One-pot three-component sulfone synthesis exploiting palladium-catalysed aryl halide aminosulfonylation

Charlotte S. Richards-Taylor,[a] David C. Blakemore,[b] and Michael C. Willis[a]*

[a] *Department of Chemistry, University of Oxford, Chemistry Research Laboratory, Mansfield Road, Oxford, OX1 3TA, UK. Fax: 44 1865 285002; Tel: 44 1865 285126; E-mail: micharl.willis@chem.ox.ac.uk.*
[b] *Neusentis Chemistry, Pfizer Worldwide Research and Development, The Portway Building, Granta Park, Cambridge, CB216GS, UK.*

Supporting Information

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1) General considerations

All glassware was dried in an oven (>200 °C) and allowed to cool under a positive nitrogen pressure (passed through a Drierite® filled tube) prior to use. All reactions were conducted with continuous magnetic stirring under a nitrogen atmosphere with anhydrous solvents unless otherwise stated.

HPLC grade solvents were purchased from Sigma Aldrich, Fischer Scientific or Rathburn. Dichloromethane, tetrahydrofuran and toluene were obtained from an in-house solvent purification system having passed through anhydrous alumina columns. Water was purified by an Elix® UV-10 system. 1,4-Dioxane was distilled over calcium hydride and stored over 4 Å molecular sieves and degassed prior to use. Chemicals were purchased from Sigma Aldrich, Alfa Aesar, Acros or Fluorochem and used without prior purification, with the exception of 1,4-diazabicyclo[2.2.2]octane (DABCO), which was purified by sublimation (50 °C, 1 mbar). Et_2O refers to diethyl ether and petrol to 40-60 °C petroleum ether.

Thin Layer Chromatography (TLC) was performed on Merck Kieselgel 60 F254 pre-coated aluminium plates which were visualised using UV light (254 nm) and/or 1% aq. $KMnO_4$. Column chromatography was performed on silica gel (Fluka Kieselgel 60, particle size 0.040-0.063 nm) with the indicated eluents.

^{1}H, ^{13}C and ^{19}F Nuclear Magnetic Resonance experiments were carried out using Brüker DPX-200 (200 MHz), AVN-400 (400 MHz) or AVC-500 (500 MHz) spectrometers in the deuterated solvent stated. Chemical shifts (δ) are reported in parts per million (ppm) and referenced relative to the residual solvent peak(s). Assignments were made on the basis of chemical shifts, coupling constants, COSY, HSQC, NOE data and comparison with spectra of related compounds. The abbreviations s, d, dd, t, dt, td, tt, q, quin, br. s, m and app, denote singlet, doublet, doublet of doublets, triplet, doublet of triplets, triplet of doublets, triplet of triplets, quartet, quintet, broad singlet, multiplet and apparent. The coupling constants (*J*) are reported in Hertz (Hz) and rounded to the nearest 0.5 Hz.

Melting points were recorded on a Leica Gallen III hot-stage microscope and are uncorrected. Infra-red spectra were recorded neat on a Brüker Tensor 27 FT-IR spectrometer retrofitted with a diamond attenuated total reflectance (ATR) module, with an internal range of 600-4000 cm^{-1}. Low-resolution mass spectra (*m/z*) were recorded on a LCT Premier Open Access spectrometer (ESI). High resolution mass measurements were run on a Brüker MicroTOF or a Micromass GCT instrument by the mass spectrometry department of the Chemistry Research Laboratory, University of Oxford, UK. Values are quoted as ratio of mass to charge in Daltons and relative intensities of assignable peaks observed are quoted as a percentage value. High resolution values are calculated to four decimal places from the molecular formula, all found within a tolerance of 5 ppm.

2) General procedures

General procedure A

The electrophile (2 equiv), *N*-aminosulfonamide (1 equiv) and K_2CO_3 (2 equiv) were added to a round-bottomed flask. 1,4-Dioxane [0.30 M] was added and the reaction mixture was stirred at 100 °C for the specified length of time. After cooling to rt, the reaction mixture was diluted with water (5 mL) and washed with CH_2Cl_2 (3 × 5 mL). The combined organic extracts were washed with brine (5 mL), dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Purification *via* column chromatography yielded the corresponding sulfone.

