Supporting Information

Facile Synthesis of Sulfonyl Amidines by 1,3-Dipolar Cycloaddition between 1-Morpholinocycloalkenes and Sulfonyl Azides without Catalyst

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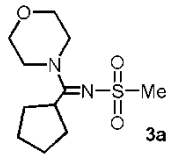
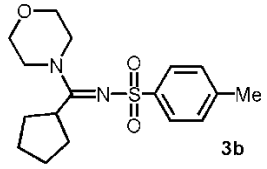
***N*-(Cyclopentyl(morpholino)methylene)methanesulfonamide (3a)**



3a

White solid. mp 154-156 °C; Yield 81%; ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.65-1.84 (m, 4H), 2.16-2.23 (m, 2H), 2.91-2.94 (m, 2H), 3.00 (s, 3H), 3.61-3.71 (m, 8H), 3.93-4.05 (m, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 27.11, 30.76, 43.31, 44.24, 47.40, 66.80, 170.45. Anal. Calcd for $C_{10}H_{20}SO_3N_2$(%): C 48.36, H 8.12, N 11.28, S 12.91. Found: C 48.43, H 7.74, N 10.43, S 12.12.

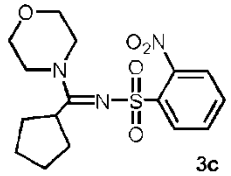
***N*-(Cyclopentyl(morpholino)methylene)-4-methylbenzenesulfonamide (3b)**



3b

White solid. mp 148-150 °C; Yield 86%; IR ν(cm-1): 1535 (C=N), 1351(SO_2), 1258 (C-N), 1080 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.66-1.77 (m, 6H), 2.02-2.10 (m, 2H), 2.37 (s, 3H), 3.61-3.68 (m, 8H), 4.00-4.13 (m, 1H), 7.23 (d, *J*=7.93 Hz, 2H), 7.77 (d, *J*=8.12 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 21.35, 26.60, 30.27, 42.39, 47.03, 66.32, 126.05, 129.01, 141.37, 141.74, 170.21.

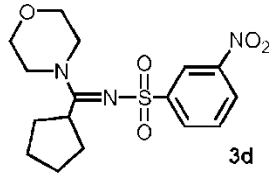
***N*-(Cyclopentyl(morpholino)methylene)-2-nitrobenzenesulfonamide (3c)**



3c

Yellow solid. mp 126-128 °C; Yield 71%; IR ν (cm^{-1}): 1547 (C=N), 1351 (SO_2), 1531 (NO_2), 1224 (C-N), 1032 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.68-1.80 (m, 6H), 2.10-2.17 (m, 2H), 3.60-3.71 (m, 8H), 3.88-4.00 (m, 1H), 7.61-7.68 (m, 3H), 8.17-8.20 (m , 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm : 26.59, 30.28, 43.18, 47.34, 66.37, 123.88, 128.80, 131.66, 132.20, 136.79, 147.58, 170.36.

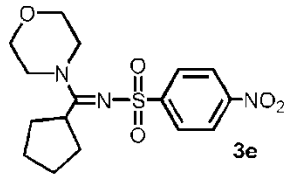
***N*-(Cyclopentyl(morpholino)methylene)-3-nitrobenzenesulfonamide (3d)**



3d

White solid. mp 118-120 °C; Yield 60%; ^{1}H NMR (300 MHz, DMSO) δ ppm: 1.59-1.90 (m, 6H), 3.35 (m, 6H), 3.63 (m, 4H), 3.75-3.83 (m, 1H), 7.85 (t, *J*=8.02 Hz, 1H), 8.24 (d, *J*=8.07, Hz, 1H), 8.40-8.45 (m, 2H); ^{13}C NMR (75 MHz, DMSO) δ ppm : 26.54, 30.22, 42.90, 47.93, 66.15, 120.56, 126.64, 131.58, 132.28, 146.46, 148.14, 170.27.

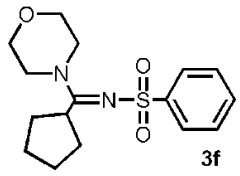
***N*-(Cyclopentyl(morpholino)methylene)-4-nitrobenzenesulfonamide (3e)**



3e

Orange solid. mp 150-152 °C; Yield 82%; IR ν (cm^{-1}): 1605 (C=N), 1523 (NO_2), 1348 (SO_2), 1221 (C-N), 1028 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.77-1.83 (m, 6H), 2.15-2.22 (m, 2H), 3.65-3.74 (m, 8H), 3.98-4.07 (m, 1H), 8.11 (d, *J*=8.88 Hz, 2H), 8.33 (d, *J*=8.88 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 26.67, 30.53, 43.36, 66.33, 123.88, 127.39, 139.32, 141.37, 170.57.

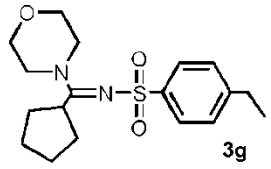
***N*-(Cyclopentyl(morpholino)methylene)benzenesulfonamide (3f)**



3f

White solid. mp 140-142 °C; Yield 47%; IR ν (cm^{-1}): 1535 (C=N), 1345 (SO_2), 1224 (C-N), 1033 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.63-1.78 (m, 6H), 2.04-2.11 (m, 2H), 3.61-3.70 (m, 8H), 4.01-4.13 (m, 1H), 7.42-7.48 (m, 3H), 7.88-7.92 (dd, *J*=1.93 Hz, *J*=6.05 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 26.64, 30.32, 42.57, 47.10, 66.35, 126.07, 128.46, 131.32, 144.16, 170.35.

***N*-(Cyclopentyl(morpholino)methylene)-4-ethylbenzenesulfonamide (3g)**

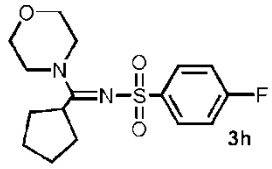


3g

White solid. mp 114-116 °C; Yield 43%; IR ν (cm^{-1}): 1535 (C=N), 1351 (SO_2), 1219 (C-N), 1031 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.26 (t, *J*= 7.61 Hz, 3H), 1.70-1.80 (m, 6H),

2.09-2.14 (m, 2H), 2.67-2.74 (quadruplet, *J*= 7.61 Hz, *J*=7.6 Hz, 2H), 3.63-3.71 (m, 8H), 4.05-4.17 (m, 1H), 7.29 (d, *J*= 8.35 Hz, 2H), 7.83 (d, *J*=7.82 Hz, 2H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 15.29, 26.71, 28.76, 30.37, 42.51, 47.09, 66.42, 126.24, 127.98, 141.59, 148.06, 170.33.

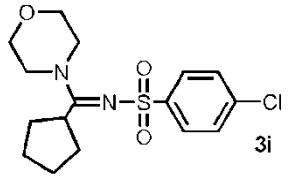
***N*-(Cyclopentyl(morpholino)methylene)-4-fluorobenzenesulfonamide (3h)**



3h

White solid. mp 148-150 °C Yield 58%; IR ν (cm^{-1}): 1538 (C=N), 1344 (SO_2), 1224 (C-N), 1033 (C-O), 1138 (C-F); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.65-1,79 (m, 6H), 2.07-2.15 (m, 2H), 3.61-3.71 (m, 8H), 3.99-4.11 (m, 1H), 7.12 (t, *J*= 8.66 Hz, 2H), 7.89-7.93 (dd, *J*=3.76 Hz, *J*=5.14 Hz, 2H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 26.66, 30.37, 42.71, 47.18, 66.33, 115.38, 115.67, 128.73, 140.36, 162.56, 170.32.

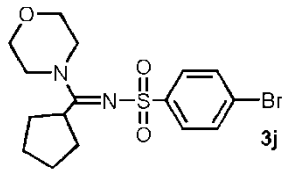
4-Chloro-*N*-(cyclopentyl(morpholino)methylene)benzenesulfonamide (3i)



3i

White solid. mp 134-136 °C; Yield 83%; IR ν (cm^{-1}): 1538 (C=N), 1351 (SO_2), 1219 (C-N), 1034 (C-O), 574 (C-Cl);^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.65-1.79 (m, 6H), 2.08-2.16 (m, 2H), 3.61-3.71 (m, 8H), 3.97-4.09 (m, 1H), 7.42 (d, *J*= 8.62 Hz, 2H), 7.85 (d, *J*=8.71 Hz, 2H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 26.65, 30.39, 42.79, 47.20, 66.33, 127.61, 128.70, 137.55, 142.76, 170.33; Anal. Calcd for $C_{16}H_{21}SO_3ClN_2$(%): C 54.17, H 5.91, N 7.81, S 8.79. Found: C 54.19, H 5.92, N 7.78, S 8.68; HRMS (ESI) calcd. for $C_{16}H_{21}SO_3ClN_2$ ($[M+H]^+$) 357.1034. Found 357.1034.

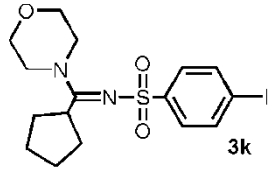
4-Bromo-*N*-(cyclopentyl(morpholino)methylene)benzenesulfonamide(3j)



3j

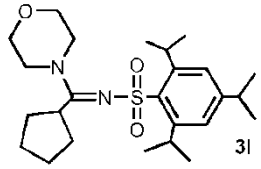
White solid. mp 166-168 °C; Yield 76%; ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.65-1.80 (m, 6H), 2.08-2.16 (m, 2H), 3.61-3.71 (m, 8H), 3.97-4.09 (m, 1H), 7.58 (d, *J*= 8.62 Hz, 2H), 7.77 (d, *J*=8.62 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm : 26.64, 30.38, 42.79, 47.19, 66.31, 125.97, 127.75, 131.67, 143.25, 170.34.

***N*-(Cyclopentyl(morpholino)methylene)-4-iodobenzenesulfonamide (3k)**



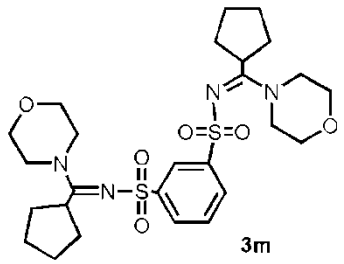
White solid. mp 220-222 °C; Yield 67%; IR ν (cm^{-1}): 1529 (C=N), 1346 (SO_2), 1219 (C-N), 1027 (C-O), 579 (C-I); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.65-1.79 (m, 6H), 2.08-2.16 (m, 2H), 3.60-3.70 (m, 8H), 3.96-4.09 (m, 1H), 7.63 (d, *J*= 8.44 Hz, 2H), 7.79 (d, *J*=8.44 Hz, 2H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 26.72, 30.45, 42.86, 47.20, 66.39, 98.32, 127.76, 137.71, 143.97, 170.40.

***N*-(Cyclopentyl(morpholino)methylene)-2,4,6-triisopropylbenzenesulfonamide (3l)**



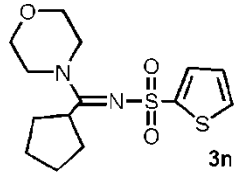
White solid. mp 128-130 °C; Yield 67%; ^{1}H NMR(300 MHz, $CDCl_3$) δ ppm:1.13-1.29 (m, 18H), 1.43-1.66 (m, 6H), 2.18-2.37 (m, 2H), 3.39-3.55 (m, 3H), 3.57-3.78 (m, 8H), 4,31-4.40 (m, 1H), 7.10 (s, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 23.67, 24.69, 26.51, 29.45, 29.93, 34.09, 41.72, 66.38, 123.20, 137.34, 148.75, 151.46, 169.76.

(E)-*N1*,*N3*-Bis(cyclopentyl(morpholino)methylene)benzene-1,3-disulfonamide (3m)



White solid. mp 180-182 °C; Yield 35%; IR ν (cm^{-1}): 1529 (C=N), 1353 (SO_2), 1220 (C-N), 1030 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.25-1.31 (m, 2H),1.59-1.81 (m, 10H), 2.06-2.25 (m, 4H), 3.00 (t, *J*=4.61 Hz, 2H), 3.25-3.27 (m, 2H), 3.62-3.70 (m, 12H), 3.93-4.05 (m, 2H), 7.53-7.66 (m, 1H), 8.02-8.11 (dd, *J*=1.74 Hz, *J*=7.79 Hz, 2H), 8.46 (s, 1H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 26.73, 30.44, 42.79, 64.65, 66.41, 124.50, 128.86, 129.02, 144.87, 170.52. HRMS (ESI) calcd. for $C_{26}H_{38}N_4O_6S_2$ ($[M+H]^+$) 567.2306. Found 567.2306.

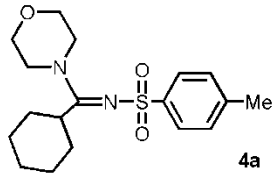
***N*-(Cyclopentyl(morpholino)methylene)thiophene-2-sulfonamide (3n)**



3n

White solid. mp 120-122°C; Yield 55%; IR ν (cm^{-1}): 1539 (C=N), 1343 (SO_2), 1223 (C-N), 1031 (C-O); ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.69-1.76 (m, 6H); 2.04-2.11 (m, 2H); 3.65-3.69 (m, 8H), 3.95-4.09 (m, 1H); 7.98 (dd, *J*= 1.92 Hz, *J*= 3.85 Hz, 1H); 7.44 (dd, *J*=1.28 Hz, *J*=3.76 Hz, 1H), 7.56 (dd, *J*=1.28 Hz, *J*=2.38 Hz, 1H); ^{13}C NMR (75 MH_Z, $CDCl_3$) δ ppm: 26.71, 30.42, 42.54, 47.37, 66.47, 126.69, 129.57, 130.00, 146.04, 170.40; Anal. Calcd for $C_{14}H_{20}S_2O_3N_2$ (%): C 51.56, H 6.14, N 8.47, S 19.42. Found: C 51.55, H 6.12, N 8.45, S 19.30; HRMS (ESI) calcd. for $C_{14}H_{20}S_2O_3N_2$ ($[M+H]^+$) 329.0988. Found 329.0991.

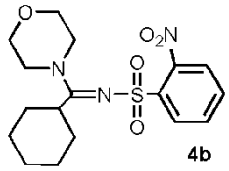
***N*-(Cyclohexyl(morpholino)methylene)-4-methylbenzenesulfonamide (4a)**



4a

White solid. mp 110-112°C; Yield 71%; ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.58-1.90 (m, 8H), 2.34-2.42 (m, 2H), 2.43 (s, 3H), 2.91 (m, 2H), 3.69-3.75 (m, 6H), 3.95-3.99 (m, 1H), 7.31 (d, *J*=8.12 Hz, 2H), 7.73 (d, *J*=8.30 Hz, 1H), 7.82 (dd, *J*=2.45 Hz, *J*=8.12 Hz, 1H); ^{13}C NMR (75 MH_Z, $CDCl_3$) δ ppm: 21.88, 23.94, 26.43, 27.15, 28.69, 33.63, 41.24, 46.71, 51.10, 62.23, 67.03, 126.51, 127.41, 129.47, 130.10, 137.06, 143.95, 209.19.

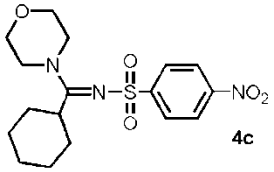
***N*-(Cyclohexyl(morpholino)methylene)-2-nitrobenzenesulfonamide(4b)**



4b

Orange solid. mp 140-142 °C; Yield 25%; ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.20-1.84 (m, 10H), 3.44-3.51 (dd, *J*=6.97 Hz, *J*=7.15 Hz, 2H), 3.70-3.84 (m, 6H), 3.85-3.94 (m, 1H), 7.65-7.78 (m, 3H), 8.12-8.26 (m , 1H); ^{13}C NMR (75 MH_Z, $CDCl_3$) δ ppm: 15.28, 24.83, 25.04, 26.16, 28.19, 34.87, 54.83, 65.86, 123.94, 125.14, 129.35, 131.82, 133.44, 136.03, 147.73.

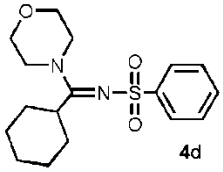
***N*-(Cyclohexyl(morpholino)methylene)-4-nitrobenzenesulfonamide(4c)**



4c

Yellow solid. mp 134-136 °C; Yield 41%; ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.25-1.85 (m, 8H), 2.06-2.19 (m, 2H), 2.35-2.54 (m, 2H), 2.90 (m, 2H), 3.62-3.72 (m, 4H), 4.03-4.07 (m, 1H), 8.01 (d, *J*=8.78 Hz, 2H), 8.33 (t, *J*=8.88 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 23.54, 26.72, 28.91, 33.34, 40.86, 62.15, 124.39, 128.23, 143.81, 145.92, 146.79.

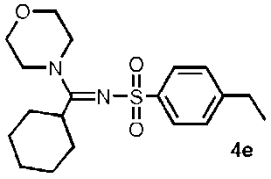
***N*-(Cyclohexyl(morpholino)methylene)benzenesulfonamide (4d)**



4d

White solid. mp 180-182 °C; Yield 30%; IR ν (cm^{-1}): 1539 (C=N), 1349 (SO_2), 1217 (C-N), 1022 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.11-1.37 (m, 2H), 1.51-1.87 (m, 8H), 3.67-3.75 (m, 9H), 7.41-7.49 (m, 3H), 7.90-7.93 (m, 2H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 25.38, 25.96, 28.21, 43.47, 47.66, 66.56, 126.02, 128.44, 131.27, 144.34, 170.14.

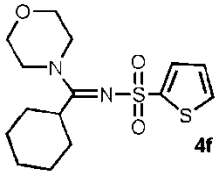
***N*-(Cyclohexyl(morpholino)methylene)-4-ethylbenzenesulfonamide (4e)**



4e

White solid. mp 168-170 °C; Yield 11%; ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.25 (t, *J*= 7.56 Hz, 3H), 1.30-1.39 (m, 2H), 1.50-1.89 (m, 8H), 2.67-2.74 (quadruplet, *J*= 7.61 Hz, *J*=7.61 Hz, 2H), 3.69-3.74 (m, 8H),3,74-3.76 (m, 1H), 7.28-7.30 (d, *J*= 6.51 Hz, 2H), 7.82-7.85 (d, *J*=8.25 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 15.29, 25.55, 26.09, 28.39, 28.76, 43.51, 47.74, 66.68, 126.26, 127.96, 141.88, 148.03, 170.63.

***N*-(Cyclohexyl(morpholino)methylene)thiophene-2-sulfonamide (4f)**

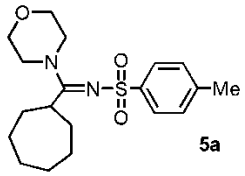


4f

White solid. mp 160-162 °C; Yield 61%; IR ν (cm^{-1}): 1537 (C=N), 1348 (SO_2), 1224 (C-N), 1015 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.19-1.28 (m, 2H), 1.53-1.80 (m, 6H), 2.41-2.50 (m, 2H), 3.70-3.62 (m, 8H), 4.00-4.04 (m, 1H), 6.92-7.01 (m, 2H), 7.49-7.52 (m, 1H);

^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 25.52, 26.09, 28.36, 43.60, 47.96, 66.70, 126.69, 129.60, 129.99, 146.24, 170.20.

