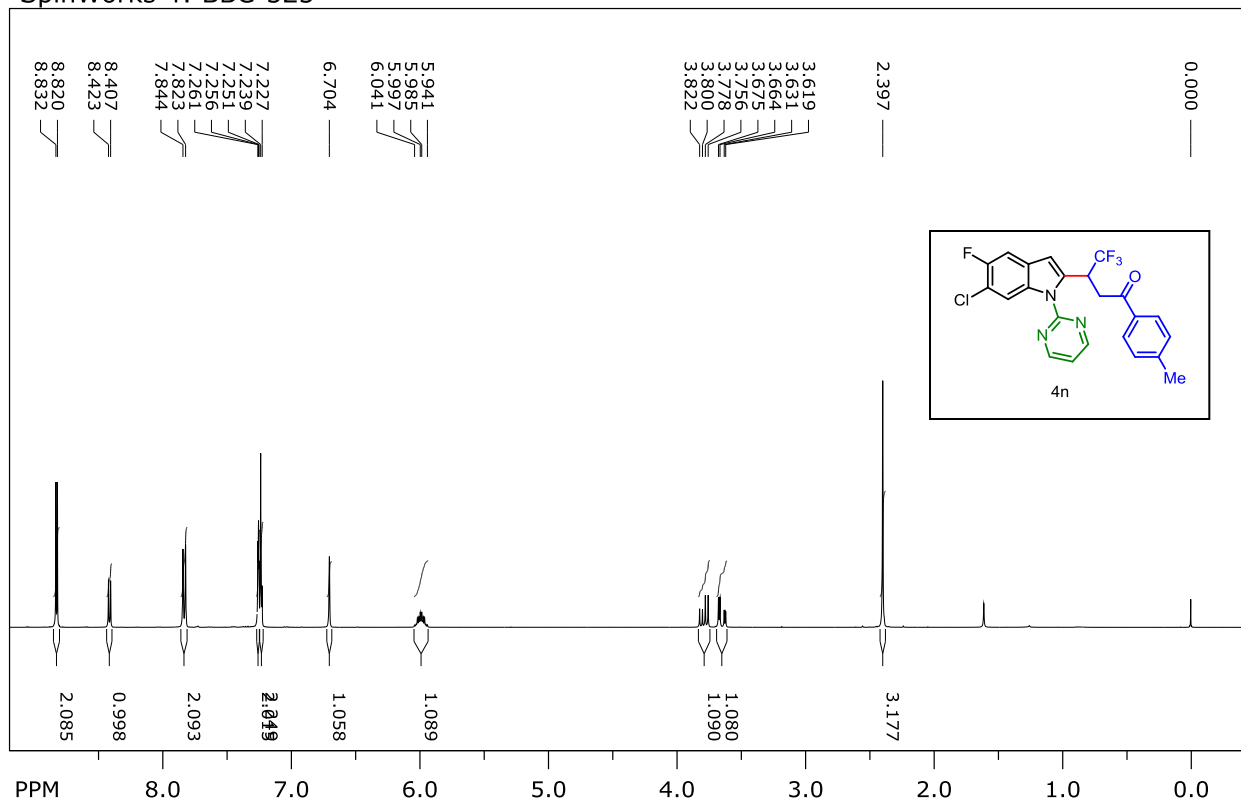
SpinWorks 4: BBC-527



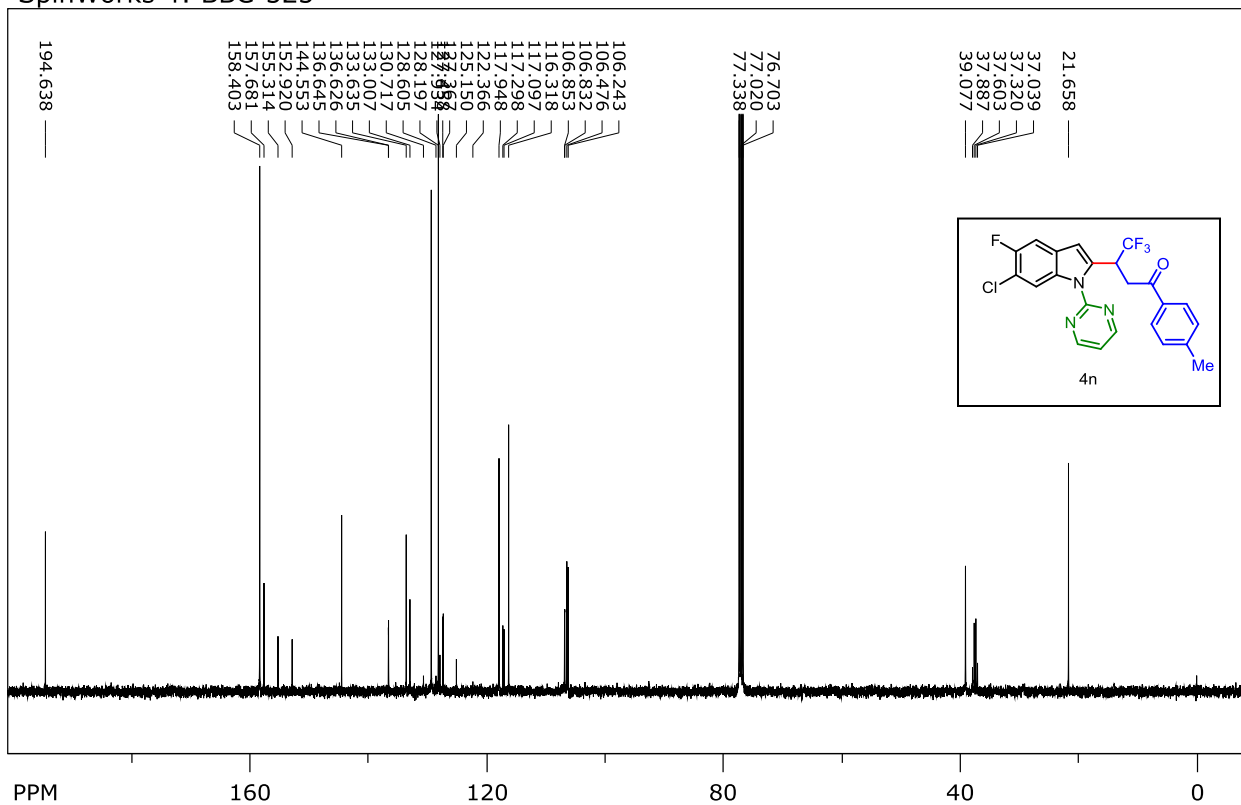
SpinWorks 4: BBC-527



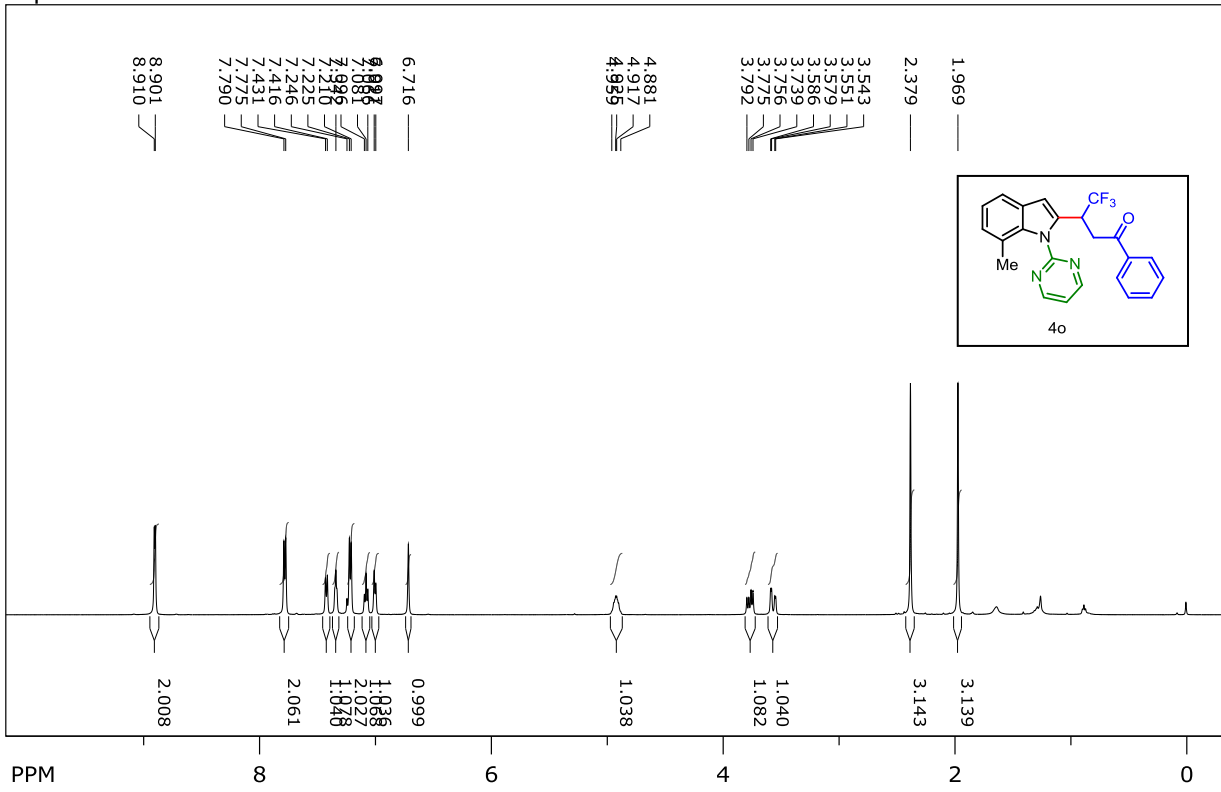
SpinWorks 4: BBC-525



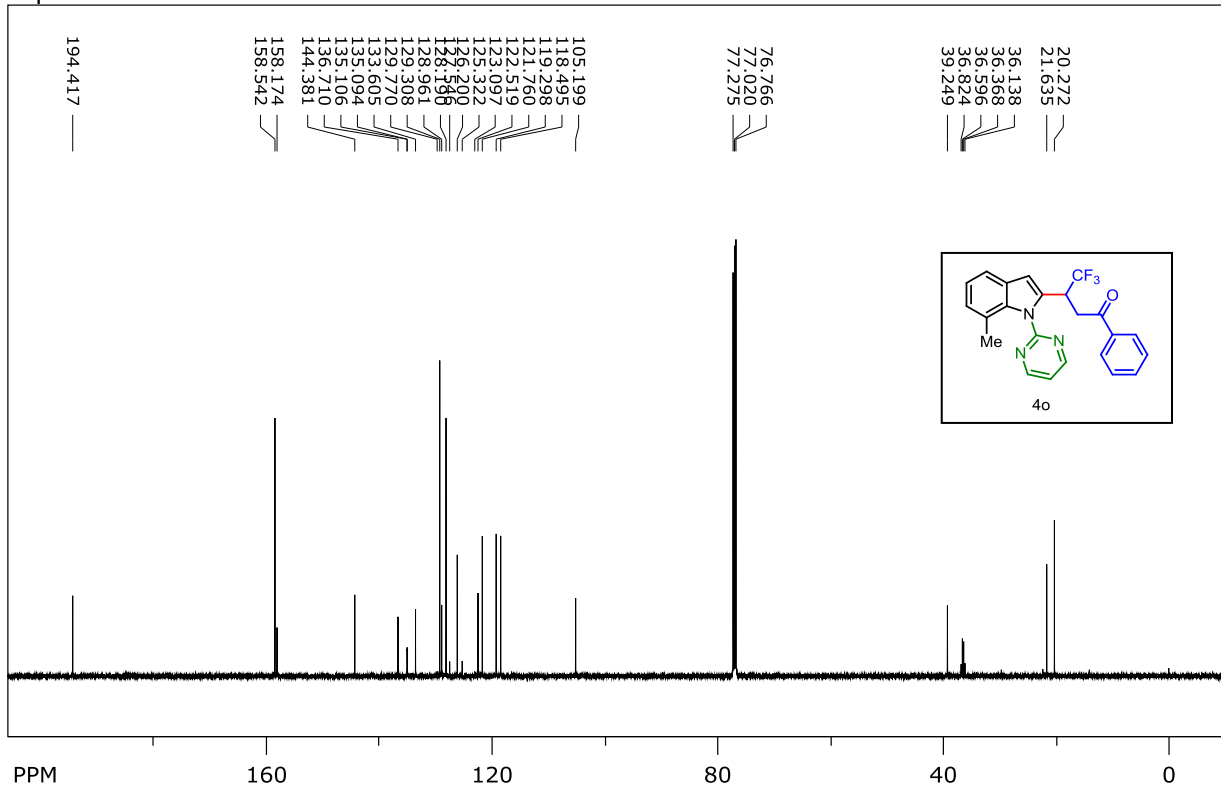
SpinWorks 4: BBC-525



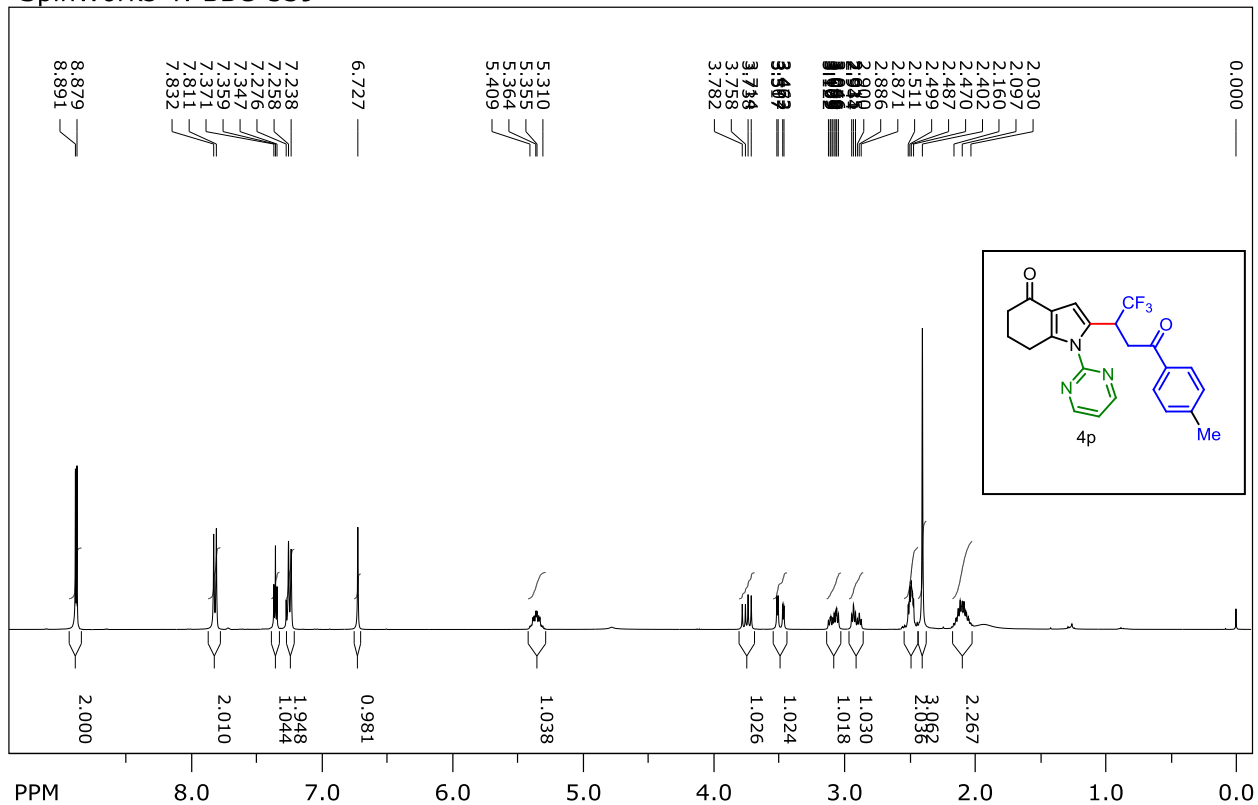
SpinWorks 4: BBC-827