General procedure B

Benzyl bromide (0.95 equiv), *N*-aminosulfonamide (1 equiv) and K_2CO_3 (2 equiv) were added to a round-bottomed flask. 1,4-Dioxane [0.30 M] was added and the reaction mixture was stirred at 50 °C for 1 h. The electrophile (1.5 equiv) was then added and the reaction mixture was warmed to 100 °C and stirred at this temperature for a further 15 h. After cooling to rt, the reaction mixture was diluted with water (5 mL) and washed with CH_2Cl_2 (3 × 5 mL). The combined organic extracts were washed with brine (5 mL), dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Purification *via* column chromatography yielded the corresponding sulfone.

General procedure C

An oven-dried tube was charged with tri-*tert*-butylphosphonium tetrafluoroborate (20 mol%), palladium(II) acetate (10 mol%) and the halogenated substrate (1 equiv). Either bis(sulfur dioxide)-1,4-diazabicyclo[2.2.2]octane (DABSO) (1.1 eq) or (DABSO) (0.6 eq) and 1,4-diazabicyclo[2.2.2]octane (DABCO) (0.5 equiv) were then added as specified. The solid reagents were weighed out in air. The tube was then evacuated and backfilled with N_2. The hydrazine (1.2 equiv) and 1,4-dioxane [0.30 M] were added *via* microsyringe. The reaction mixture was stirred at 70 °C for 16 h. Either Method I or Method II was then followed as stated.

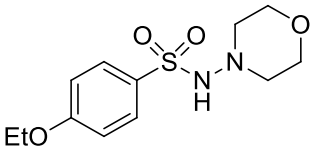
Method I: $K_2CO_{3(aq)}$ [2.40 M, 2.5 equiv] and the electrophile (2.5 equiv) were added and the reaction mixture stirred at 90 °C for the specified length of time.

Method II: $K_2CO_{3(aq)}$ [2.40 M, 2.5 equiv] and benzyl bromide (0.95 equiv) were added and the reaction mixture was stirred at 90 °C for 1 h. The second electrophile (2 equiv) was then added and the reaction mixture stirred at 90 °C for 19 h.

After cooling to rt, the suspension was filtered through a short pad of Celite® and washed sequentially with CH_2Cl_2 (5 mL) and water (5 mL). The organic layer was separated and the aqueous layer extracted with CH_2Cl_2 (2 × 5 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Purification *via* column chromatography yielded the corresponding sulfone.

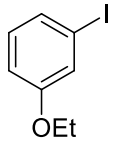
3) Preparation of substrates

4-Ethoxy-*N*-(morpholin-4-yl)benzenesulfonamide, 9b



An oven-dried tube was charged with tri-*tert*-butylphosphonium tetrafluoroborate (14 mg, 20 mol%), palladium(II) acetate (5 mg, 10 mol%), 1-ethoxy-4-iodobenzene (60 mg, 0.24 mmol), DABSO (35 mg, 0.14 mmol) and DABCO (13 mg, 0.12 mmol). The solid reagents were weighed out in air. The tube was then evacuated and backfilled with N_2. 4-Aminomorpholine (35 μL, 0.36 mmol) and 1,4-dioxane (1.6 mL) were added *via* microsyringe. The reaction mixture was stirred at 70 °C for 16 h. After cooling to rt, the suspension was filtered through a short pad of Celite® and the residue washed sequentially with CH_2Cl_2 (5 mL) and Et_2O (5 mL) before being concentrated *in vacuo*. Column chromatography (eluent: 50-100%, Et_2O in petrol) yielded the *N-aminosulfonamide* **9b** as a white crystalline solid (63 mg, 92%); mp 144-145 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3209, 2987, 1595, 1577, 1496, 1463, 1327, 1303, 1264, 1183, 1160, 1111, 1041; δ_H (400 MHz, $CDCl_3$) 7.91-7.82 (2H, m, 2 × Ar*H*), 7.00-6.91 (2H, m, 2 × Ar*H*), 5.86 (1H, s, N*H*), 4.08 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 3.58 (4H, t, *J* 4.5, N(CH$_2$C*H*$_2$)$_2$O), 2.61 (4H, t, *J* 4.5, N(C*H*$_2$CH$_2$)$_2$O), 1.43 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$); δ_C (101 MHz, $CDCl_3$) 162.7, 130.3, 129.8, 114.4, 66.6, 64.0, 56.6, 14.6; *m/z* (ESI$^+$) 595 ([2M + Na]$^+$, 100%), 309 ([M + Na]$^+$, 63%), 287 ([M + H]$^+$, 93%); HRMS (ESI$^+$) $C_{12}H_{18}N_2NaO_4S^+$ ([M + Na]$^+$) requires 309.8079; found 309.8078.

