Supplementary Information for

A brisk and flexible synthetic approach to enureas (alkenyl ureas) via Pd-catalyzed C-N coupling reaction of alkenyl tosylates and mesylates

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1. Reagents

All reactions were carried out under a nitrogen atmosphere. Air- and moisture-sensitive solvents and solutions were transferred via syringe or stainless steel cannula. All chemicals were purchased from sigma Aldrich, merck and fluka. Solvents used were of analytical grade. Anhydrous potassium carbonate was stored in a nitrogen-filled glovebox, ground and was taken out in small quantities and stored in a desiccator. Aryl ureas were prepared by known methods[1]. All reactions were routinely checked by TLC. TLC was performed on aluminum-backed silica gel plates (silica gel 60 F_{254} grade, Merck DC) with spots visualized by UV light. Column chromatography was performed on silica gel LC 60A (70-200 micron).

Instrumental

All compounds were characterized by 1H NMR, 13C NMR as well as elemental analysis. Melting points were determined in open capillaries on a Veego electronic apparatus VMP-D (Veego Instrument Corporation, Mumbai, India) and are uncorrected. ^{1}HNMR and ^{13}C NMR spectra were recorded on a Bruker400 MHzmodel spectrometer usingDMSO-d6 as a solvent and TMS as internal standard with 1H resonant frequency of 400 MHz and ^{13}C resonant frequency of 100 MHz. The ^{1}H NMR, ^{13}C NMR chemical shifts were reported as parts per million (ppm) downfield from TMS (Me4Si). The splitting patterns are designated as follows; s, singlet; d, doublet; t, triplet; m, multiplet. Elemental analyses (C, H, N) were performed using a Heraeus CarloErba 1180 CHN analyzer (Hanau, Germany).

2. Preparation of Ligand, alkenyl tosylates and mesylates substrates

Ferrocene based triazine ligand **L** were synthesised according to the literature method without modification.[2] Pyronyl tosylates and mesylates were prepared from their corresponding precursors with TsCl or MsCl in the presence of triethylamine in CH_2Cl_2 according to the literature method without modifications.[3] Other alkeny tosylates and mesylates were prepared from their corresponding species according to the literature method without modifications.[4]

4. General procedure of reaction conditions screening

To an oven dried flat-bottomed flask which was equipped with a magnetic stir bar, was charged with phenyl urea(1.0mmol), base (1.4 mmol), ligand (5 mol %), Pd (1.6 mol %), and alkeny tosylate **2** (1.0 mmol) in solvent (5.0 ml). The reaction was sparged with nitrogen for 15 minutes, stirred and heated to 60 °C for 10 hours. After complaition of reaction, the reaction mixture was cooled to room temperature and filtered through a pad of Celite eluting with ethyl acetate. The filtrate was concentrated and purification of the residue by silica gel column chromatography

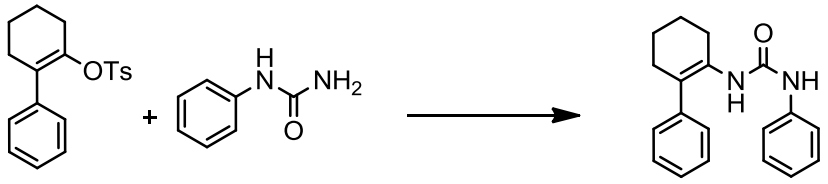


Table 1. Screening of ligands[a]

Entry	Ligand	Yield[b] (%)
1	Xphos	44
2	Sphos	50
3	Ruphos	55
4	Dppf	38
5	Xantphos	49
6	DPEphos	35
7	Josiphos	78
8	Ligand **L** **(ferrocene based triazine ligand)**	93

[a] $Pd_2(dba)_3$: 1.6 mol %, Ligand: 5 mol %, Phenyl Urea: 1.0 mmol, alkenyl tosylate:1.0 mmol, K_2CO_3: 1.4 mmol, toluene: 5 ml per mmol.

[b]Isolated yields.

Table 2. Screening of the Pd-Catalysts[a]

Entry	Pd Catalyst	Yield[b] (%)
1	$Pd_2(dba)_3$	93
2	$Pd(OAC)_2$	60
3	$Pd(dppf)Cl_2$	52
4	$Pd(Ph_3P)_2Cl_2$	33

[a] Pd: 1.6 mol %, ligand L: 5 mol %, Phenyl Urea: alkenyl tosylate:1.0 mmol, , K_2CO_3: 1.4 mmol, toluene: 5 ml per mmol.

[b]Isolated yields.

Table 3. Screening of bases[a]

Entry	Base	Yield[b] (%)
1	Cs_2CO_3	67
2	NaO*t*Bu	33
3	K_2CO_3	93
4	K_3PO_4	56
5	$N(C_2H_5)_3$	0

[a] $Pd_2(dba)_3$: 1.6 mol %, ligand L : 5 mol %, Phenyl Urea: 1.0 mmol, alkenyl tosylate:1.0 mmol, Base: 1.4 mmol, toluene: 5 ml per mmol.

[b]Isolated yields.

Table 4. Screening of solvents[a]

Entry	Solvent	Yield[b] (%)
1	1,4-dioxane	75
2	THF	80
3	Toluene	93
4	DMF	39
5	*t-BuOH*	30

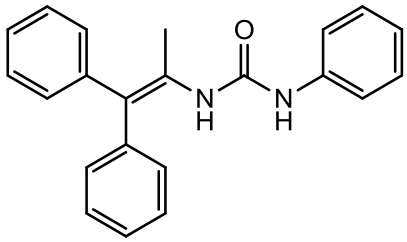
[a] $Pd_2(dba)_3$: 1.6 mol %, ligand L: 5 mol %, Phenyl Urea: 1.0 mmol, alkenyl tosylate:1.0 mmol, K_2CO_3: 1.4 mmol, solvent: 5 ml per mmol.

[b]Isolated yields.

5. General procedures coupling reactions

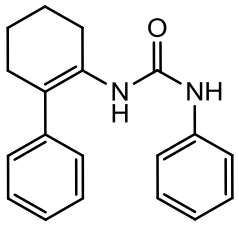
To an oven dried flat-bottomed flask which was equipped with a magnetic stir bar, was charged with urea (1.0mmol), K_2CO_3 (1.4 mmol), ligand L (5 mol %), $Pd_2(dba)_3$ (3.3 mol %), and alkenyl tosylate or mesylate (1.0 mmol) in Toluene (5.0 ml). The reaction was sparged with nitrogen for 15 minutes, stirred and heated to 60 °C (reactions were carried out at room temreture for the synthesis of tosyloxycoumarin, tosyloxyquinolinone, tosyloxypyranone and tosyloxyfuranone with different ureas) for 10 hours. The reaction mixture was cooled to room temperature and filtered through a pad of Celite eluting with ethyl acetate. The filtrate was concentrated and purification of the residue by silica gel column chromatography gave the desired product

6. Charecterization of coupling yield

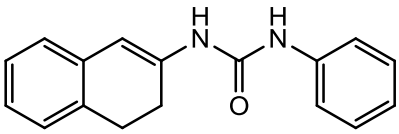


^{1}H NMR (400 MHz, DMSO-d6) δ ppm : 9. 44 (s, 1H), 8.05 (s, 1H), 7.39-7.22 (m, 14H), 7.10 (m, 1H), 2.10 (s, 3H), **^{13}C NMR** (100 MHZ, DMSO-d6) δ ppm : 156.55, 143.50, 141.08, 137.77,

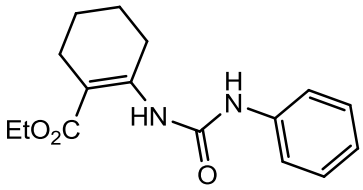
129.02, 128.73, 128.35, 127.10, 122.21, 121.45, 118.19, 23.00 **Anal. Calcd. For** $C_{22}H_{20}N_2O$: C, 80.46; H, 6.14; N, 8.53 **Found**: C, 80.50; H, 6.22; N, 8.44. **mp** 130°C.



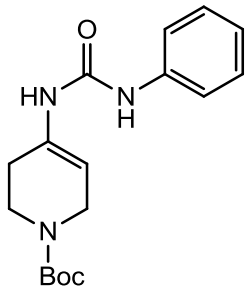
^{1}H NMR (400 MHz, DMSO-d6) δ ppm : 9.42 (s, 1H), 7.80 (s, 1H), 7.32 (m, 8H), 7.05 (m, 1H), 6.83 (m, 1H), 2.19 (t, 2H), 1.91 (t, 2H), 1.69 (m, 4H) **^{13}C NMR** (400 MHZ, DMSO-d6) δ ppm : 156.55, 140.88, 138.88, 129.06, 128.79, 128.31, 126.23, 126.00, 123.90, 121.15, 118.19, 29.00, 27.20, 24.14, 23.88. **Anal.Calcd. For** $C_{19}H_{20}N_2O$: C, 78.05; H, 6.89; N, 9.58 **Found**: C, 78.00; H, 6.81; N, 9.64. **mp** 151°C.



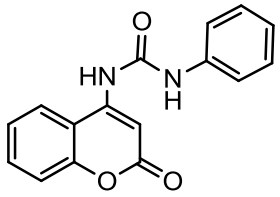
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.47 (s, 1H), 8.32 (s, 1H), 7.45, (d, J = 7.6 Hz, 2H), 7.24 (t, J = 7.6 Hz, 2H), 7.12 (m, 3H), 7.07(t, J = 7.4 Hz, 1H), 7.00(m, 1H), 5.07 (s, 1H), 3.12 (t, 2H), 2.72 (t, 2H) .**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 156.47, 141.17, 140.11, 136.00, 134.00, 129.04, 128.55, 127.10, 126.70, 126.25, 125.00, 121.78 , 118.13, 33.11, 28.00 **Anal. Calcd. For** $C_{17}H_{16}N_2O$: C, 77.25; H, 6.10; N, 10.60. **Found**: C, 77.29; H, 6.14; N, 10.50. **mp** 143°C.



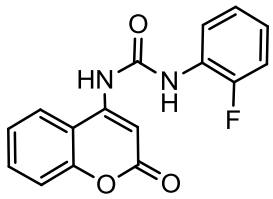
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.45 (s, 1H), 9.00 (s, 1H), 7.49 (d, J = 7.6 Hz, 2H), 7.31 (t, J = 7.6 Hz, 2H), 7.04 (t, J = 7.4 Hz, 1H), 4.20 (m, 2H), 2.62 (t, J = 6.2 Hz, 2H), 2.34 (t, J = 6.2 Hz, 2H), 1.82 (m, 4H), 1.38 (t, J = 5.8 Hz, 3H), **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 171.00, 156.37, 149.11, 140.94, 128.98, 121.00, 118.48, 104.12, 62.00, 28.28, 24.20, 23.05, 22.67, 16.03. **Anal. Calcd. For** $C_{16}H_{20}N_2O_3$: C, 66.65; H, 6.99; N, 9.72. **Found**: C, 66.60; H, 6.91; N, 9.79. **mp** 171-172°C.



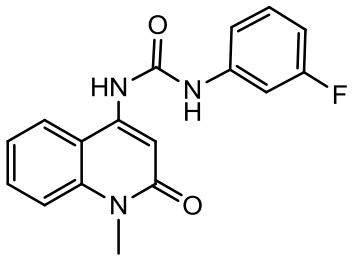
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.44 (s, 1H), 8.07 (s, 1H), 7.46 (d, J = 7.6 Hz, 2H), 7.30 (t, J = 7.6 Hz, 2H), 7.01 (t, J = 7.5 Hz, 1H), 5.30 (tt, J = 6.1, 1.0 Hz, 1H), 3.92 (t, J = 6.0 Hz, 2H), 3.60 (d, J = 6.2 Hz, 2H), 2.22 (t, J = 6.0, 2H), 1.50 (s, 9H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 156.21, 154.66, 141.00, 129.00, 124.12, 121.34, 118.15, 80.0, 43.57, 41.98, 28.44, 26.51. **Anal. Calcd**. **For** $C_{17}H_{23}N_3O_3$: C, 64.33; H, 7.30; N, 13.24 **Found**: C, 64.30; H, 7.37; N, 13.31. **mp** 154°C.



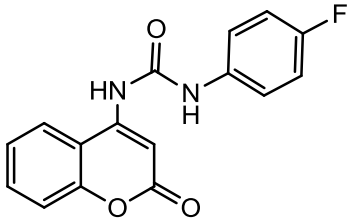
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.49 (s, 1H), 8.35 (s, 1H), 7.64 (m, 2H), 7.40 (m, 3H), 7.21 (t, J= 7.5 Hz, 2H), 6.96 (tt, J = 7.4, 2.0 Hz, 1H), 6.08 (s, 1H) **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.00, 158.12 , 156.55, 154.00, 141.08, 131.10, 129.02, 126.34, 125.00, 121.45, 118.19,117.80, 115.15, 88.05, .**Anal. Calcd.** For $C_{16}H_{12}N_2O_3$: C, 68.56; H, 4.32; N, 9.99.**Found:** C, 68.60; H, 4.28; N, 9.93. **mp** 149°C.