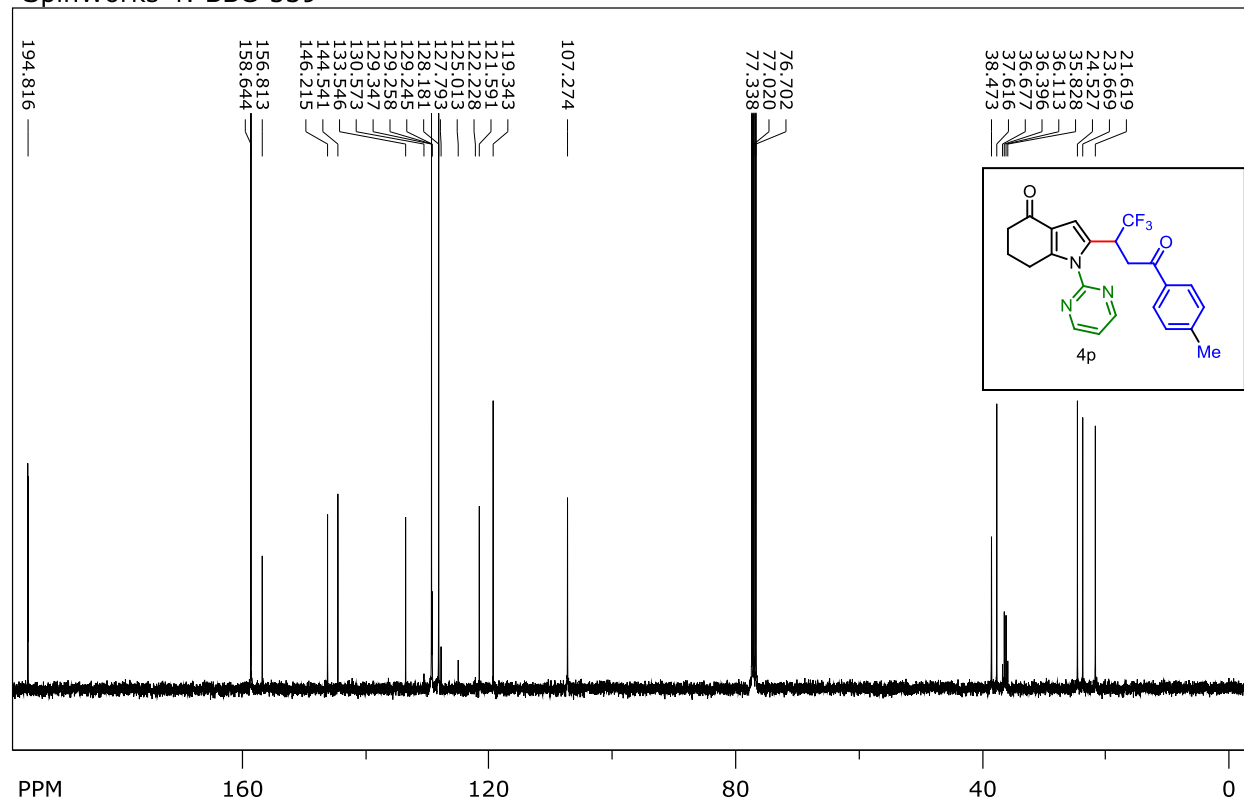
SpinWorks 4: BBC-827



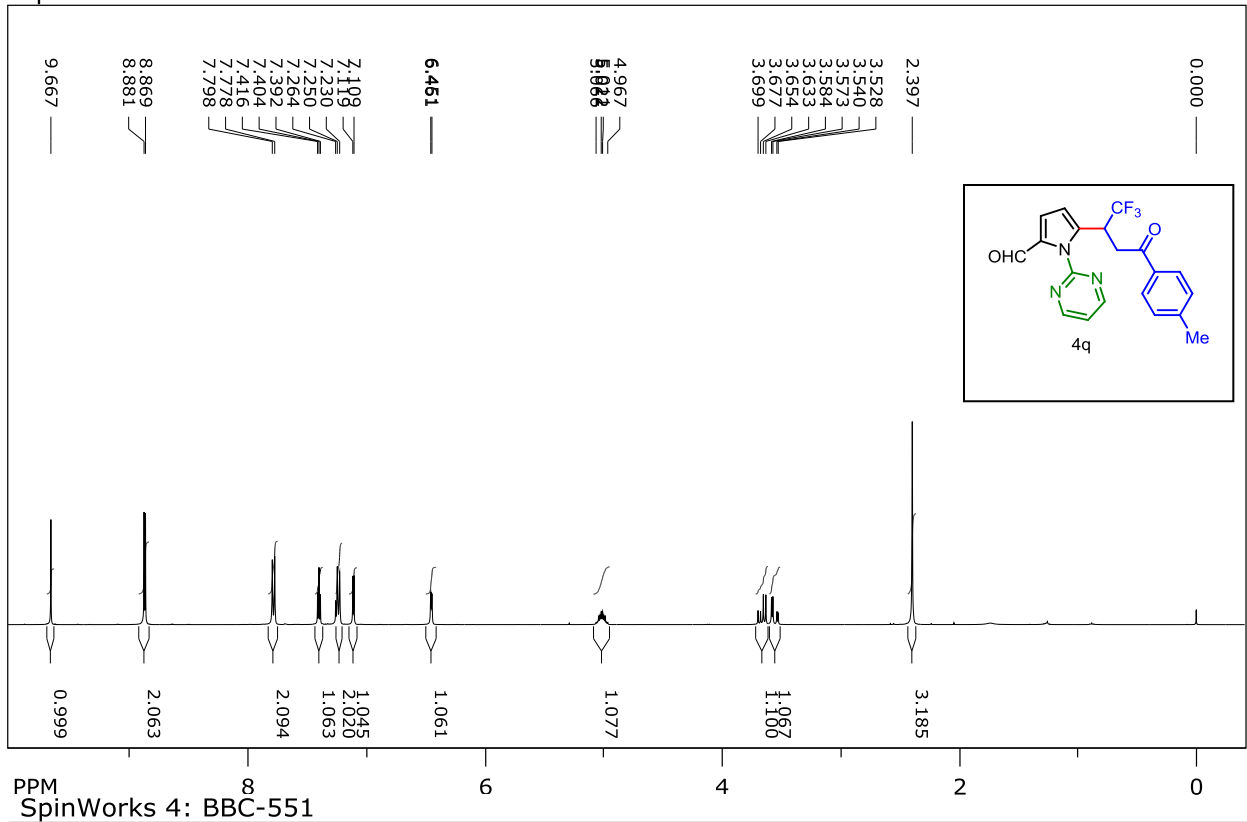
SpinWorks 4: BBC-539



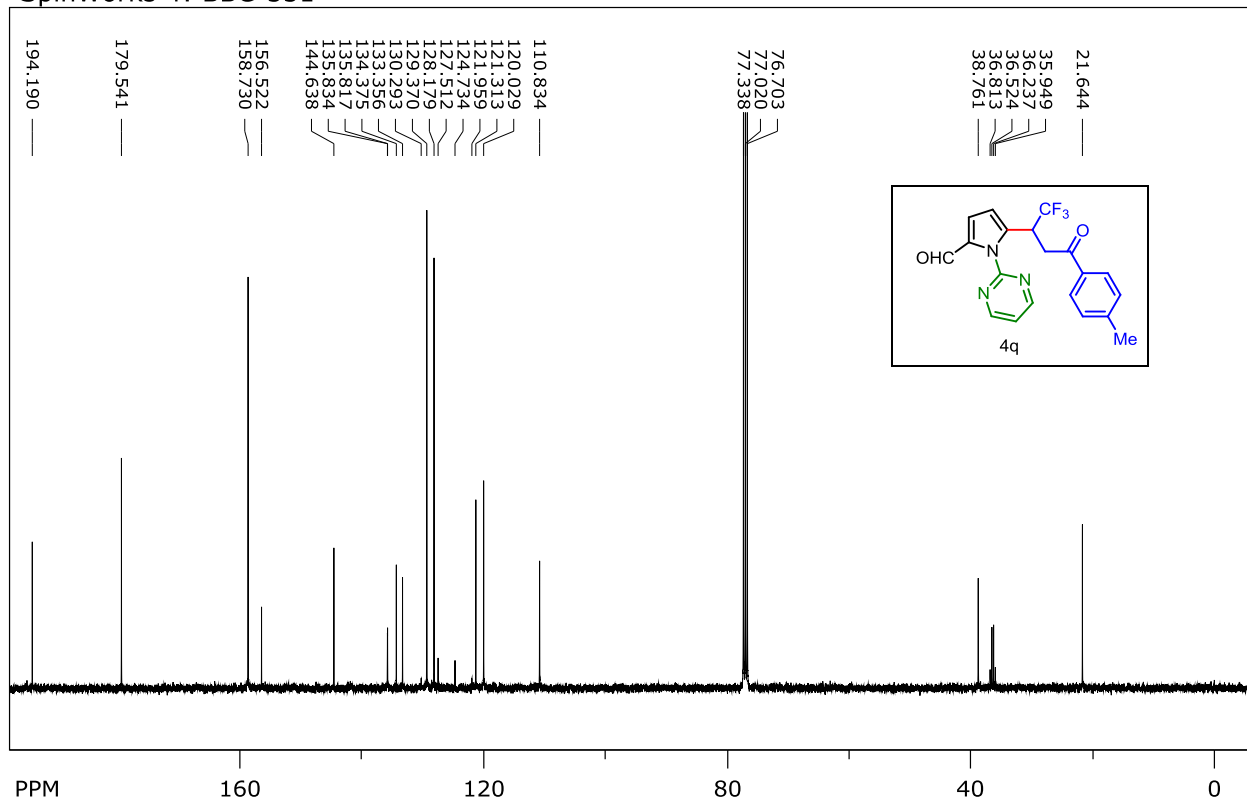
SpinWorks 4: BBC-539



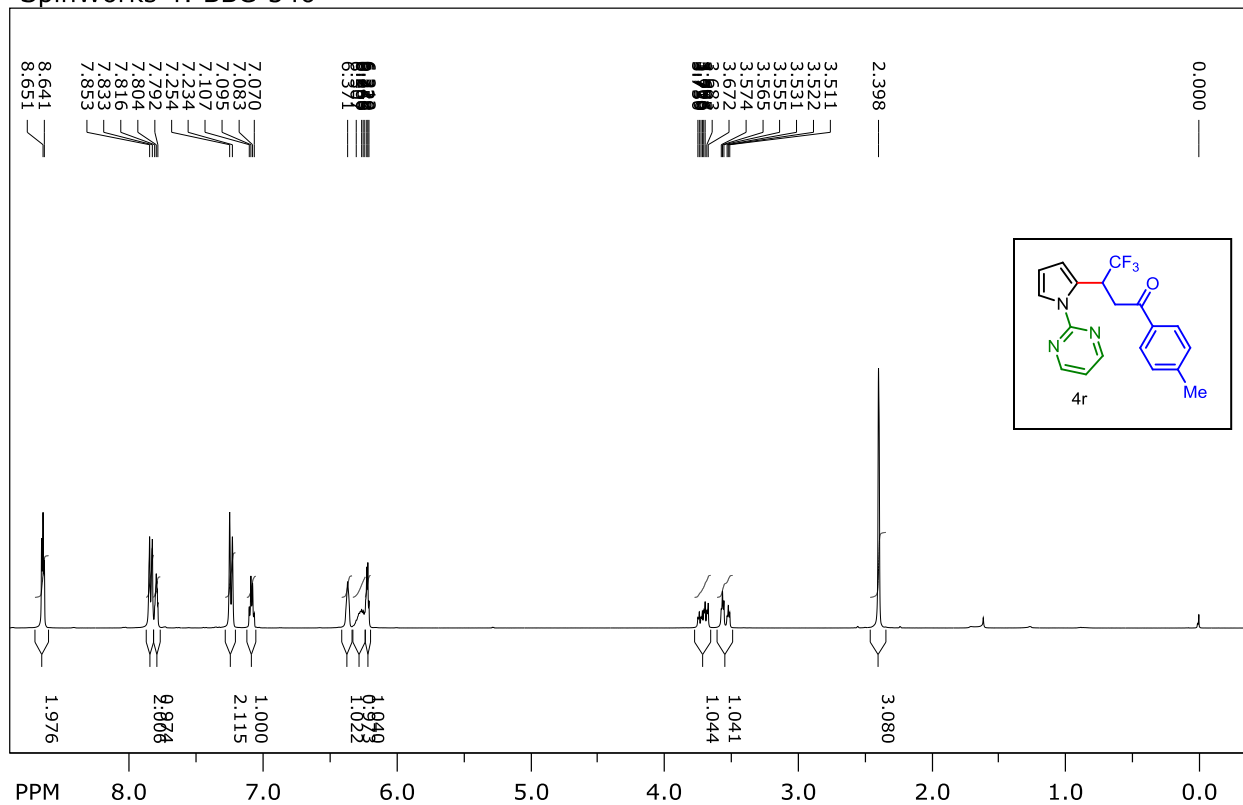
SpinWorks 4: BBC-551



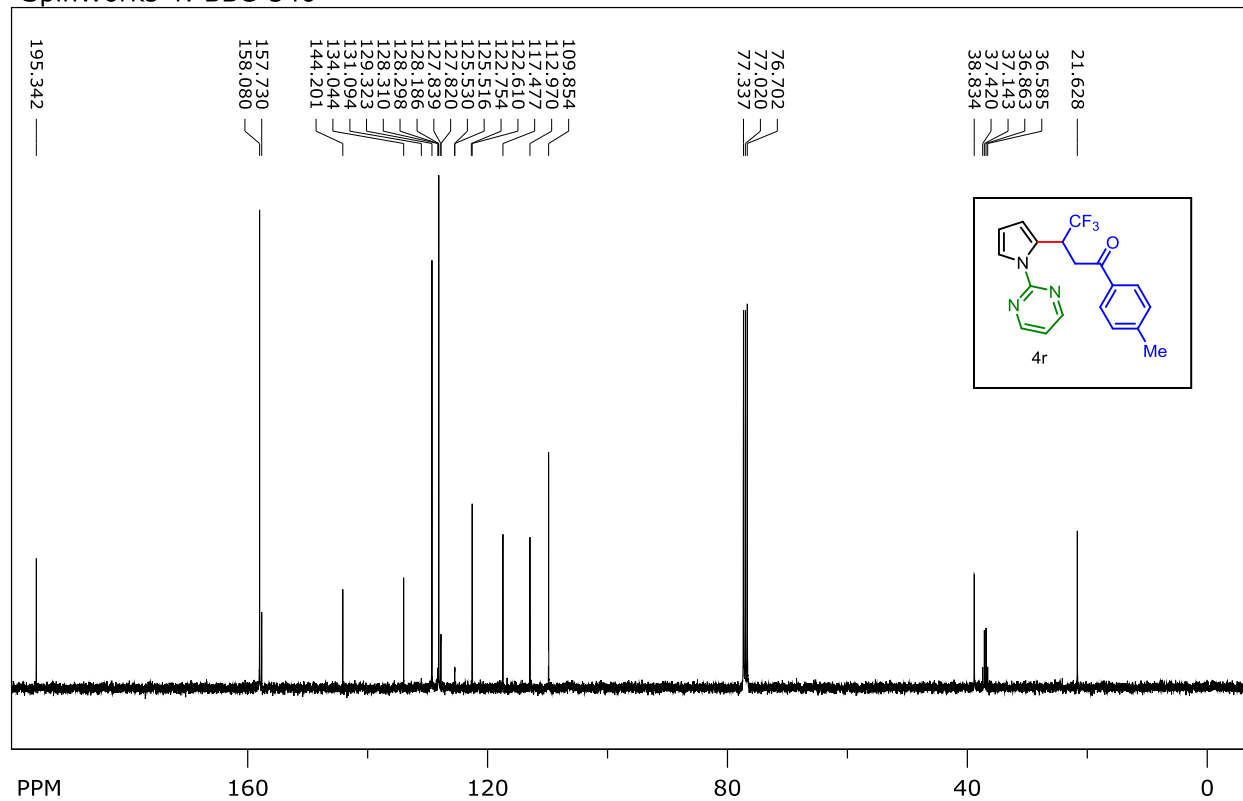
SpinWorks 4: BBC-551



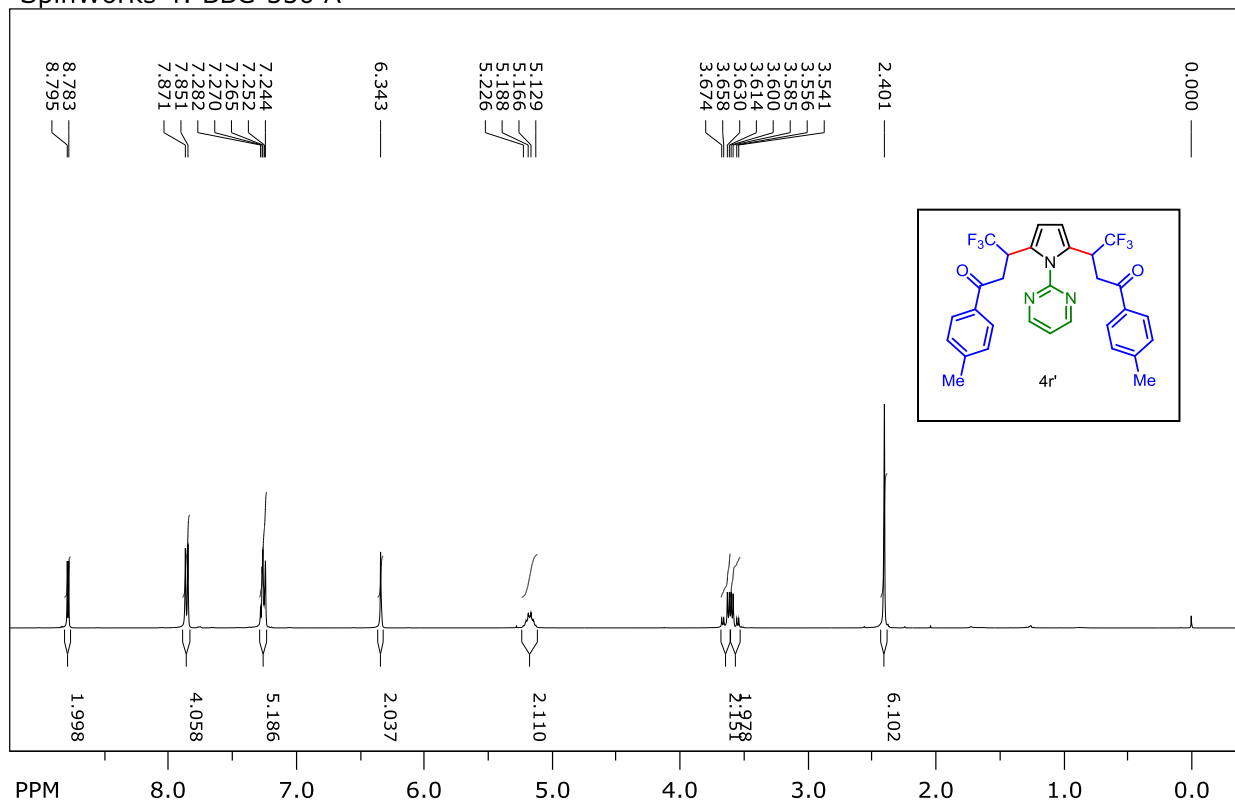