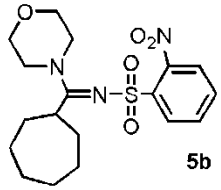
***N*-(Cycloheptyl(morpholino)methylene)-4-methylbenzenesulfonamide (5a)**



5a

White solid. mp 96-97 °C; Yield 64%; IR ν (cm^{-1}): 2912 (C–H), 1531 (C=N), 1431 (SO_2); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.26-1.36 (m, 2H), 1.49-1.72 (m, 10H), 2.38 (s, 3H), 3.57-3.71 (m, 8H), 4.22-4.30 (m, 1H), 7.23 (d, *J*=8.3 Hz, 2H), 7.69 (d, *J*=8.3 Hz, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 21.89, 24.71, 26.42, 27.82, 28.37, 28.65, 30.47, 39.37, 51.95, 67.34, 127.40, 129.78, 137.88, 143.52, 146.62.

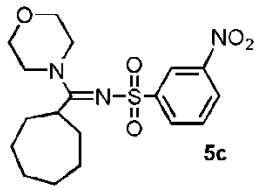
***N*-(Cycloheptyl(morpholino)methylene)-2-nitrobenzenesulfonamide (5b)**



5b

White solid. mp 110-112 °C; Yield 98%; IR ν (cm^{-1}): 1551 (NO_2), 1533 (C=N), 1358 (SO_2), 1260 (C-N), 1067 (C-O); ^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.48-1.75 (m, 10H), 2.17-2.34 (m, 2H), 3.61-3.75 (m, 8H), 3.77-3.81 (m, 1H), 7.67-7.76 (m, 2H), 7.85-7.90 (m,1H), 8.13-8.17 (m, 1H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 22.96, 24.87, 25.74, 26.86, 27.88, 30.12, 31.54, 50.56, 66.80, 125.03, 129.12, 130.78, 133.44, 134.58, 145.99, 147.90.

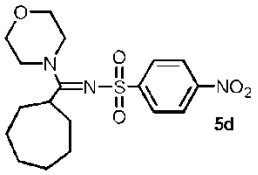
***N*-(Cycloheptyl(morpholino)methylene)-3-nitrobenzenesulfonamide (5c)**



5c

White solid. mp 156-158 °C; Yield 71%; IR ν (cm^{-1}): 1605 (C=N), 1533 (NO_2), 1338 (SO_2), 1219 (C-N), 1027 (C-O); Yield 71%;^{1}H NMR (300 MHz, $CDCl_3$) δ ppm: 1.38-1.56 (m, 8H), 2.12-2.17 (m, 2H), 2.38-2.46 (m, 4H), 3.63-3.73 (m, 4H), 3.92-3.99 (m,1H), 7.73-7.78 (m, 1H), 8.18-8.21 (m, 1H), 8.37-8.43 (m, 1H), 8.63(s, 1H); ^{13}C NMR (75MHz, $CDCl_3$) δ ppm: 22.89, 24.60, 25.83, 26.63, 27.80, 31.40, 50.65, 67.15, 122.14, 127.10, 129.26, 130.45, 132.57, 134.35, 148.22.

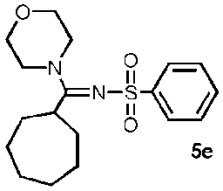
***N*-(Cycloheptyl(morpholino)methylene)-4-nitrobenzenesulfonamide (5d)**



5d

White solid. mp 156-158 °C; Yield 92%; IR ν (cm^{-1}): 1607 (C=N), 1530 (NO_2), 1339 (SO_2), 1264 (C-N), 1009 (C-O); ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.25-1.75 (m, 10H), 1.99-2.17 (m, 2H), 2.36-2.47 (m, 2H), 3.63-3.70(m, 6H), 4.32-4.38 (m, 1H), 8.00-8.11 (m, 2H), 8.32 (t, *J*=8.92 Hz, 2H); ^{13}C NMR (75MH_Z, $CDCl_3$) δ ppm: 24.65, 25.84, 26.62, 27.36, 27.82, 28.31, 30.26, 50.60, 51.67, 67.09, 123.89, 124.07, 124.21, 127.44, 128.23, 129.19, 147.22.

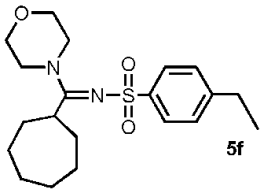
***N*-(Cycloheptyl(morpholino)methylene)benzenesulfonamide (5e)**



5e

White solid. mp 120-122 °C; Yield 69%; IR ν (cm^{-1}): 1530 (C=N), 1352 (SO_2), 1161 (C-N), 1089 (C-O); ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.22-2.04 (m, 12H), 2.21-2.28 (m, 1H), 2.71-2.78 (m, 1H), 3.57-3.71 (m, 6H), 4.24-4.32 (m, 1H), 7.42-7.52 (m, 3H), 7.81-7.83 (dd, *J*=2.02 Hz, *J*=5.96 Hz, 1H), 7.90-7.93 (m, 1H); ^{13}C NMR (75MH_Z, $CDCl_3$) δ ppm : 24.25, 25.99, 27.39, 27.97, 28.19, 30.15, 38.99, 43.51, 51.46, 66.47, 66.93, 126.17, 126.93, 128.52, 128.79, 132.38, 140.34, 146.50.

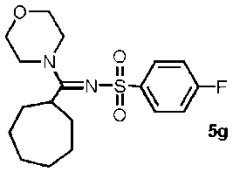
***N*-(Cycloheptyl(morpholino)methylene)-4-ethylbenzenesulfonamide (5f)**



5f

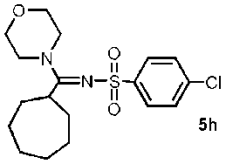
White solid. mp 138-140 °C; Yield 85%; IR ν (cm^{-1}): 1537 (C=N), 1350 (SO_2), 1218 (C-N), 1017 (C-O); ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.21-1.27 (t, *J*=7.61 Hz, 3H), 1.34-1.81 (m, 10H), 1.99-2.15 (m, 2H), 2.24-2.30 (m, 2H), 2.66-2.73 (quadruplet, *J*=7.61 Hz, *J*=7.6 Hz, 2H), 3.69-3.72 (m, 6H), 4.25-4.32 (m, 1H), 7.26-7.31 (d, *J*=7.98 Hz, 2H), 7.72-7.75 (d, *J*=8.25 Hz, 2H); ^{13}C NMR (75MH_Z, $CDCl_3$) δ ppm: 15.32, 24.30, 26.04, 27.45, 27.99, 28.78, 30.08, 43.40, 50.52, 51.50, 66.52, 66.98, 127.14, 128.37, 137.62, 147.19, 149.36.

***N*-(Cycloheptyl(morpholino)methylene)-4-fluorobenzenesulfonamide (5g)**



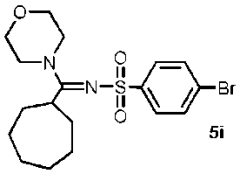
White solid. mp 146-148 °C Yield 64%; IR ν (cm^{-1}): 1588 (C=N), 1331 (SO_2), 1227 (C-N), 1152 (C-F), 1023 (C-O); ^{1}H NMR(300 MH_Z, $CDCl_3$) δ ppm: 1.20-1.71 (m, 12H), 2.29 (m, 4H), 3.59-3.73 (t, *J*= 6.11 Hz, 2H), 4.24-4.31 (m, 1H), 7.10-7.18 (dd, *J*=8.53 Hz, *J*=8.71 Hz, 2H), 7.81-7.87 (m, 2H); ^{13}C NMR (75MH_Z, $CDCl_3$) δ ppm: 22.84, 24.68, 25.91, 26.68, 27.83, 31.50, 39.01, 50.58, 51.57, 67.14, 116.02, 116.32, 129.60, 134.03, 146.00, 163.28.

4-Chloro-*N*-(cycloheptyl(morpholino)methylene)benzenesulfonamide (5h)



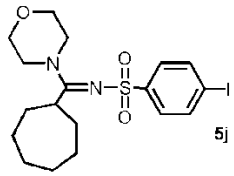
White solid. mp 136-138 °C Yield 62%; IR ν (cm^{-1}): 1476 (C=N), 1365 (SO_2), 1237 (C-N), 1024 (C-O), 655 (C-Cl); ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.24-1.77 (m, 10H), 1,97-2.16 (m, 2H), 2.26-2.32 (m, 2H), 2.47 (t, *J*= 6.16 Hz, 1H), 2.74-2.81 (m, 1H), 3.58-3.73 (m,4H), 4.25-4.33 (m, 1H), 7.44 (t, *J*= 8.48 Hz, 2H), 7.75-7.78(dd, *J*=6.51 Hz, *J*=2.11 Hz, 2H); ^{13}C NMR (75 MH_Z, $CDCl_3$) δ ppm: 24.25, 26.07, 26.66, 27.40, 29.99, 31.47, 39.00, 50.58, 51.56, 66.92, 67.12, 128.42, 129.06, 129.20, 138.83, 139.06, 145.90. Anal. Calcd for $C_{18}H_{25}SO_3ClN_2$(%): C 56.40, H 6.51, N 7.26, S 8.06. Found: 56.62; H, 6.54; N, 7.27; S, 8.18. HRMS (ESI) calcd. for $C_{18}H_{25}SO_3ClN_2$([M+H]$^+$) 385.1347. Found 385.1347.

4-Bromo-*N*-(cycloheptyl(morpholino)methylene)benzenesulfonamide (5i)



White solid. mp 140-142°C Yield 62%; IR ν (cm^{-1}): 1574 (C=N), 1332 (SO_2), 1262 (C-N), 1008 (C-O); 653 (C-Br), ^{1}H NMR (300 MH_Z, $CDCl_3$) δ ppm: 1.19-1.82 (m, 10H), 1,96-2.16 (m, 2H), 2.25-2.32 (m, 2H), 2.73-2.79 (m, 2H), 3.56-3.72 (m, 4H), 4.26-4.34 (m, 1H), 7.57-7.60 (d, *J*=8.62 Hz, 2H), 7.67-7.70 (d, *J*=8.62 Hz, 2H);^{13}C NMR (75MH_Z, $CDCl_3$) δ ppm: 24.22, 26.06, 27.40, 29.98, 38.96, 50.55, 51.50, 66.86, 113.98, 127.20,128.50, 131.99, 139.60, 145.83.

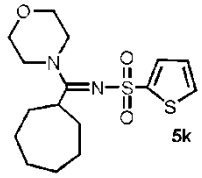
***N*-(Cycloheptyl(morpholino)methylene)-4-iodobenzenesulfonamide (5j)**



5j

White solid. mp 160-162°C Yield 78%; ^{1}H NMR (300 MHz, DMSO) δ ppm: 1.11-2.90 (m, 10H), 2.08-2.12 (m, 2H), 3.37 (s, 8H),4.43-4.51 (m, 1H), 7.57 (d, *J*=8.53 Hz,2H), 7.68 (d, *J*=8.53 Hz, 2H), ^{13}C NMR (75 MHz, DMSO) δ ppm: 23.84, 26.34, 27.30, 28.05, 29.00, 30.45, 49.52, 49.52, 50.58, 65.69, 99.62,128.26, 137.42, 141.44, 145.75.

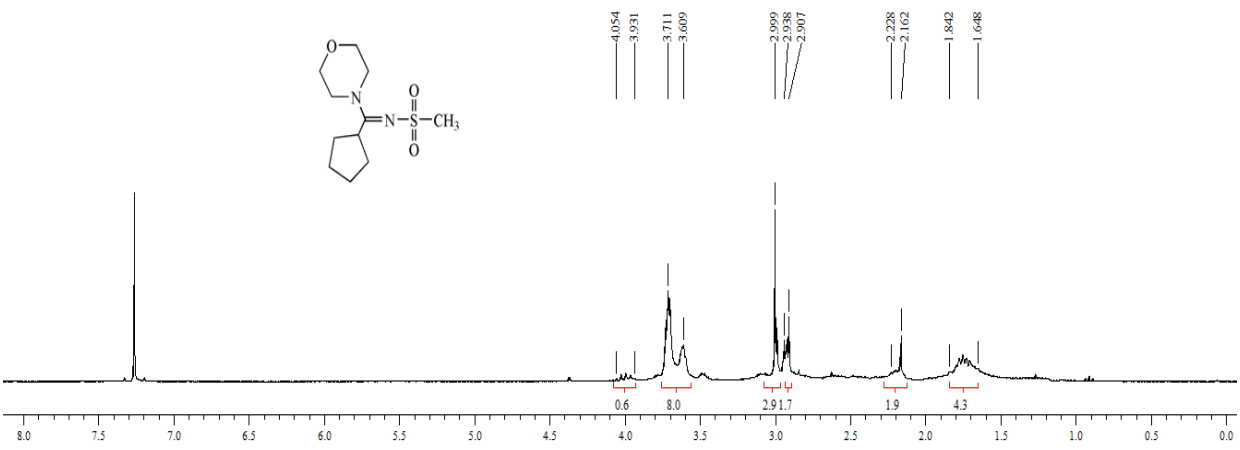
***N*-(Cycloheptyl(morpholino)methylene)thiophene-2-sulfonamide (5k)**



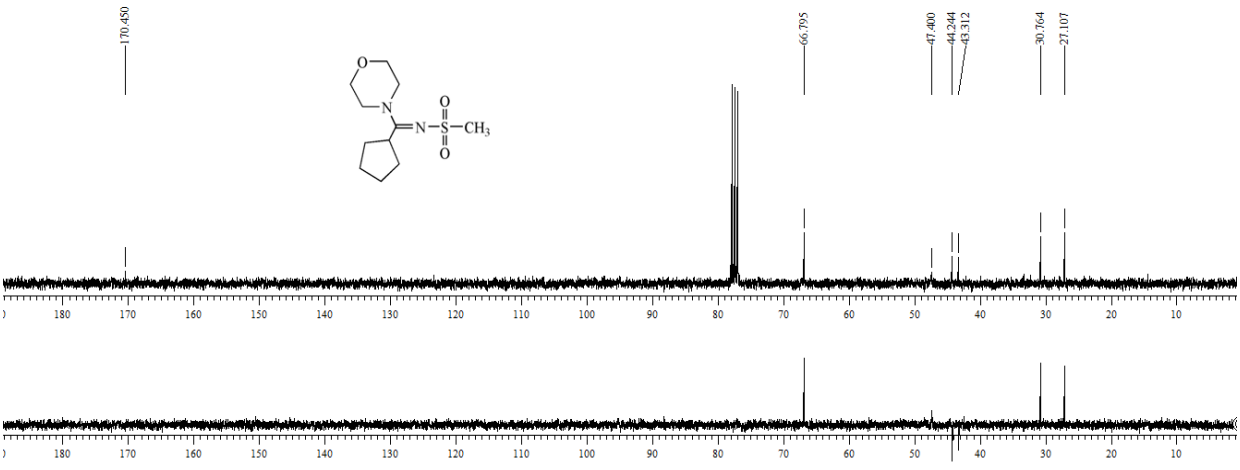
5k

White solid. mp 142-144°C; Yield 51%; IR ν (cm^{-1}): 1539 (C=N), 1330 (SO_2), 1249 (C-N), 1015 (C-O); ^{1}H NMR(300 MHz, $CDCl_3$) δ ppm: 1.20-2.13 (m, 12H), 2.29-2.36 (m, 1H), 2.74-2.81 (m, 1H), 3.60-3.71 (m, 6H), 4.31-4.38 (m, 1H), 6.97-7.05 (m, 1H), 7.52-7.57 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$) δ ppm: 24.23, 25.99, 27.85, 28.17, 29.94, 30.11, 43.38, 51.57, 51.84, 66.41, 66.88, 127.11, 129.95, 131.67, 131.67, 141.30, 146.09; Anal. Calcd for $C_{16}H_{24}S_2O_3N_2$ (%): C 54.26, H 6.79, N 7.82, S 17.81. Found: C 54.05, H 6.79, N 7.78, S 18.04; HRMS (ESI) calcd. for $C_{16}H_{24}S_2O_3N_2$ ($[M+H]^+$) 357.1301. Found 357.1301.

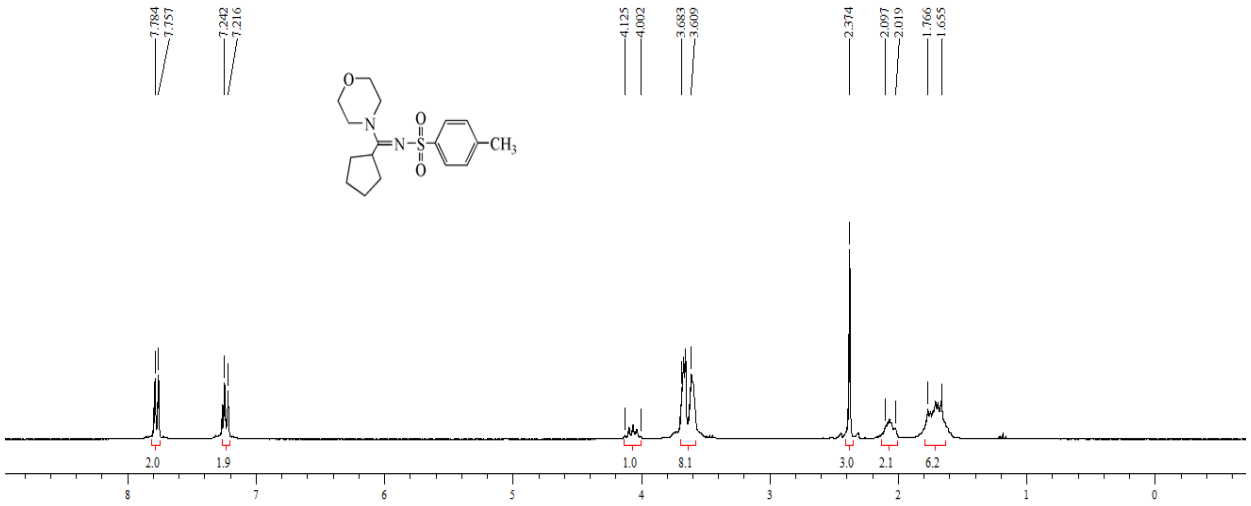
^{1}H NMR Spectra of **3a** (300 MHz, $CDCl_3$)



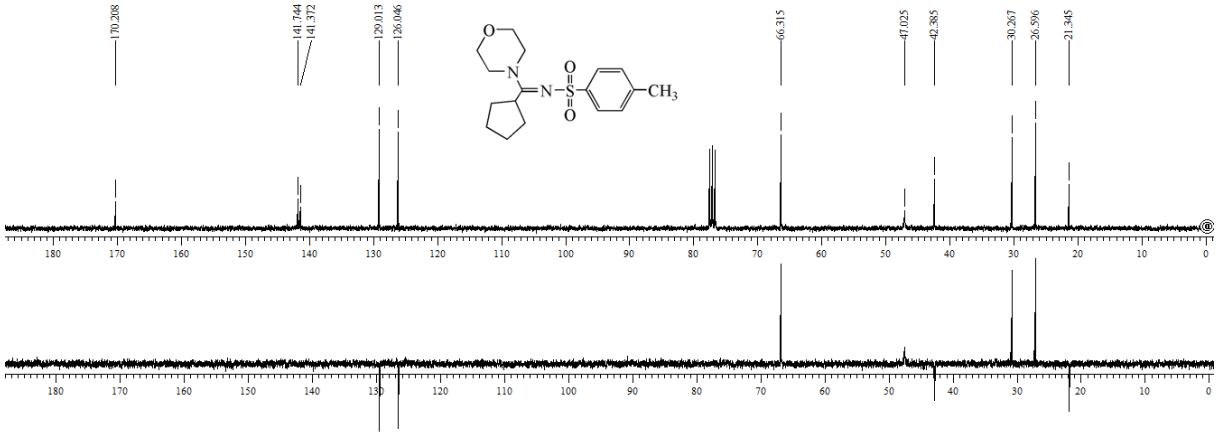
^{13}C NMR Spectra of **3a** (75MHz, $CDCl_3$)