^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.50 (s, 1H), 8.41 (s, 1H), 7.66 (dd, J = 7.6, 5.7 Hz, 1H), 7.23 (m, 5H), 7.00 (td, J = 7.6, 5.8 Hz, 1H), 6.79 (tdd, J = 7.7, 5.7, 2.0 Hz, 1H) 6.10 (s, 1H) **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.21, 158.64 , 155.49- 155.31 (m), 154.24, 152.97, 131.36, 130.09 (d, J = 8.4 Hz), 128.99 (d, J = 19.8 Hz), 126.30, 125.95 (d, J = 2.9 Hz), 125.08, 122.71 (d, J = 7.6 Hz), 121.86 (d, J = 19.8 Hz), 117.87, 115.43, 88.09, **Anal. Calcd.** For $C_{16}H_{11}FN_2O_3$: C, 64.43; H, 3.72; N, 9.39 **Found:** C, 64.36; H, 3.79; N, 9.33 **mp** 178-180°C.

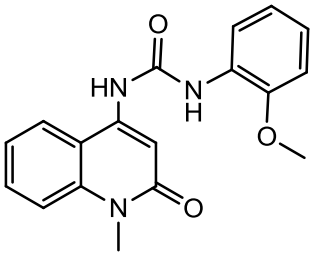


^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.52 (s, 1H), 9.46 (s, 1H), 7.87 (dt, *J* = 9.0, 2.0 Hz, 1H), 7.53 (m, 2H), 7. 44 (m, 1H), 7.38 (td, *J* = 7.6, 5.8 Hz, 1H), 7.25 (m, 1H), 6.79 (ddt, *J* = 11.0, 7.8, 2.1 Hz, 2H), 6.20 (s, 1H), 3.50 (s, 3H).**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 164.03, 163.29, 161.51, 158.22 , 156.35, 154.11, 140.93 (d, *J* = 7.6 Hz), 131.27, 130.01(d, *J* = 7.6 Hz), 126.24, 125.78, 117.90, 116.62 (d, *J* = 2.9 Hz), 115.50, 111.48 (d, *J* = 19.8 Hz), 106.60 (d, *J* = 19.8 Hz), 88.02, 31.00 .**Anal. Calcd**. For $C_{17}H_{14}FN_3O_2$: C, 65.59; H, 4.53; N, 13.50. **Found**: C, 65.52; H, 4.59; N, 13.56 .**mp** 182°C.

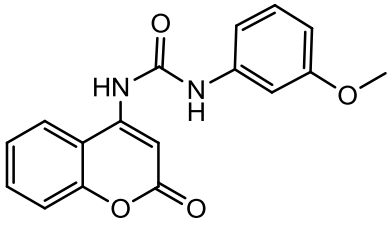


^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.50 (s, 1H), 8.57 (s, 1H), 7.70 (dd, *J* = 7.6, 2.0 Hz, 1H), 7. 56 (td, *J* = 7.5, 2.0 Hz, 1H), 7.30-7.22 (m, 4H), 7.00-7.09 (m, 2H), 6.15 (s, 1H).**^{13}C NMR**

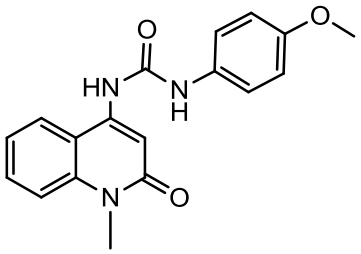
(100 MHZ, DMSO-d_6) δ ppm : 163.30, 161.51, 158.99, 158.19 , 156.63, 154.43, 139.74(d, *J* = 2.9 Hz), 131.17, 126.43, 125.21, 119.60 (d, *J* = 8.4 Hz), 117.74, 115.37, 114.55 &114.34 (d, *J* = 21 Hz) , 88.18. **Anal. Calcd.** For $C_{16}H_{11}FN_2O_3$: C, 64.43; H, 3.72; N, 9.39 **Found:** C, 64.40; H, 3.77; N, 9.37 **mp** 175°C.



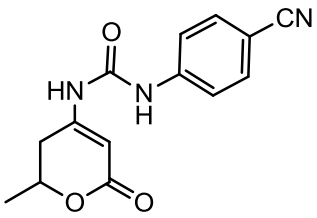
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.38 (s, 1H), 9.04 (s, 1H), 7.73 (td, *J* = 7.6, 2.0 Hz, 1H), 7.50 (m, 2H), 7.36 (m, 1H), 7.21 (dd, *J* = 7.2, 2.1 Hz, 1H), 7.15-7.10 (m, 2H) 7.00 (dd, *J* = 7.8, 1.9 Hz, 1H), 6.12 (s, 1H), 3.44 (s, 3H), 3.85 (s, 3H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.03, 158.11, 156.60, 153.90, 153.07, 131.00, 129.41, 126.14, 125.33, 124.96, 124.19, 120.71, 117.43, 113.78, 115.04, 88.00, 56.63, 31.24.**Anal. Calcd.** For $C_{18}H_{17}N_3O_3$: C, 66.86; H, 5.30; N, 13.00 **Found:** C, 66.90; H, 5.35; N, 13.05.**mp** 158- 159°C.



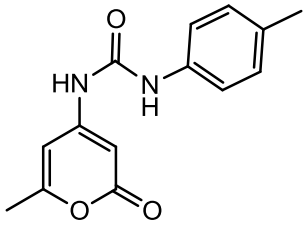
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm :9.41 (s, 1H), 8.37 (s, 1H), 7.75 (dd, *J* = 7.6, 2.0 Hz, 1H) 7.48 (m, 2H), 7.37 (m, 2H), 7.22 (t, *J* = 8.0 Hz, 1H), 6.95 (dd, *J* = 8.0, 2.0 Hz, 1H), 6.62 (dd, *J* = 8.0, 2.0 Hz, 1H), 6.13 (s, 1H). 3.88 (s, 3H).**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 162.98, 159.17, 158.04, 156.58, 153.87, 141.29, 131.00, 129.69, 126.10, 125.04, 117.38, 116. 33, 115.09, 113.16, 107.45, 88.10, 56.57 .**Anal. Calcd.** For $C_{17}H_{14}N_2O_4$: C, 65.80; H, 4.55; N, 9.03 . **Found:** C, 65.84; H, 4.58; N, 9.01 **mp** 163°C



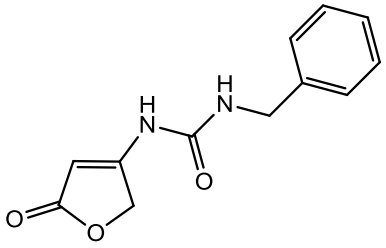
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.40 (s, 1H), 8.90 (s, 1H), 7.70 (dd, *J* = 7.6, 2.0 Hz, 1H) 7.52 (m, 2H), 7.41 (d, *J* = 7.6 Hz, 2H), 7.27 (m, 1H), 6.83 (d, *J* = 7.6 Hz, 2H), 6.10 (s, 1H), 3.90 (s, 3H), 3.82 (s, 3H).**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.06, 159.97, 158.05, 156.68, 154.02, 137.53, 131.05, 126.14, 125.10, 119.54, 117.33, 115.00, 114.36, 88.03, 56.56, 30. 95. **Anal. Calcd.** For $C_{18}H_{17}N_3O_3$: C, 66.86; H, 5.30; N, 13.00 **Found:** C, 66.89; H, 5.37; N, 13.03. **mp** 167°C.



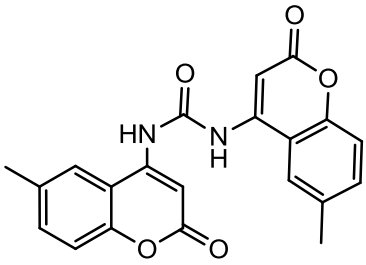
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9. 52 (s, 1H), 8.90 (s, 1H), 8.20 (d, *J* = 8.6 Hz, 2H), 7.69 (d, *J* = 8.6 Hz, 2H), 6.07 (s, 1H), 4.32 (m, 1H), 2.91 (ddd J = 12.5, 6.9, 1.0 Hz, 1H), 2.51 (ddd J= 12.5, 6.8, 1.0 Hz, 1H), 1.60 (d, 3H) **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 162.76, 158.10, 156.71, 142.44, 133.30, 119.13, 119.01, 107.68, 90.59, 76.09, 36.00, 22.08, .**Anal. Calcd.** For $C_{14}H_{13}N_3O_3$: C, 61.99; H, 4.83; N, 15.49 **Found:** C, 61.94; H, 4.89; N, 15.44 **mp** 138 °C.



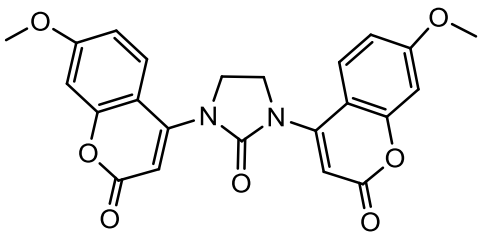
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.44 (s, 1H), 9.00 (s, 1H), 7.33 (d, *J* = 7.8 Hz, 2H), 7.00 (d, *J* = 7.8, 2H), 6. 29 (s, 1H), 6.11 (s, 1H), 2.37 (s, 3H), 2. 16 (s, 3H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.66, 162.95, 156.63, 152. 27, 139.91, 137.54, 128.74, 118.98, 97.00, 91.00, 22.01, 21.00. **Anal. Calcd.** For $C_{14}H_{14}N_2O_3$: C, 65.11; H, 5.46; N, 10.85. **Found:** C, 65.16; H, 5.50; N, 10.80 .**mp** 125°C.



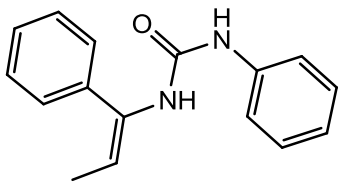
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.69 (s, 1H), 7.96 (s, 1H), 7.30-7.16 (m, 5H), 5.67 (s, 1H), 5.01 (s, 2H), 4.33 (s, 2H).**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 170.05, 155.53, 154.10, 141.17, 128.37, 128.19, 126.72, 90.00, 67.76, 45.01 **Anal. Calcd**. For $C_{12}H_{12}N_2O_3$: C, 62.06; H, 5.21; N, 12.06. **Found:** C, 62.08; H, 5.28; N, 12.00.**mp** 134-135°C.



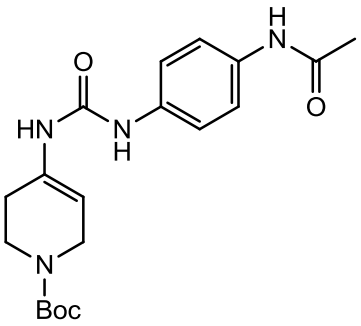
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.73 (s, 2H), 7.30 (dd, J = 7.8, 2.0 Hz, 2H), 7.24 (d, J = 2.0 Hz, 2H), 7.18 (d, J = 7.8, 2H), 5.96 (s, 2H), 2.24 (s, 6H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 162.85, 159.00, 156.78. 152.76, 135.00, 131.78, 126.63, 117.20, 115.07, 88.98, 21.51, .**Anal. Calcd**. For $C_{21}H_{16}N_2O_5$: C, 67.02; H, 4.28; N, 7.44 **Found**: C, 67.00; H, 4.34; N, 7.50. **mp** 187°C.



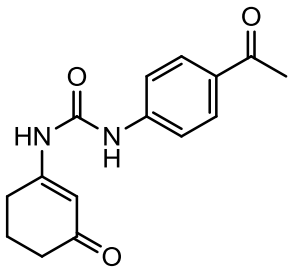
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 7.18 (d, J = 7.8, 2H), 6.95 (d, J = 2.0 Hz, 2H), 6.75 (dd, J = 7.8, 2.0 Hz, 2H), 6.00 (s, 2H), 4.15 (m, 4H), 3.85 (s, 6H).**^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 161.88, 160.68, 157.14, 156.82, 153.00, 128.02, 111.00, 110.00, 103.55, 89.07, 56.23, 42.68. **Anal. Calcd.** For $C_{23}H_{18}N_2O_7$: C, 63.59; H, 4.18; N, 6.45. **Found:** C, 63.64; H, 4.16; N, 6.40 .**mp** 190°C.



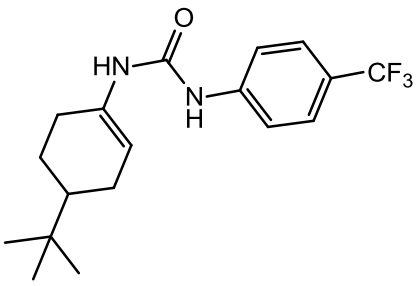
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.48 (s, 1H), 8.43 (s, 1H), 7.73 (m, 2H), 7.62 (m, 3H), 7.44 (m, 2H), 7.31 (m, 2H), 7.09 (tt, J = 7.4, 2.0 Hz, 1H), 5.01 (m, 1H), 2.10 (d, 3H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 156.50, 141.10, 139.47, 136.67, 129.01, 129.46, 128.48, 127.12, 121.51, 118.23, 107. 10, 12.22. **Anal. Calcd.** For $C_{16}H_{16}N_2O$: C, 76.16; H, 6.39; N, 11.10. **Found:** C, 76.19; H, 6.44; N, 11.14. **mp** 137°C.