SpinWorks 4: BBC-540



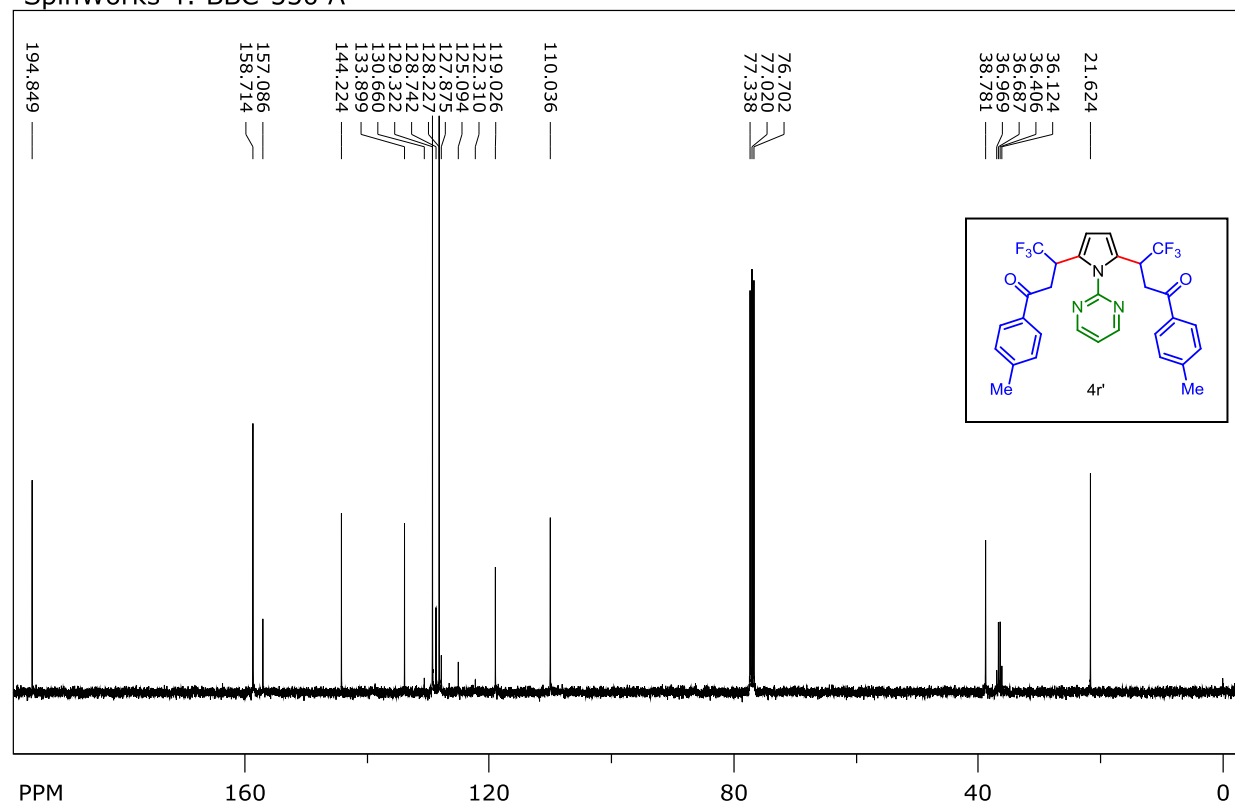
SpinWorks 4: BBC-540



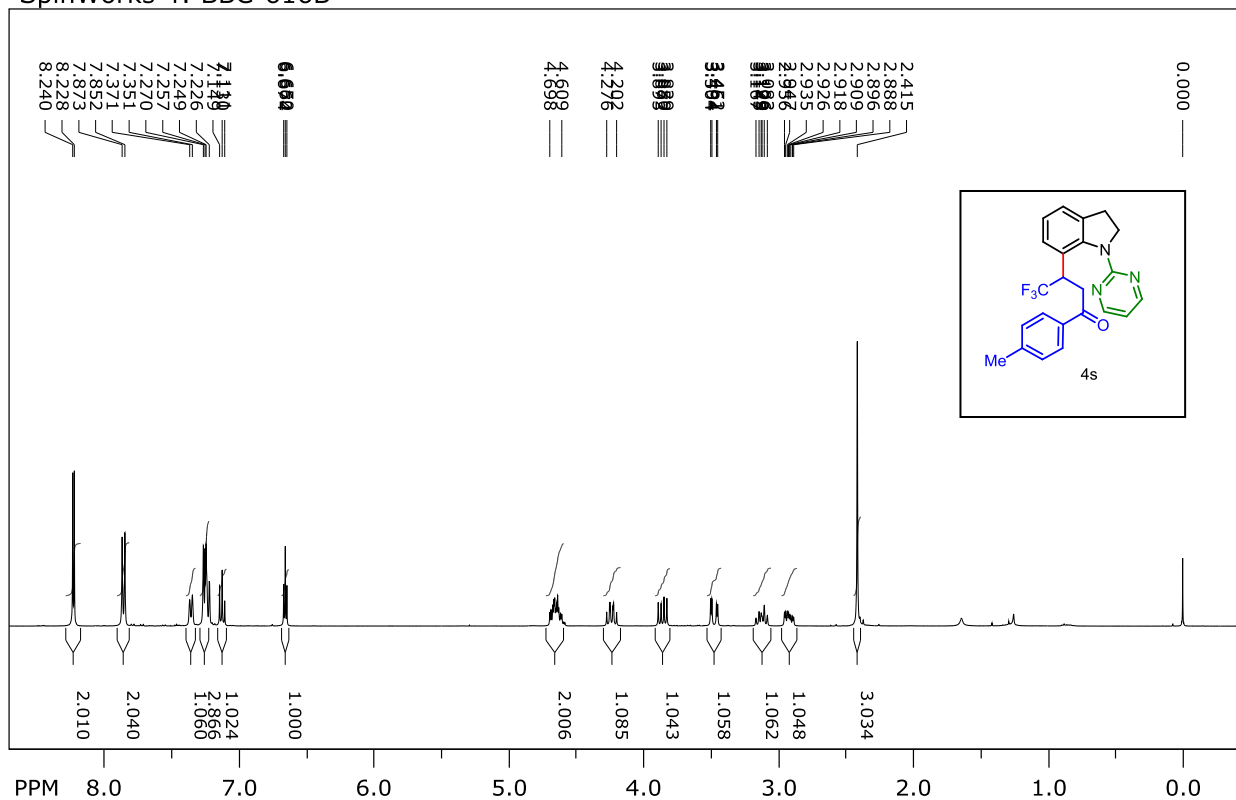
SpinWorks 4: BBC-550 A



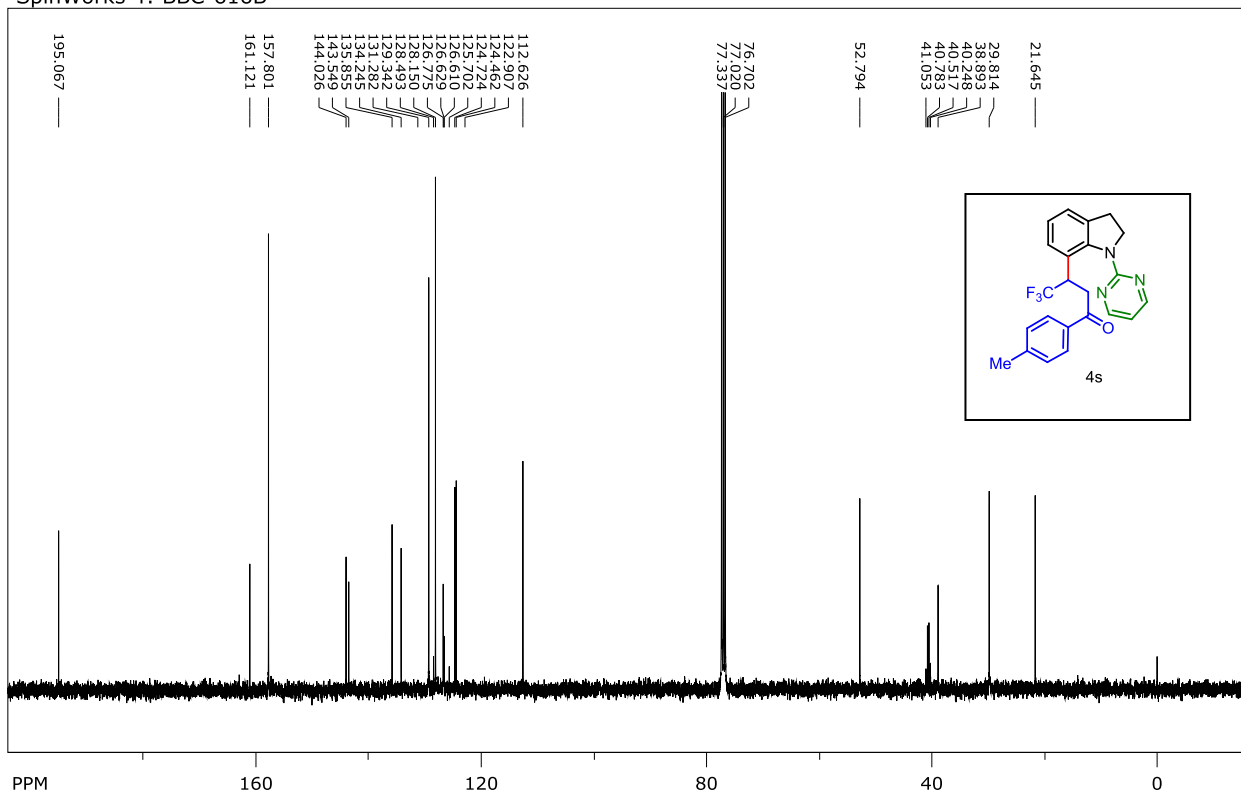
SpinWorks 4: BBC-550 A



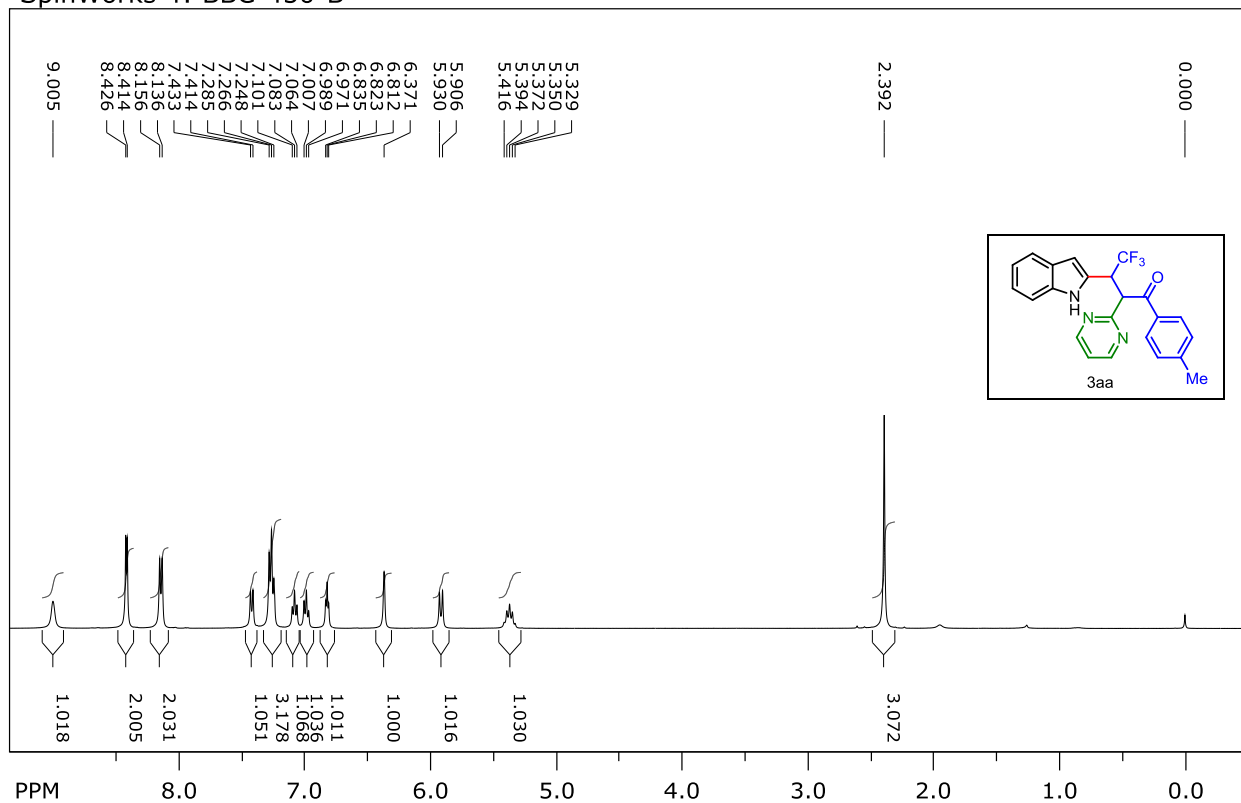
SpinWorks 4: BBC-616B



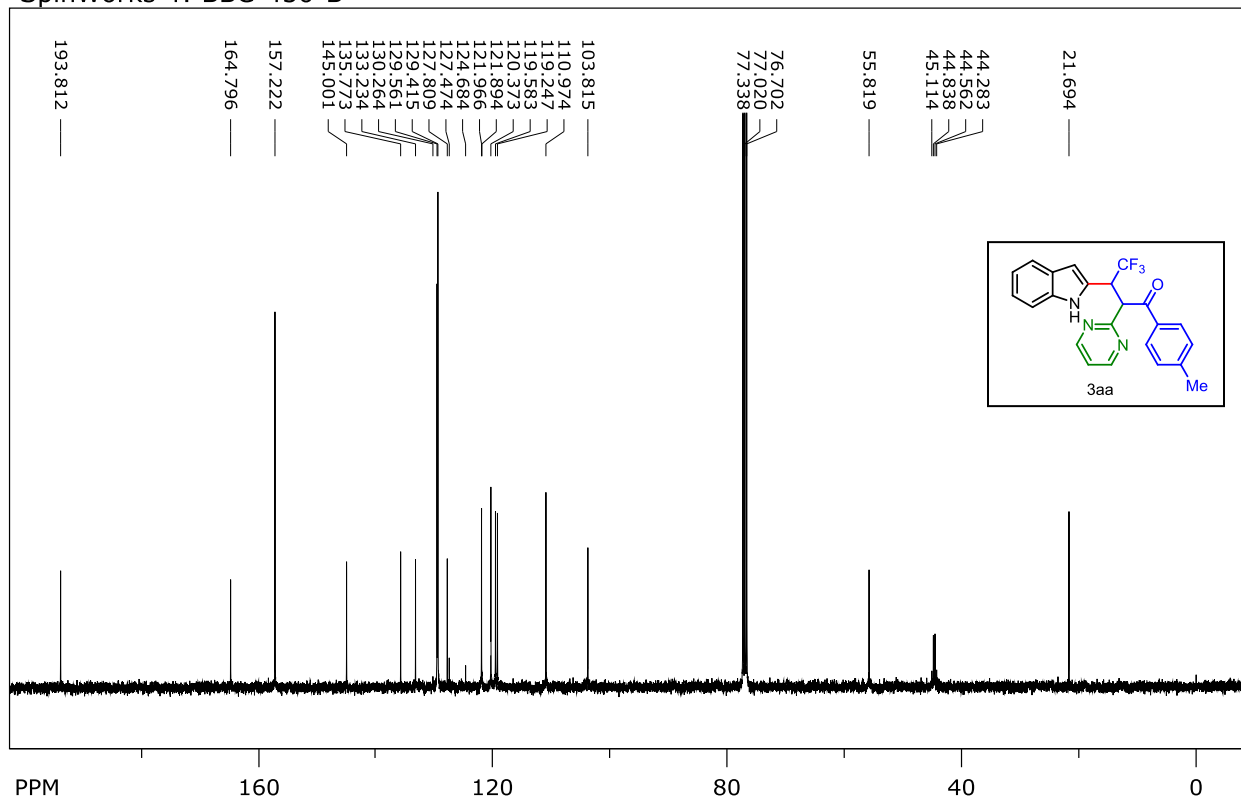