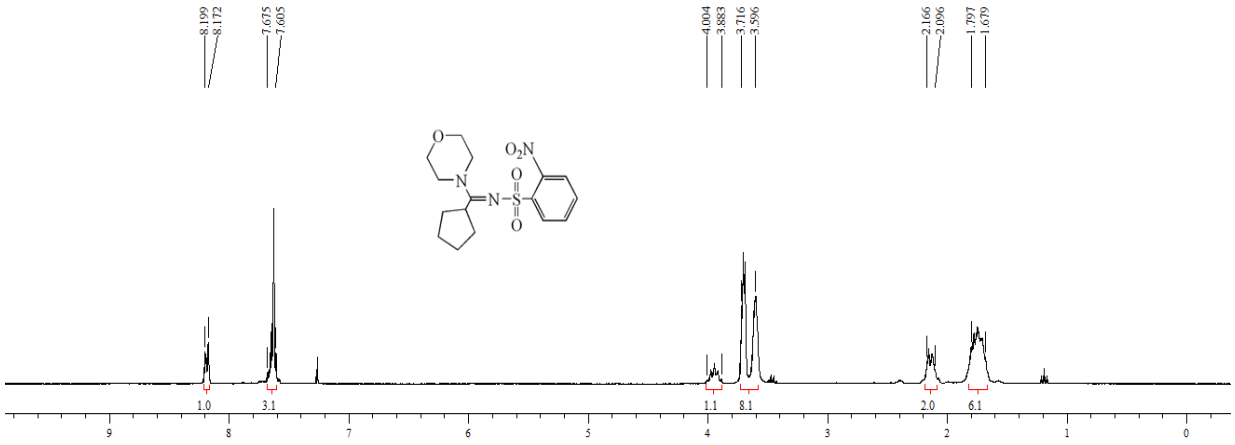
^{1}H NMR Spectra of **3b**(300 MHz, $CDCl_3$)



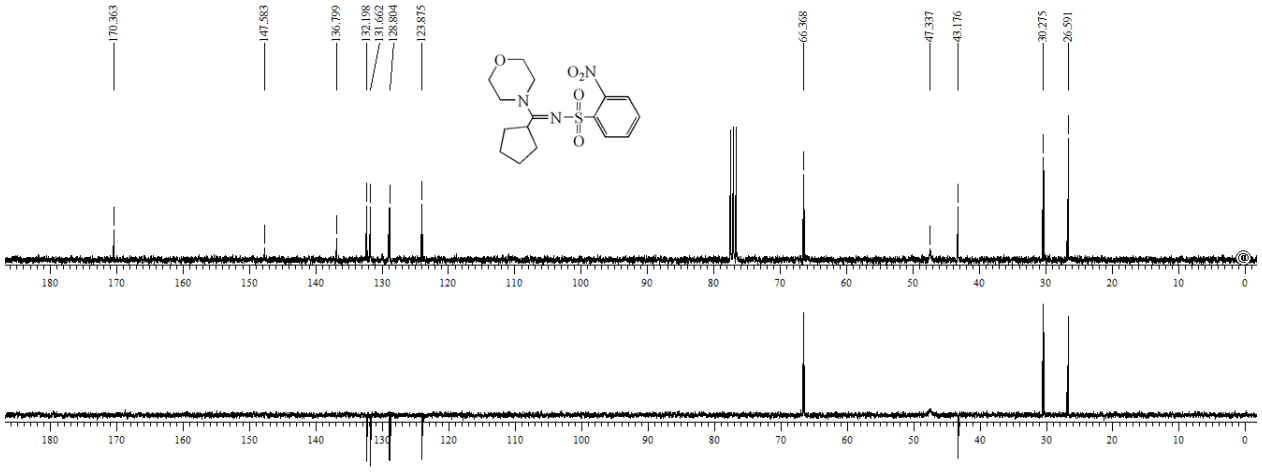
^{13}C NMR Spectra of **3b**(75MHz, $CDCl_3$)



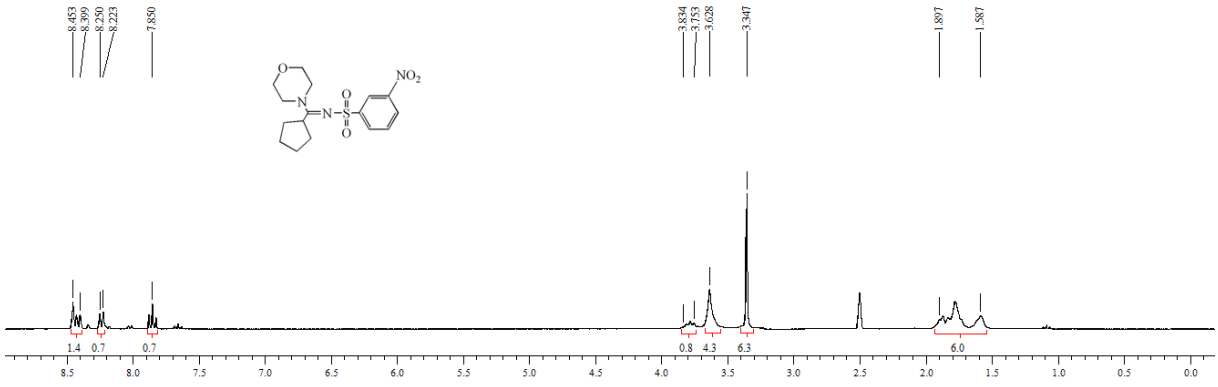
^{1}H NMR Spectra of **3c**(300 MHz, $CDCl_3$)



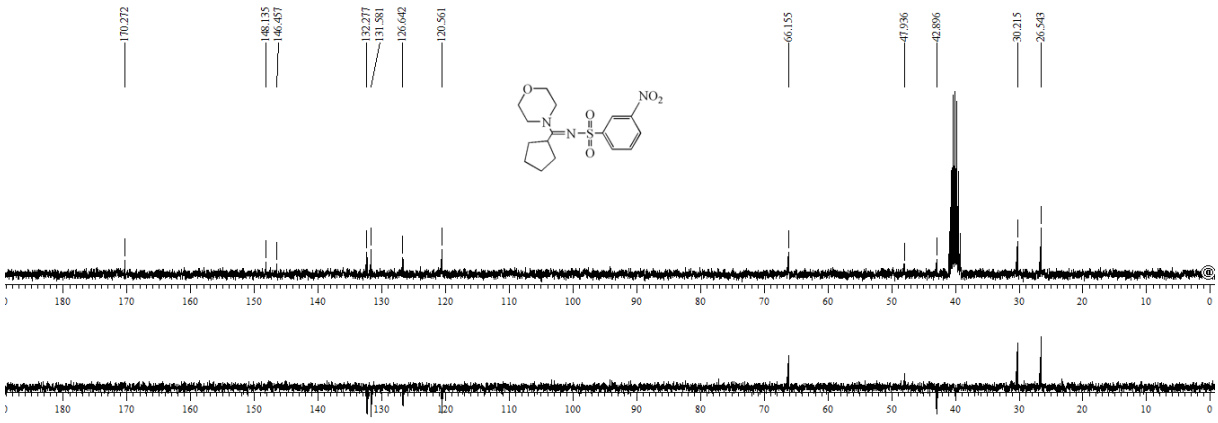
^{13}C NMR Spectra of **3c**(75MHz, $CDCl_3$)



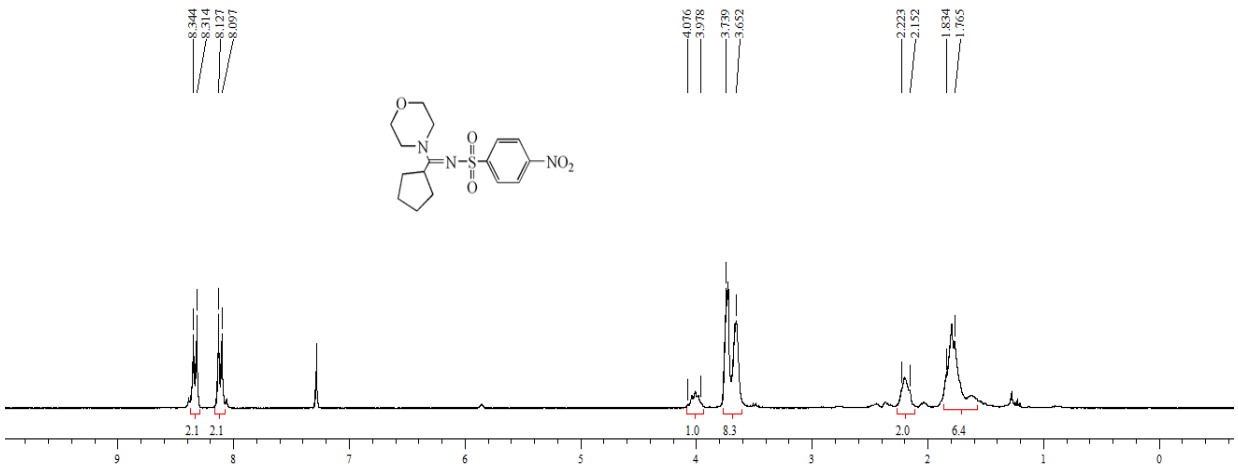
^{1}H NMR Spectra of **3d**(300 MHz, DMSO)



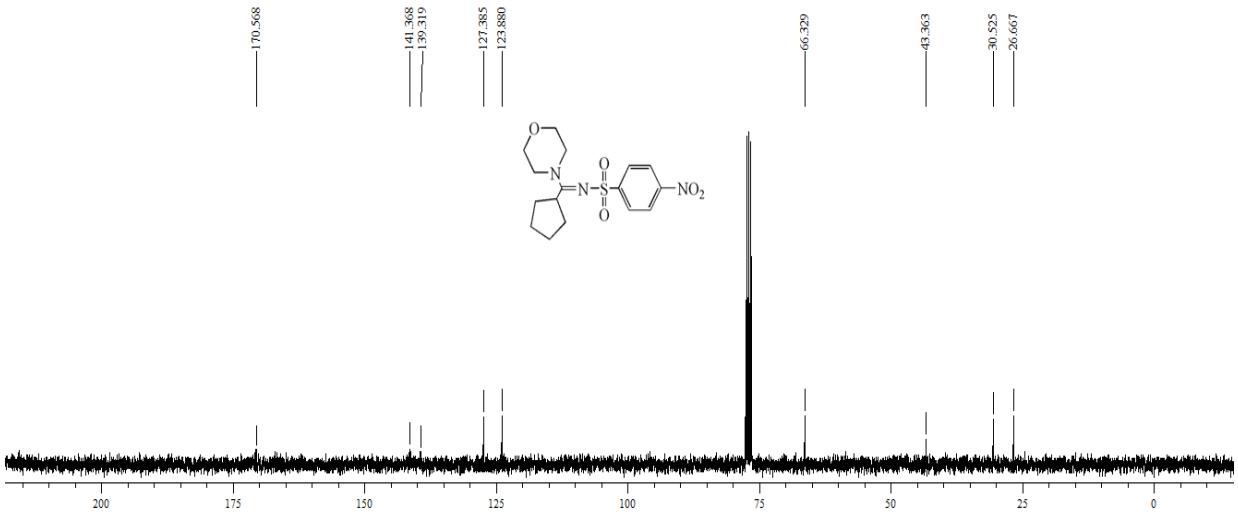
^{13}C NMR Spectra of **3d** (75MHz, DMSO)



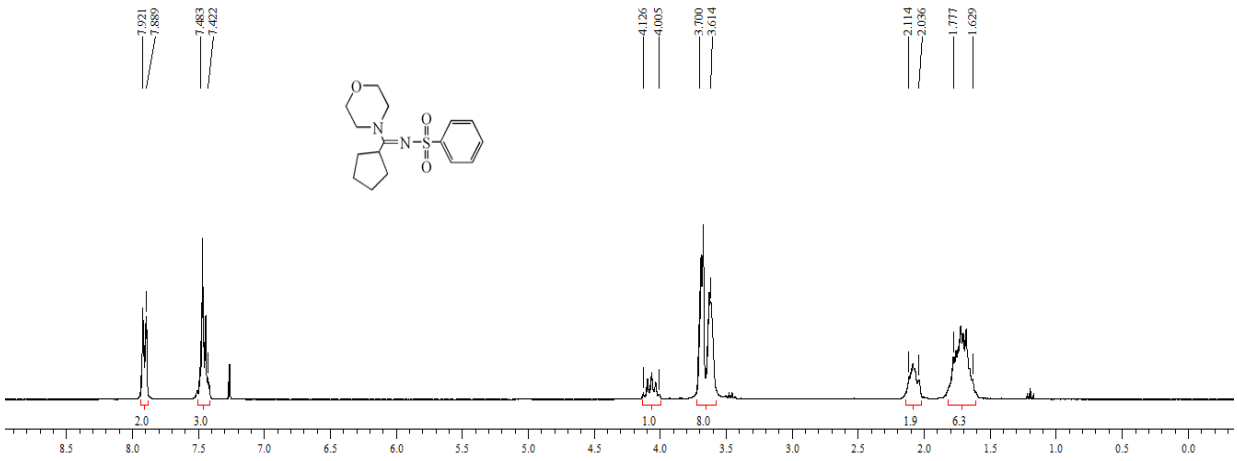
^{1}H NMR Spectra of **3e** (300 MHz, $CDCl_3$)



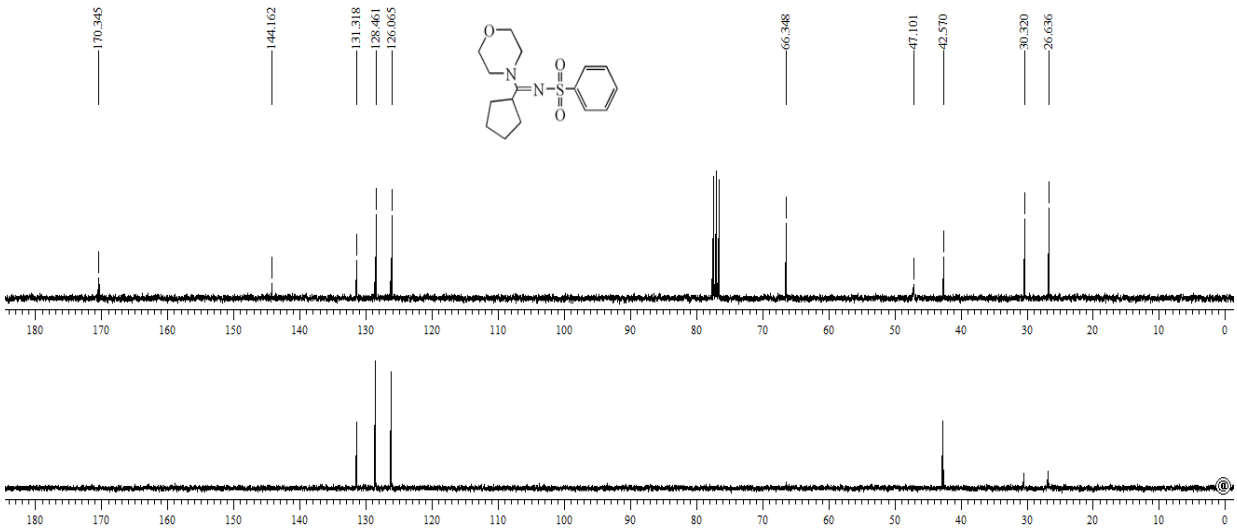
^{13}C NMR Spectra of **3e** (75 MHz, $CDCl_3$)



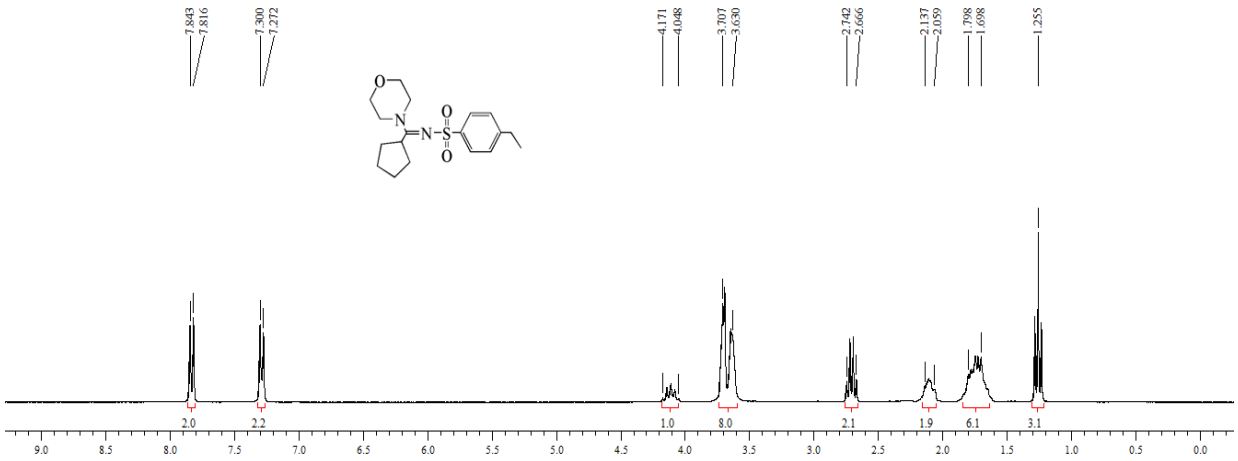
^{1}H NMR Spectra of **3f** (300 MHz, $CDCl_3$)



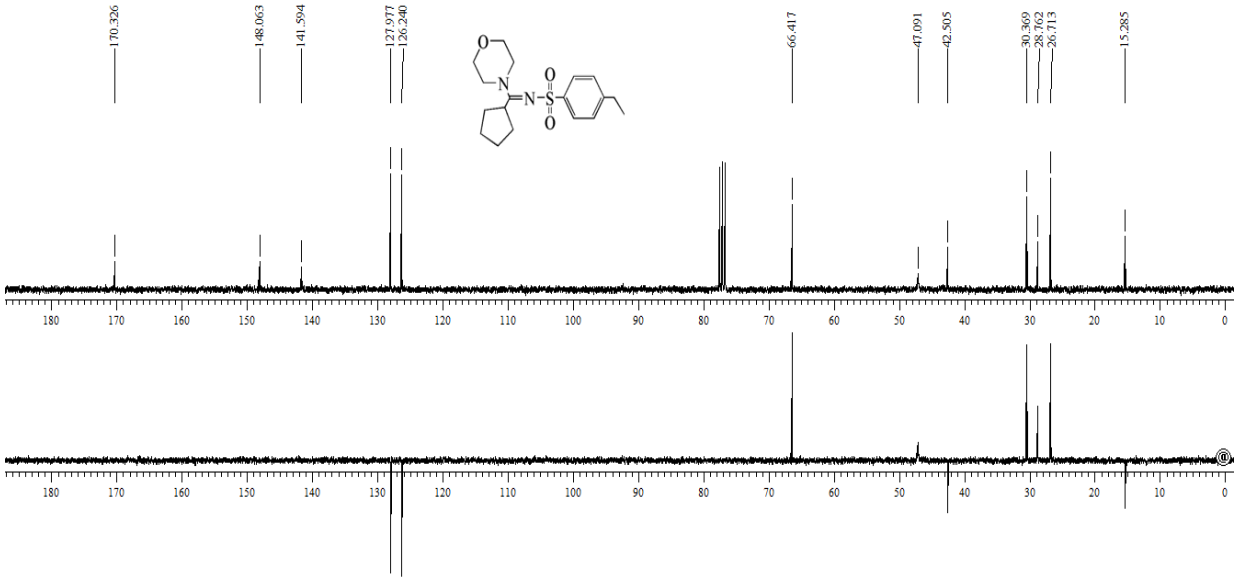
^{13}C NMR Spectra of **3f** (75 MHz, $CDCl_3$)