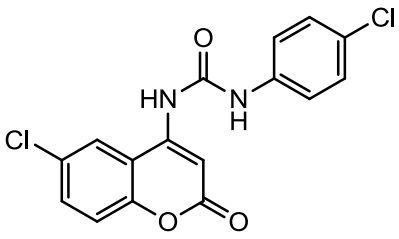
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.76 (s, 1H), 9.42 (s, 1H), 8.15 (s, 1H), 7.73 (d, *J* = 7.8 Hz, 2H), 7.58 (d, *J* = 7.8 Hz, 2H), 5.30 (t, *J*= 6.2 Hz, 1H), 3.92 (t, *J*= 5.8 Hz, 2H), 3.60 (d, *J* = 6.2 Hz, 2H), 2.22 (t, J = 5.8 Hz, 2H), 2.11 (s, 3H) 1.50 (s, 9H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 170.04, 156.50, 154.66, 139.00, 137.87, 124.12, 119.13, 119.01, 80.0, 43.57, 41.98, 28.44, 26.51 23.51. **Anal. Calcd.** For $C_{19}H_{26}N_4O_4$: C, 60.95; H, 7.00; N, 14.96. **Found:** C, 60.91; H, 7.07; N, 14.92. **mp** 129°C.



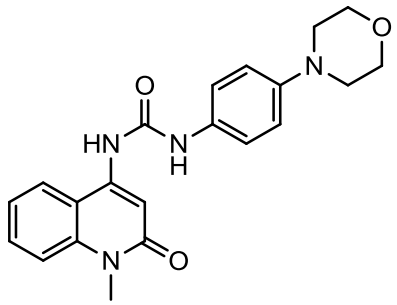
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.47 (s, 1H), 8.64 (s, 1H), 7.94 (d, 8.2 Hz, 2H), 7.85 (d, 8.2 Hz, 2H), 5.64 (s, 1H), 3.02 (t, *J* = 6.1 Hz, 2H), 2.75 (t, *J* = 6.0 Hz, 2H), 2.60 (s, 3H), 1.79 (m, 2H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 197.86, 196.83, 156.70, 154.59, 141.54, 135.58, 128.76, 118.61, 108.86, 37.00, 28.44, 25.75, 22.89 **Anal. Calcd.** For $C_{15}H_{16}N_2O_3$: C, 66.16; H, 5.92; N, 10.29. **Found:** C, 66.10; H, 5.99; N, 10.21. **mp** 122°C.



^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.49 (s, 1H), 8.08 (s, 1H), 7.89 (d, *J* = 8.8 Hz, 2H), 7.55 (d, *J* = 8.8 Hz, 2H), 5.97 (t, *J* = 6.2 Hz, 1H), 2.20 (m,2H), 1.87 (m, 2H), 1.60 (m, 1H), 1.52 (tt, J = 8.2, 7.0 Hz, 1H), 1.33 (m, 1H), 1. 17 (s, 9H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 156.79, 150.00, 143.44, 132.49, 132.17, 126.75 (m), 124.00, 119.69 (d, *J* = 2.2 Hz), 102.00, 45.11, 32.13, 28.00, 26.89, 26.26, 22.76. **Anal. Calcd.** For $C_{18}H_{23}F_3N_2O$: C, 63.51; H, 6.81; N, 8.23 **Found:** C, 63.55; H, 6.77; N, 8.28. **mp** 147°C.

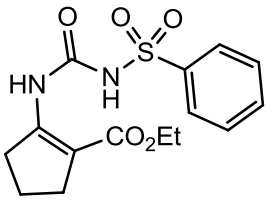


^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.51 (s, 1H), 8.70 (s, 1H), 7.73 (d, J = 2.2, 1H), 7.57 (dd, J = 8.0, 2.0 Hz, 1H), 7.40 (d, J = 8.2, 2H), 7.32 (d, J = 7.8, 1H), 7.21 (d, J = 8.2, 2H), 6.07 (s, 1H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 162.86, 156.71, 153.83, 151.28, 138.90, 131.15, 130.18, 129.47, 128.35, 127.10, 121.55, 120.13, 117.84, 88.56. **Anal. Calcd.** For $C_{16}H_{10}Cl_2N_2O_3$: C, 55.04; H, 2.89; N, 8.02. **Found:** C, 55.00; H, 2.94; N, 8.05. **mp** 192-194°C.



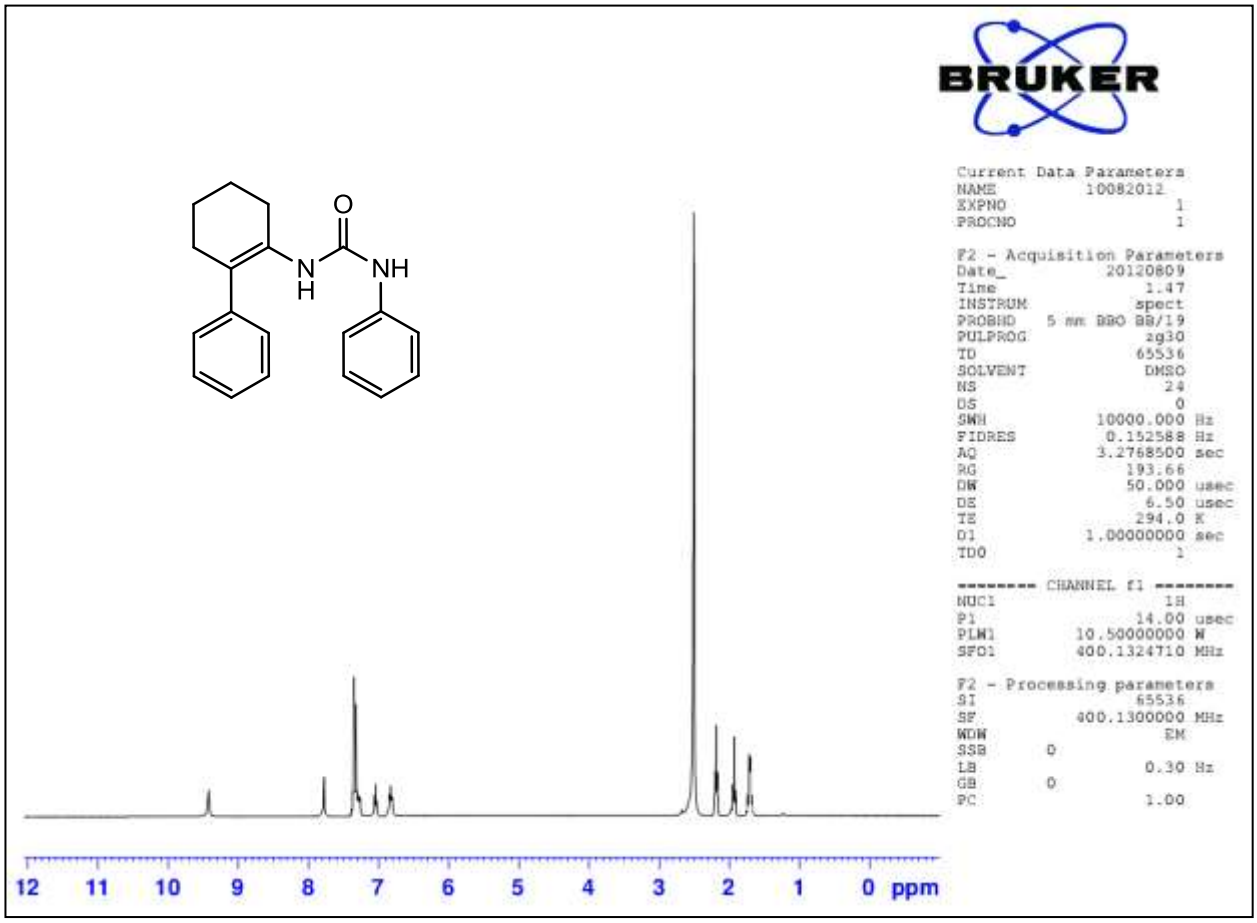
^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 9.43 (s, 1H), 8.76 (s, 1H), 7.62 (m, 2H), 7.50 (d, J = 7.6 Hz, 2H), 7. 44 (m, 1H), 7.25 (m, 1H), 6.90 (d, J = 7.6 Hz, 2H), 6.20 (s, 1H), 3.72 (t, J = 4.8 Hz, 4H), 3.50 (s, 3H). 3. 24 (t, J= 4.8 Hz, 4H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 163.08, 158.00, 156.47, 154.09, 151.75, 136.00, 131.10, 126.21, 125.11, 120.56, 118.39, 117.35, 115.01, 88.09, 67.01, 49.79, 31.00. **Anal. Calcd.** For $C_{21}H_{22}N_4O_3$: C, 66.65; H, 5.86; N, 14.81 **Found:** C, 66.71; H, 5.90; N, 14.77. **mp** 190°C. **mp** 149°C.

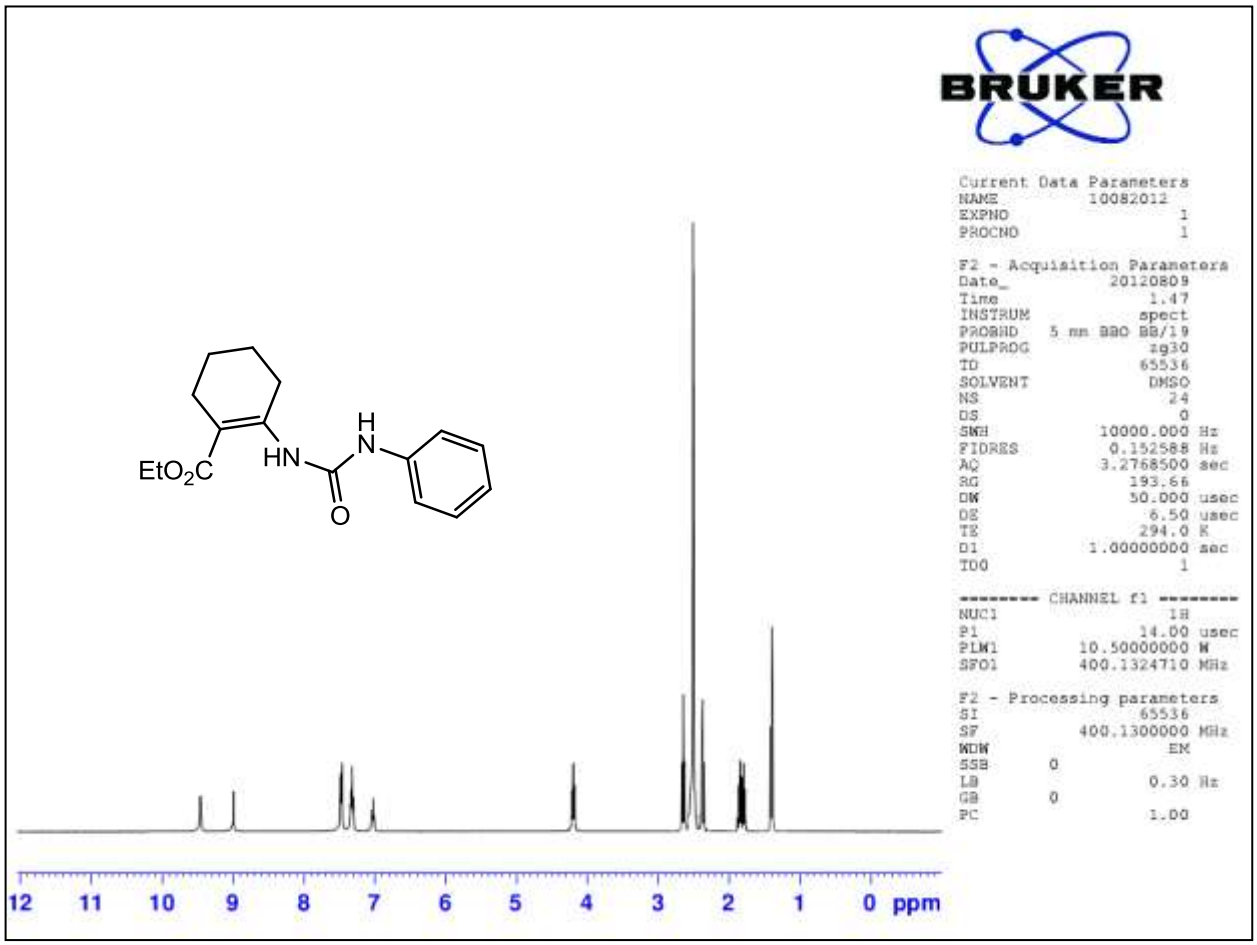
.