SpinWorks 4: BBC-616B



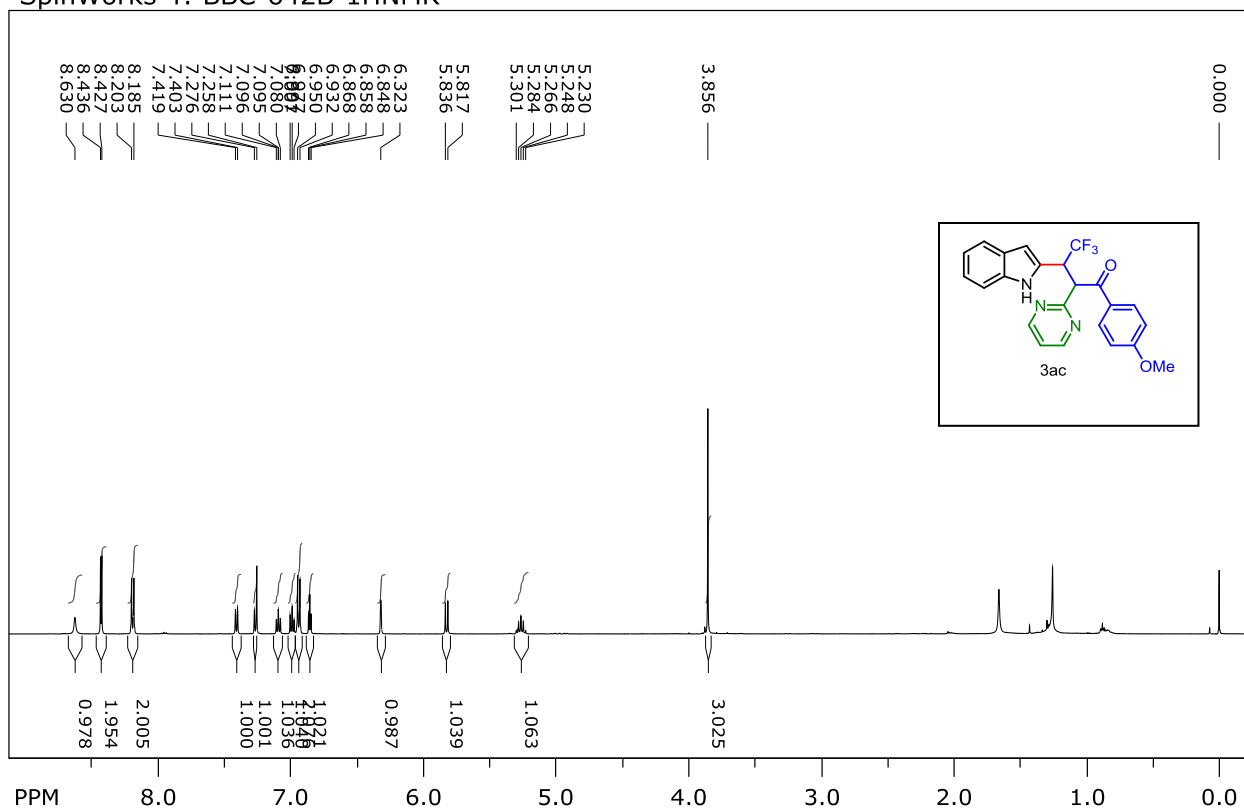
SpinWorks 4: BBC-450-B



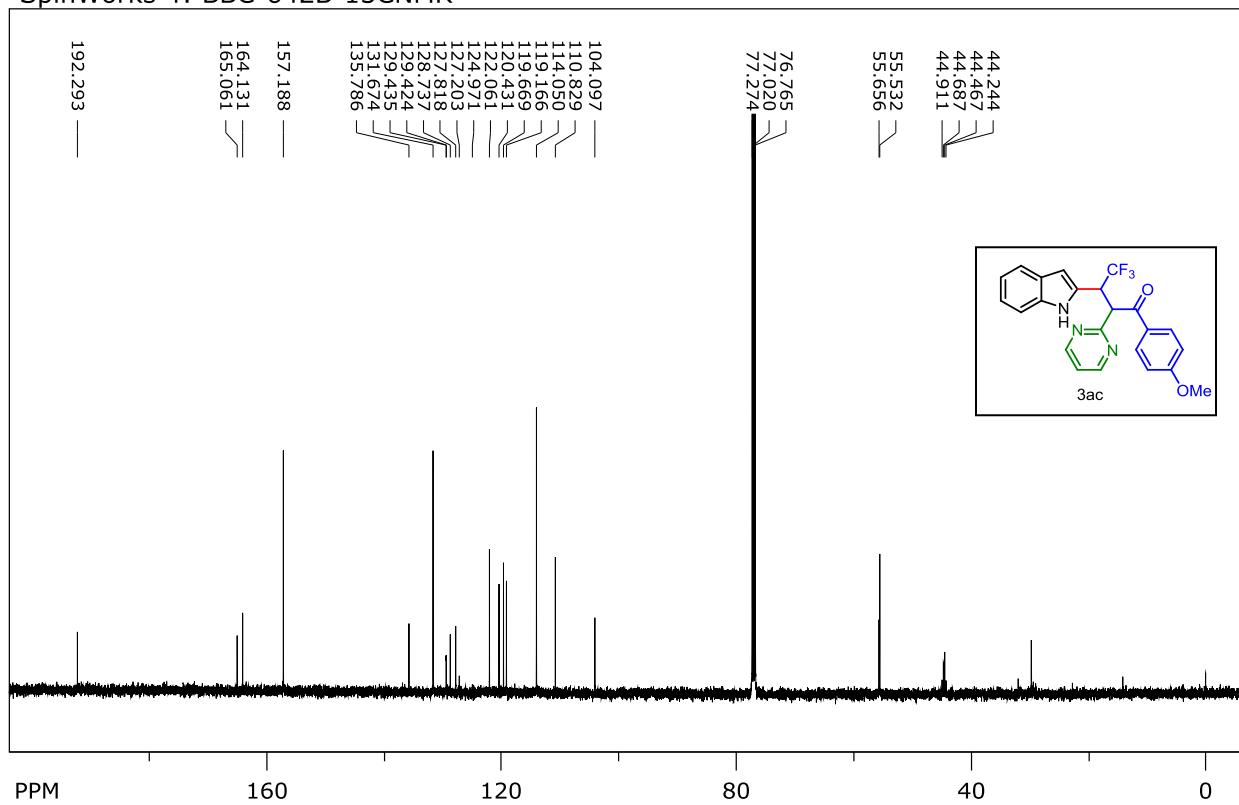
SpinWorks 4: BBC-450-B



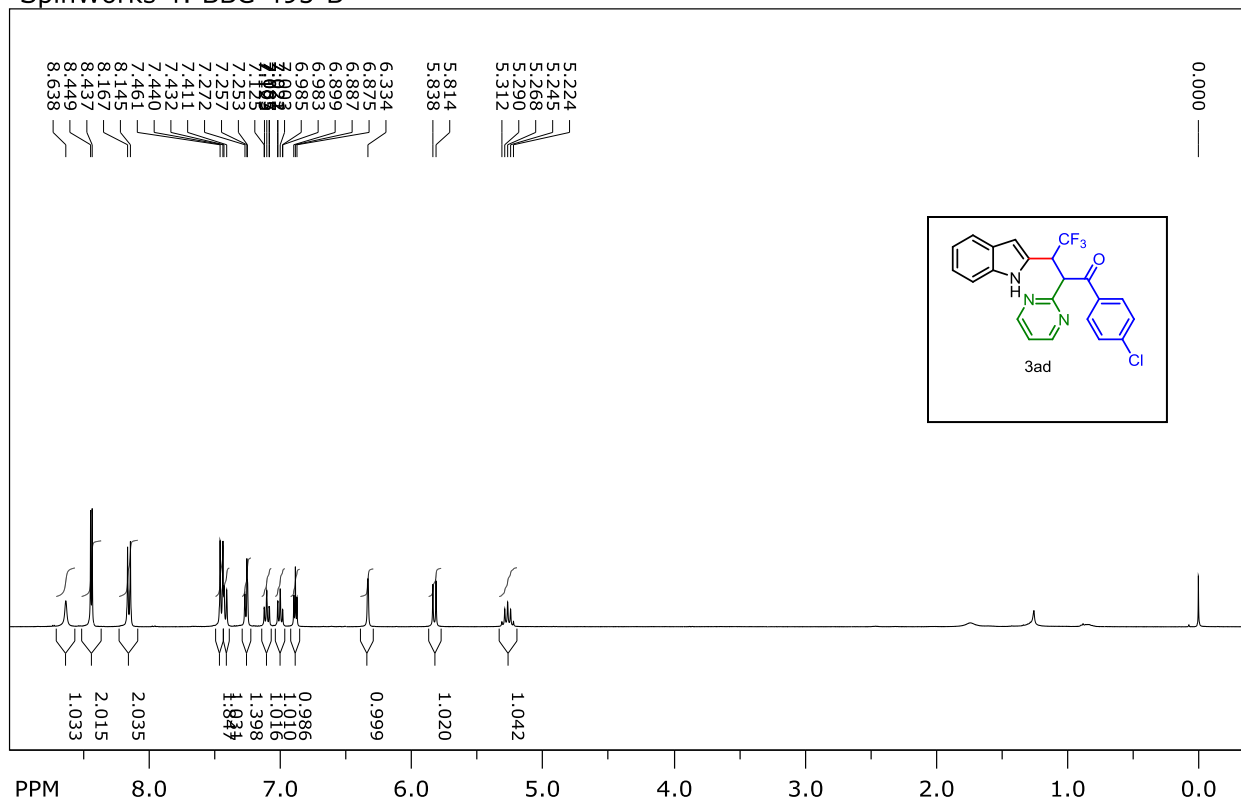
SpinWorks 4: BBC-642B-1HNMR



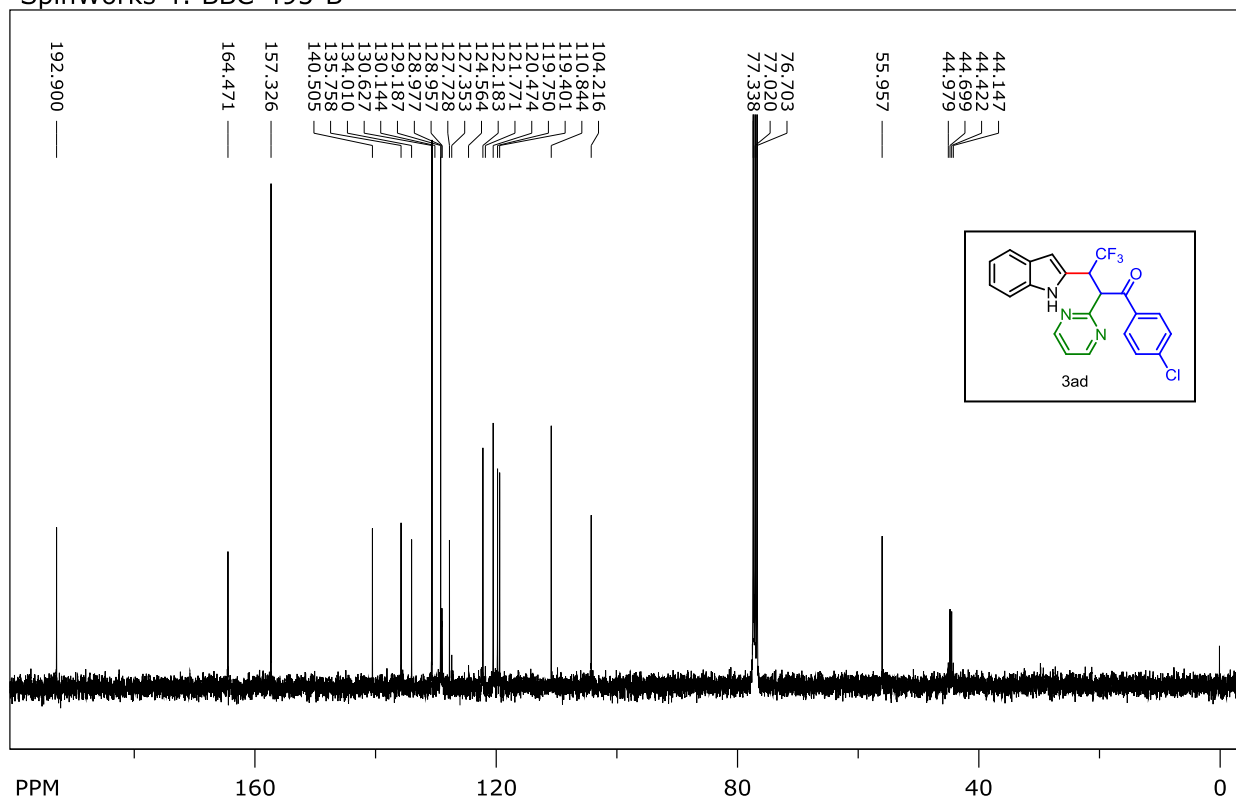
SpinWorks 4: BBC-642B-13CNMR