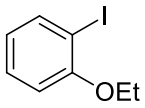
1-Ethoxy-3-iodobenzene



To a suspension of 3-iodophenol (1.50 g, 6.80 mmol) and K_2CO_3 (1.32 g, 9.50 mmol) in ethanol (10 mL) was added ethyl iodide (0.5 mL, 6.10 mmol) at rt. The reaction mixture was stirred at reflux for 24 h. The mixture was filtered and the filtrate concentrated *in vacuo*. The residue was diluted in Et_2O and the organic layer was washed sequentially with 1 M NaOH, 3 M HCl, sat. $NaHCO_{3(aq)}$ and brine. The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo*. Column chromatography (eluent: 4:1, petrol:Et_2O) yielded *1-ethoxy-3-iodobenzene* as a colourless oil (1.30 g, 77%); ν_{max} (neat)/cm^{-1} 3061, 2979, 2929, 2879, 1581, 1565, 1466, 1417, 1388, 1284, 1241, 1159, 1113, 1089, 1042; δ_H (500 MHz, $CDCl_3$) 7.31-7.27 (2H, m, 2 × Ar*H*), 7.01 (1H, app t, *J* 8.0, Ar*H*), 6.88 (1H, ddd, *J* 8.0, 2.5, 1.0, Ar*H*), 4.00 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 1.43 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$); δ_C (126 MHz, $CDCl_3$) 159.6, 130.8, 129.7, 123.6, 114.2, 94.5, 63.7, 14.9; HRMS (FI$^+$)

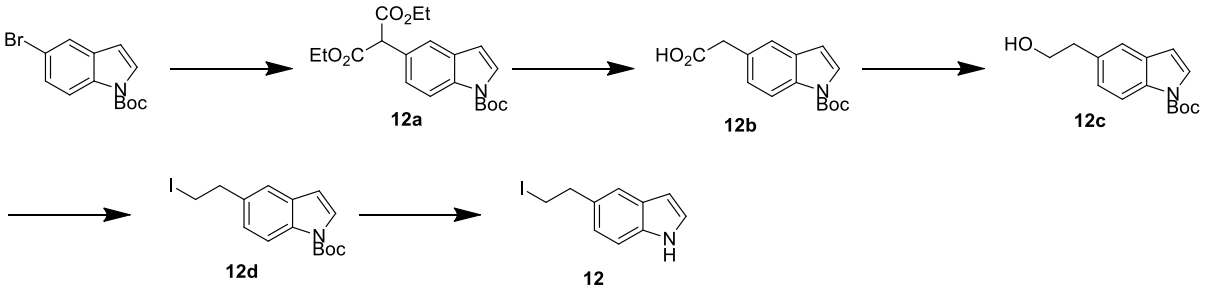
$C_8H_9IO^+$ ([M]$^+$) requires 247.9698; found 247.9702. ^{1}H NMR spectroscopy data in accordance with literature.[1]