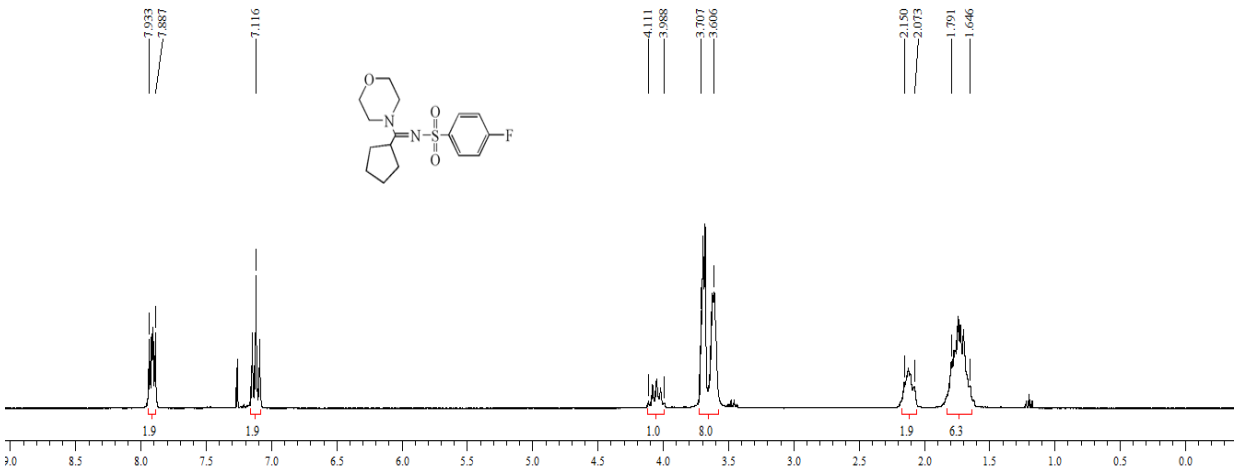
^{1}H NMR Spectra of **3g**(300 MHz, $CDCl_3$)



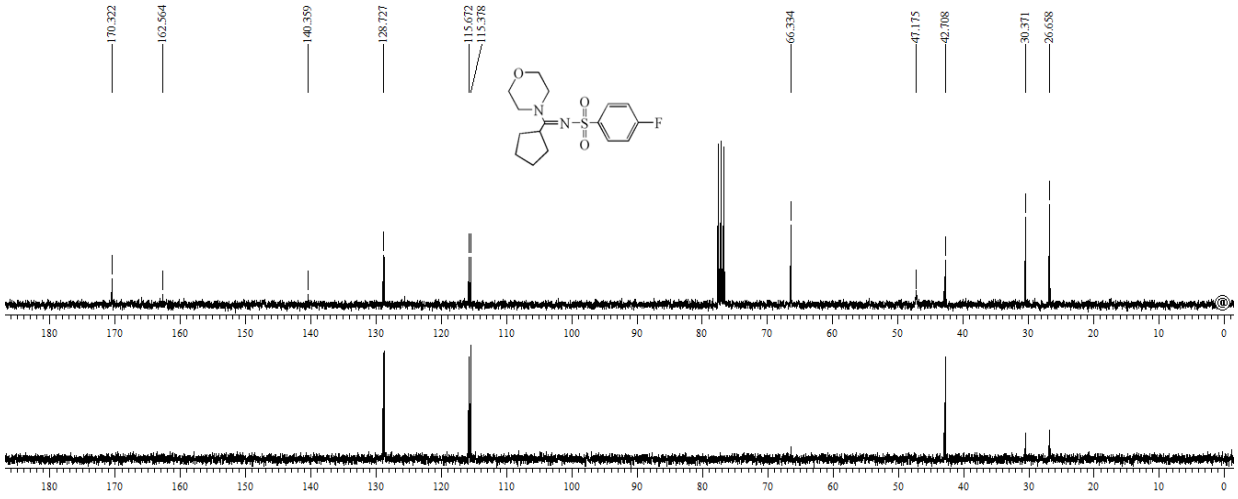
^{13}C NMR Spectra of **3f** (75 MHz, $CDCl_3$)



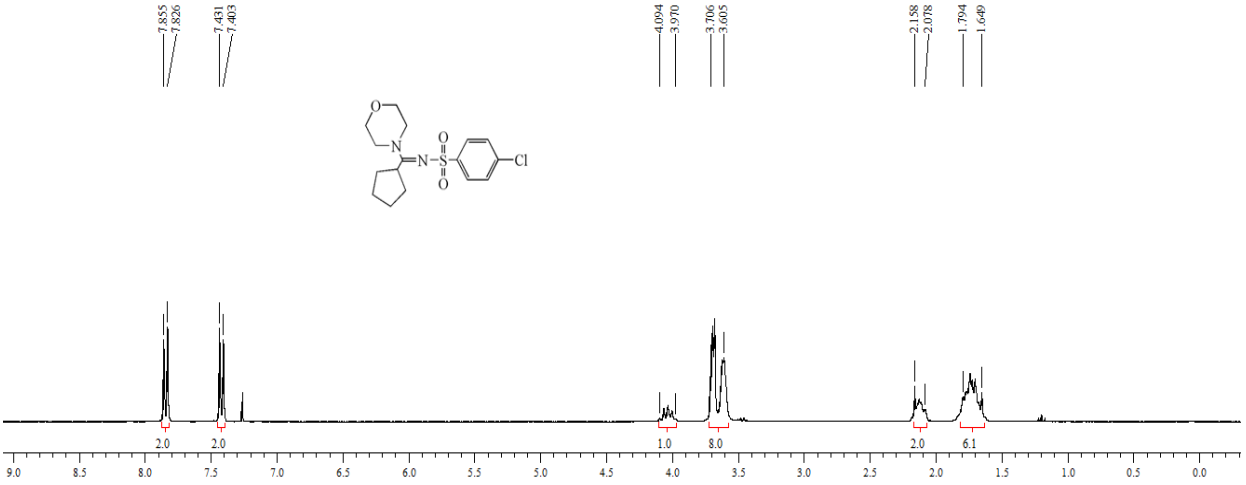
^{1}H NMR Spectra of **3h** (300 MHz, $CDCl_3$)



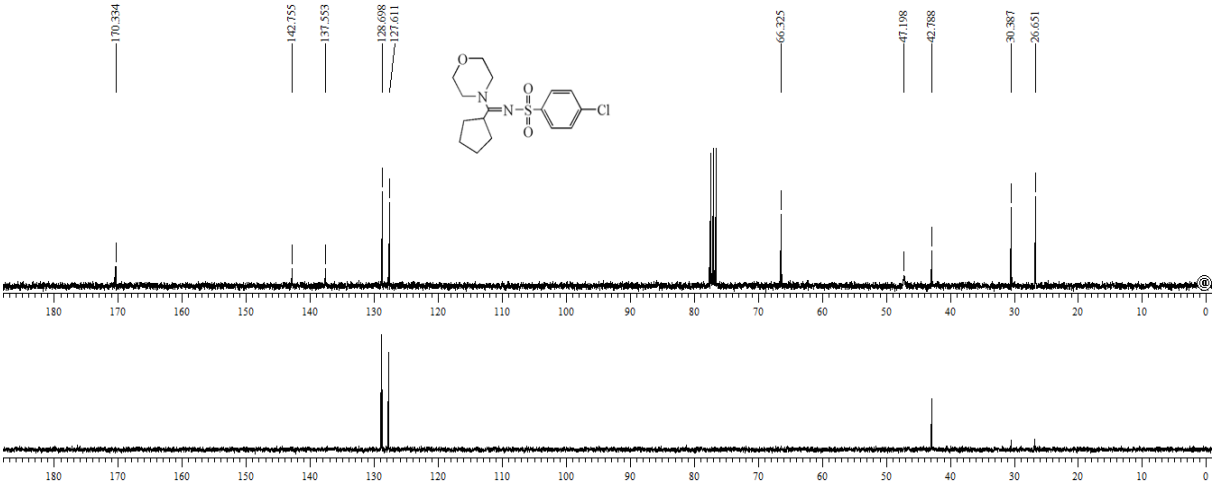
^{13}C NMR Spectra of **3h** (75 MHz, $CDCl_3$)



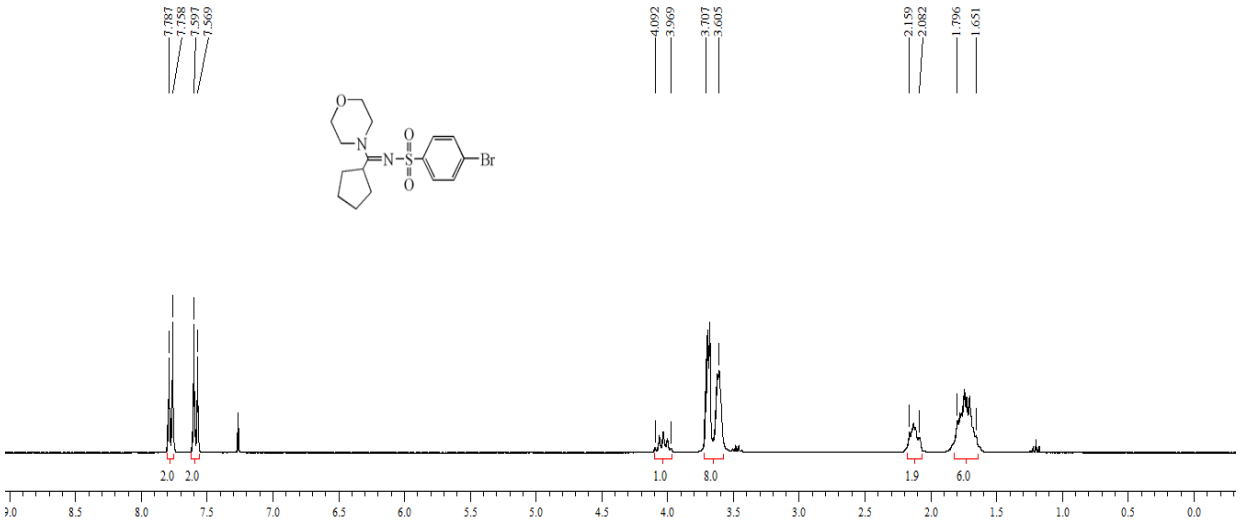
^{1}H NMR Spectra of **3i** (300 MHz, $CDCl_3$)



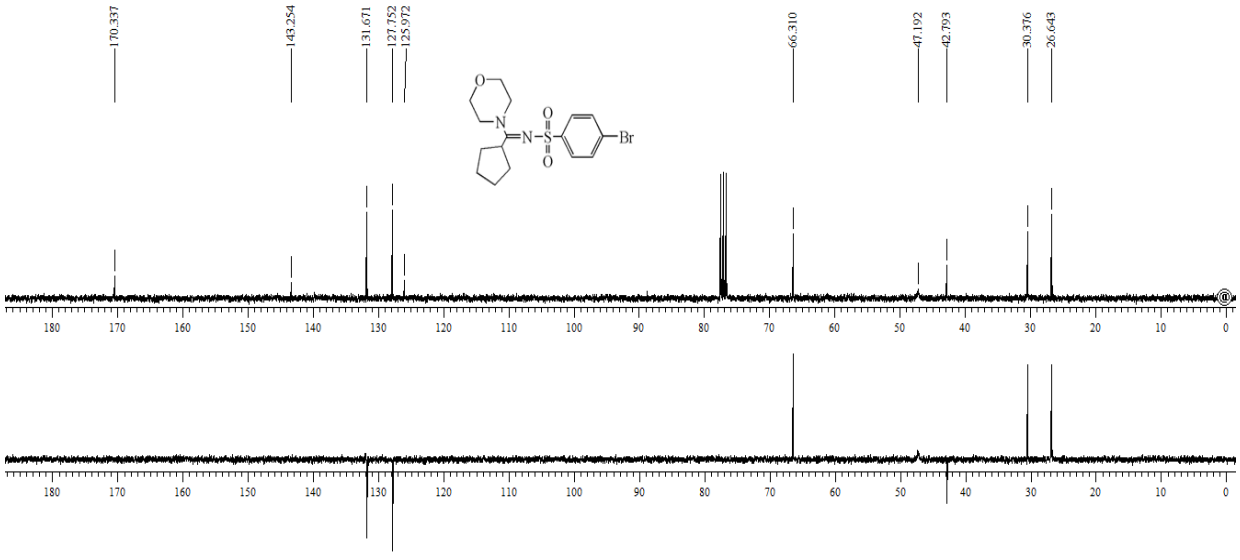
^{13}C NMR Spectra of **3i** (75 MHz, $CDCl_3$)



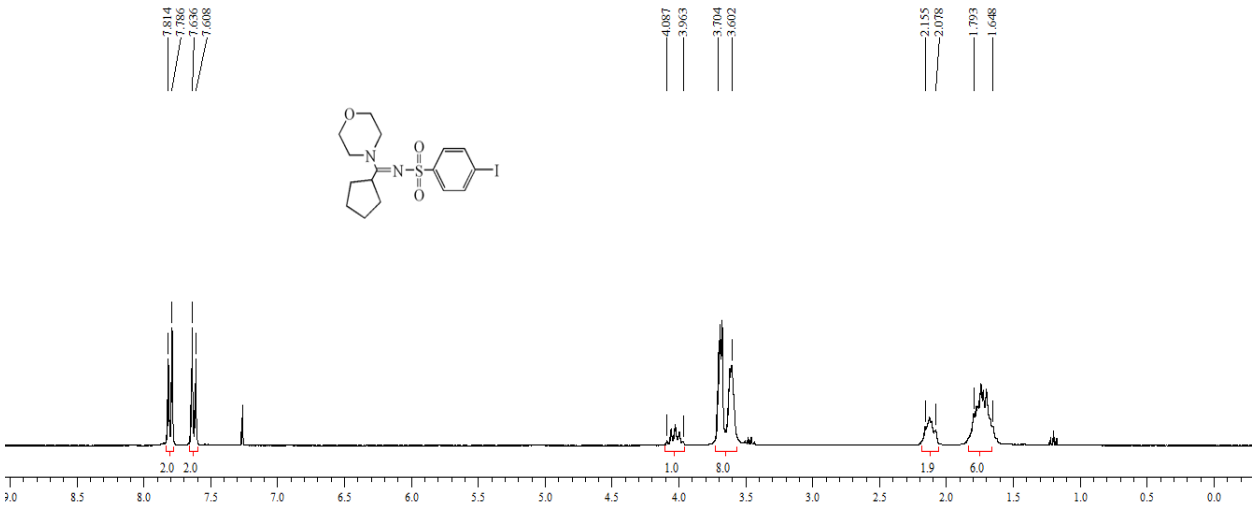
^{1}H NMR Spectra of **3j** (300 MHz, $CDCl_3$)



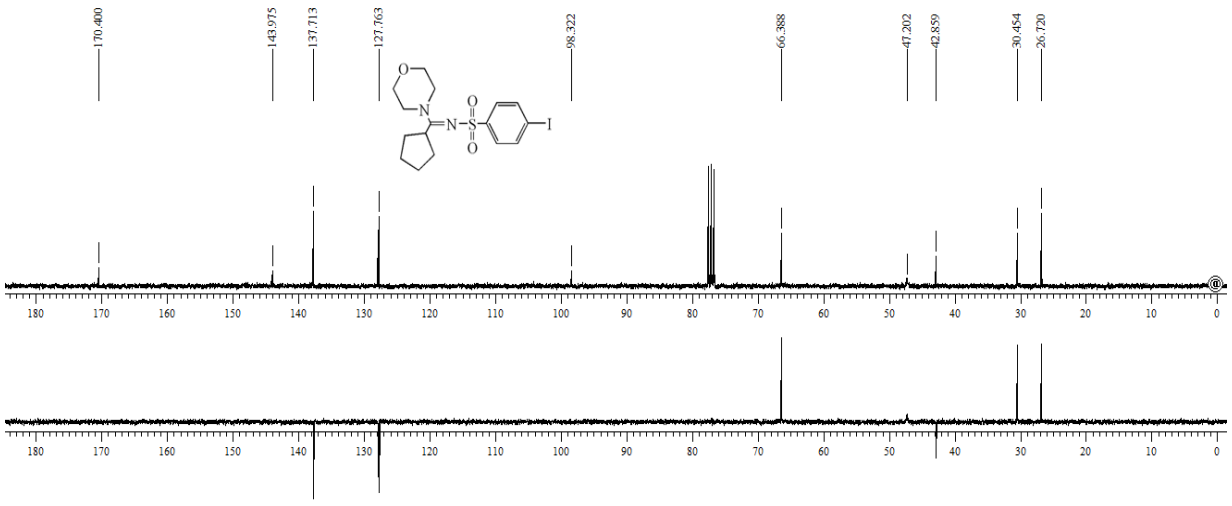
^{13}C NMR Spectra of **3j** (75 MHz, $CDCl_3$)



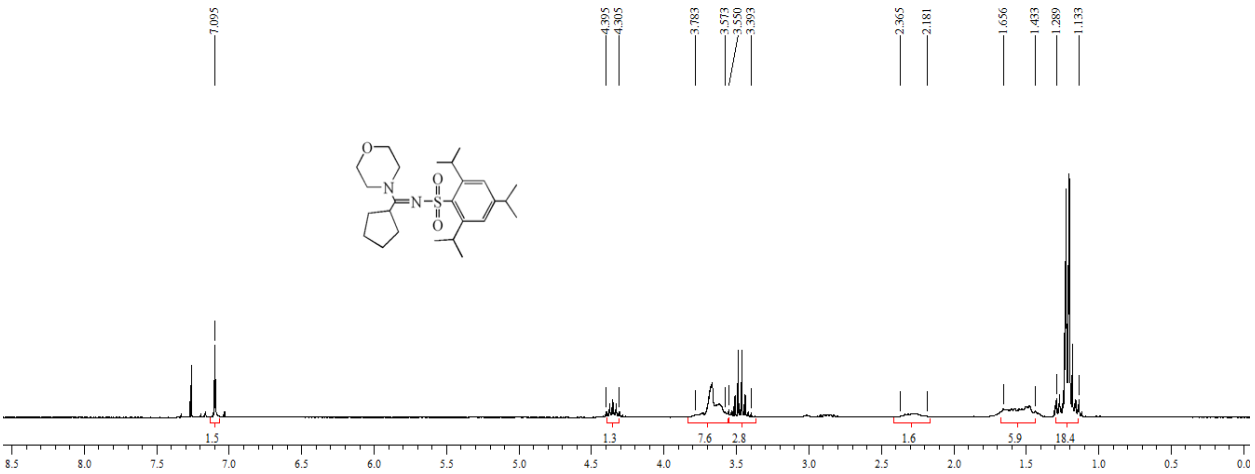
^{1}H NMR Spectra of **3k** (300 MHz, $CDCl_3$)