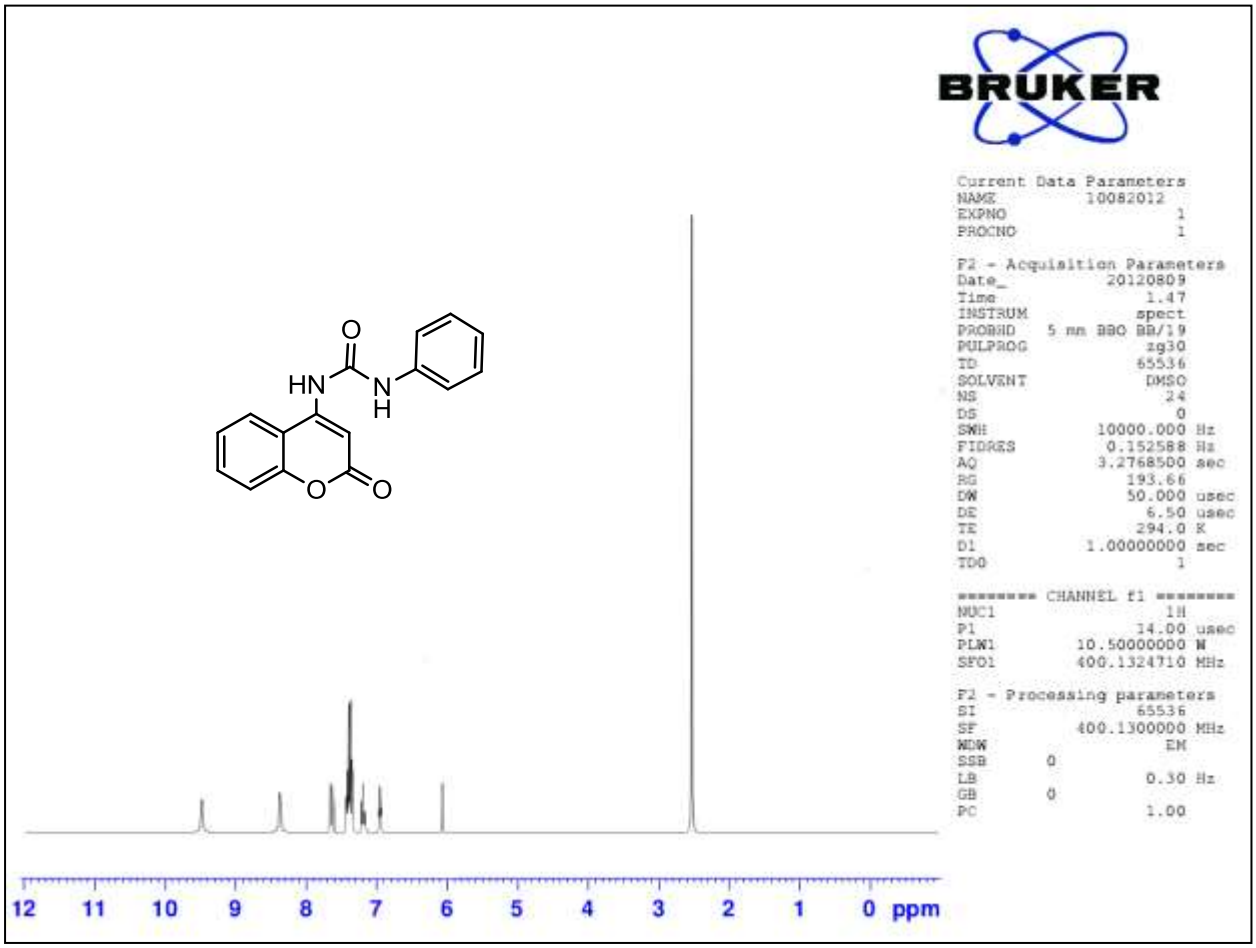


^{1}H NMR (400 MHz, DMSO-d_6) δ ppm : 8.88 (s, 1H), 7.67 (d, J = 7.6 Hz, 2H), 7.41 (s, 1H), 7.00-7.17 (m, 3H), 4.17 (m, 2H), 2.73 (t, 2H), 2.42 (t, 2H), 2.00 (m, 2H), 1.32(t, 3H). **^{13}C NMR** (100 MHZ, DMSO-d_6) δ ppm : 170.79, 152.07, 148.91, 141.00, 133.00, 129.05, 127.50, 105.12, 62.10, 31.24, 29.57, 25.19, 15.81. **Anal. Calcd.** For $C_{15}H_{18}N_2O_5S$: C, 53.24; H, 5.36; N, 8.28 **Found:** C, 53.31; H, 5.39; N, 8.33. **mp** 118°C.

7. ^{1}H and ^{13}C NMR Spectra





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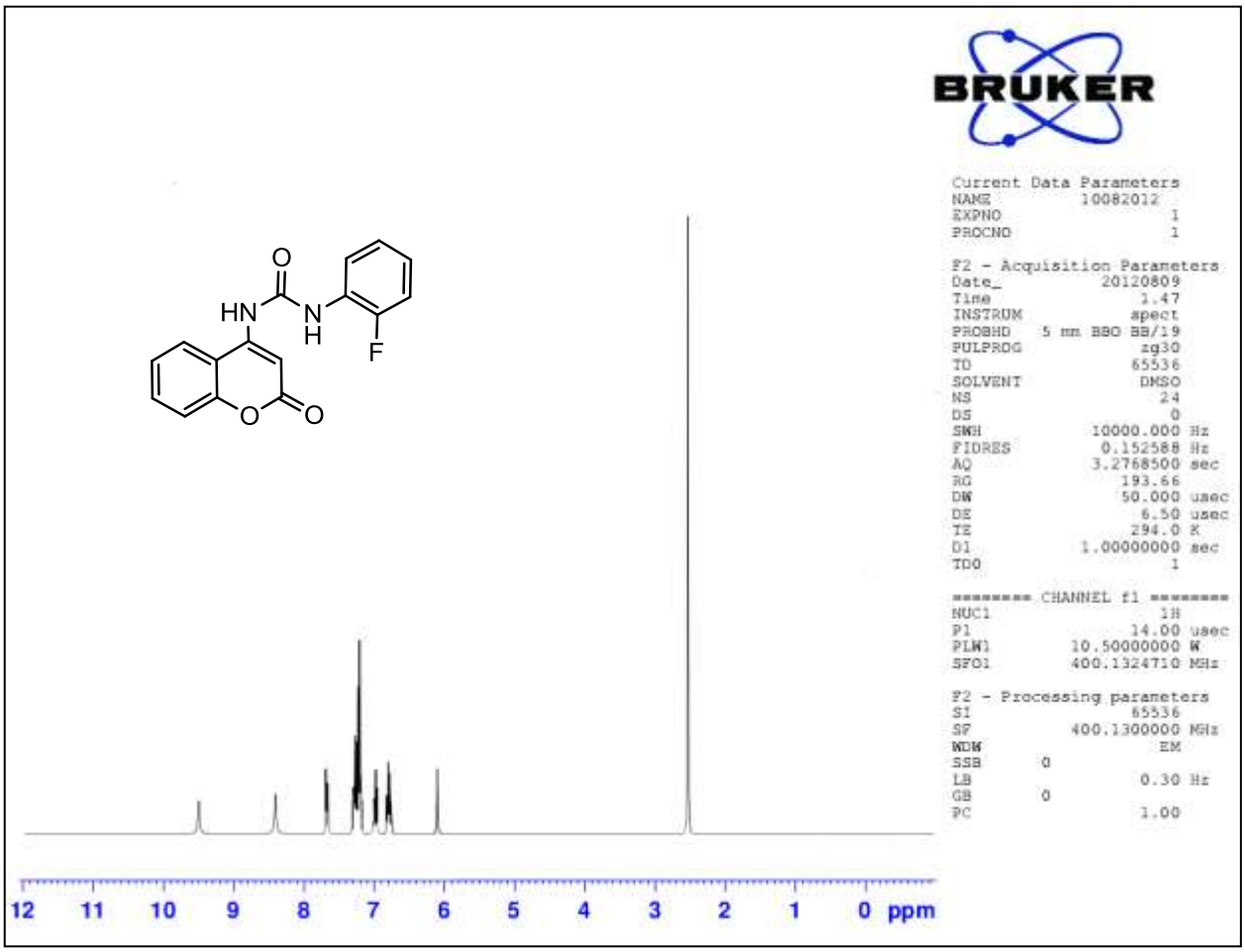