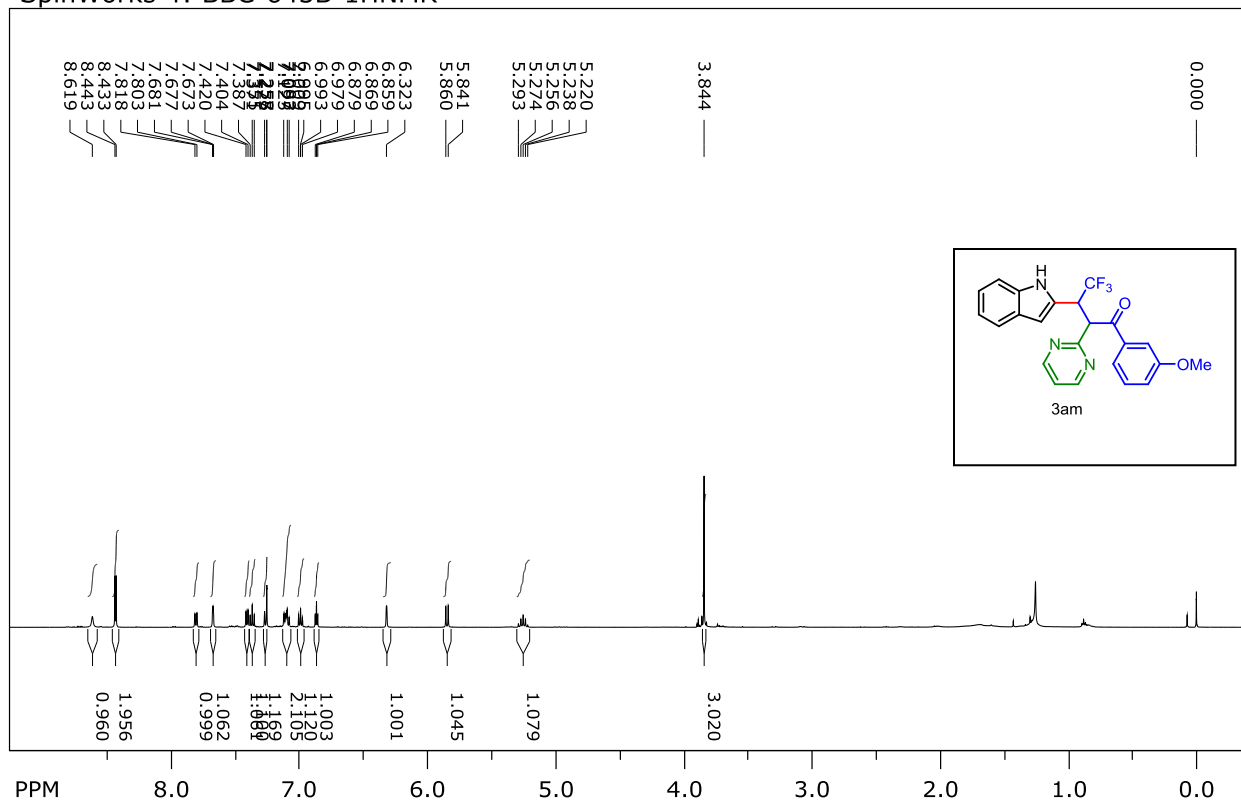
SpinWorks 4: BBC-495-B



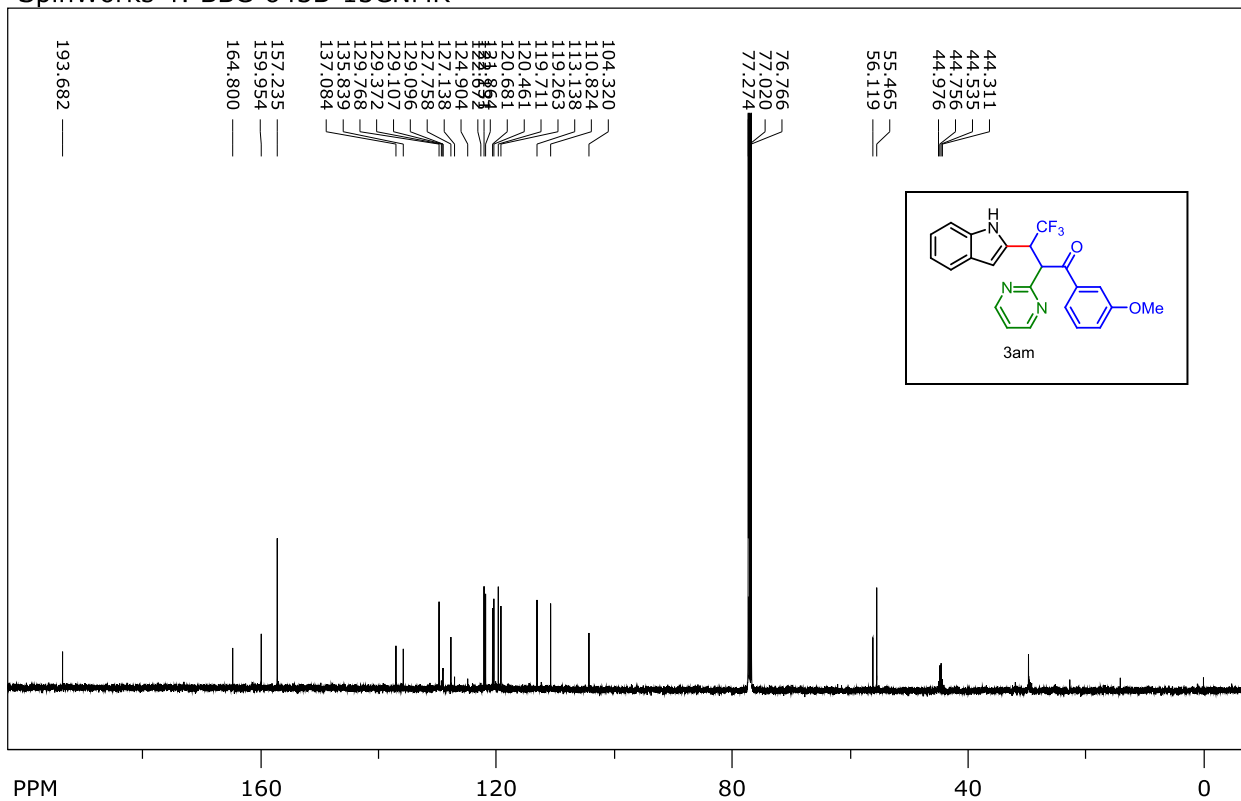
SpinWorks 4: BBC-495-B



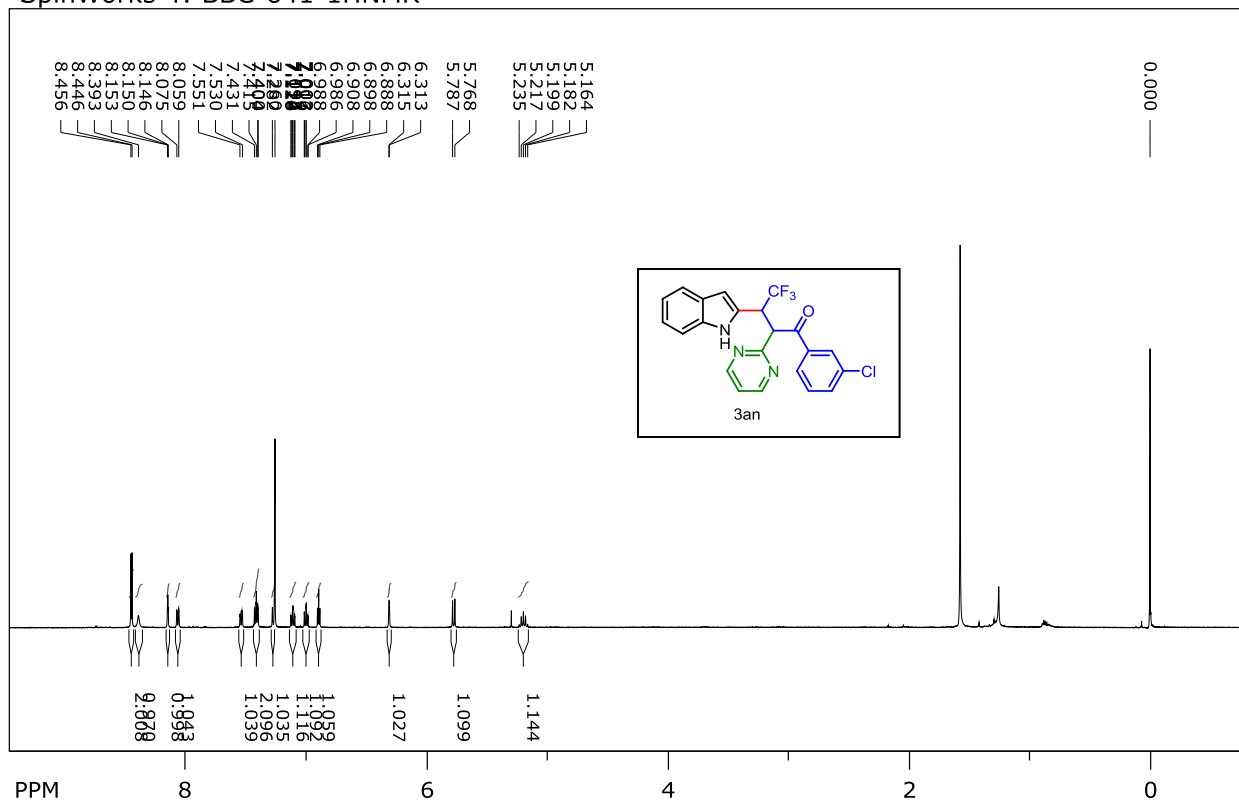
SpinWorks 4: BBC-643B-1HNMR



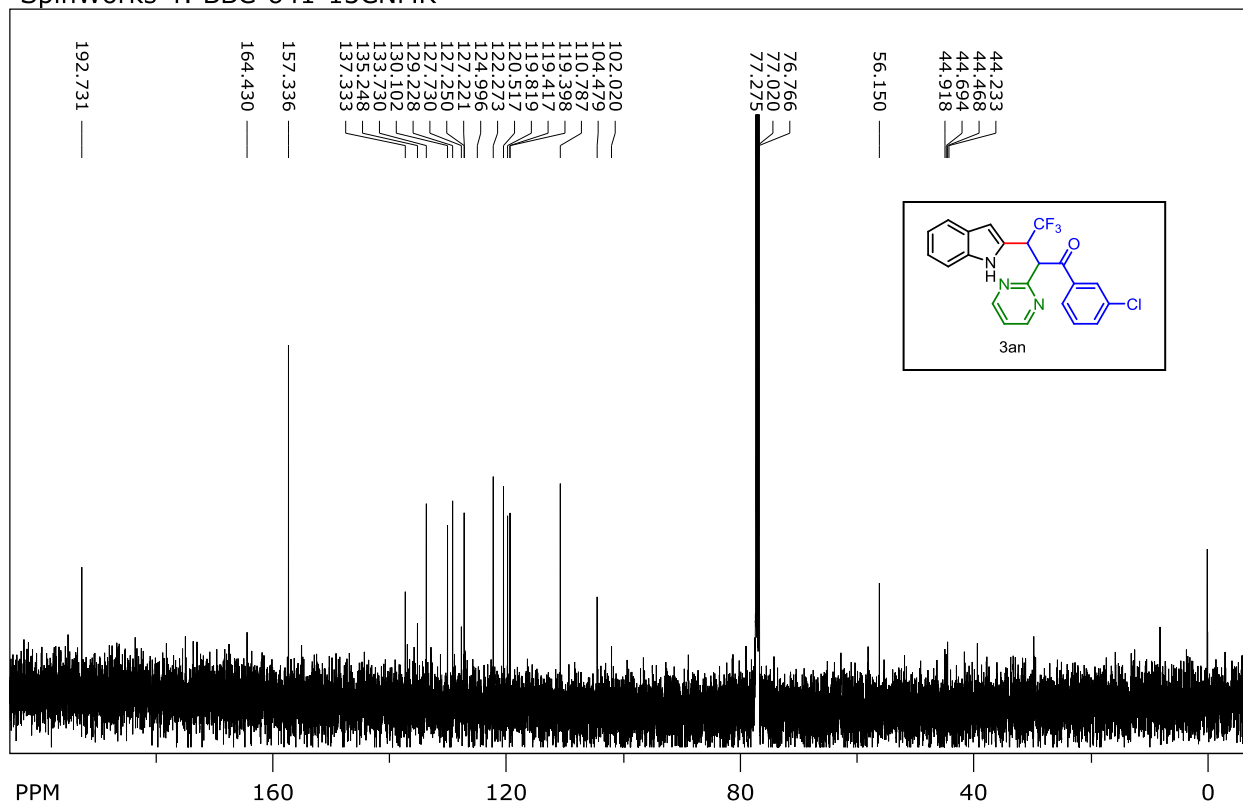
SpinWorks 4: BBC-643B-13CNMR



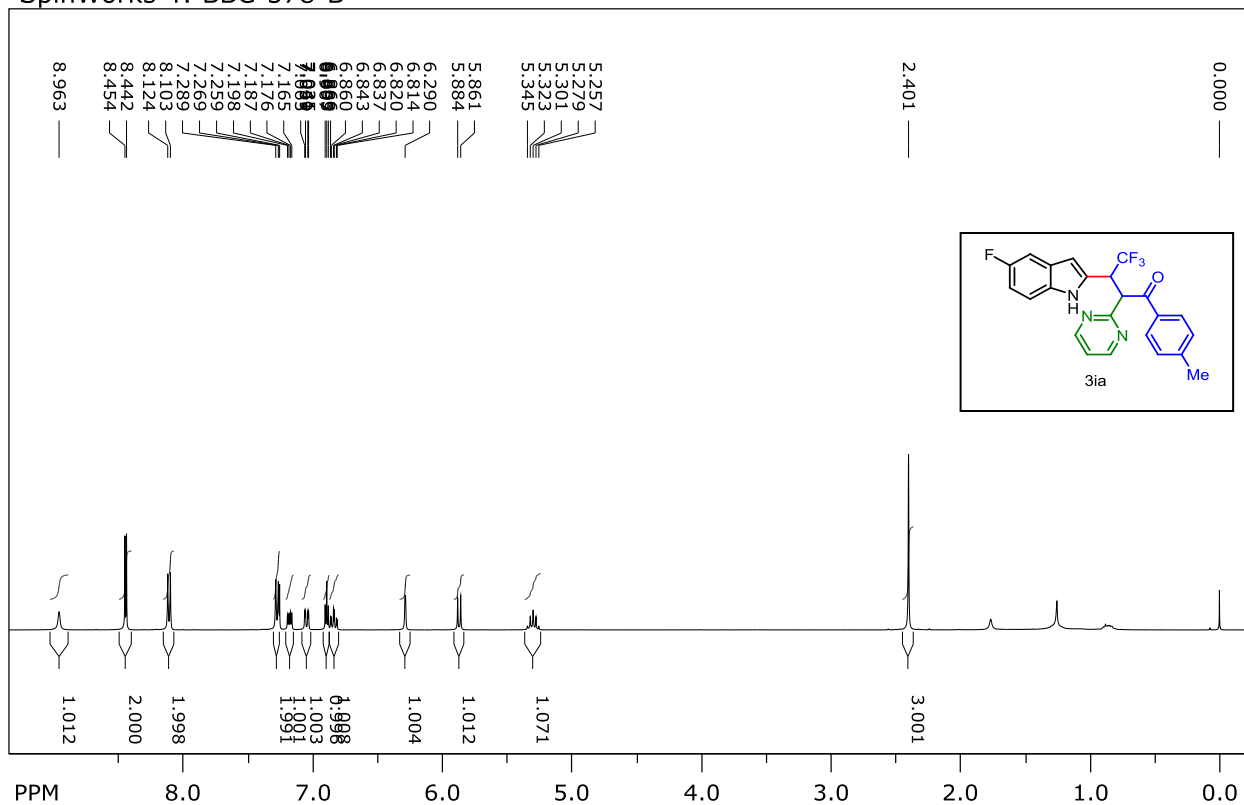
SpinWorks 4: BBC-641-1HNMR