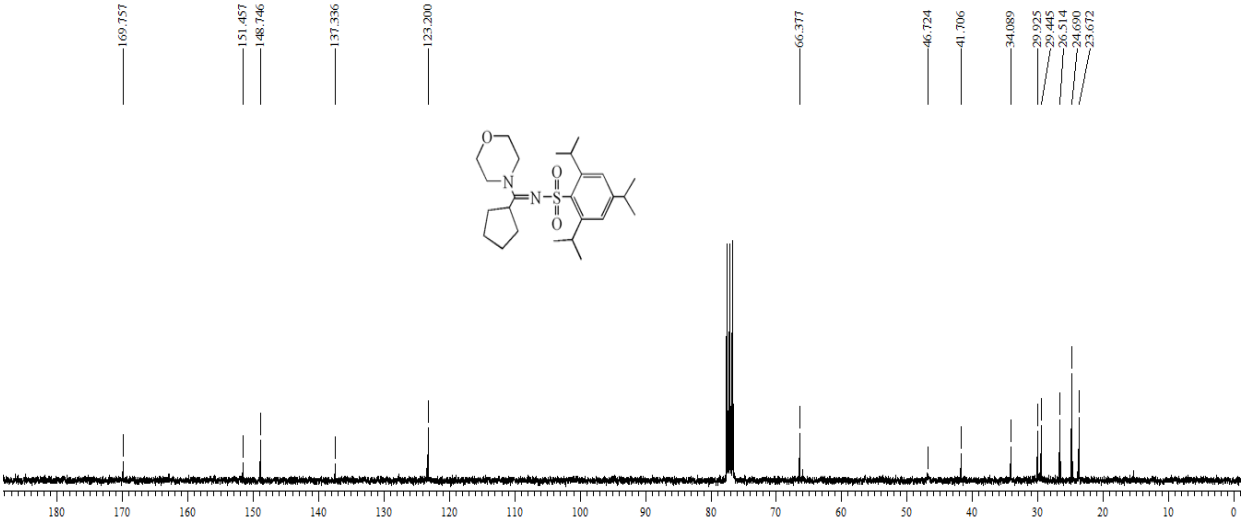
^{13}C NMR Spectra of **3k** (75 MHz, $CDCl_3$)



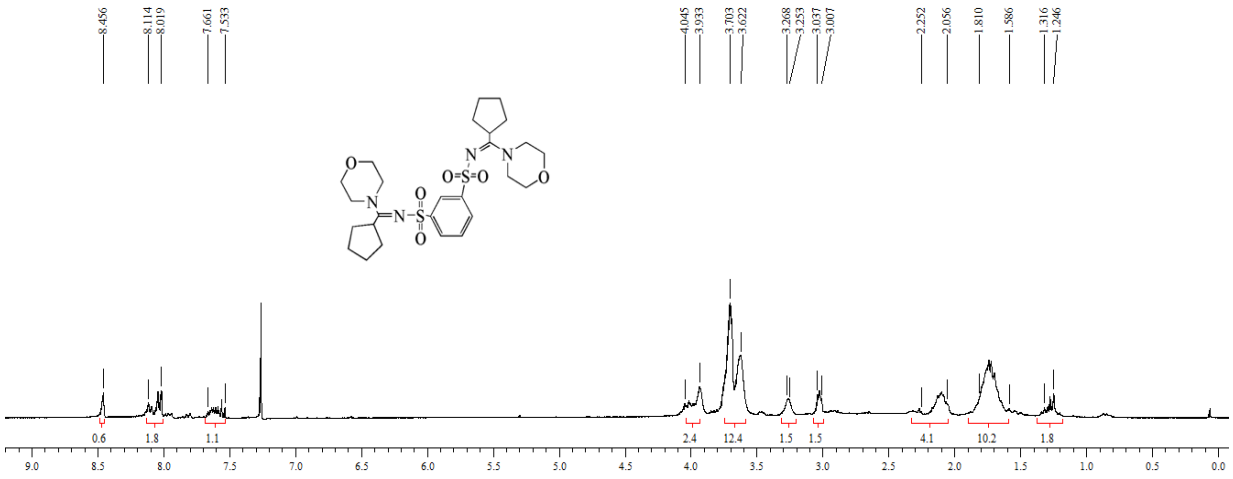
^{1}H NMR Spectra of **3l** (300 MHz, $CDCl_3$)



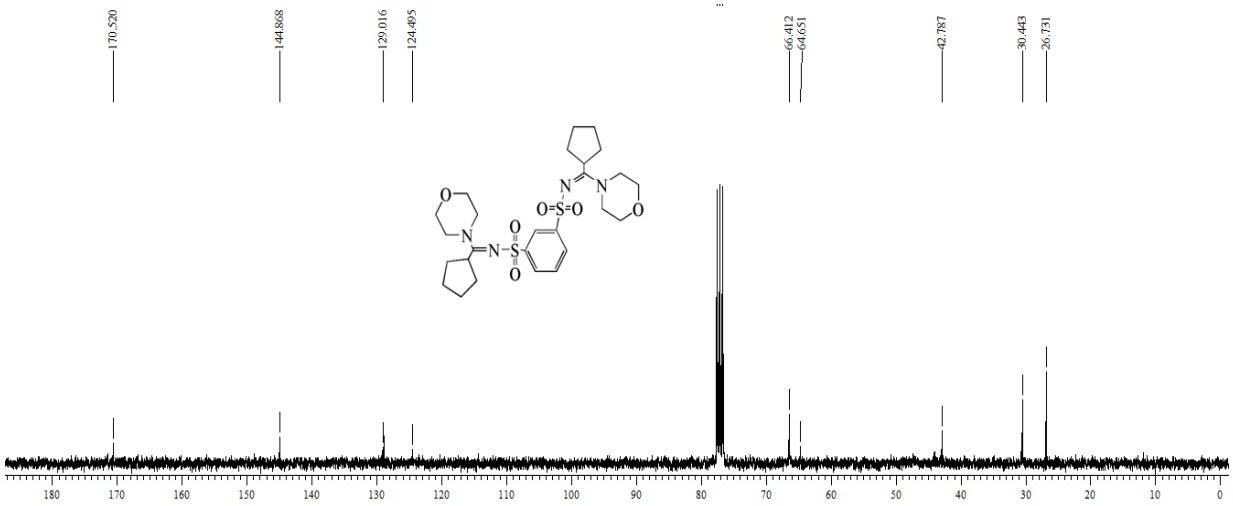
^{13}C NMR Spectra of **3l** (75 MHz, $CDCl_3$)



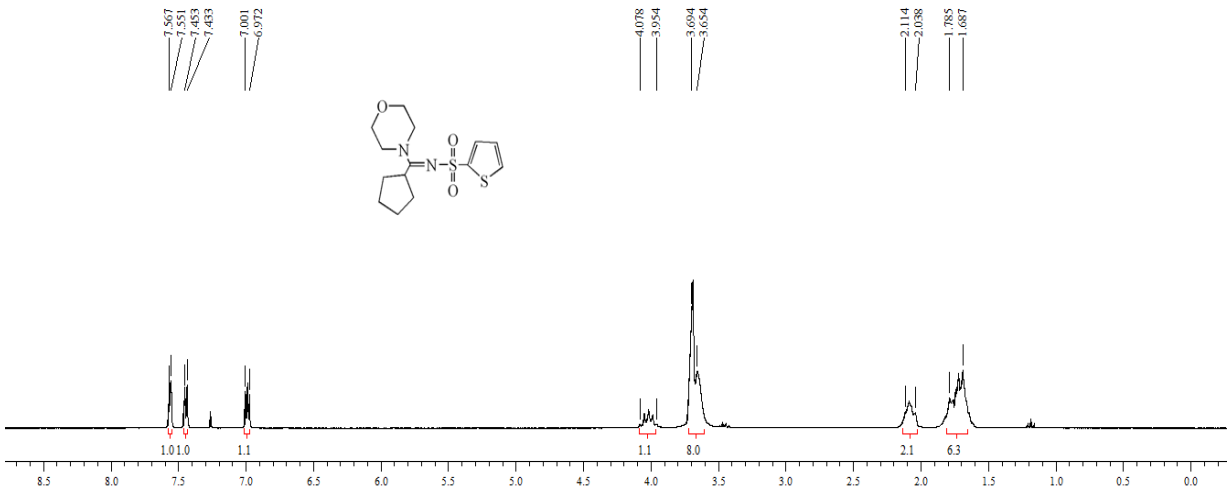
^{1}H NMR Spectra of **3m** (300 MHz, $CDCl_3$)



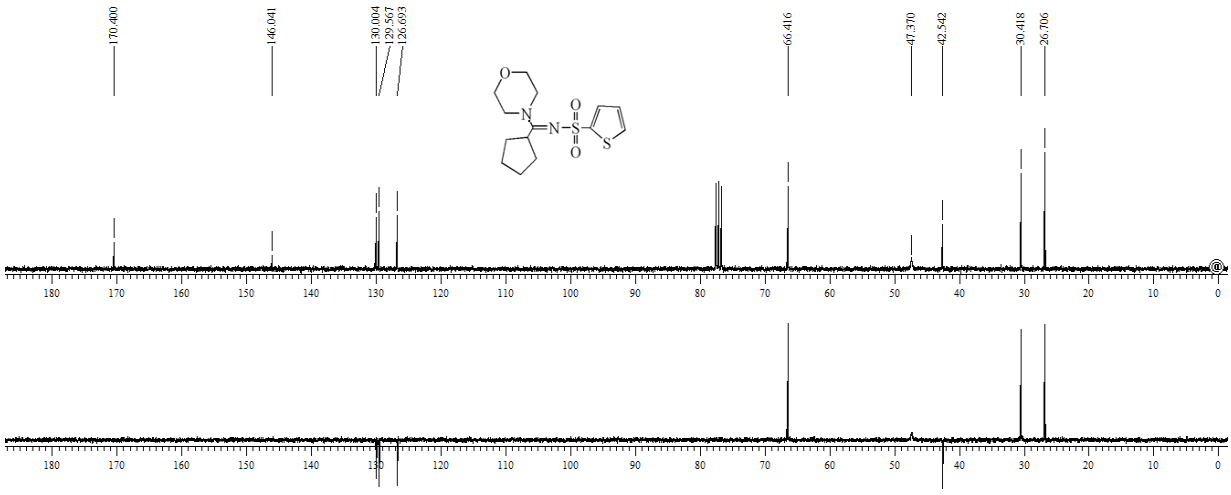
^{13}C NMR Spectra of **3m** (75 MHz, $CDCl_3$)



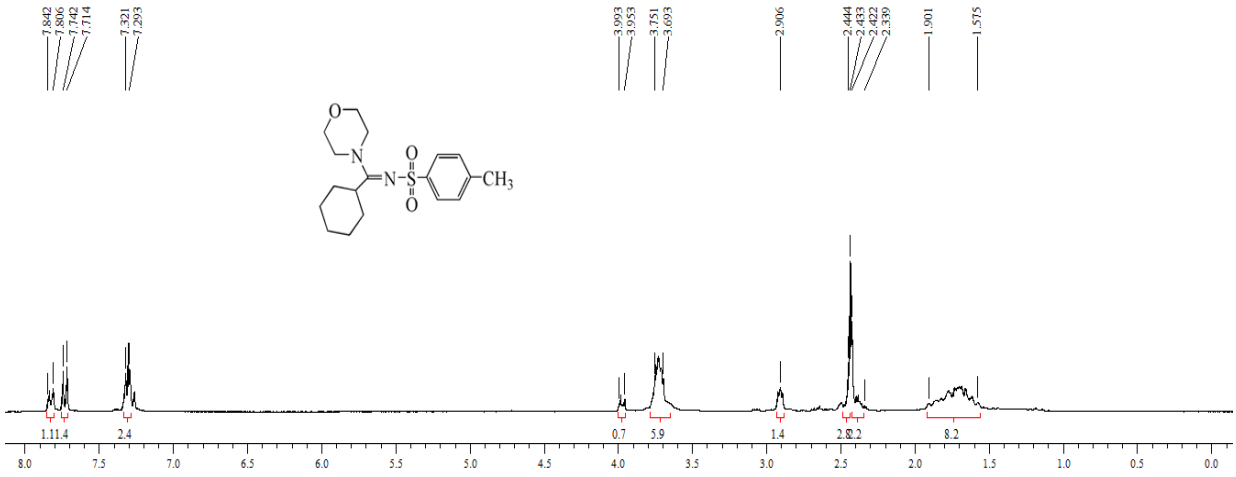
^{1}H NMR Spectra of **3n** (300 MHz, $CDCl_3$)



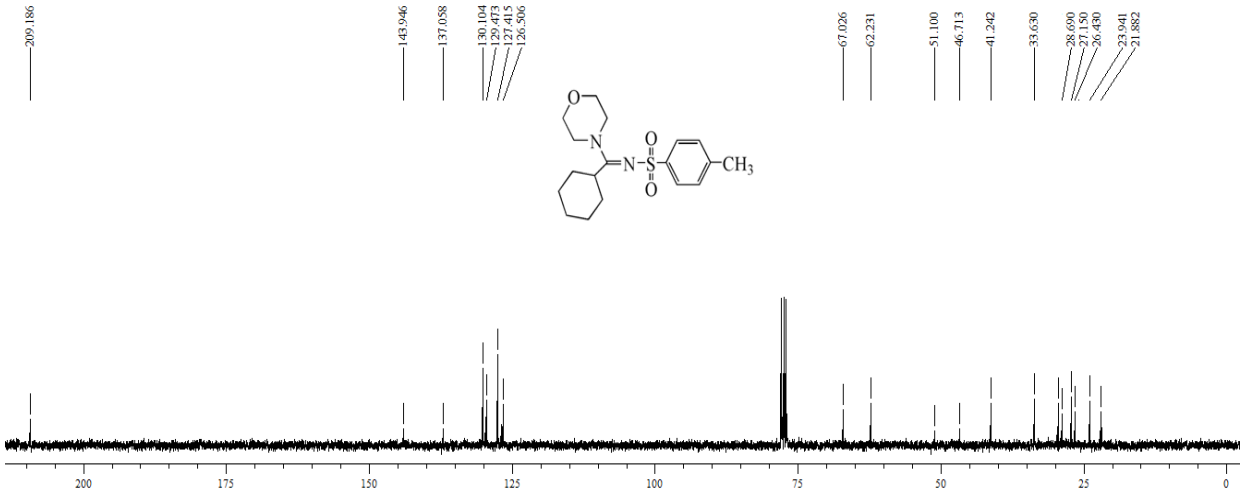
^{13}C NMR Spectra of **3n** (75 MHz, $CDCl_3$)



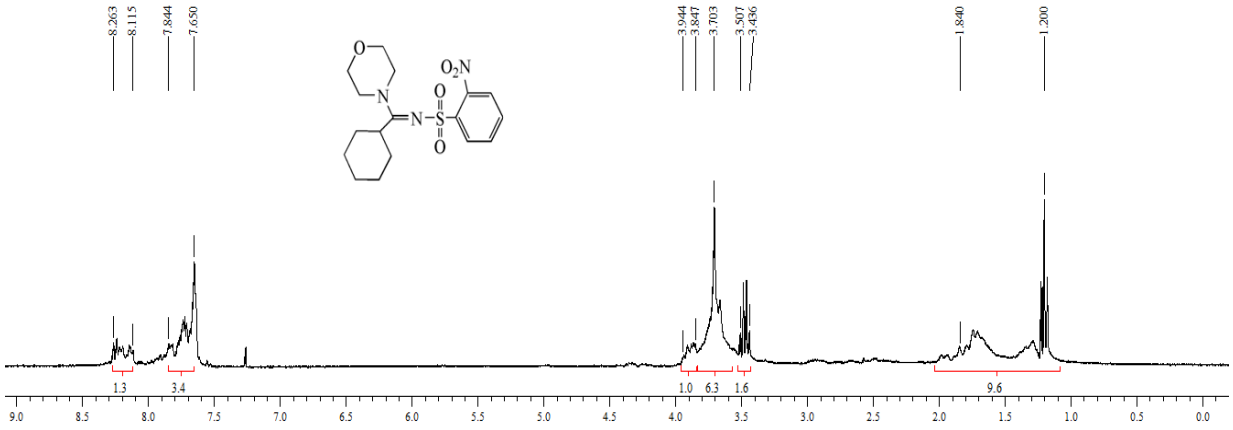
^{1}H NMR Spectra of **4a** (300 MHz, $CDCl_3$)



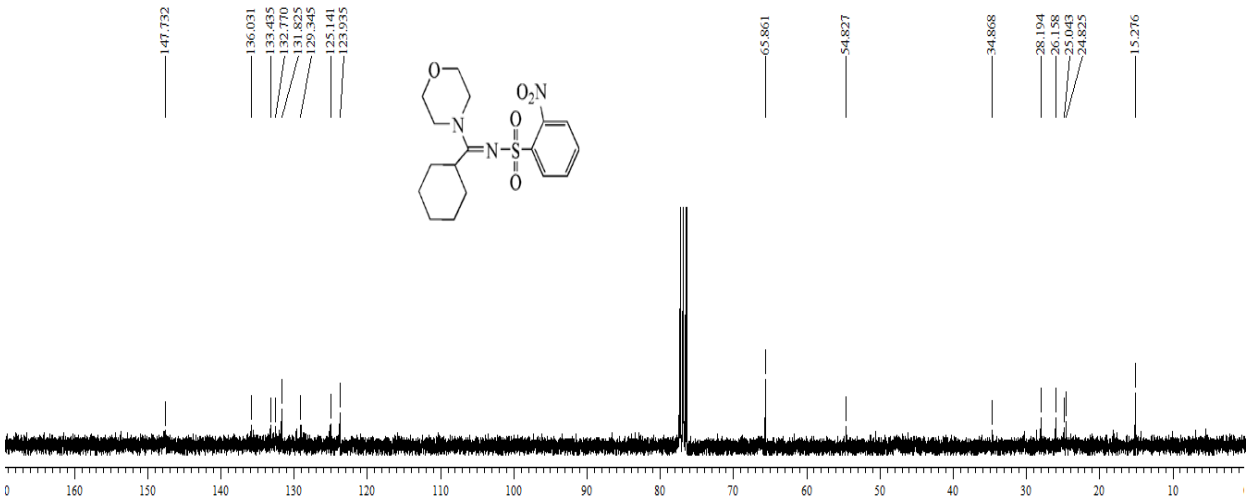
^{13}C NMR Spectra of **4a** (75 MHz, $CDCl_3$)



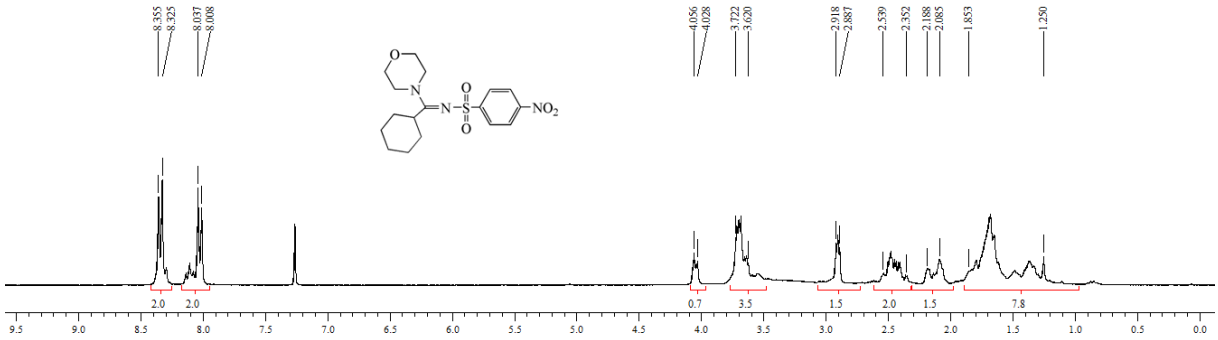
^{1}H NMR Spectra of **4b** (300 MHz, $CDCl_3$)