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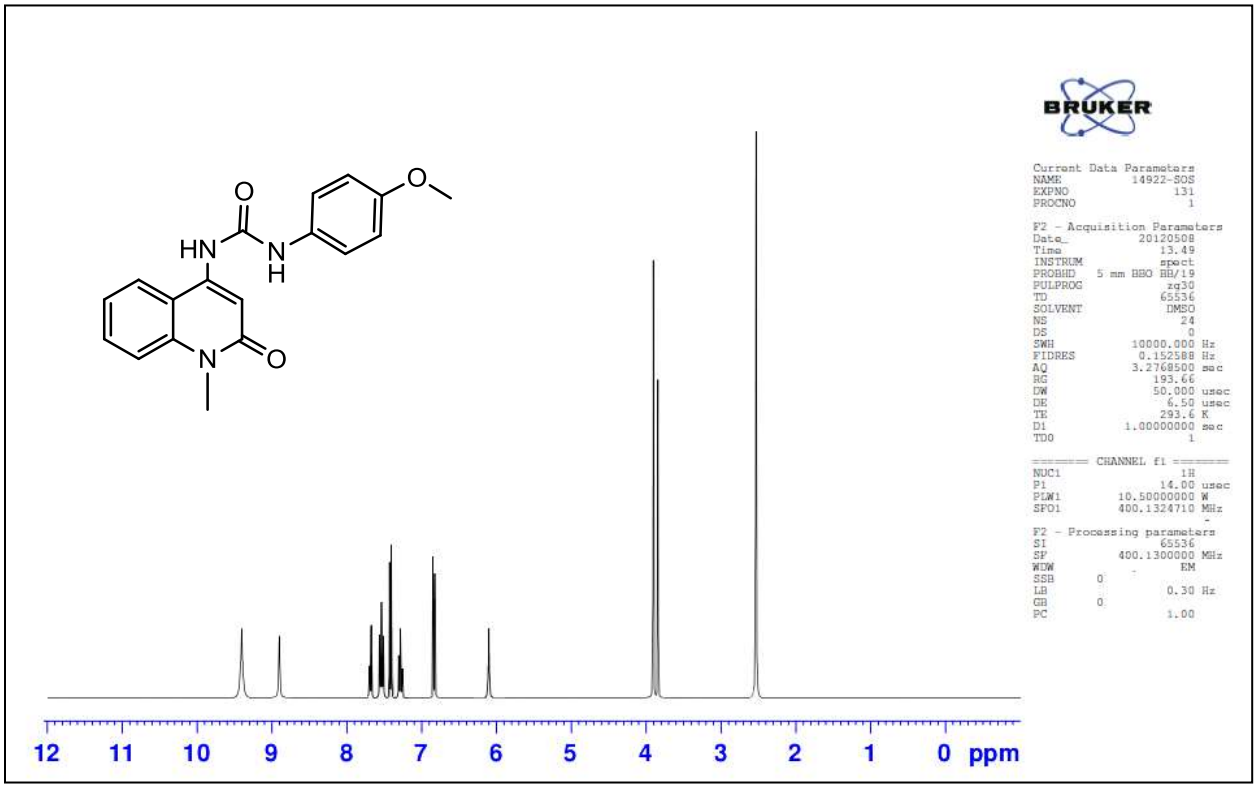
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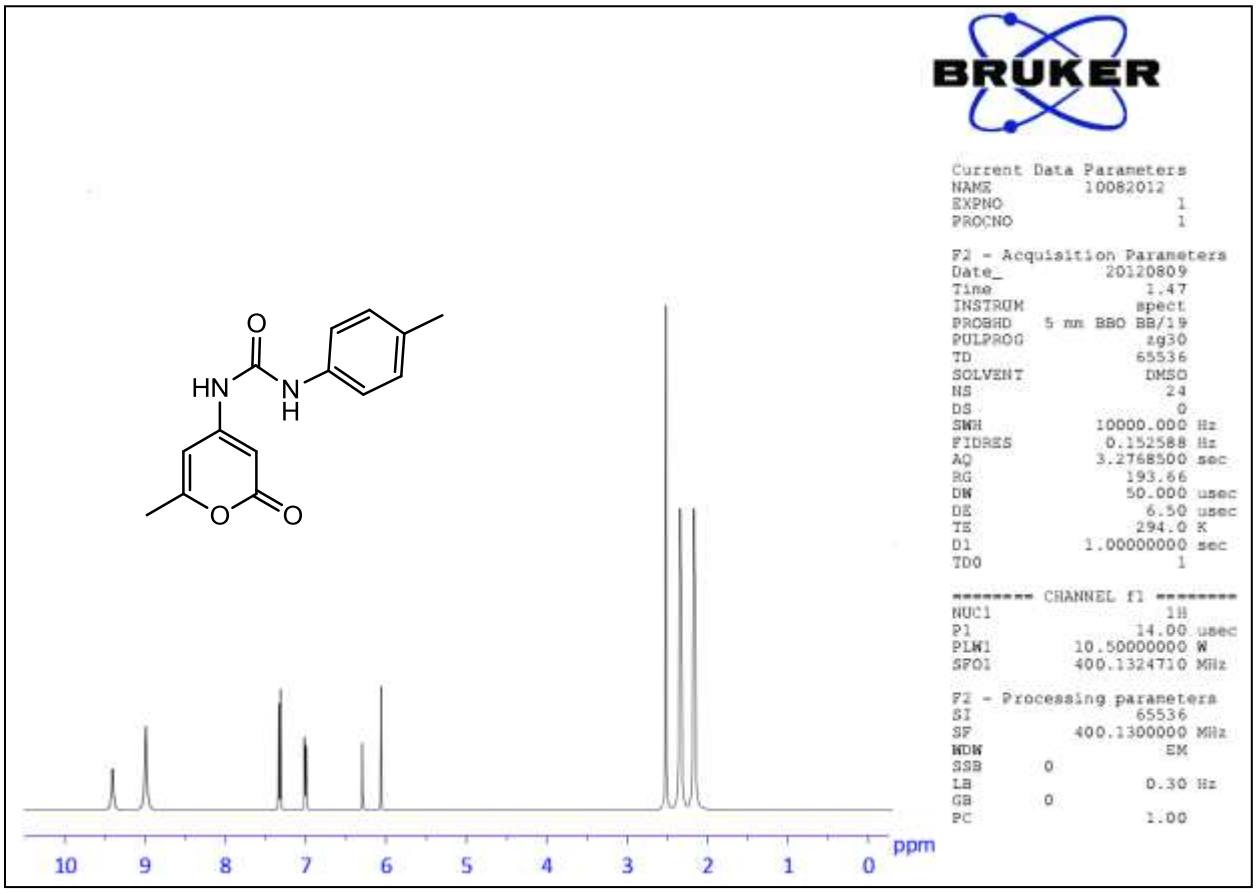
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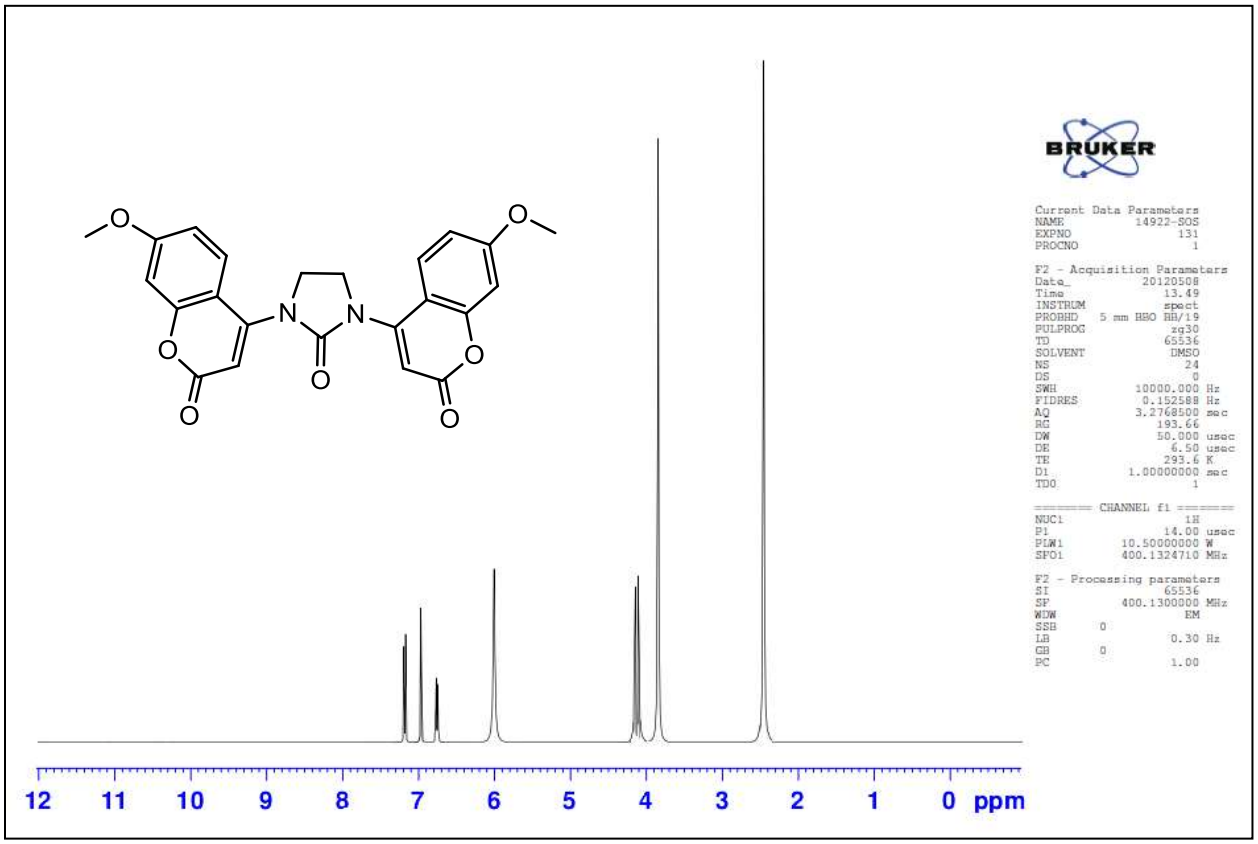