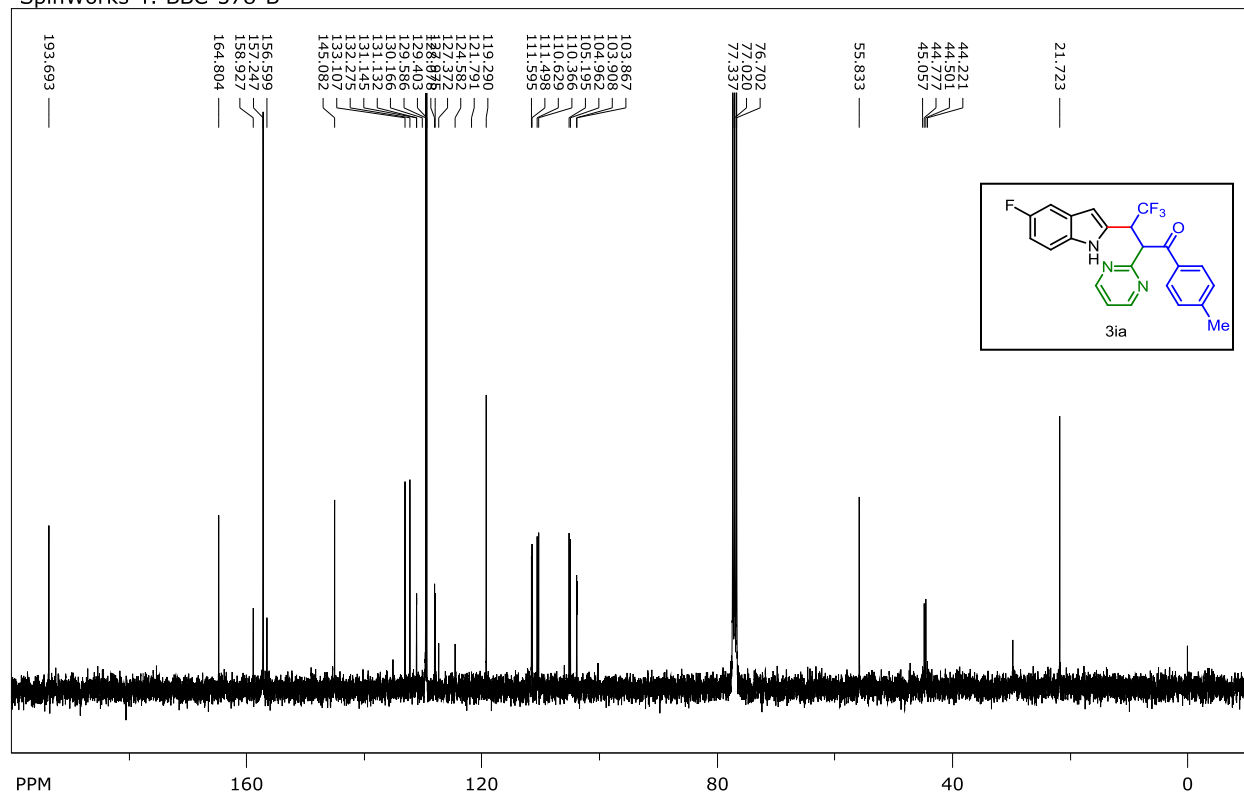
SpinWorks 4: BBC-641-13CNMR



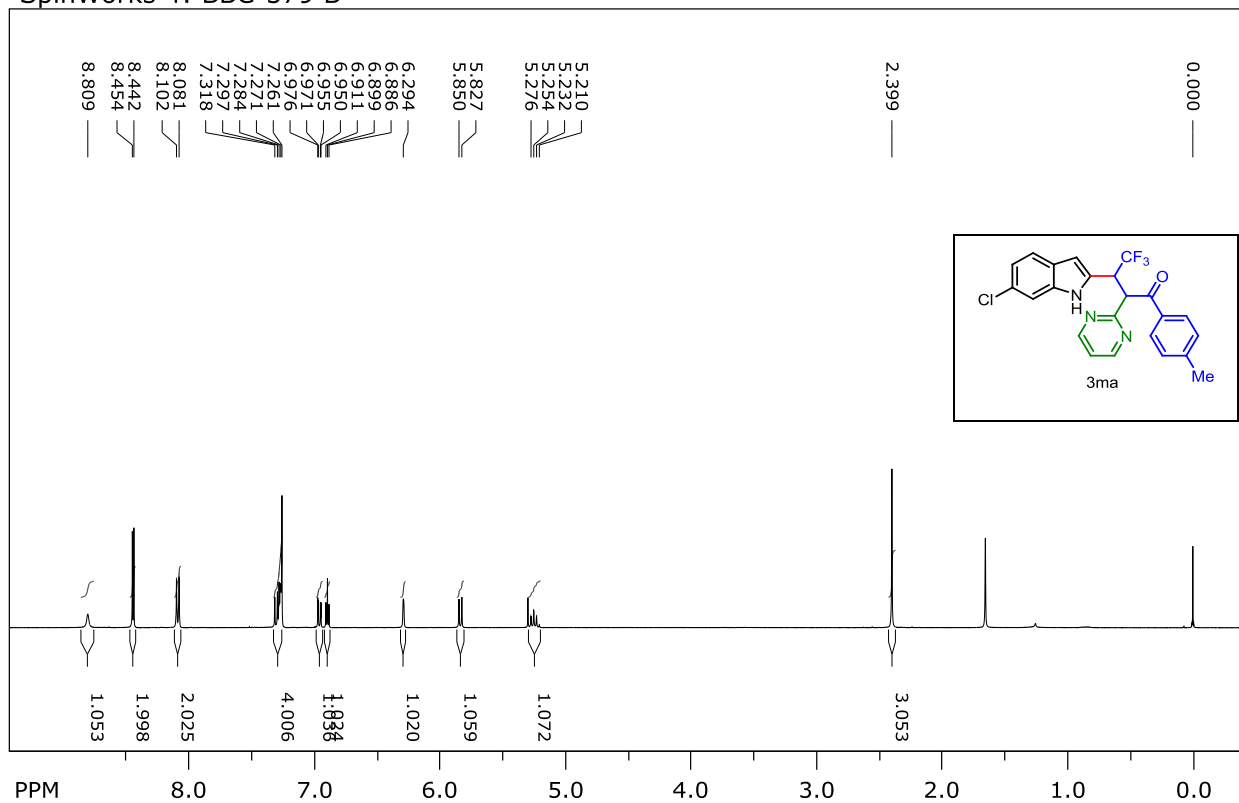
SpinWorks 4: BBC-578-B



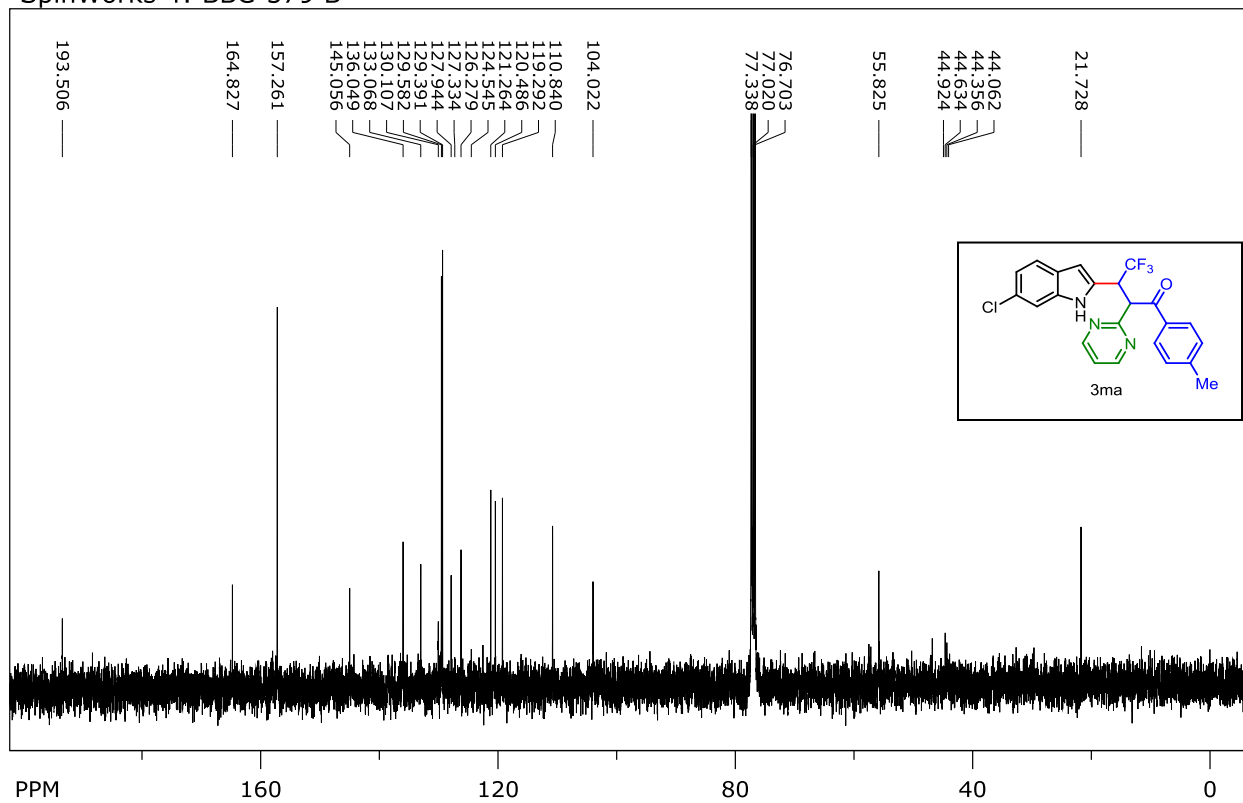
SpinWorks 4: BBC-578-B



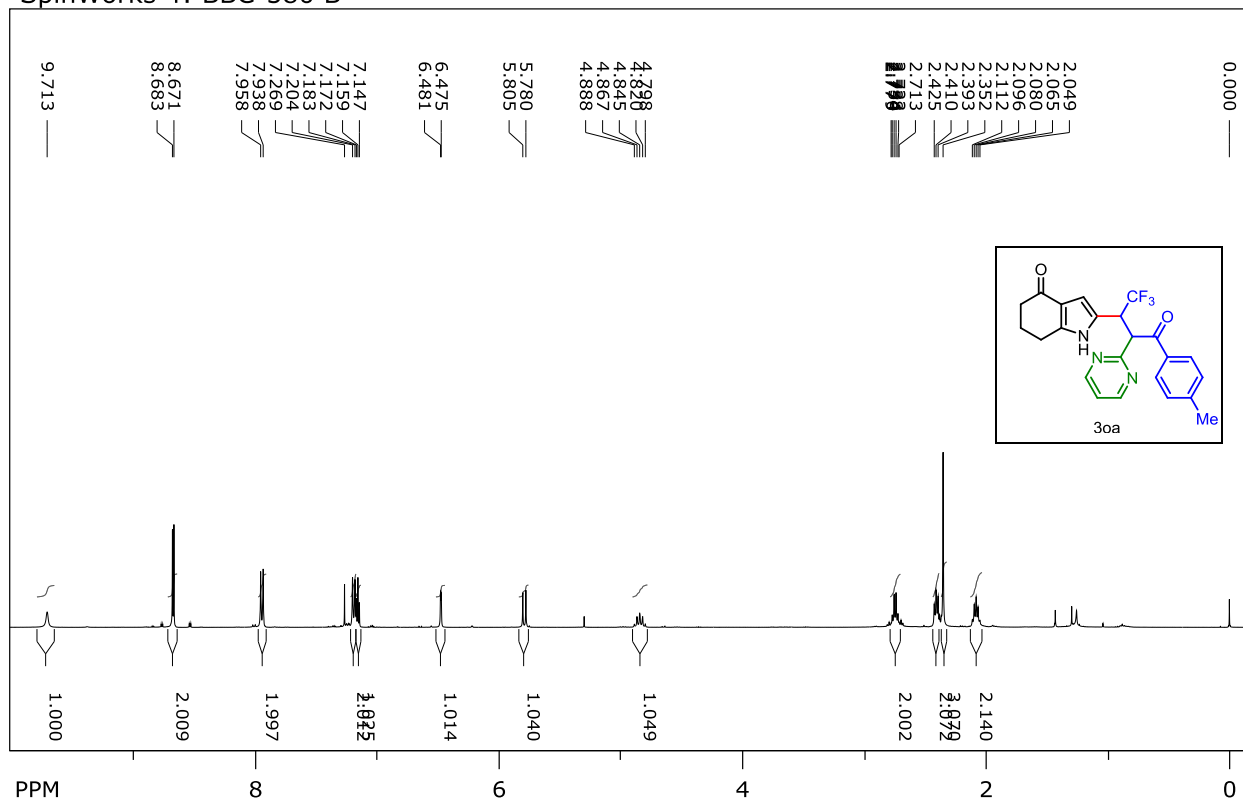
SpinWorks 4: BBC-579 B



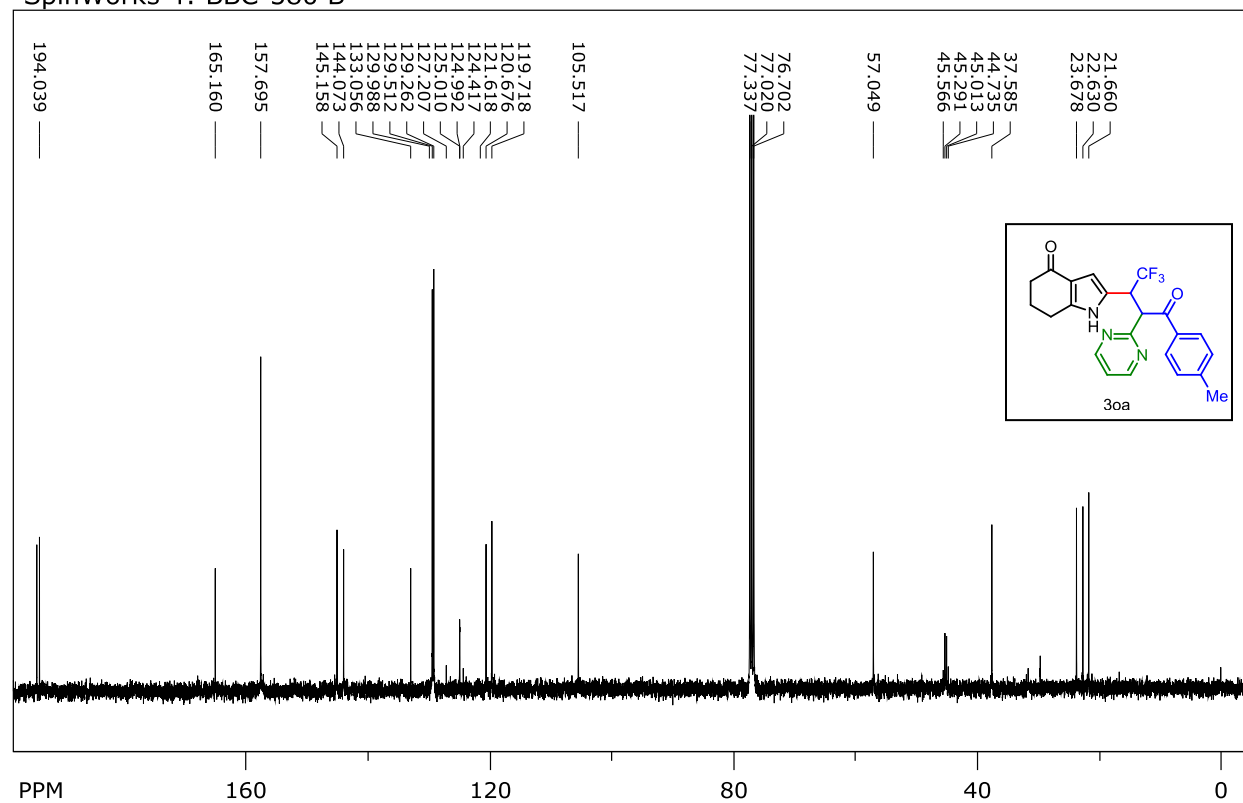
SpinWorks 4: BBC-579 B