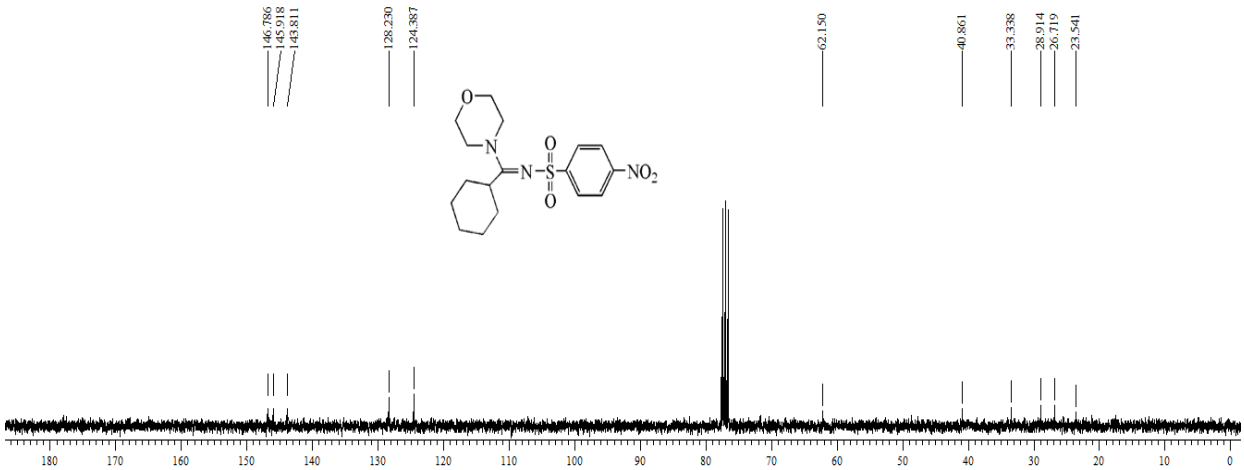
^{13}C NMR Spectra of **4b** (75 MHz, $CDCl_3$)



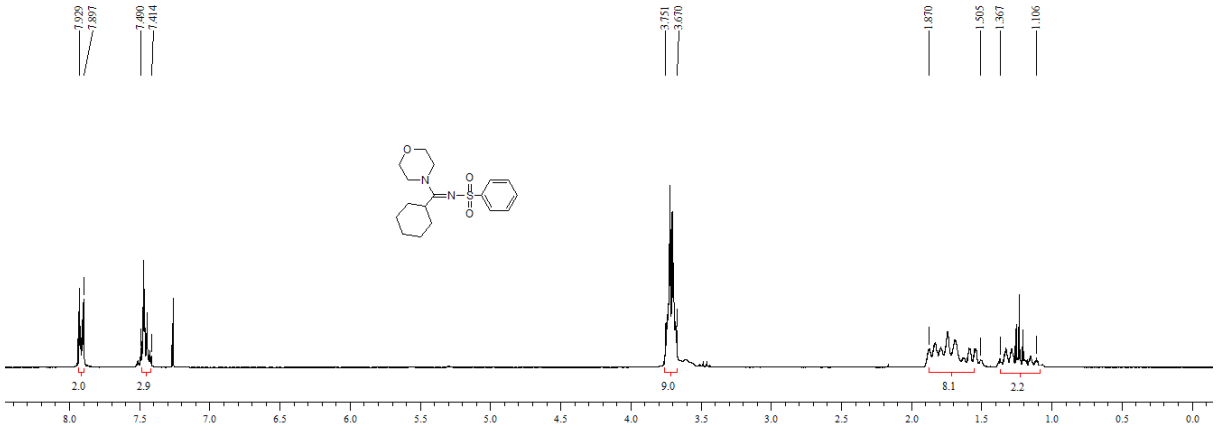
^{1}H NMR Spectra of **4c** (300 MHz, $CDCl_3$)



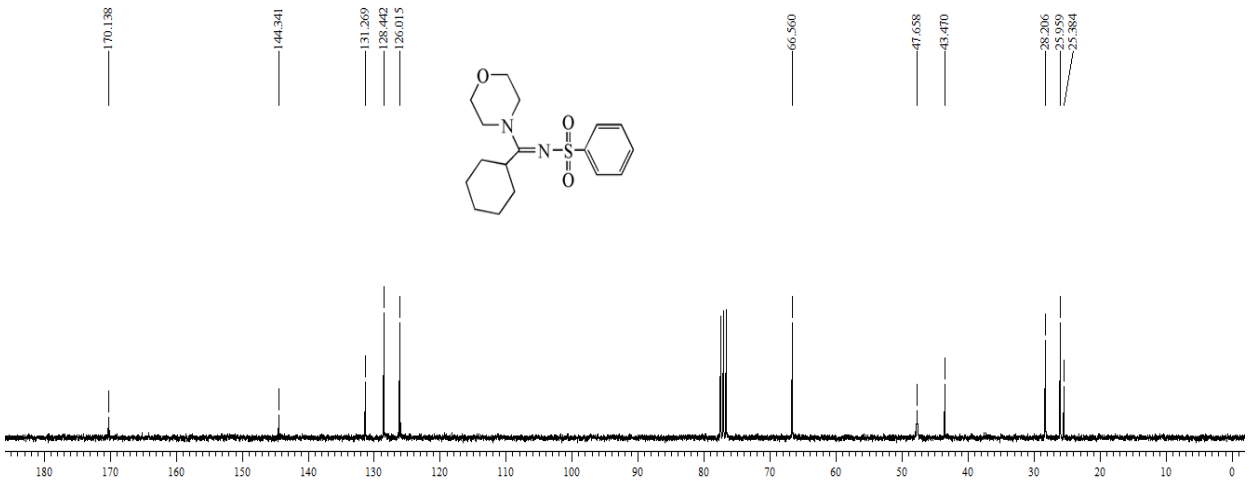
^{13}C NMR Spectra of **4c** (75 MHz, $CDCl_3$)



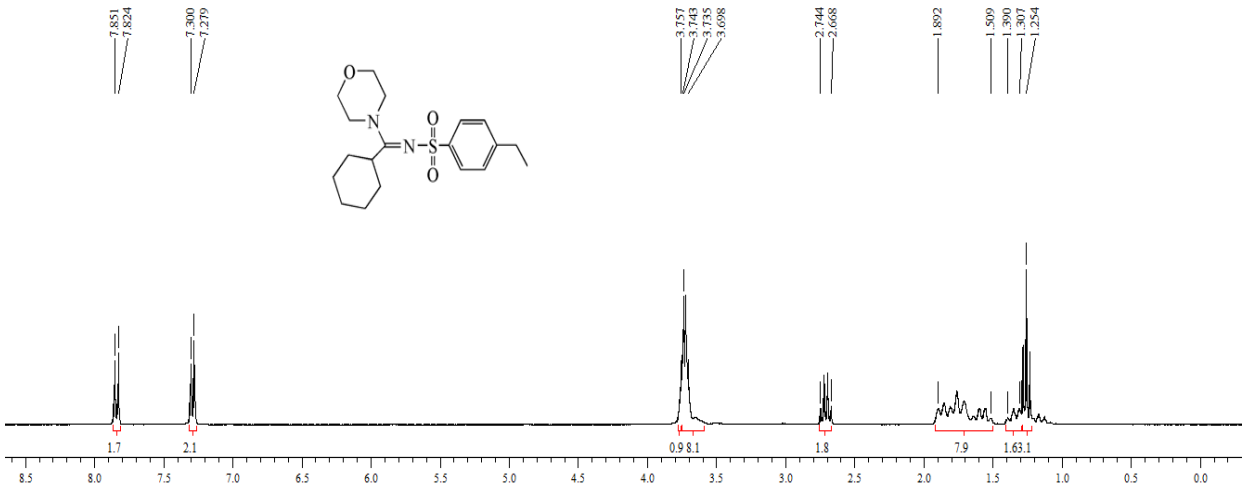
^{1}H NMR Spectra of **4d** (300 MHz, $CDCl_3$)



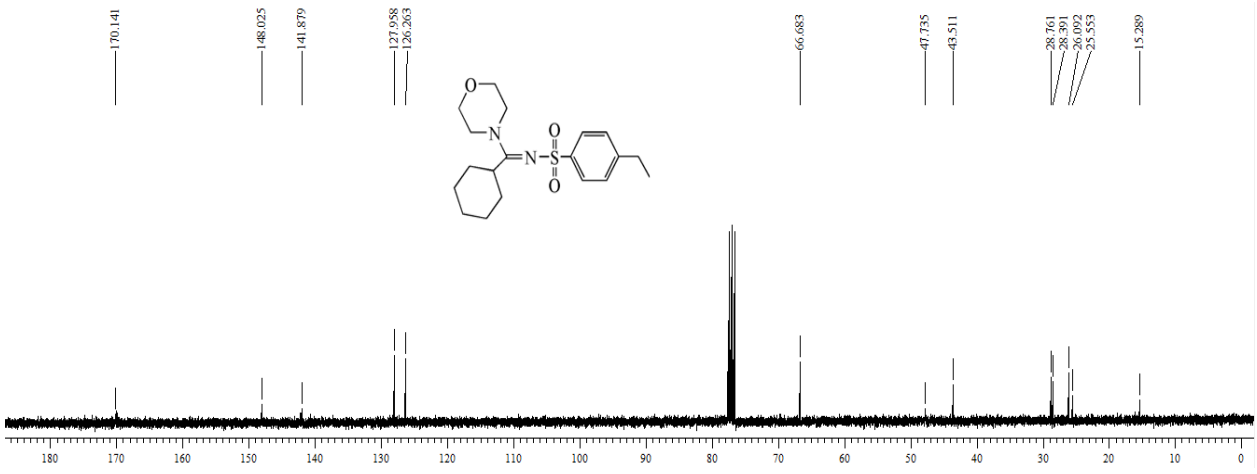
^{13}C NMR Spectra of **4d** (75 MHz, $CDCl_3$)



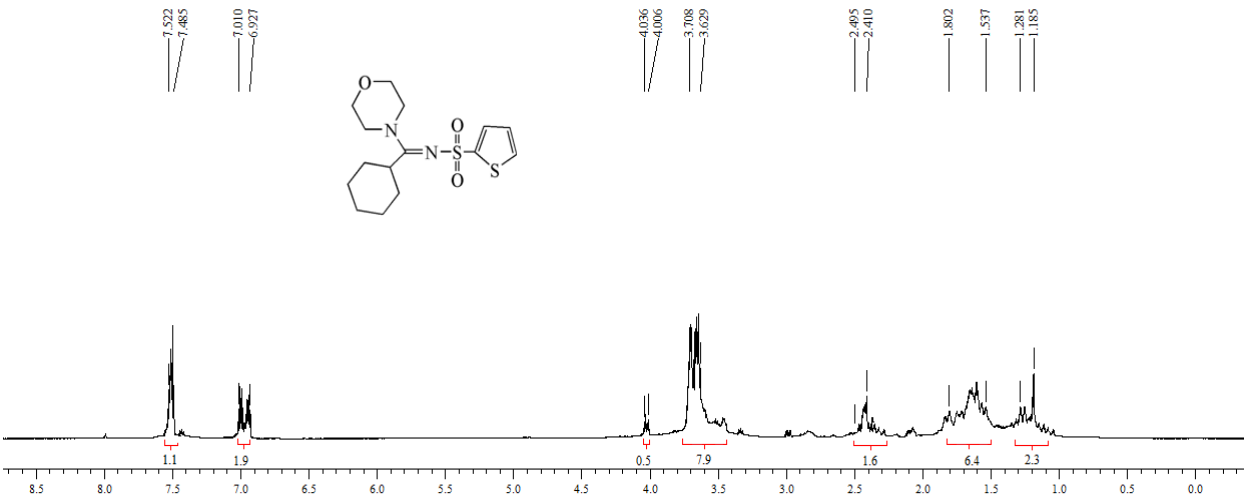
^{1}H NMR Spectra of **4e** (300 MHz, $CDCl_3$)



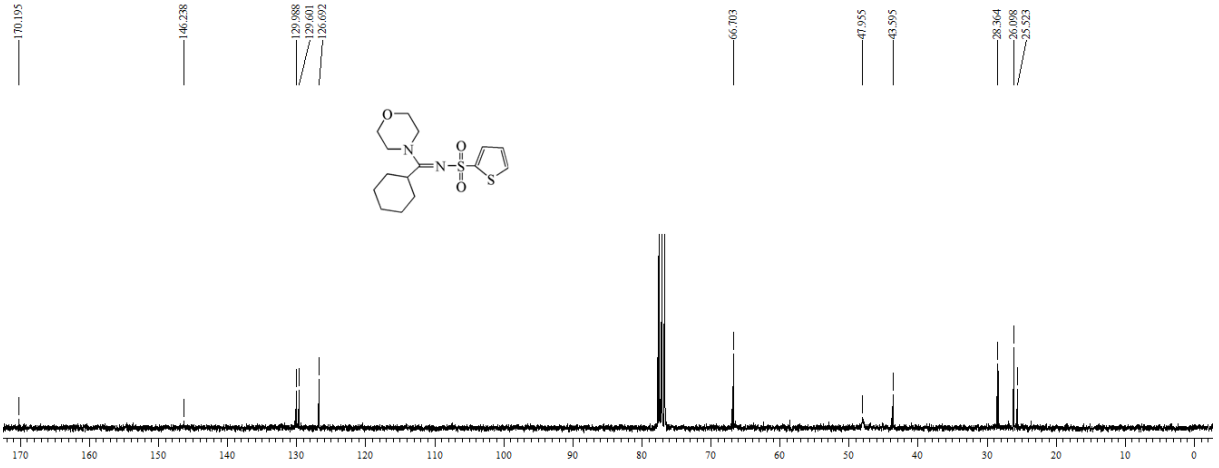
^{13}C NMR Spectra of **4e** (75 MHz, $CDCl_3$)



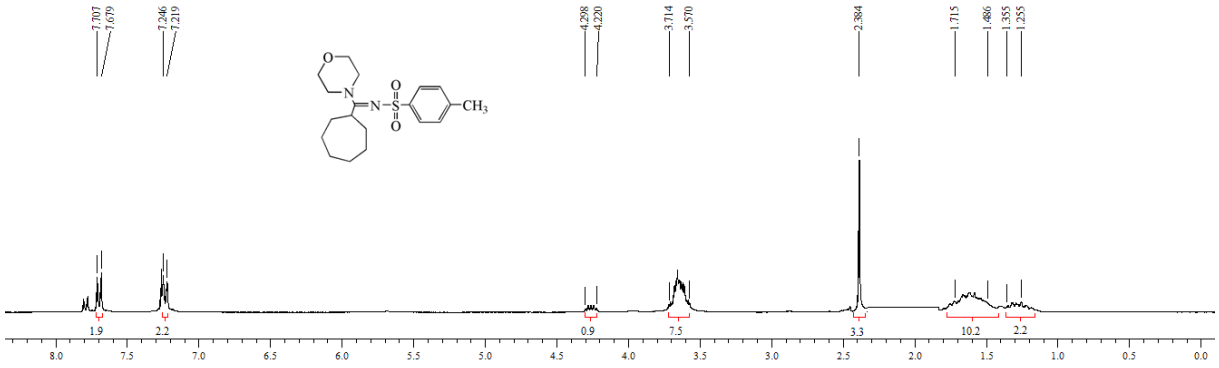
^{1}H NMR Spectra of **4f** (300 MHz, $CDCl_3$)



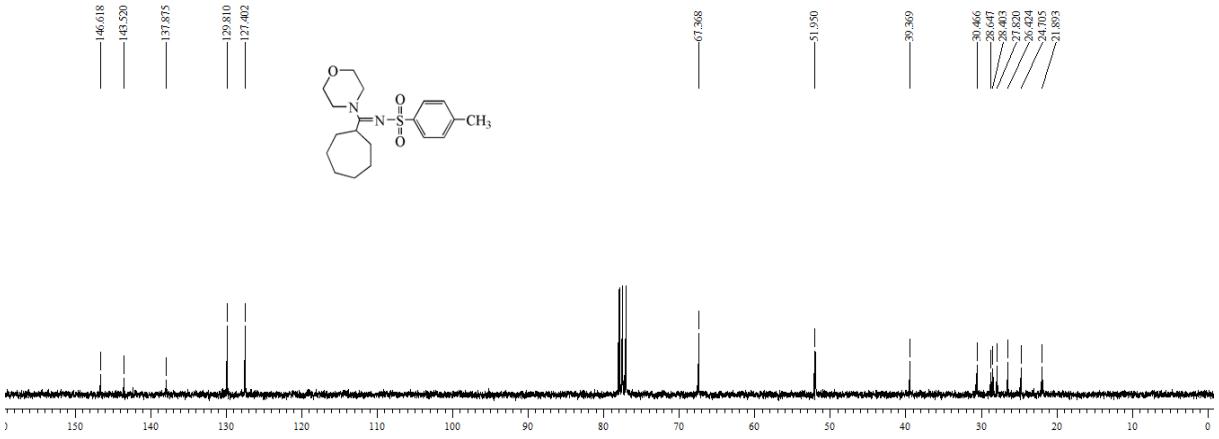
^{13}C NMR Spectra of **4f** (75 MHz, $CDCl_3$)



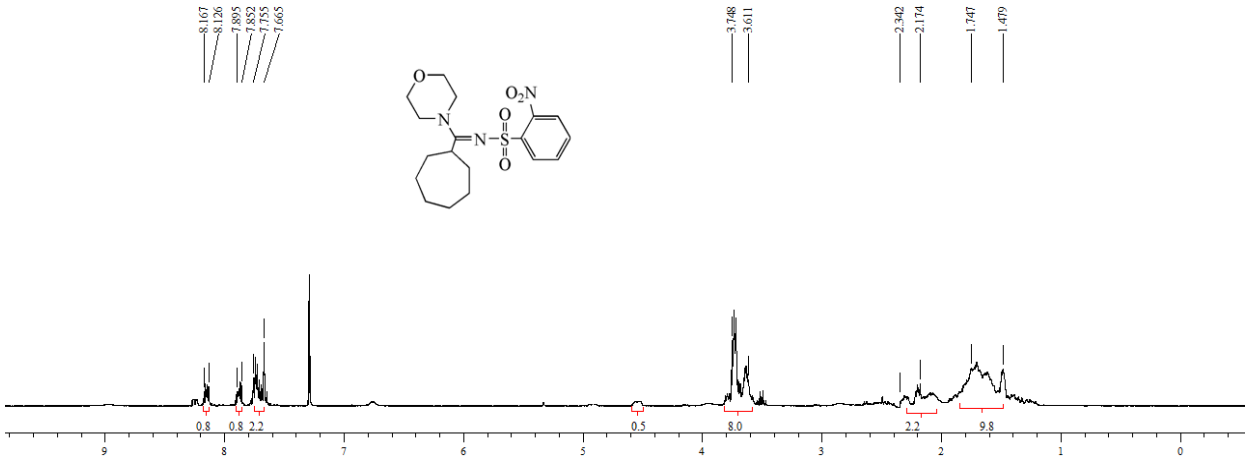
^{1}H NMR Spectra of **5a** (300 MHz, $CDCl_3$)