1-Ethoxy-2-iodobenzene



To a suspension of 2-iodophenol (0.77 mL, 6.80 mmol) and K_2CO_3 (1.32 g, 9.50 mmol) in ethanol (10 mL) was added ethyl iodide (0.5 mL, 6.10 mmol) at rt. The reaction mixture was stirred at reflux for 24 h. The mixture was filtered and the filtrate concentrated *in vacuo*. The residue was diluted in Et_2O and the organic layer was washed sequentially with 1 M NaOH, 3 M HCl, sat. $NaHCO_{3(aq)}$ and brine. The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo*. Column chromatography (eluent: 4:1, petrol:Et_2O) yielded the *1-ethoxy-2-iodobenzene* as a colourless oil (1.21 g, 72%); ν_{max} (neat)/cm^{-1} 3060, 2980, 2930, 2882, 1581, 1568, 1465, 1438, 1389, 1275, 1243, 1161, 1108, 1088, 1049, 1016; δ_H (400 MHz, $CDCl_3$) 7.79 (1H, dd, *J* 7.5, 1.5, Ar*H*), 7.35-7.27 (1H, m, Ar*H*), 6.82 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.71 (1H, app td, *J* 7.5, 1.5, Ar*H*), 4.10 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 1.50 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$); δ_C (101 MHz, $CDCl_3$) 157.5, 139.5, 129.4, 122.4, 112.2, 86.8, 64.9, 14.8; HRMS (FI$^+$) $C_8H_9OI^+$ ([M]$^+$) requires 247.9698; found 247.9702. ^{1}H NMR spectroscopy data in accordance with literature.[1]

5-(2-Iodoethyl)-1*H*-indole, 12



A mixture of *tert*-butyl 5-bromo-1*H*-indole-1-carboxylate[2] (5.32 g, 18.0 mmol), $Pd(OAc)_2$ (202 mg, 0.90 mmol), 2-dicyclohexylphosphino-2',4',6'-triisopropylbiphenyl (XPhos) (0.86 g, 1.80 mmol), Cs_2CO_3 (17.6 g, 53.9 mmol) and diethyl malonate (2.7 mL, 18.0 mmol) in toluene (200 mL) was stirred under N_2 for 16 h at 100 °C. The reaction mixture was cooled to rt and concentrated *in vacuo*, then partitioned between EtOAc (150 mL) and water (100 mL). The mixture was filtered through Celite® and the aqueous layer was extracted with EtOAc (2 × 150 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo*. Column chromatography (eluent: 15% Et_2O in petrol) yielded *diethyl [1-(tert-butoxycarbonyl)-1H-indol-5-yl]propanedioate*, **12a** as a white crystalline solid (4.86 g, 72%); mp 80-81 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2985, 2160, 2026, 2009, 1977, 1748, 1721, 1467, 1443, 1385, 1367, 1335, 1314, 1299, 1283, 1256, 1223, 1172, 1143, 1129, 1115,

1079, 1030; δ_H (400 MHz, $CDCl_3$) 8.12 (1H, d, *J* 8.5, Ar*H*), 7.67-7.63 (2H, m, 2 × Ar*H*), 7.35 (1H, dd, *J* 8.5, 2.0, Ar*H*), 6.56 (1H, d, *J* 4.0, Ar*H*), 4.70 (1H, s, C*H*($COOCH_2CH_3$)$_2$), 4.27-4.17 (4H, m, CH(COOC$H_2$$CH_3$)$_2$), 1.66 (9H, s, O^t*Bu*), 1.26 (6H, t, *J* 7.0, CH($COOCH_2CH_3$)$_2$); δ_C (101 MHz, $CDCl_3$) 168.5, 149.7, 134.9, 130.8, 127.2, 126.5, 125.3, 121.8, 115.3, 107.4, 83.8, 61.8, 57.8, 28.2, 14.1; *m/z* (ESI^+) 774 ([2M + Na]$^+$, 25%), 398 ([M + Na]$^+$, 10%), 376 ([M + H]$^+$, 100%); HRMS (ESI^+) $C_{20}H_{25}NNaO_6^+$ ([M + Na]$^+$) requires 398.1574; found 398.1565.