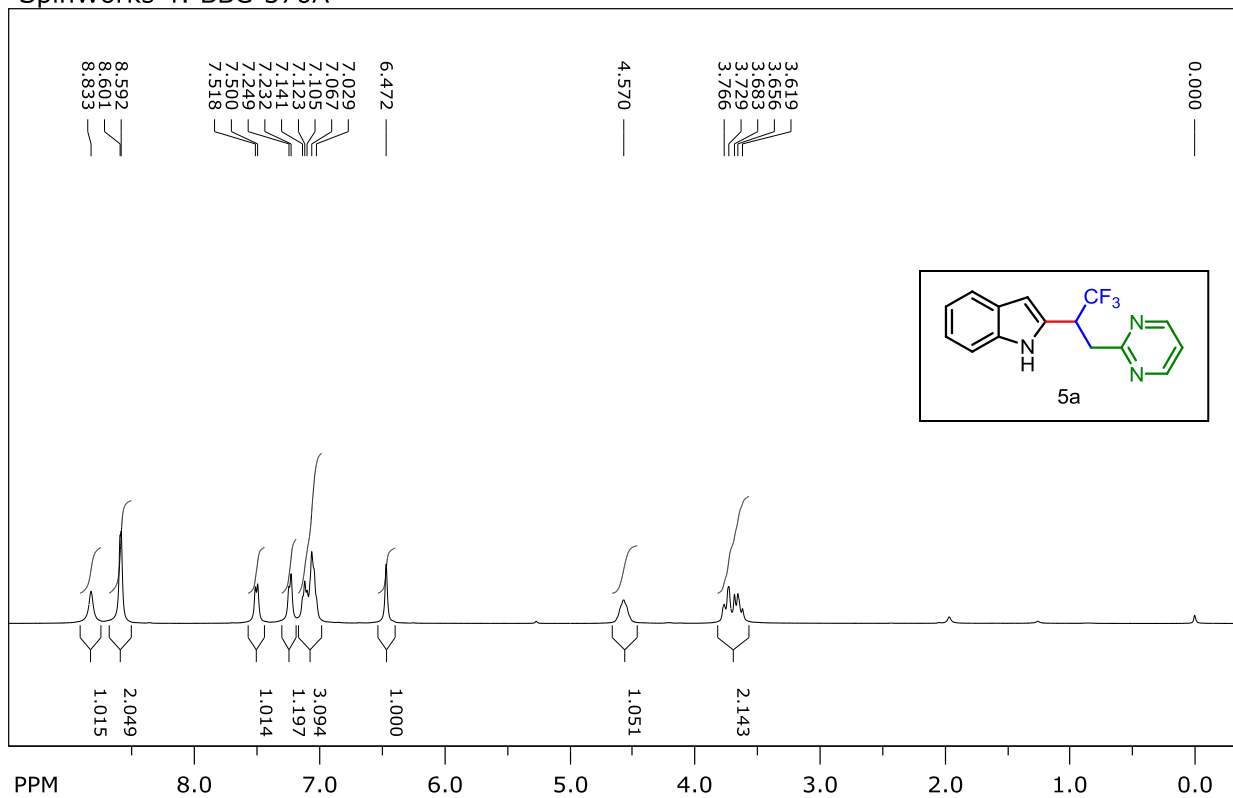
SpinWorks 4: BBC-580 B



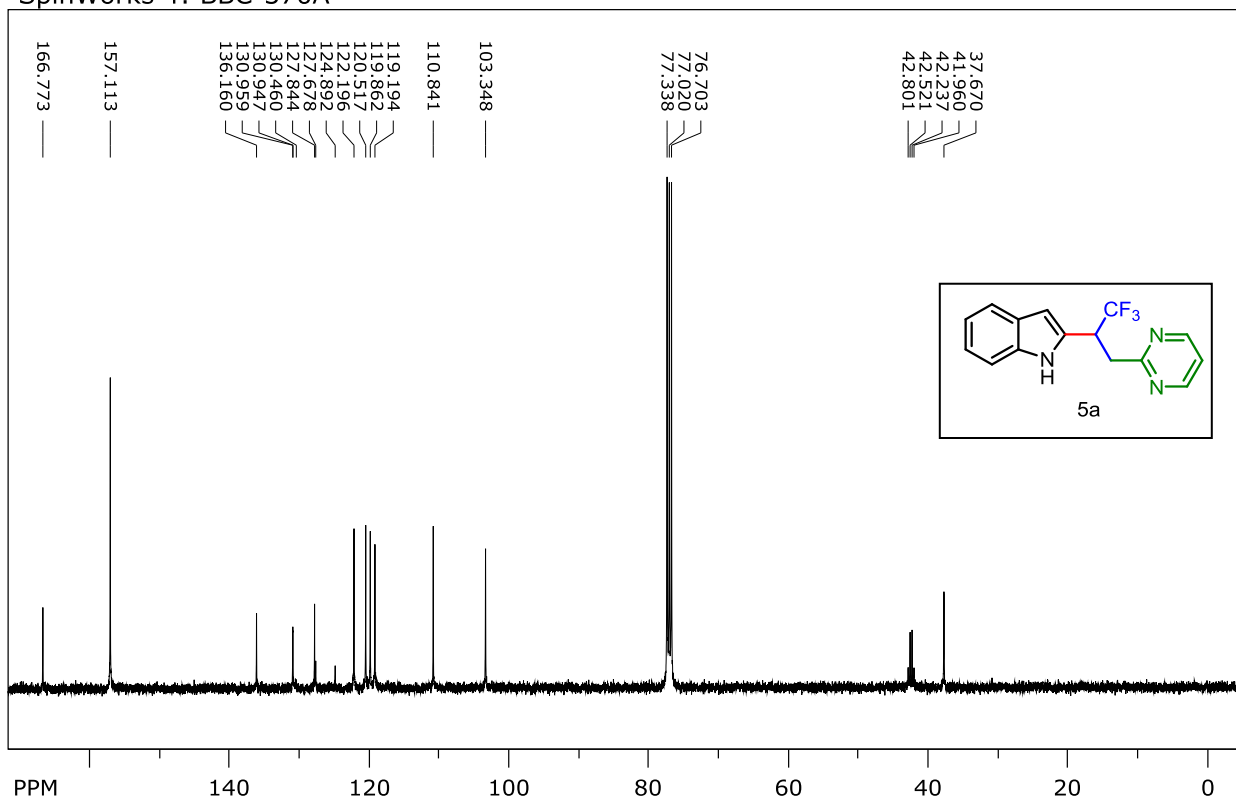
SpinWorks 4: BBC-580 B



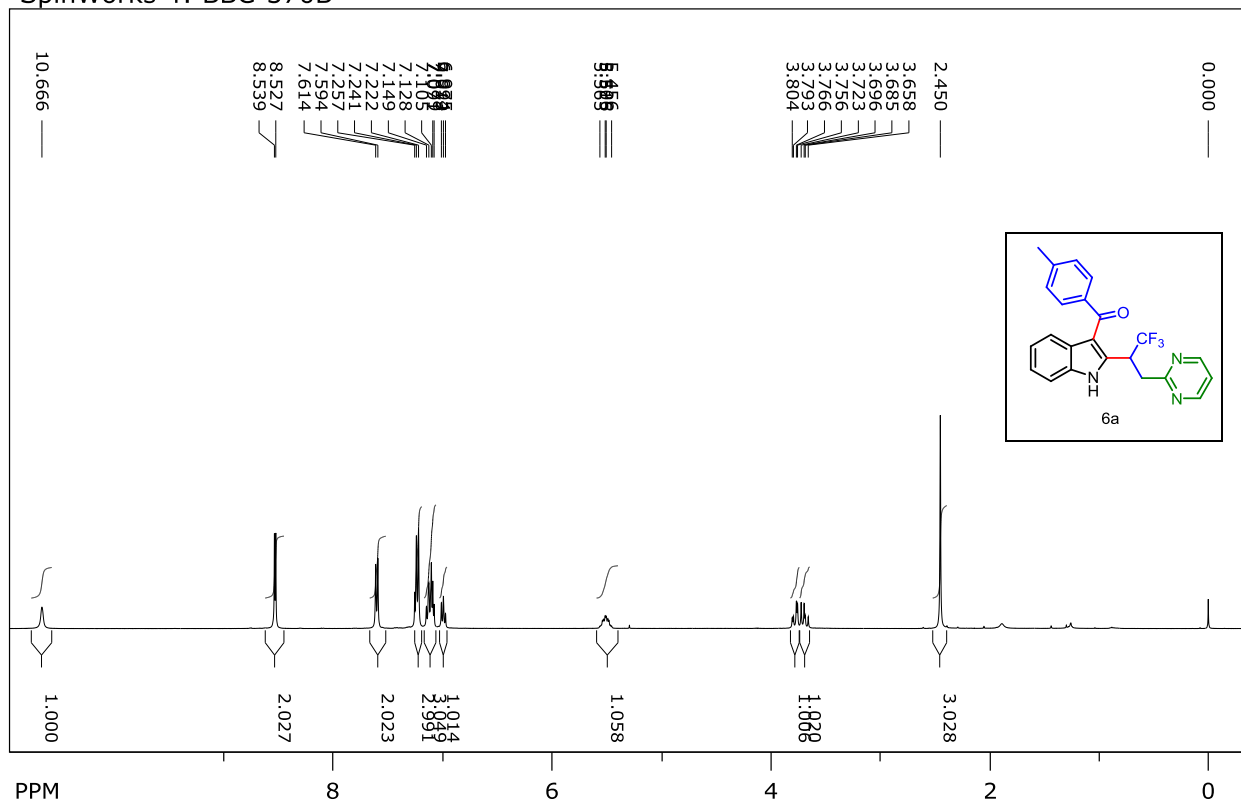
SpinWorks 4: BBC-370A



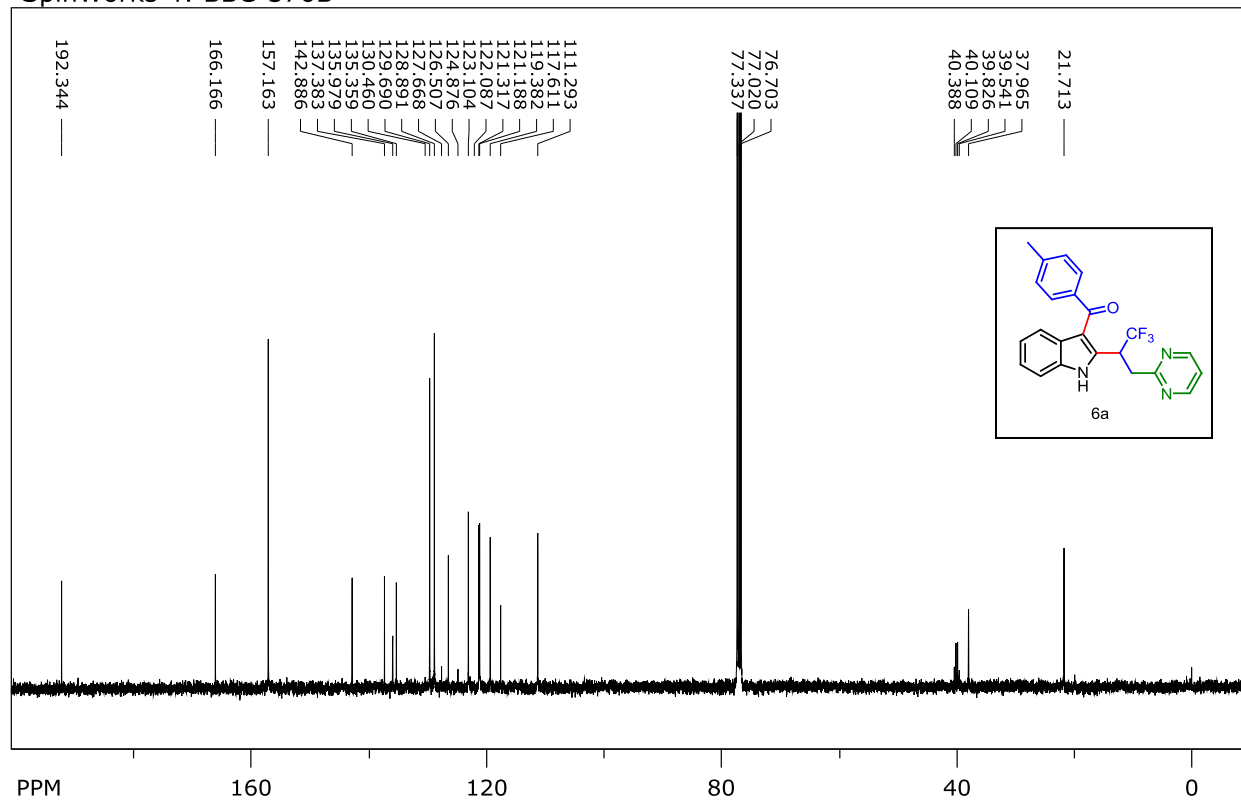
SpinWorks 4: BBC-370A



SpinWorks 4: BBC-370B

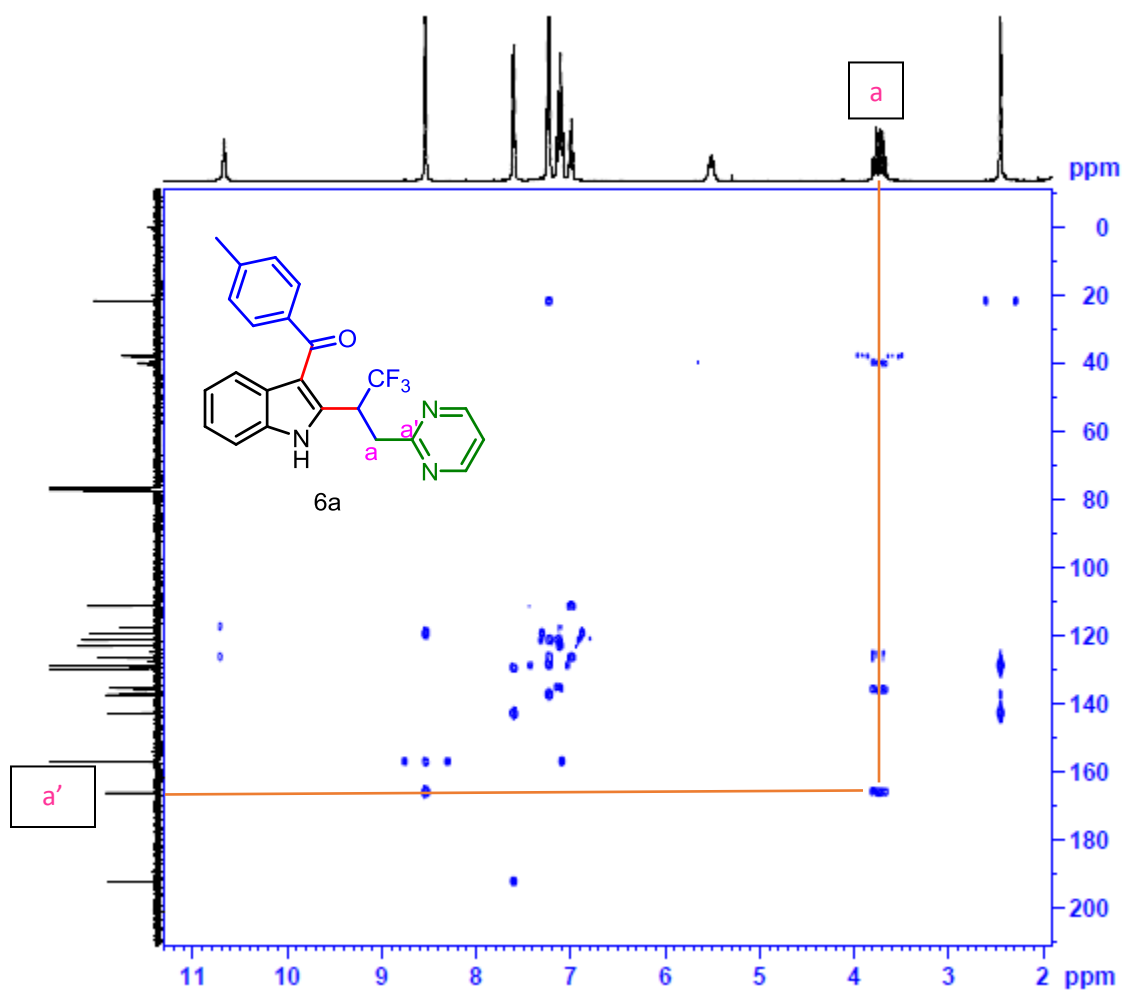