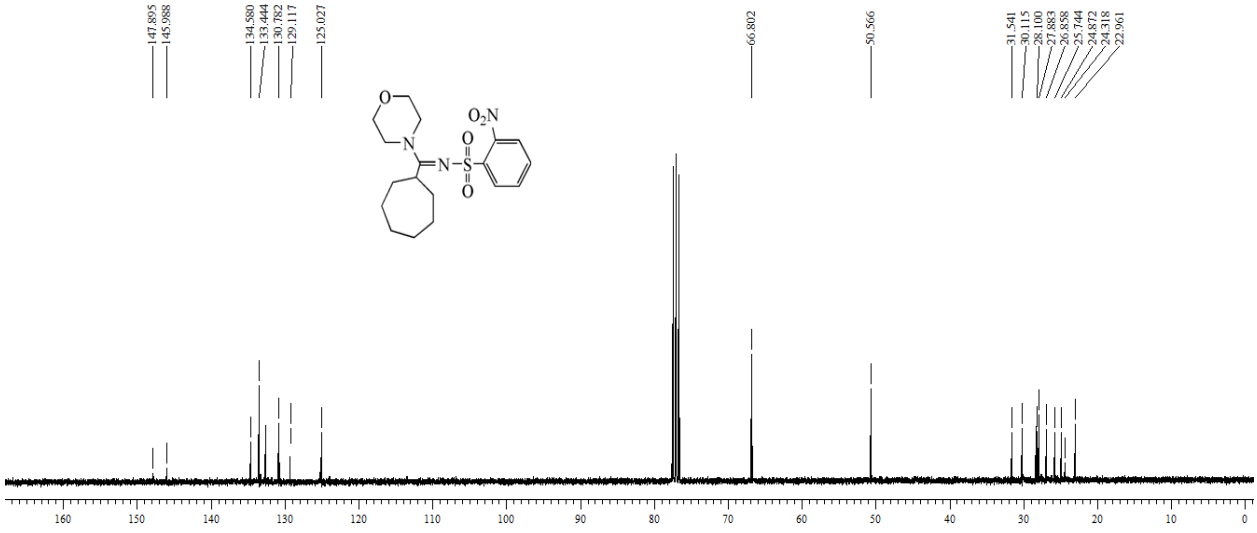
^{13}C NMR Spectra of **5a** (75 MHz, $CDCl_3$)



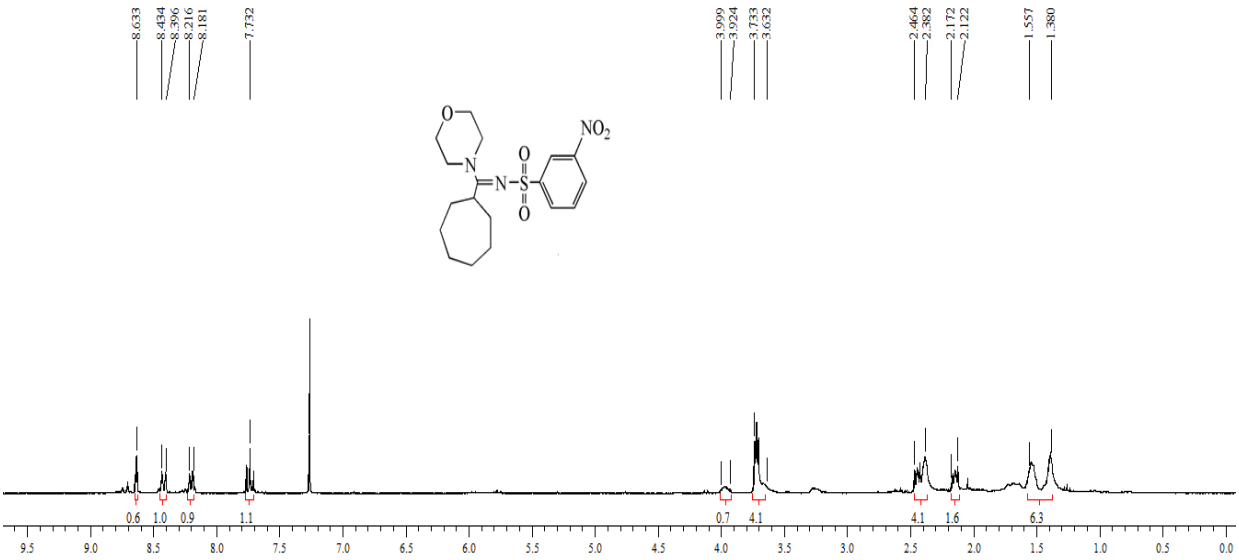
^{1}H NMR Spectra of **5b** (300 MHz, $CDCl_3$)



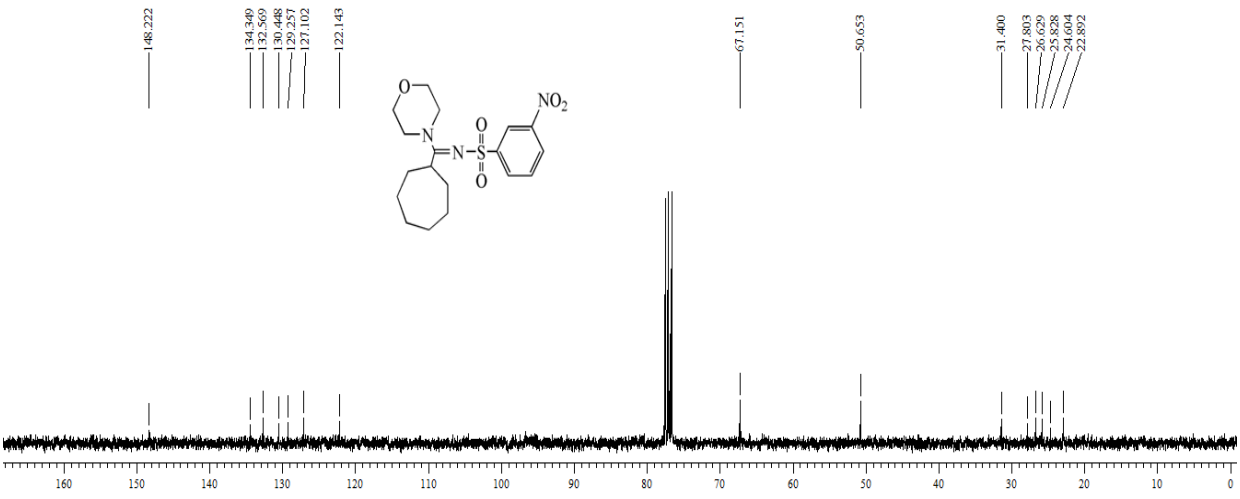
^{13}C NMR Spectra of **5b** (75 MHz, $CDCl_3$)



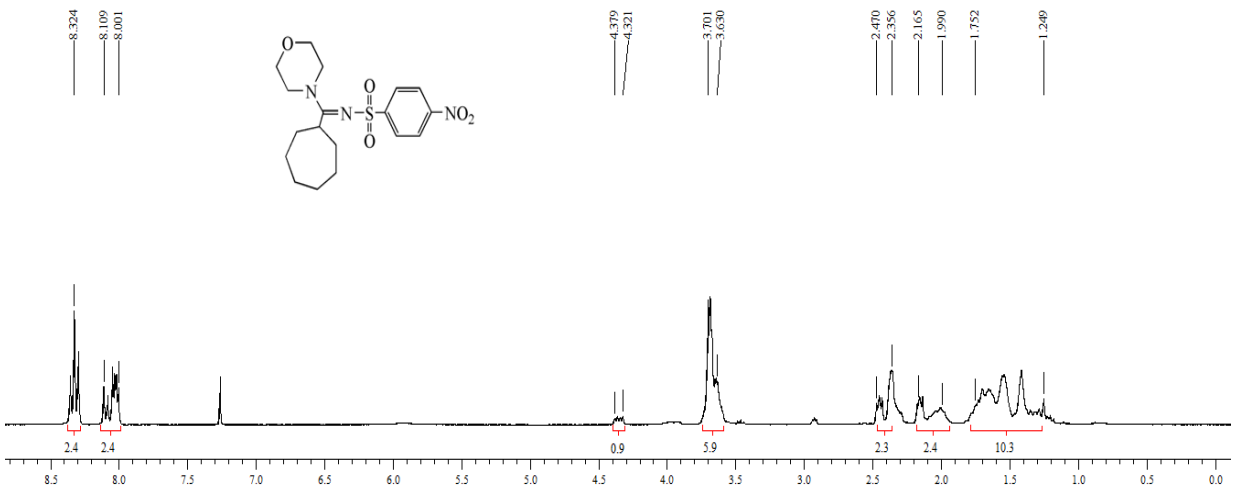
^{1}H NMR Spectra of **5c** (300 MHz, $CDCl_3$)



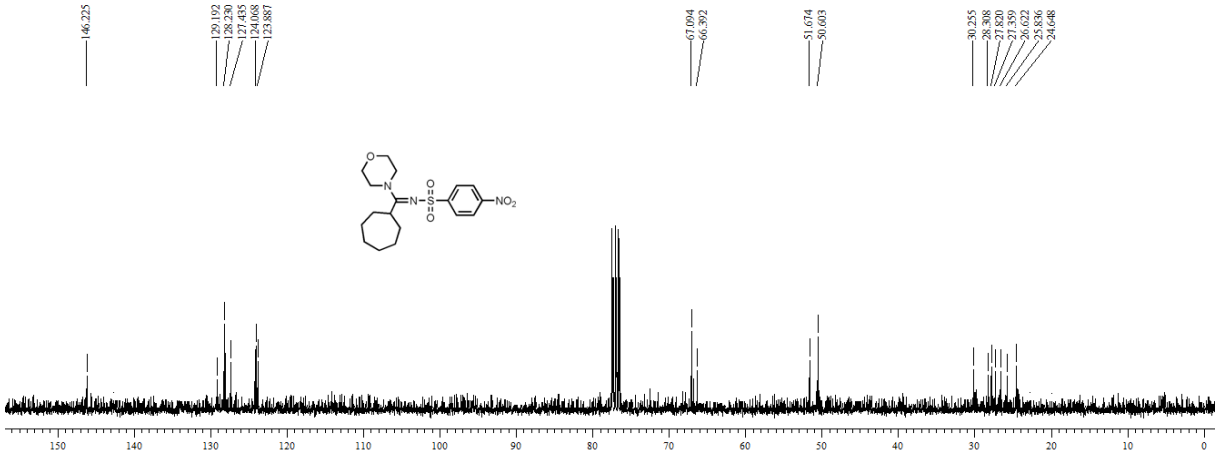
^{13}C NMR Spectra of **5c** (75 MHz, $CDCl_3$)



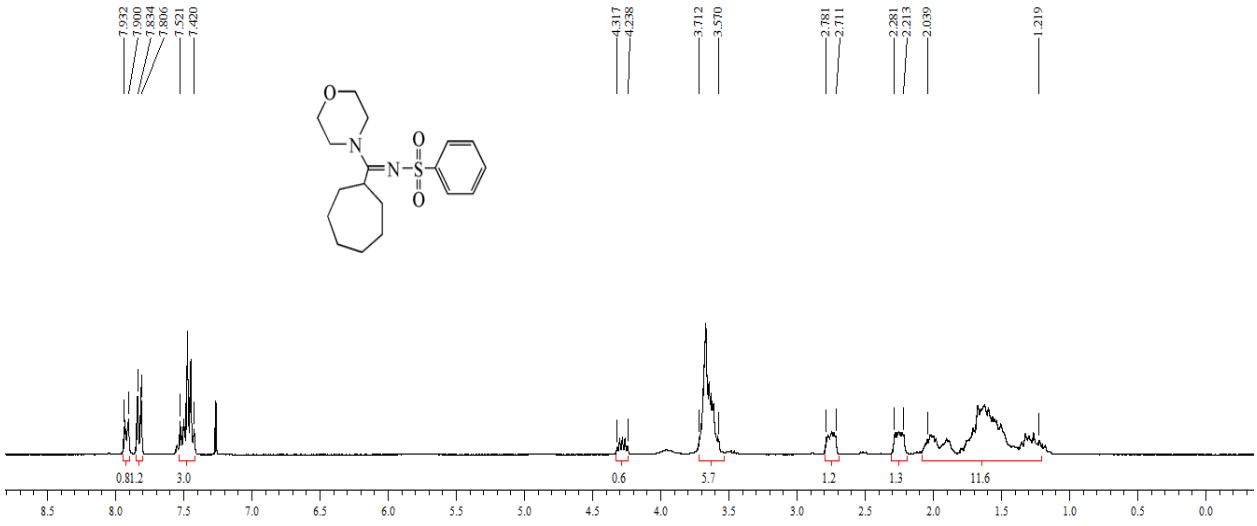
^{1}H NMR Spectra of **5d** (300 MHz, $CDCl_3$)



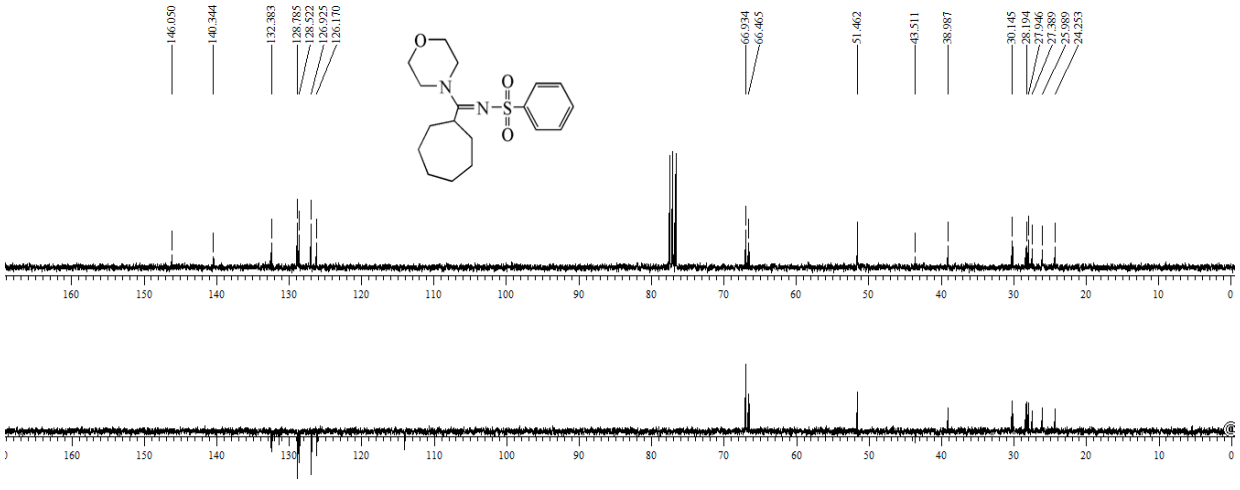
^{13}C NMR Spectra of **5d** (75 MHz, $CDCl_3$)



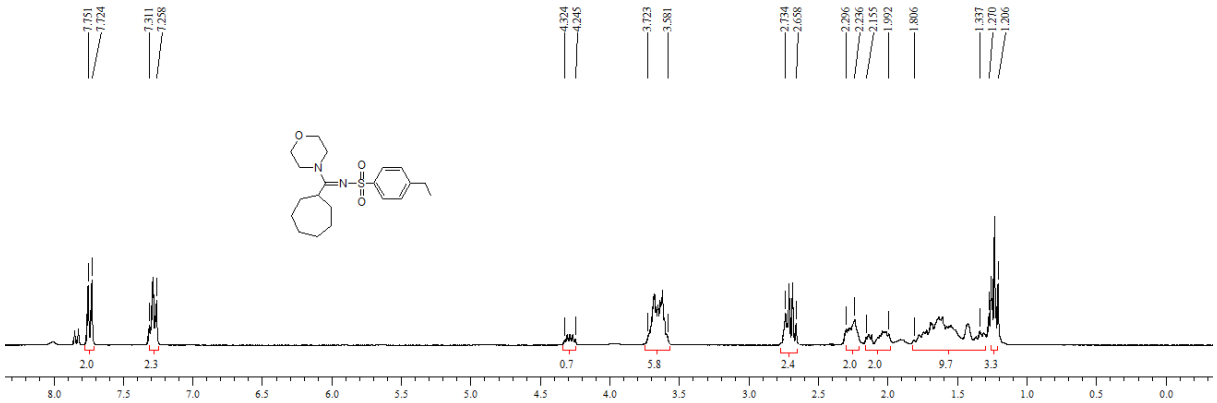
^{1}H NMR Spectra of **5e** (300 MHz, $CDCl_3$)



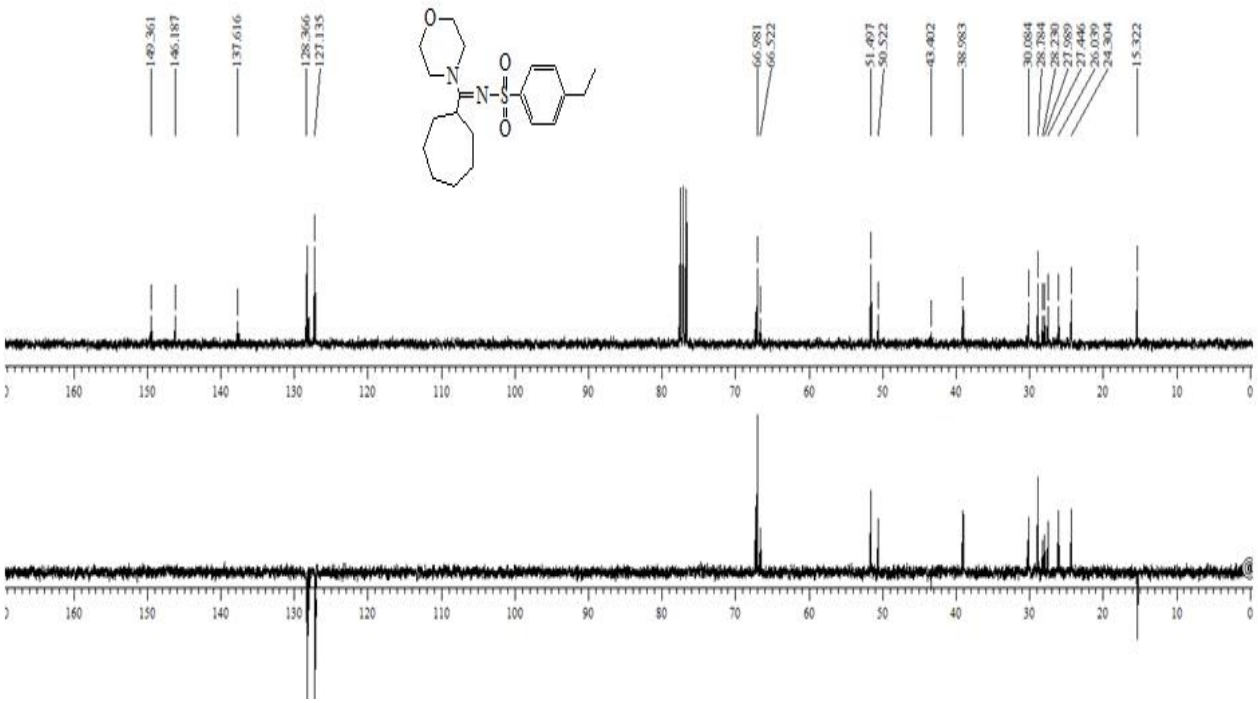
^{13}C NMR Spectra of **5e** (75 MHz, $CDCl_3$)