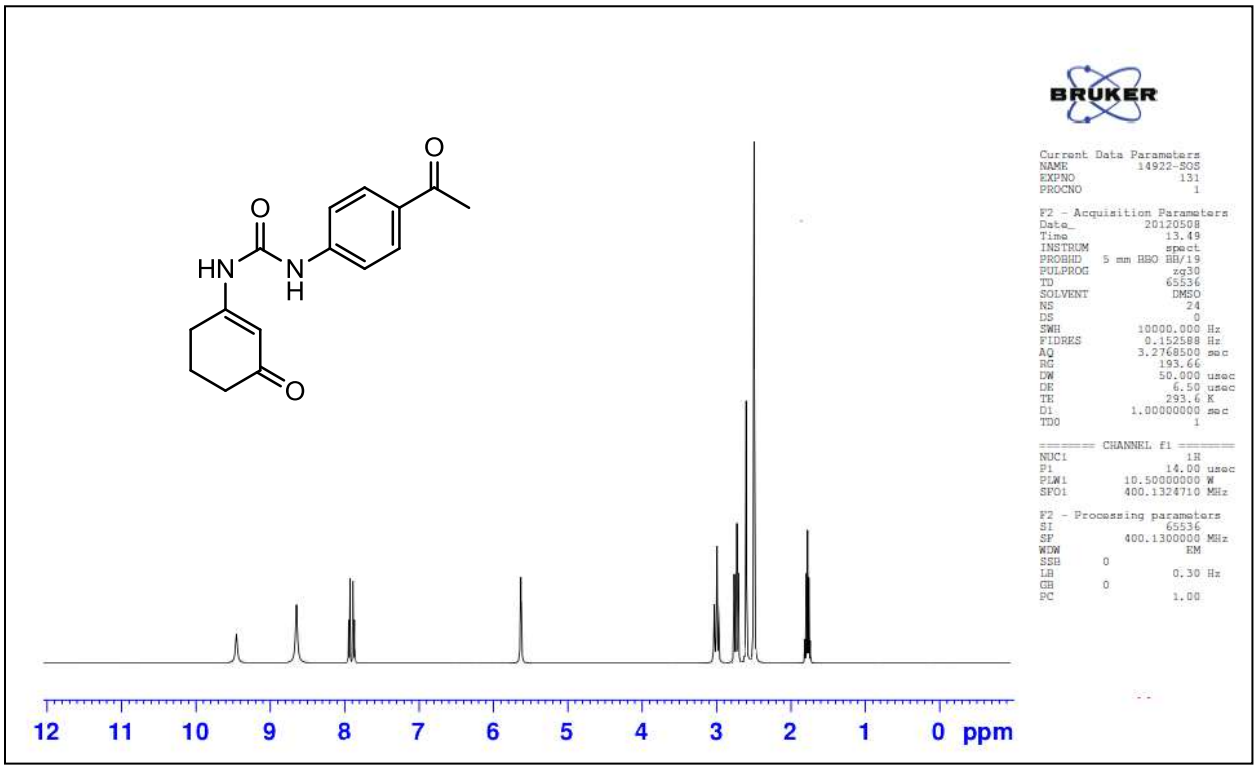

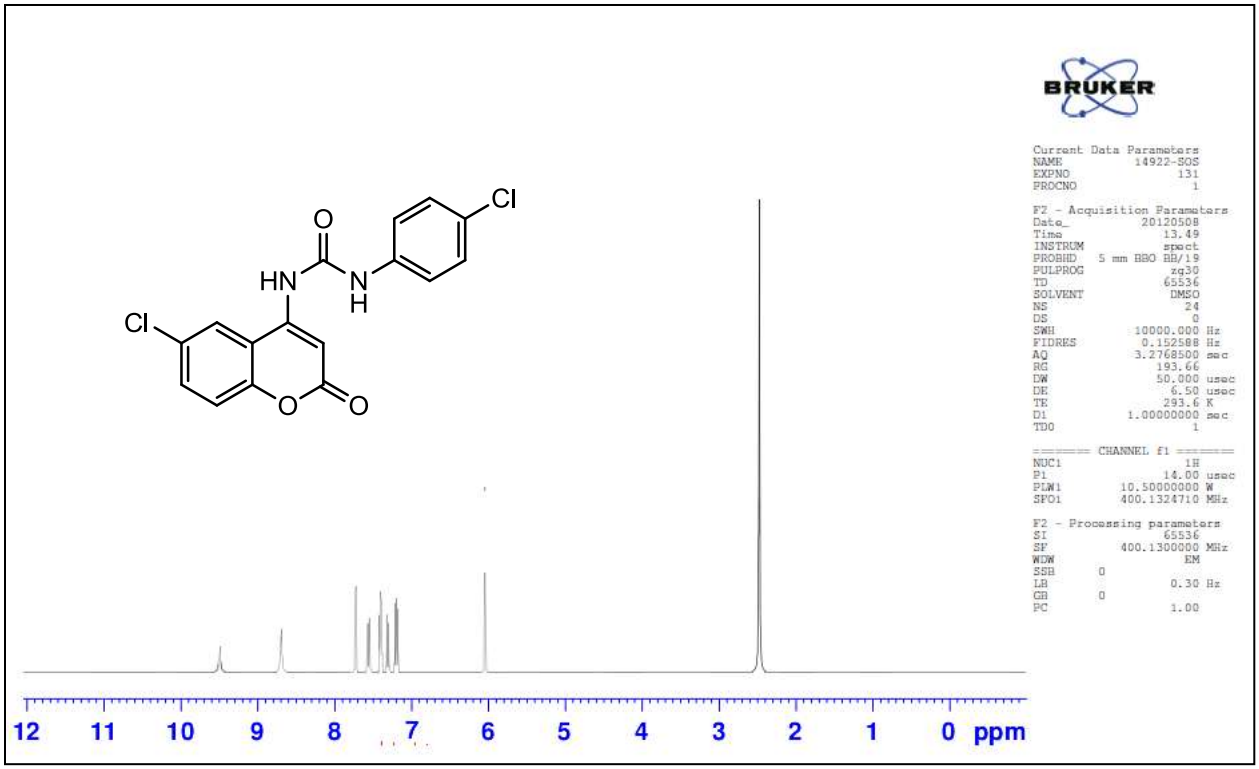
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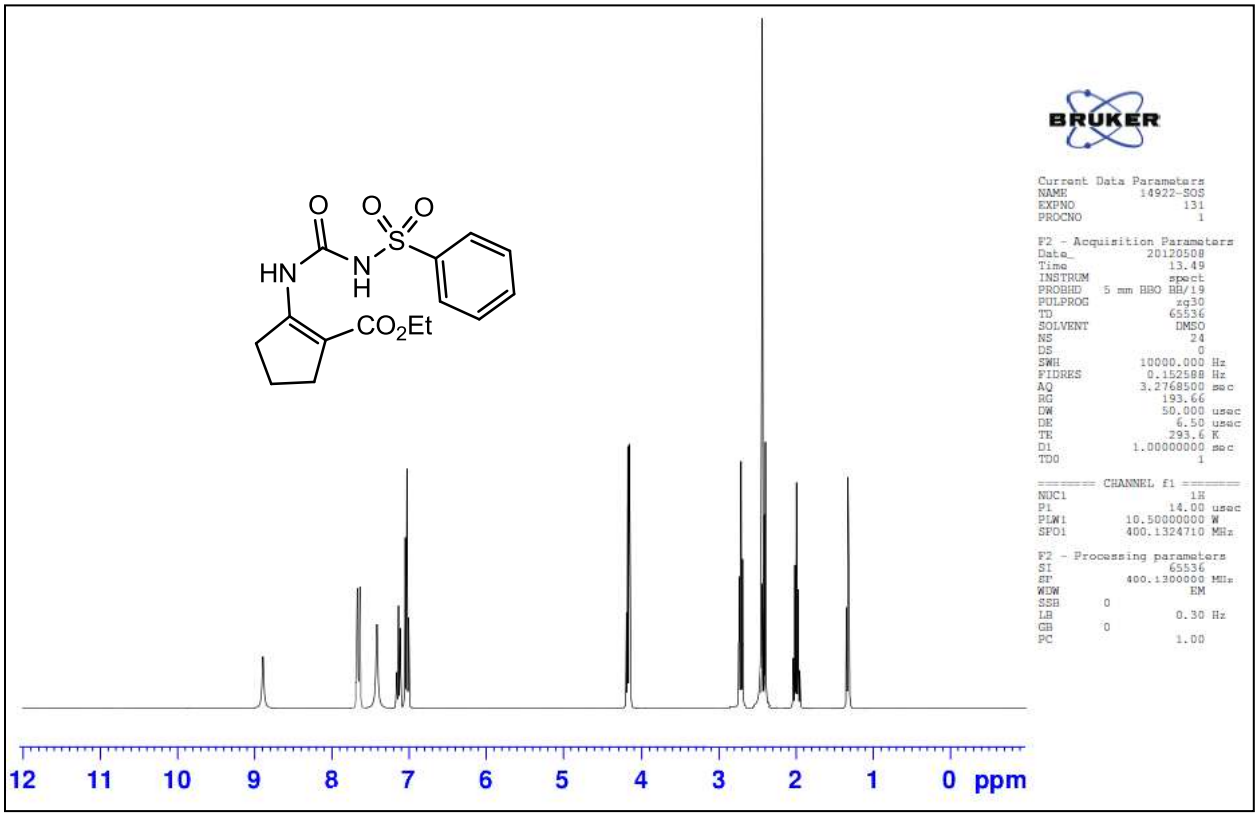
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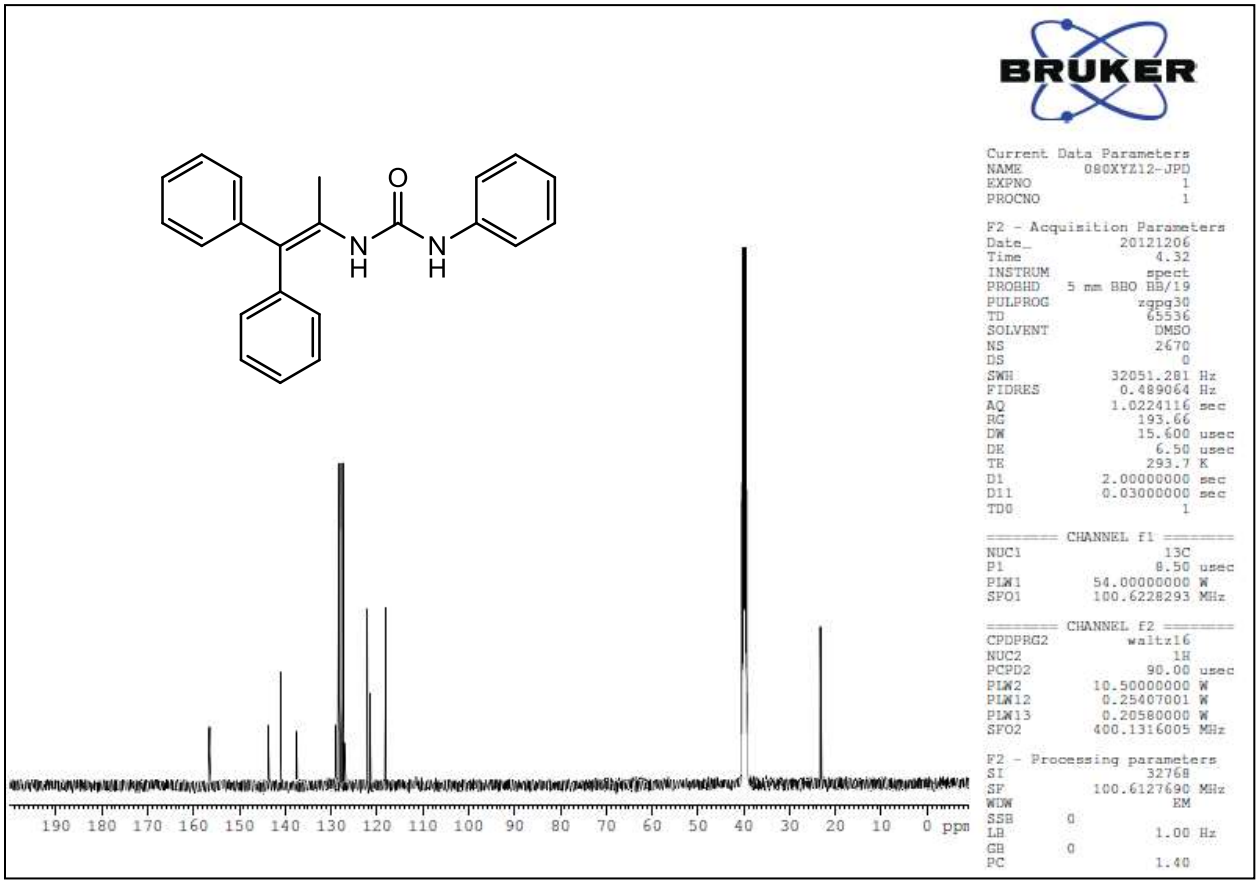