A solution of diethyl [1-(*tert*-butoxycarbonyl)-1*H*-indol-5-yl]propanedioate, **12a** (4.84 g, 12.9 mmol) in THF (25 mL) and EtOH (2.5 mL) was treated with 2 M NaOH (5.6 mL). The solution was stirred at 60 °C for 16 h. The reaction mixture was concentrated *in vacuo* and the aqueous residue was acidified to pH 1 with 2 M HCl. The aqueous solution was washed with EtOAc (3 × 20 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo* to yield *1-(tert-butoxycarbonyl)-1H-indol-5-yl]acetic acid*, **12b** as a brown solid (2.66 g, 75%) that was used in the next step without further purification.

To a solution of [1-(*tert*-butoxycarbonyl)-1*H*-indol-5-yl]acetic acid, **12b** (1.56 g, 5.44 mmol) in THF (9 mL) was added BH_3.THF (18.8 mL, 1 M solution in THF) dropwise over 10 minutes at 0 °C. The reaction mixture was stirred for a further 90 min at 0 °C and then quenched by slow addition of MeOH. The reaction mixture was concentrated *in vacuo*. Column chromatography (eluent: 3:7 to 1:1 Et_2O:petrol) yielded *tert-butyl 5-(2-hydroxyethyl)-1H-indole-1-carboxylate*, **12c** as a colourless oil (0.68 g, 46%); ν_{max} (neat)/cm^{-1} 3359, 2979, 2935, 1729, 1470, 1442, 1371, 1347, 1327, 1254, 1217, 1192, 1161, 1129, 1082, 1040, 1022; δ_H (400 MHz, $CDCl_3$) 8.08 (1H, d, *J* 8.0, Ar*H*), 7.58 (1H, d, *J* 4.0, Ar*H*), 7.40 (1H, d, *J* 1.0, Ar*H*), 7.17 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.52 (1H, d, *J* 3.5, Ar*H*), 3.85 (2H, t, *J* 6.5, $ArCH_2CH_2OH$), 2.93 (2H, t, *J* 6.5, $ArCH_2CH_2OH$), 2.20 (1H, s, $ArCH_2CH_2OH$), 1.67 (9H, s, O^t*Bu*); δ_C (101 MHz, $CDCl_3$) 149.8, 134.0, 132.8, 131.0, 126.2, 125.4, 121.1, 115.2, 107.2, 83.7, 64.0, 39.1, 28.2; *m/z* (ESI^+) 545 ([2M + Na]$^+$, 100%), 284 ([M + Na]$^+$, 20%); HRMS (ESI^+) $C_{15}H_{19}NNaO_3^+$ ([M + Na]$^+$) requires 284.1257; found 284.1254.

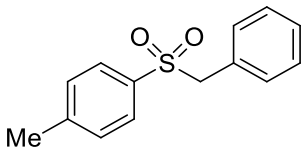
To a solution of PPh_3 (0.84 g, 3.22 mmol) and 1*H*-imidazole (0.23 g, 3.35 mmol) in CH_2Cl_2 (6 mL) was added iodine (0.82 g, 3.22 mmol) at 0 °C. A solution of *tert*-butyl 5-(2-hydroxyethyl)-1*H*-indole-1-carboxylate, **12c** (0.68 g, 2.48 mmol) in CH_2Cl_2 (3 mL) was added dropwise. The reaction mixture was stirred at rt for 16 h and then washed with H_2O (10 mL), sat. $NaHSO_{3(aq)}$ (10 mL), and brine (10 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo*. Heptane (50 mL) was added and the mixture filtered through Celite®. The filtrate was concentrated *in vacuo*. Column chromatography (eluent: 0-10%, Et_2O in petrol) yielded *tert-butyl 5-(2-iodoethyl)-1H-indole-1-carboxylate,* **12d** as a colourless oil (0.59 g, 64%); ν_{max} (neat)/cm^{-1} 2978, 2932, 1730, 1469, 1443, 1370, 1348, 1326, 1294, 1255, 1217, 1192, 1161, 1129, 1107, 1081, 1040, 1022; δ_H (400 MHz, $CDCl_3$) 8.07 (1H, d, *J* 8.0, Ar*H*), 7.59 (1H, d, *J* 4.0, Ar*H*), 7.38 (1H, d, *J* 1.5, Ar*H*), 7.14 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.54 (1H, d, *J* 4.0, Ar*H*), 3.42-3.36 (2H, m, $ArCH_2CH_2I$), 3.29-3.23 (2H, m, $ArCH_2CH_2I$), 1.67 (9H, s, O^t*Bu*); δ_C (101 MHz, $CDCl_3$) 149.7, 135.1, 134.2, 130.9,