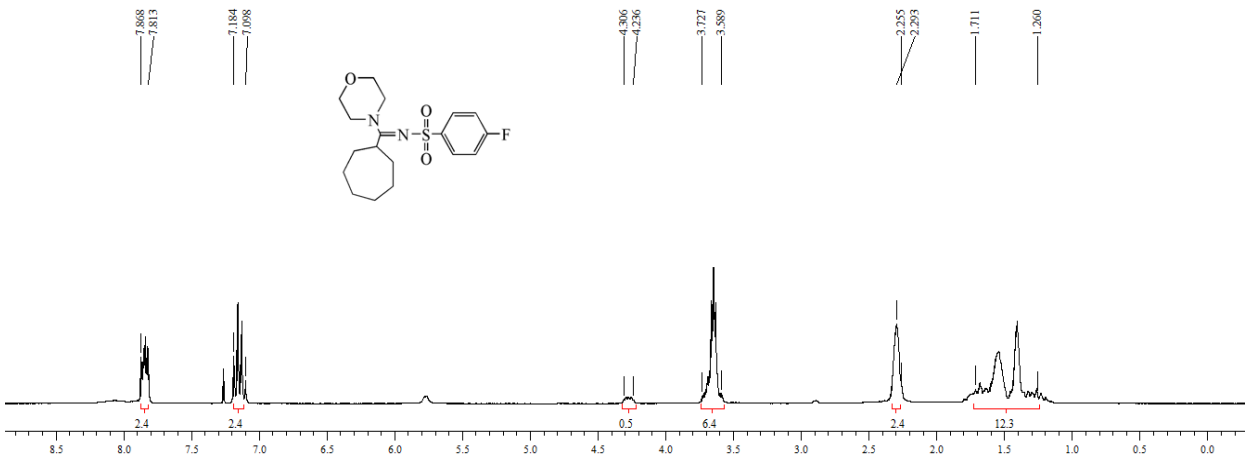
^{1}H NMR Spectra of **5f** (300 MHz, $CDCl_3$)



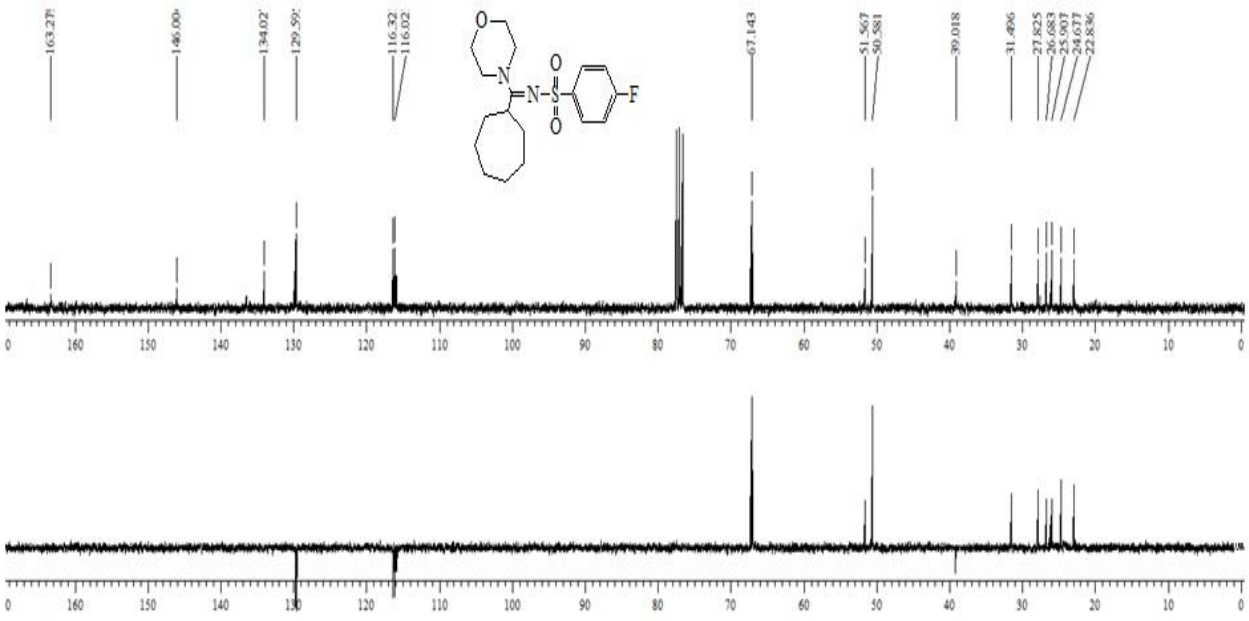
^{13}C NMR Spectra of **5f**(75 MHz, $CDCl_3$)



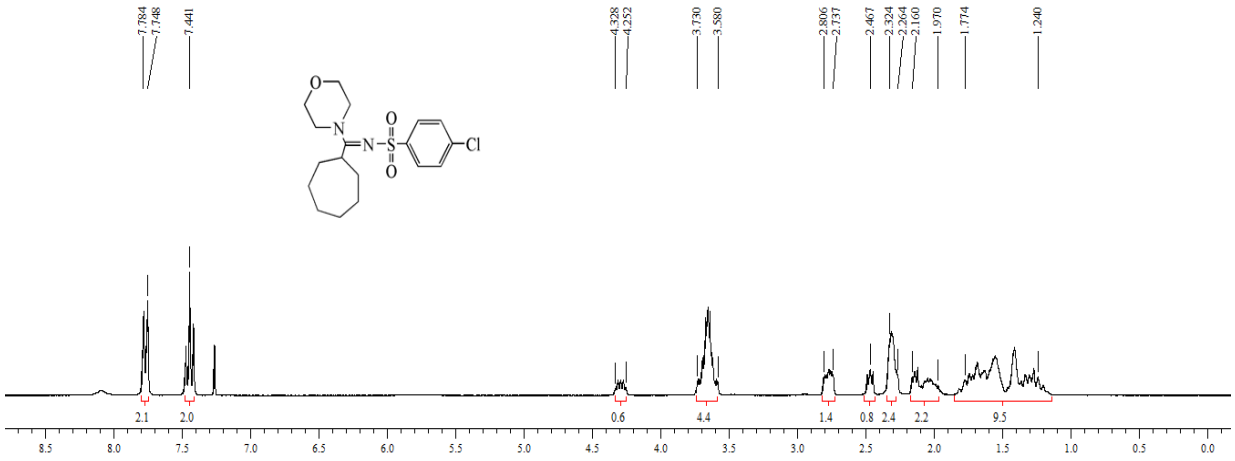
^{1}H NMR Spectra of **5g** (300 MHz, $CDCl_3$)



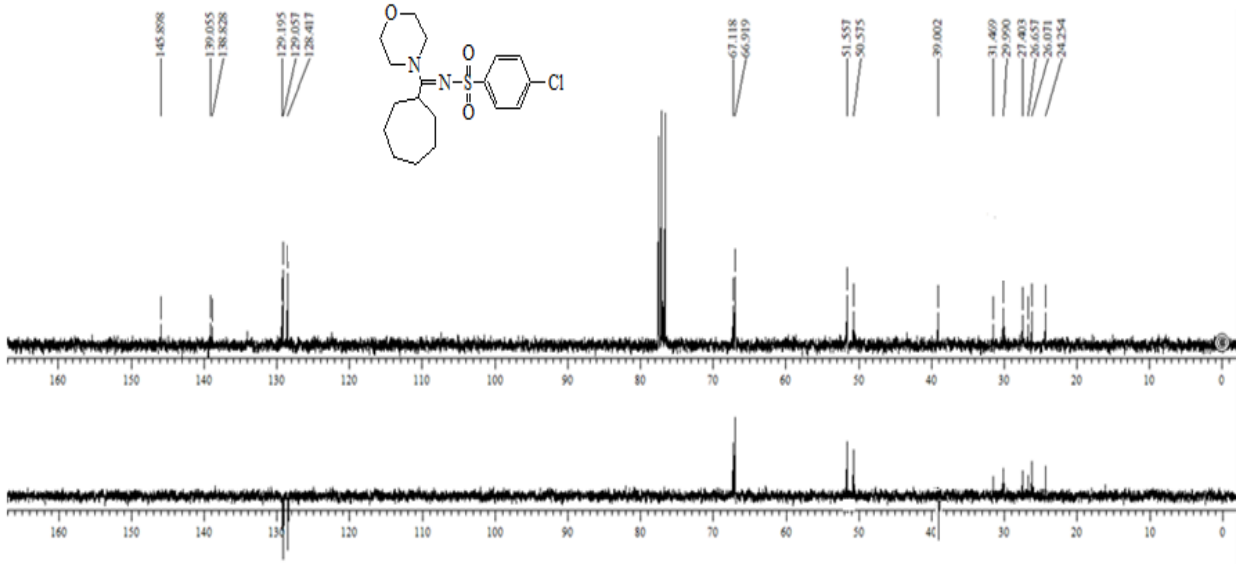
^{13}C NMR Spectra of **5g** (75 MHz, $CDCl_3$)



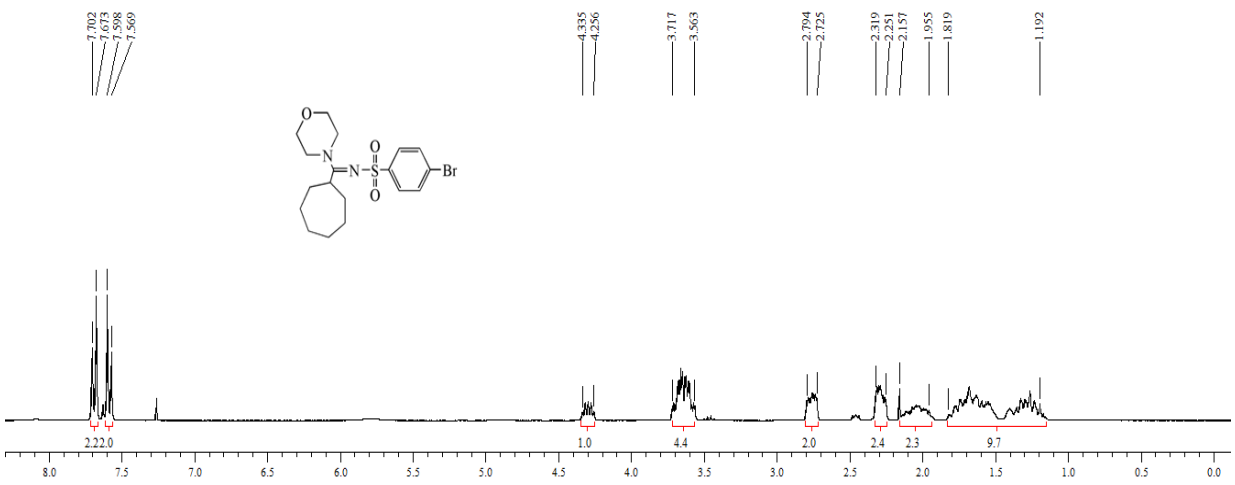
^{1}H NMR Spectra of **5h** (300 MHz, $CDCl_3$)



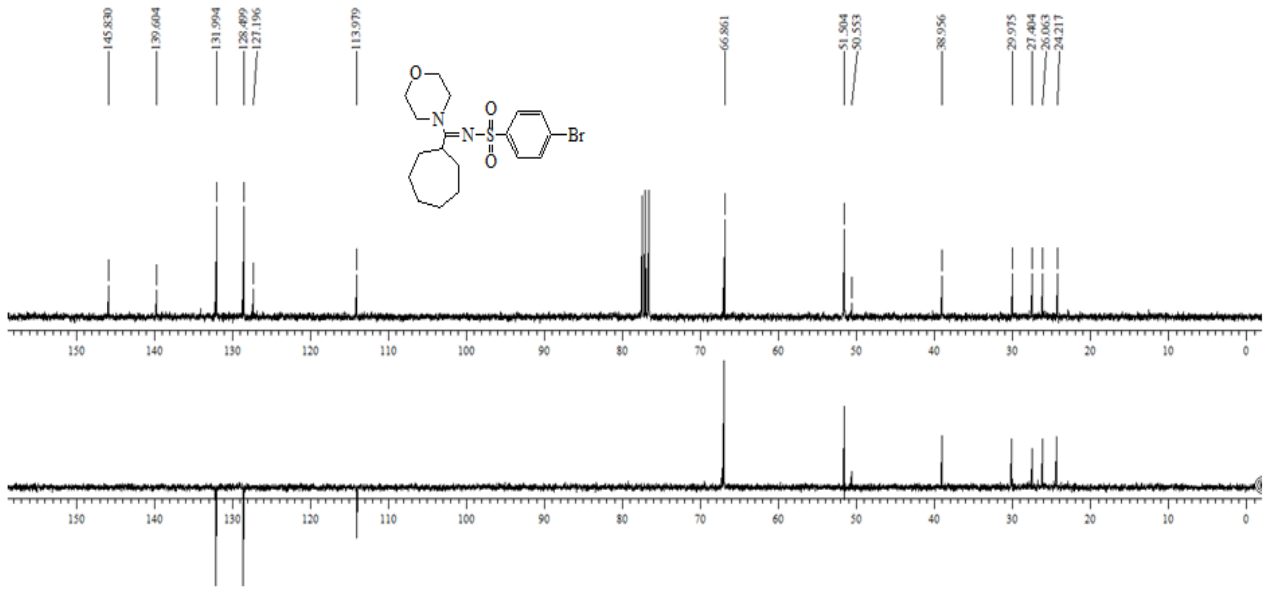
^{13}C NMR Spectra of **5h** (75 MHz, $CDCl_3$)



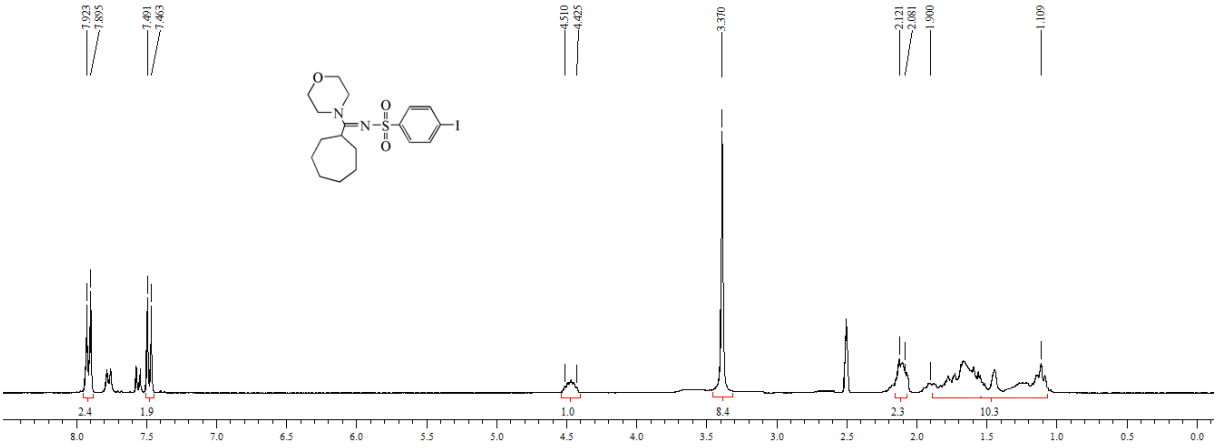
^{1}H NMR Spectra of **5i** (300 MHz, $CDCl_3$)



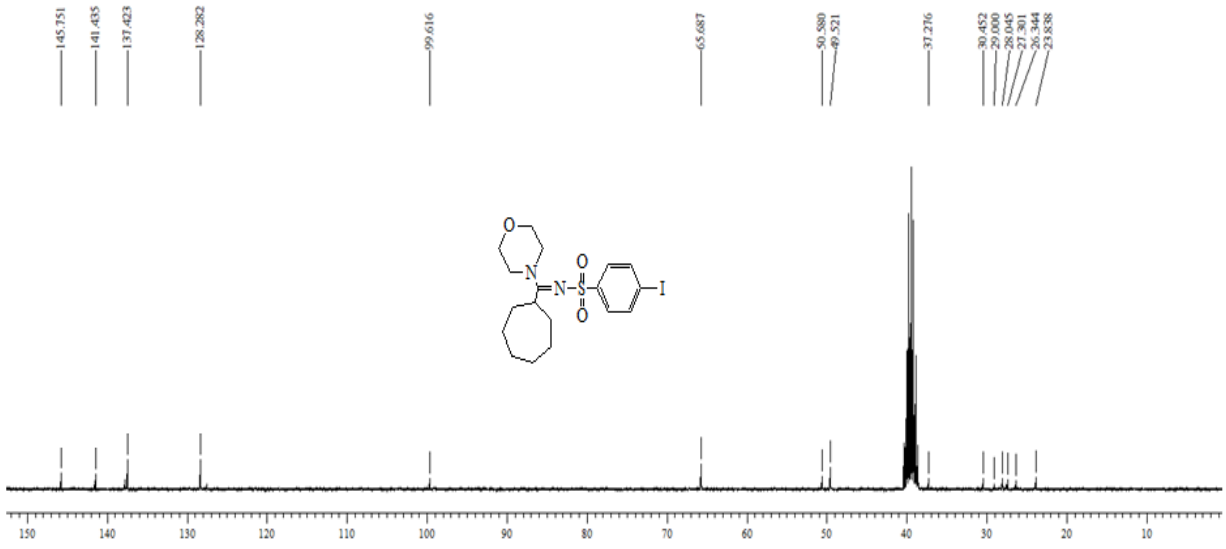
^{13}C NMR Spectra of **5i** (75 MHz, $CDCl_3$)



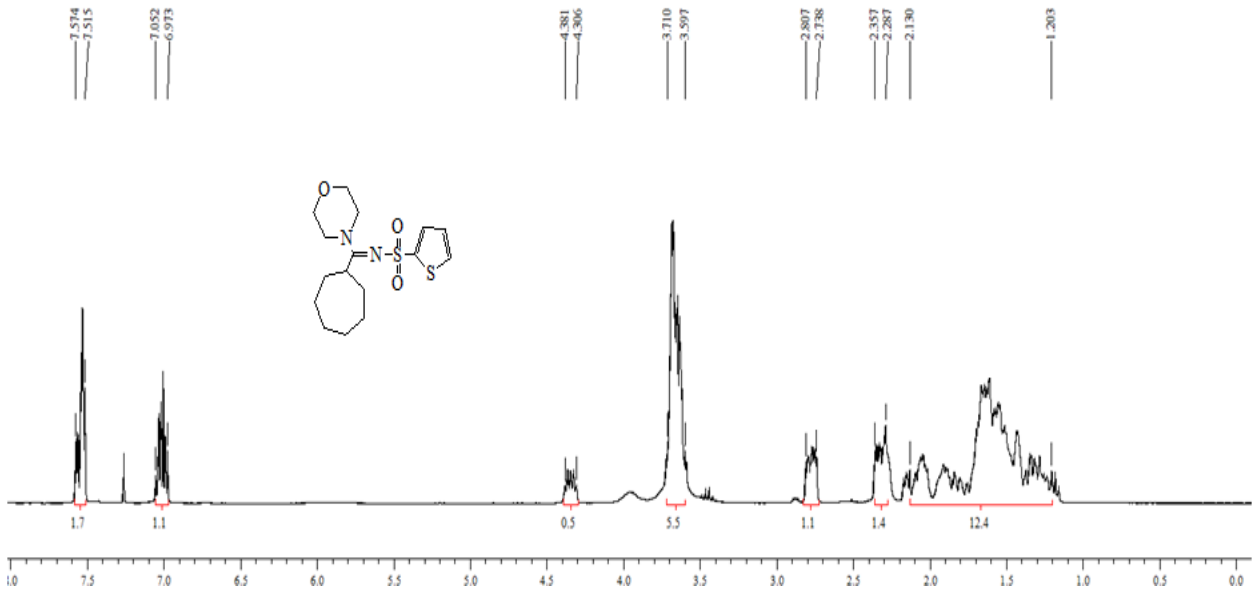
^{1}H NMR Spectra of **5j** (300 MHz, DMSO)



^{13}C NMR Spectra of **5j** (75 MHz, DMSO)



^{1}H NMR Spectra of **5k** (300 MHz, $CDCl_3$)



^{13}C NMR Spectra of **5k** (75 MHz, $CDCl_3$)