SpinWorks 4: BBC-370B

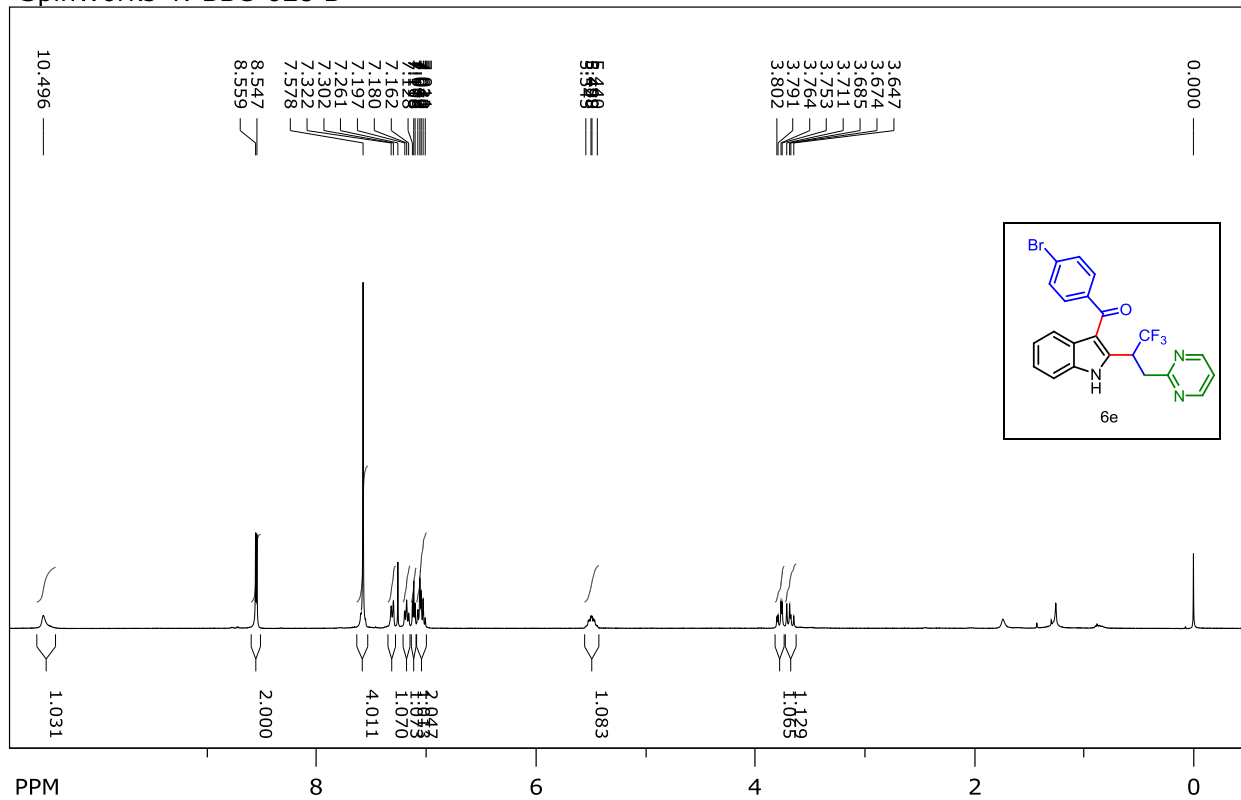


HMBC correlation spectra of 6a

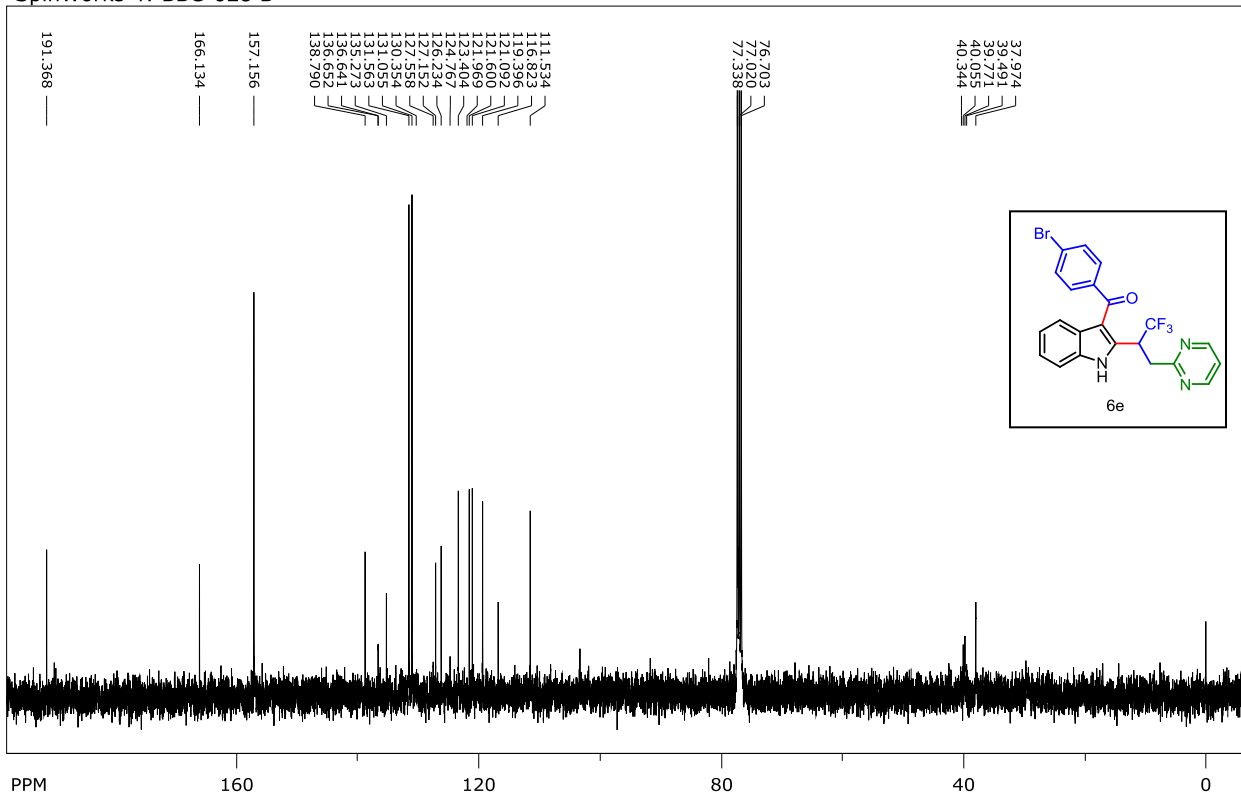
BBC-370B



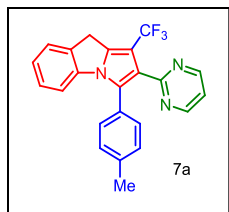
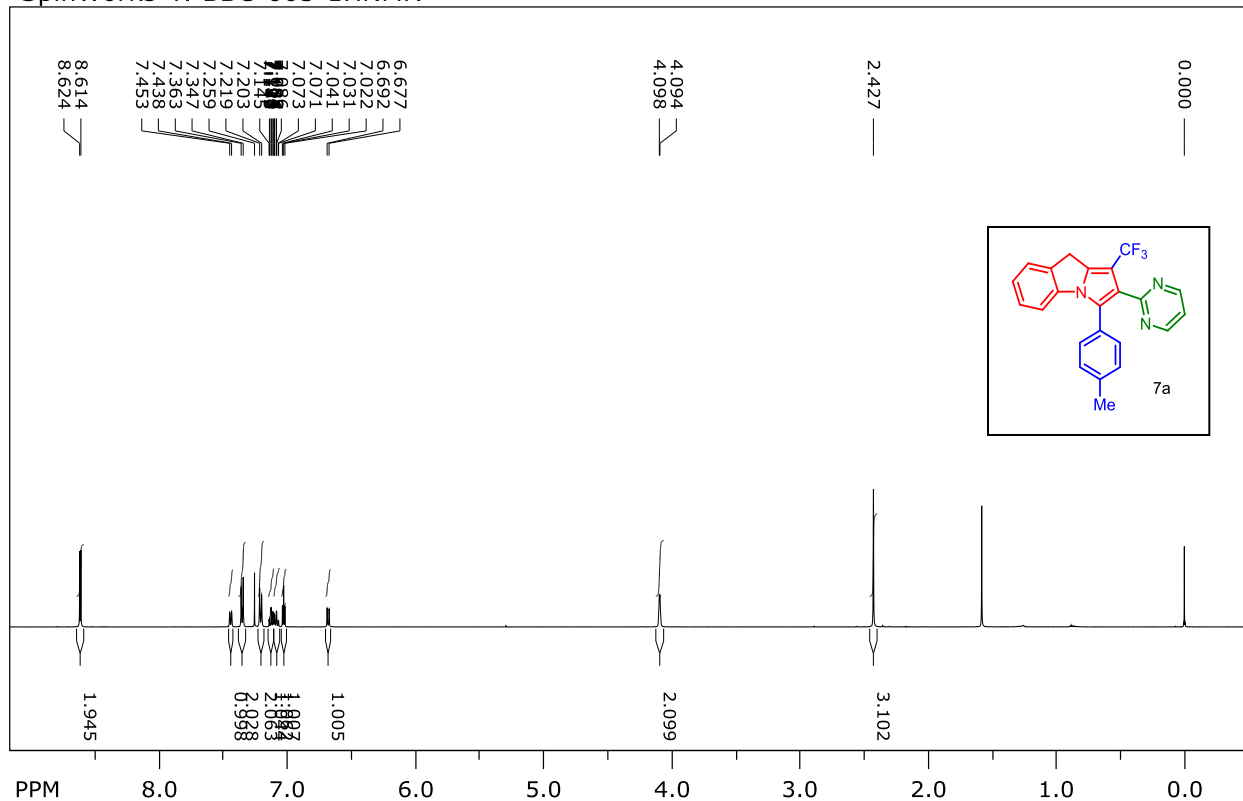
SpinWorks 4: BBC-628 B



SpinWorks 4: BBC-628 B



SpinWorks 4: BBC-605-1HNMR



SpinWorks 4: BBC-605-13CNMR