126.4, 124.6, 120.5, 115.3, 107.1, 83.7, 40.3, 28.2, 6.5; *m/z* (ESI^+) 394 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{15}H_{18}INNaO_2^+$ ($[M + Na]^+$) requires 394.0274; found 394.0263.

Trifluoroacetic acid (9.9 mL) was added dropwise to a solution of *tert*-butyl 5-(2-iodoethyl)-1*H*-indole-1-carboxylate, **12d** (0.59 g, 1.59 mmol) in chloroform (2.0 mL). The reaction mixture was stirred for 30 min at rt and then concentrated *in vacuo*. EtOAc (20 mL) was added and washed with sat. $NaHCO_{3(aq)}$ (20 mL). The organic layer was dried over $MgSO_4$, filtered and concentrated *in vacuo*. Column chromatography (eluent: 3:7, Et_2O:petrol) yielded **12** as a pale yellow solid (276 mg, 64%); mp 91-92 °C ($CHCl_3$); ν_{max} (neat)/cm^{-1} 3412, 2958, 2922, 2852, 1474, 1454, 1416, 1342, 1280, 1260, 1235, 1222, 1166, 1137, 1089, 1064, 1019; δ_H (400 MHz, $CDCl_3$) 8.10 (1H, br. s, N*H*), 7.48 (1H, s, Ar*H*), 7.34 (1H, d, *J* 8.5, Ar*H*), 7.21 (1H, app t, *J* 3.0, Ar*H*), 7.04 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.55-6.52 (1H, m, Ar*H*), 3.44-3.37 (2H, m, $ArCH_2CH_2I$), 3.31-3.25 (2H, m, $ArCH_2CH_2I$); δ_C (101 MHz, $CDCl_3$) 134.8, 132.4, 128.2, 124.7, 122.7, 120.2, 111.2, 102.5, 40.8, 7.1; *m/z* (ESI^+) 272 ($[M + H]^+$, 100%); HRMS (ESI^-) $C_{10}H_9IN^-$ ($[M - H]^-$) requires 269.9785; found 269.9779.

4) Synthesis of sulfones

Benzyl 4-methylphenyl sulfone, 10a and *N*-[(*E*)-phenylmethylidene]morpholin-4-amine, 11



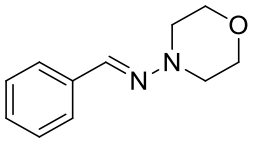


Table 2, entry 4: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and benzyl bromide (114 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 1 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (109 mg, 92%) and hydrazone **11** as a white crystalline solid (79 mg, 87%).

Table 2, entry 5: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and benzyl chloride (110 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 1 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (82 mg, 69%).

Table 4, entry 1: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodotoluene (105 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (108 mg, 91%).

Sulfone **10a**: mp 139-141 °C (CH_2Cl_2) {lit.[4] 141-142 °C}; δ_H (400 MHz, $CDCl_3$) 7.54-7.48 (2H, m, 2 × Ar*H*), 7.37-7.21 (5H, m, 5 × Ar*H*), 7.14-7.06 (2H, m, 2 × Ar*H*), 4.29 (2H, s, SCH_2), 2.42 (3H, s,

Ar*Me*); δ_C (101 MHz, $CDCl_3$) 144.6, 135.0, 130.8, 129.5, 128.7, 128.6, 128.5, 128.3, 62.9, 21.6; *m/z* (ESI^+) 515 ($[2M + Na]^+$, 100%), 269 ($[M + Na]^+$, 95%). Data in accordance with literature.[4]