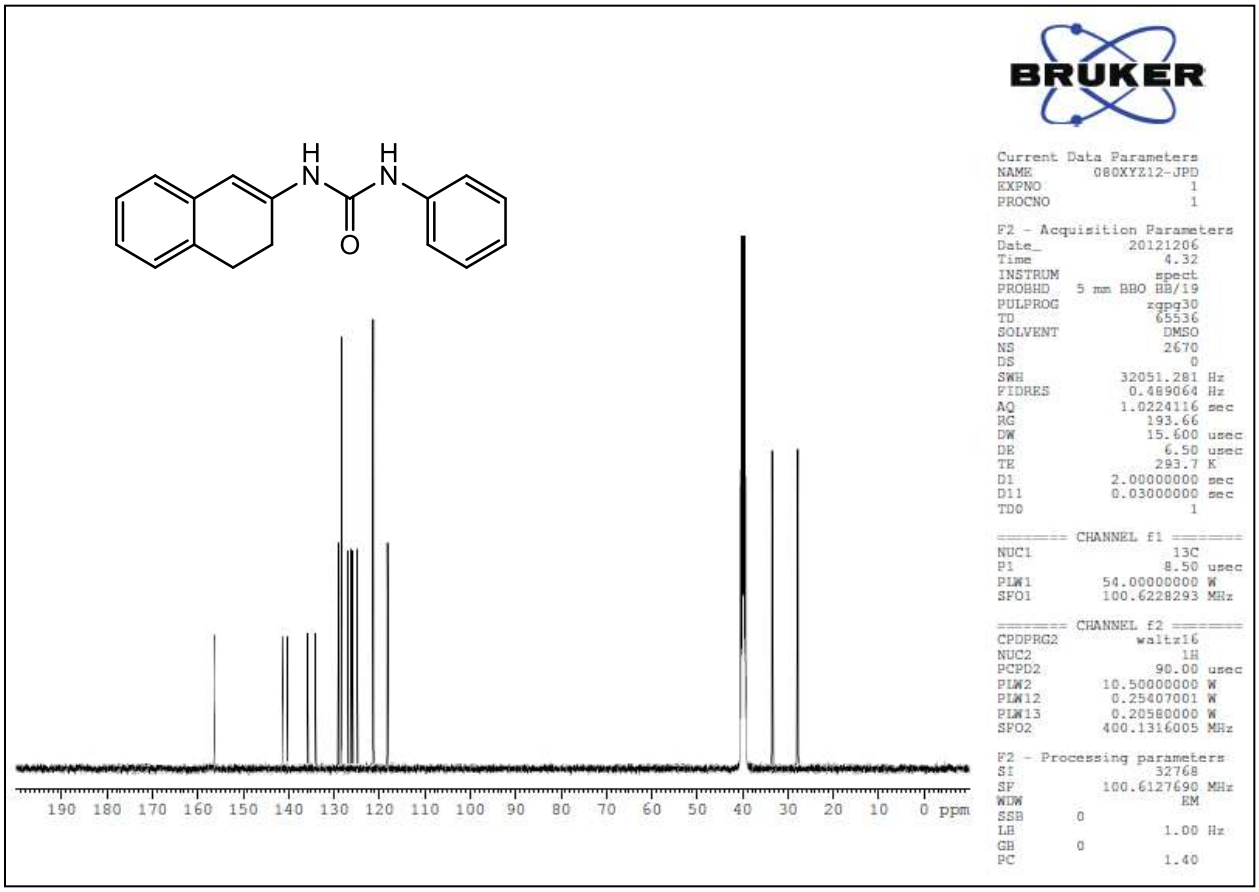

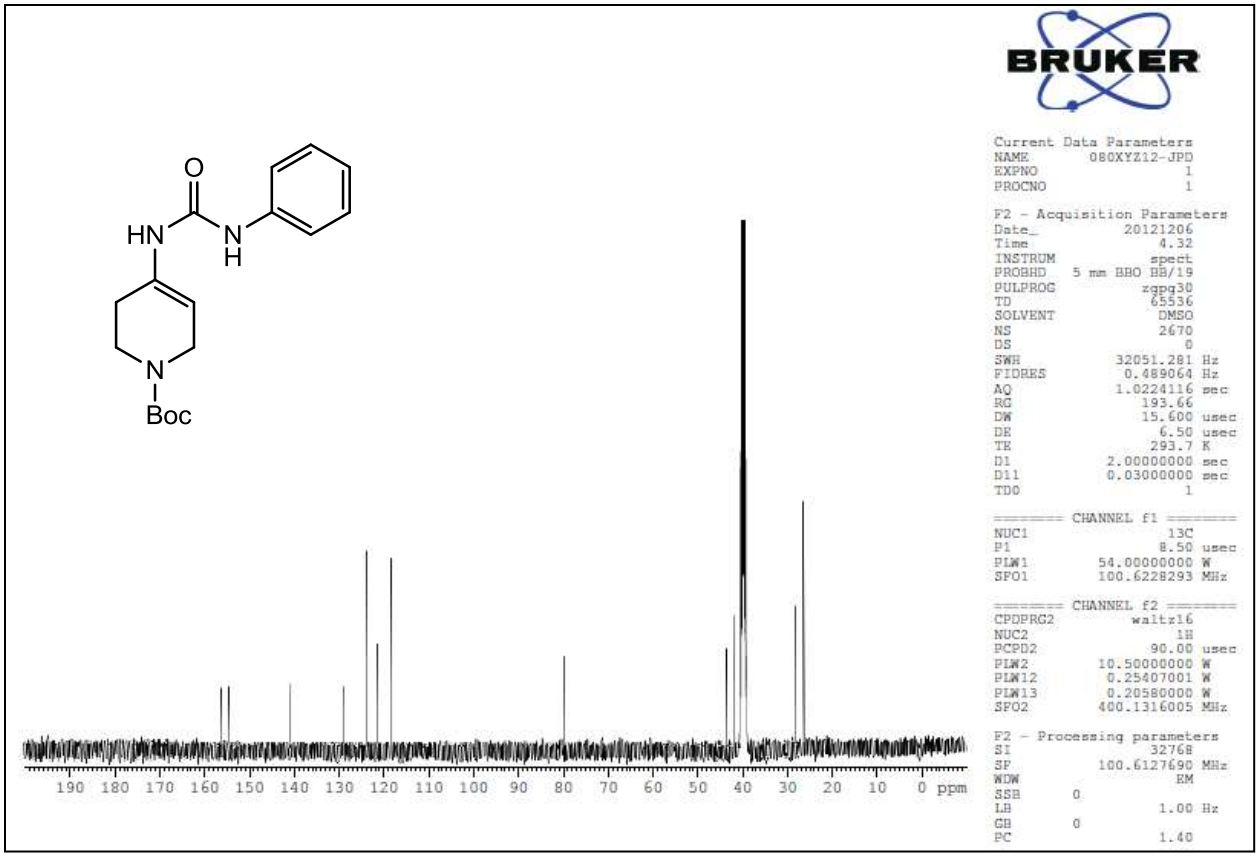
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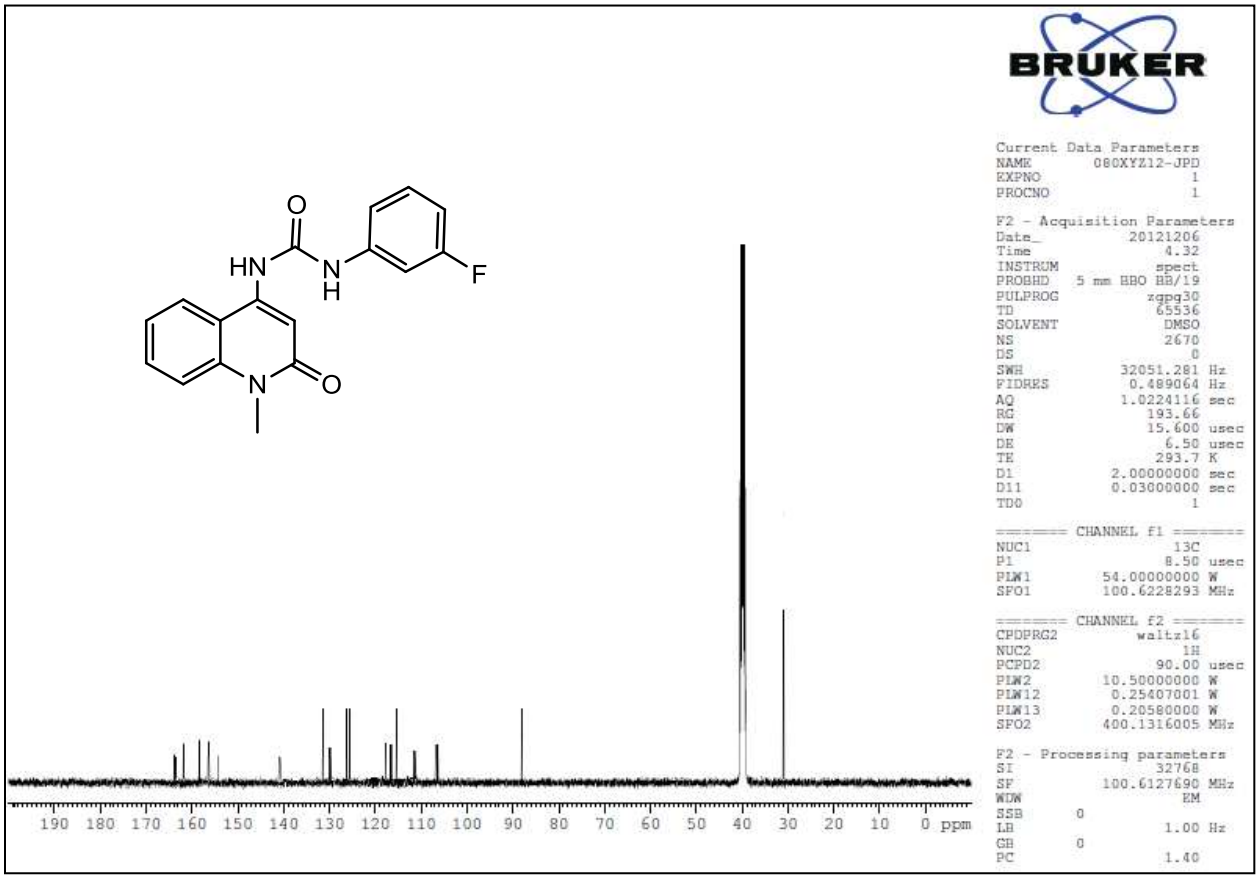
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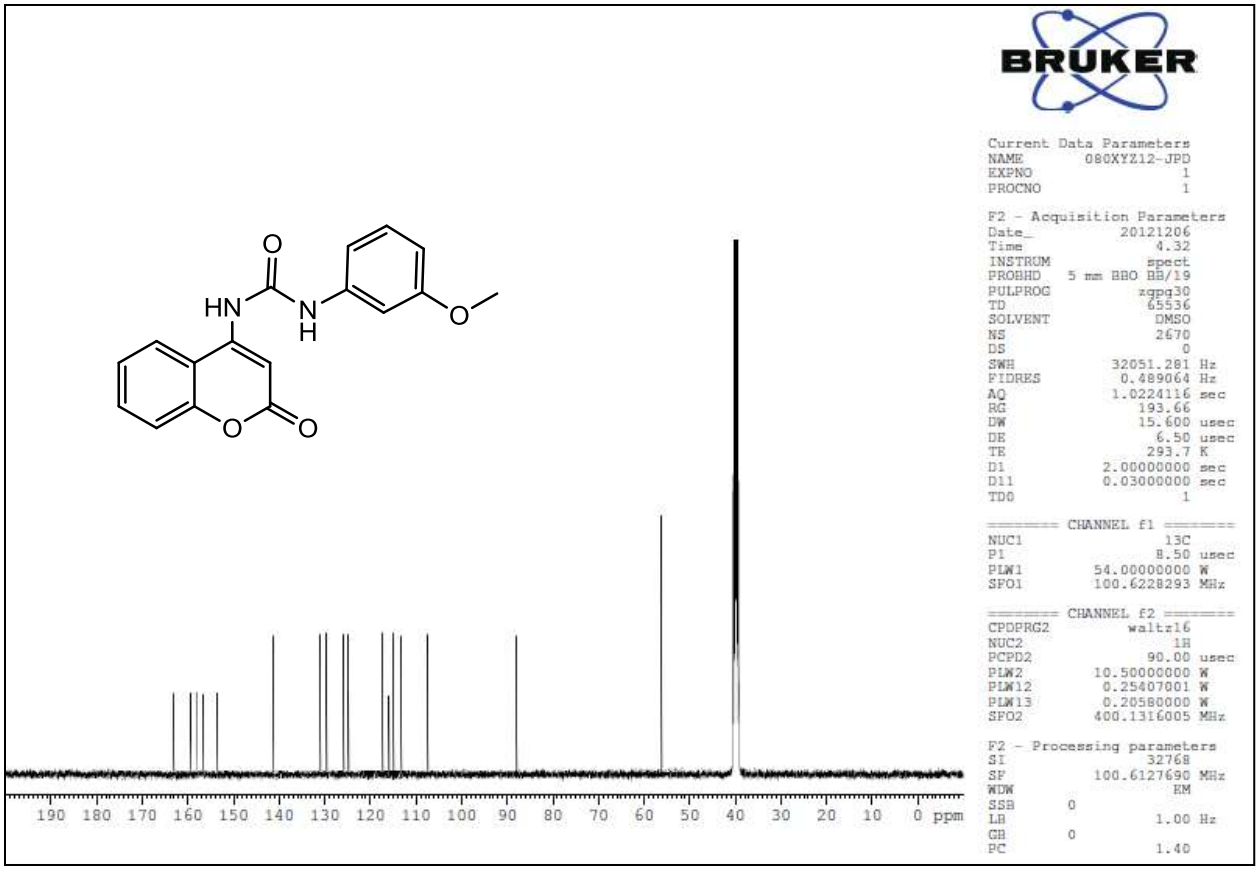
PLW12 0.25407001 W
PLW13 0.20580000 W
SFO2 400.1316005 MHz
F2 - Processing parameters
SI 32768
SF 100.6127690 MHz
WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40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PROBHD 5 mm BBO BB/19
PULPROG zgpg30
TD 65536
SOLVENT DMSO
NS 2670
DS 0
SWH 32051.281 Hz
FIDRES 0.489064 Hz
AQ 1.0224116 sec
RG 193.66
DW 15.600 usec
DE 6.50 usec
TE 293.7 K
D1 2.00000000 sec
D11 0.03000000 sec
TD0 1
CHANNEL f1
NUC1 13C
P1 8.50 usec
PLW1 54.00000000 W
SFO1 100.6228293 MHz
CHANNEL f2
CPDPRG2 waltz16
NUC2 1H
PCPD2 90.00 usec
PLW2 10.50000000 W
PLW12 0.25407001 W
PLW13 0.20580000 W
SFO2 400.1316005 MHz
F2 - Processing parameters
SI 32768
SF 100.6127690 MHz
WDW EM
SSB 0
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PC 1.40
HN
O
N
H
O
O
O
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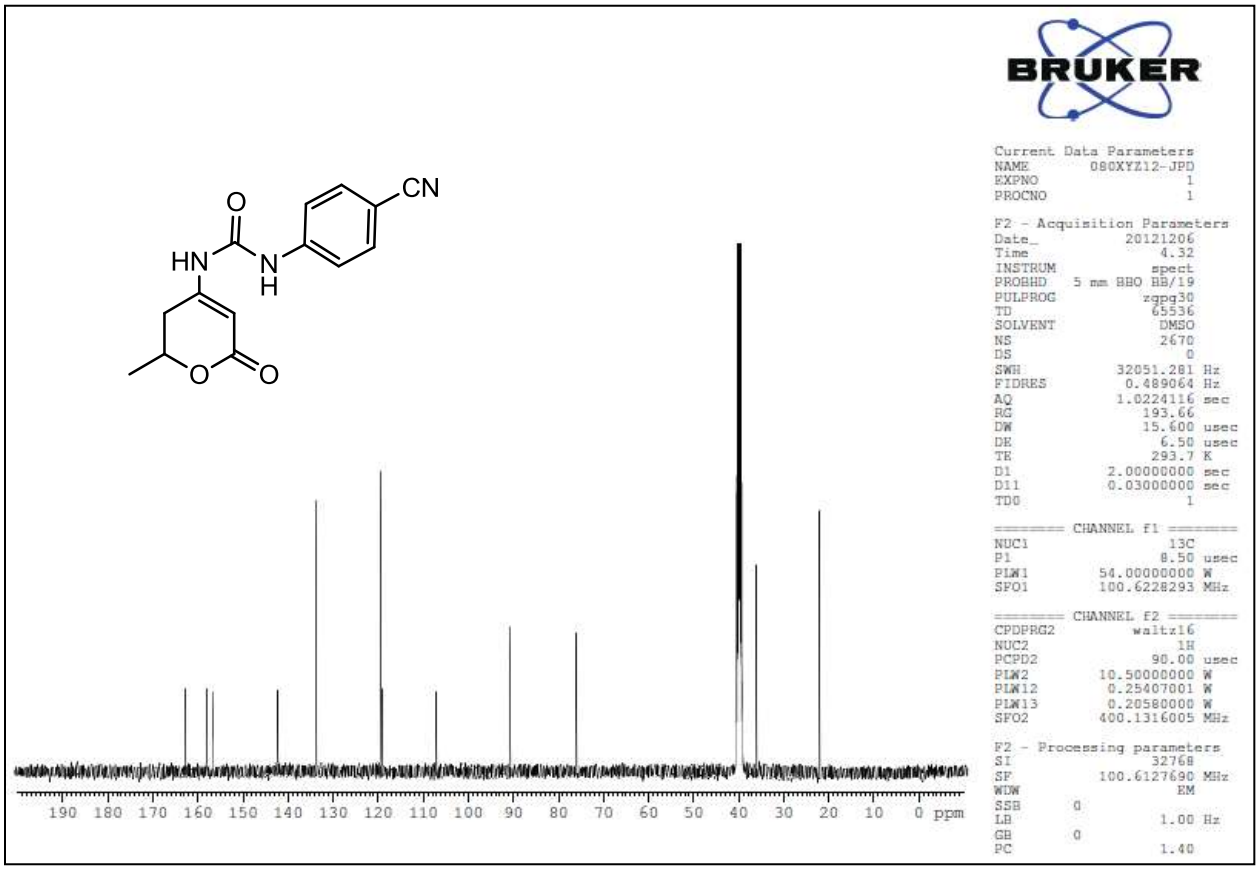
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INSTRUM spect
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SFO2 400.1316005 MHz
F2 - Processing parameters
SI 32768
SF 100.6127690 MHz
WDW EM
SSB 0
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PC 1.40
CN
HN
O
N
H
O
O
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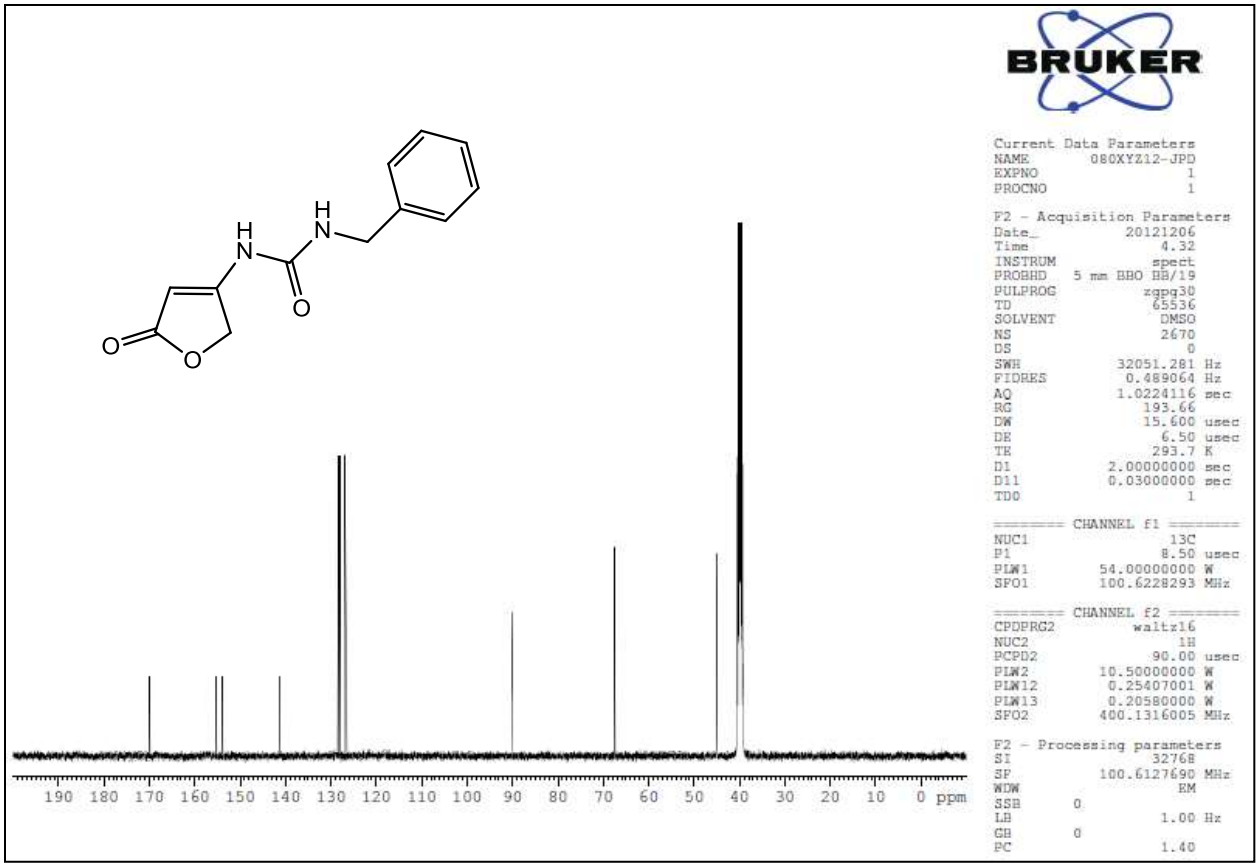
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EXPNO 1
PROCNO 1
F2 - Acquisition Parameters
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Time 4.32
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F2 - Processing parameters
SI 32768
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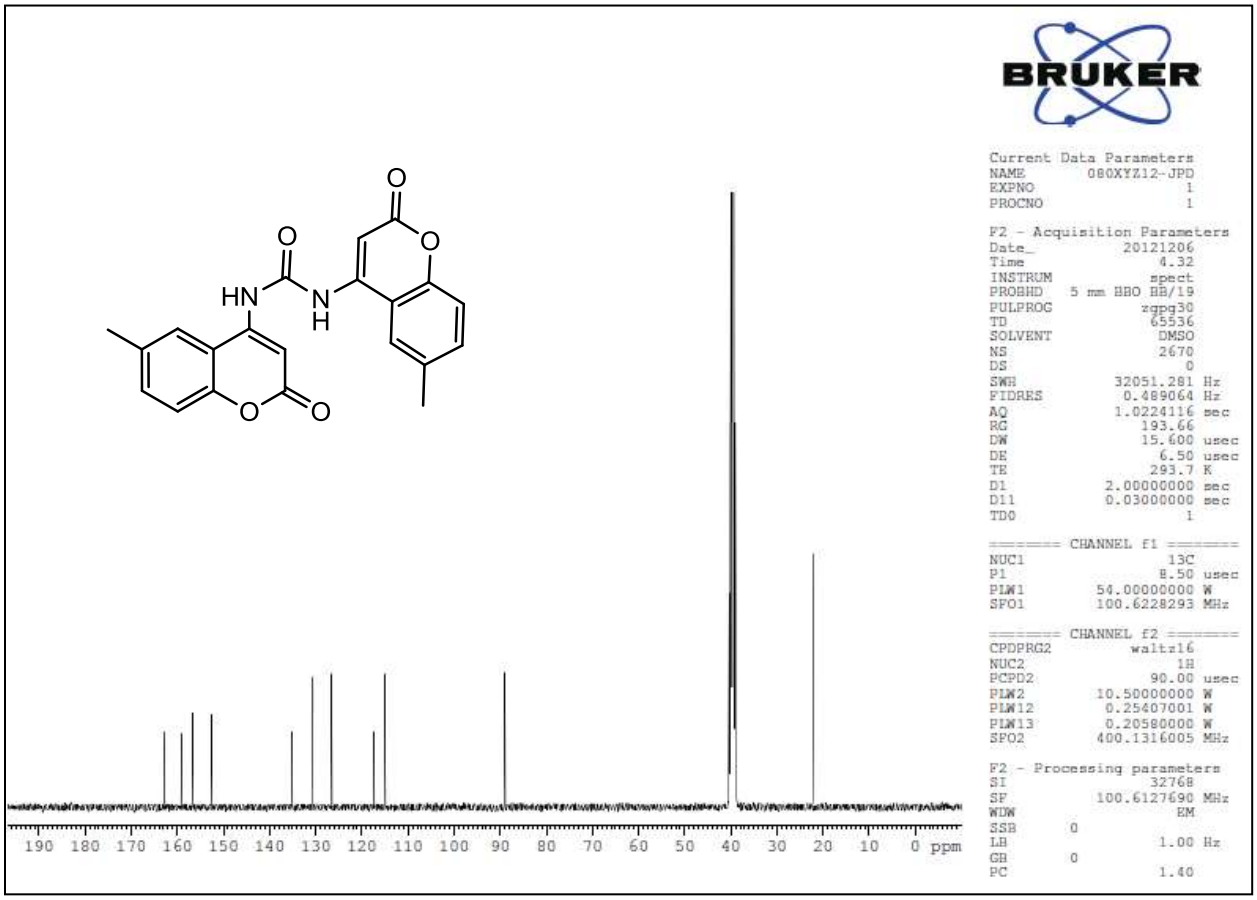
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EXPNO 1
PROCNO 1
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Date_ 20121206
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PULPROG zgpg30
TD 65536
SOLVENT DMSO
NS 2670
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SWH 32051.281 Hz
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F2 - Processing parameters
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WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40
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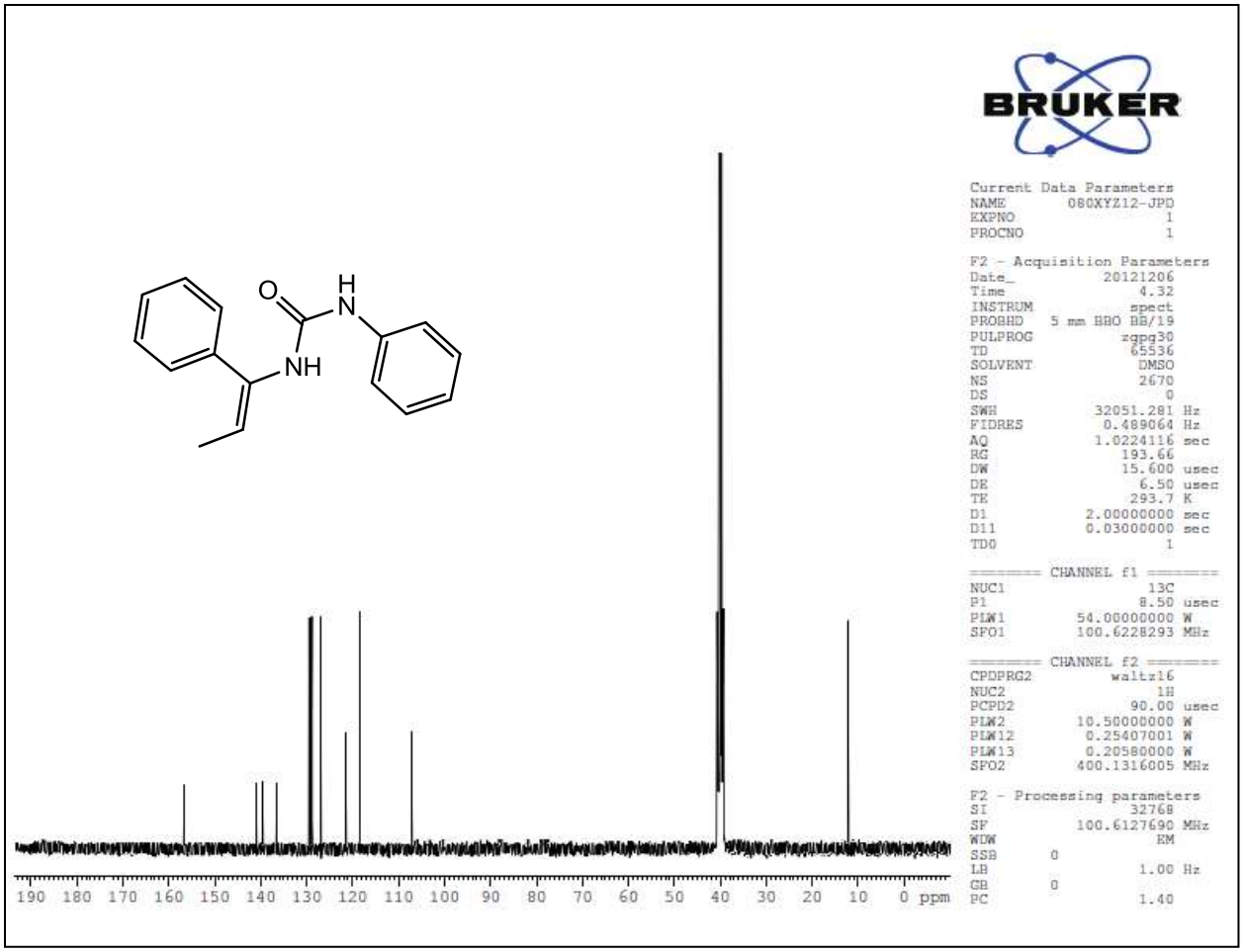
O
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N
NH
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BRUKER
Current Data Parameters
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EXPNO 1
PROCNO 1
F2 - Acquisition Parameters
Date_ 20121206
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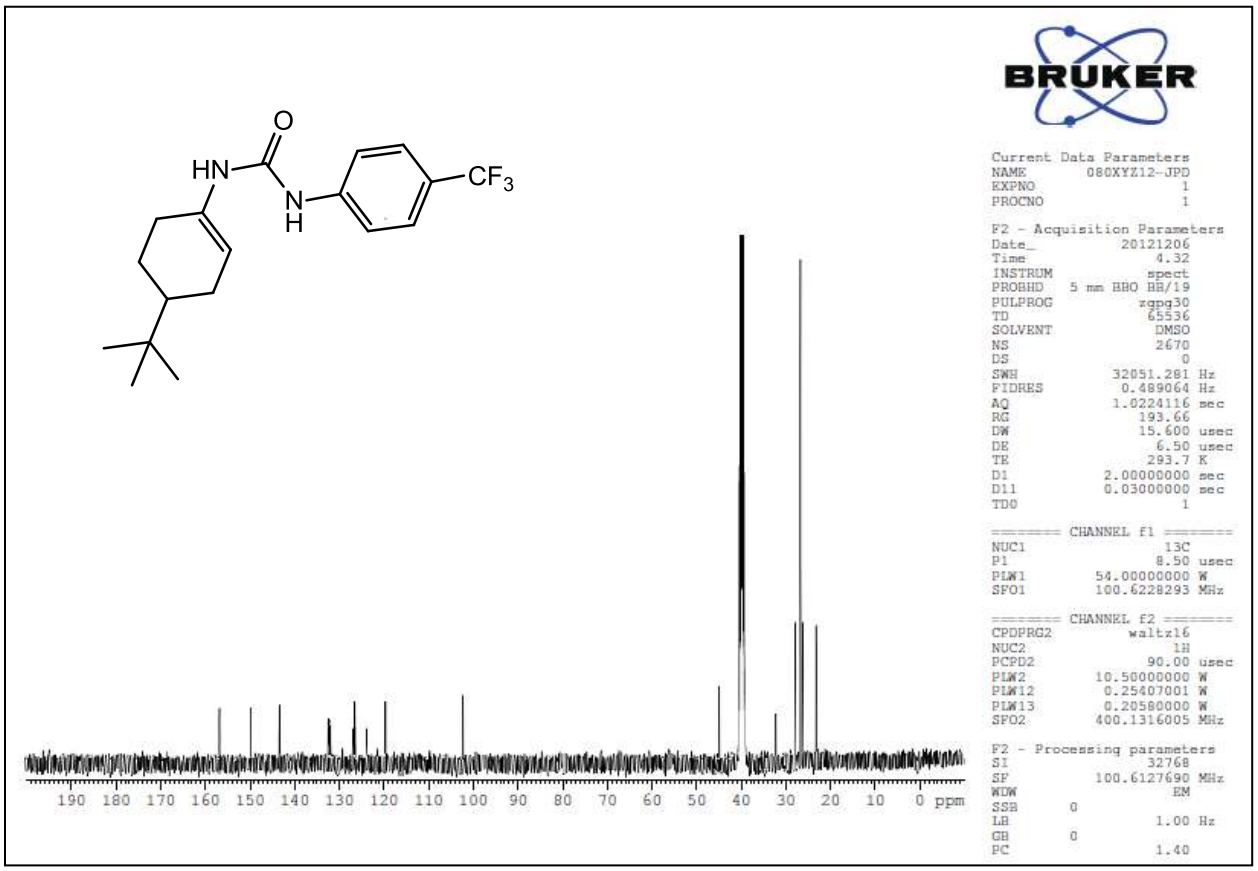
WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40


HN
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BRUKER
Current Data Parameters
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EXPNO 1
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F2 - Acquisition Parameters
Date_ 20121206
Time 4.32
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WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40

8. Refrences

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2. X. P. Hu, H. P. Chen, Z. Zheng, *Adv. Synth. Catal.* 2005, **347**, 541 –548.

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