Hydrazone **11**: mp 85-87 °C (CH_2Cl_2) {lit.[5] 89 °C (ethanol)}; δ_H (400 MHz, $CDCl_3$) 7.66-7.59 (3H, m, 3 × Ar*H*), 7.40-7.34 (2H, m, 2 × Ar*H*), 7.32-7.26 (1H, m, Ar*H*C=N), 3.90 (4H, t, *J* 5.0, $N(CH_2CH_2)_2O$), 3.19 (4H, t, *J* 5.0, $N(CH_2CH_2)_2O$); δ_C (101 MHz, $CDCl_3$) 136.2, 136.0, 128.6, 128.4, 126.2, 66.5, 51.9; HRMS (FI^+) $C_{11}H_{14}N_2O^+$ ($[M]^+$) requires 190.1106; found 190.1110. Data in accordance with literature.[6]

Methyl 4-methylphenyl sulfone, 10b

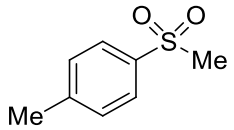


Table 2, entry 1: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and methyl iodide (179 μL, 2.88 mmol). The reaction mixture was stirred at 100 °C for 1 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10b** as a white crystalline solid (63 mg, 77%); mp 87-88 °C (CH_2Cl_2) {lit.[7] 88 °C (ethanol)}; δ_H (400 MHz, $CDCl_3$) 7.86-7.79 (2H, m, 2 × Ar*H*), 7.39-7.35 (2H, m, 2 × Ar*H*), 3.03 (3H, s, S*Me*), 2.45 (3H, s, Ar*Me*); δ_C (101 MHz, $CDCl_3$) 144.6, 137.7, 129.9, 127.4, 44.6, 21.6; HRMS (FI^+) $C_8H_{10}O_2S^+$ ($[M]^+$) requires 170.0401; found 170.0402. Data in accordance with literature.[8]

4-Methylphenyl propyl sulfone, 10c

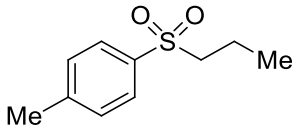
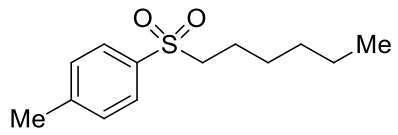


Table 2, entry 2: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 1-iodopropane (94 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10c** as a white crystalline solid (58 mg, 61%); mp 50-52 °C {lit.[9] 53-55 °C}; ν_{max} (neat)/cm^{-1} 2971, 2937, 2880, 1595, 1494, 1466, 1407, 1383, 1347, 1300, 1285, 1252, 1221, 1184, 1140, 1086, 1067, 1020; δ_H (400 MHz, $CDCl_3$) 7.73-7.69 (2H, m, 2 × Ar*H*), 7.31-7.26 (2H, m, 2 × Ar*H*), 3.00-2.95 (2H, m, $SCH_2CH_2CH_3$), 2.38 (3H, s, Ar*Me*), 1.71-1.60 (2H, m, $SCH_2CH_2CH_3$), 0.91 (3H, t, *J* 7.5, $SCH_2CH_2CH_3$); δ_C (101 MHz, $CDCl_3$) 144.5, 136.2, 129.9, 128.1, 58.1, 21.6, 16.6, 13.0; *m/z* (ESI^+) 419 ($[2M + Na]^+$, 100%), 221 ($[M + Na]^+$, 67%); HRMS (ESI^+) $C_{10}H_{14}NaO_2S^+$ ($[M + Na]^+$) requires 221.0607; found 221.0606. ^1H NMR spectroscopy data in accordance with literature.[10]

Hexyl 4-methylphenyl sulfone, 10d and hexyl 4-methylbenzenesulfinate, 10'd



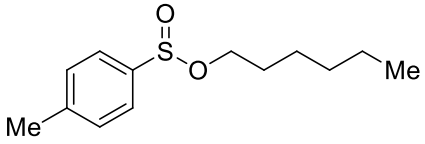


Table 2, entry 3: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 1-iodohexane (142 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10d** as a colourless oil (77 mg, 67%) and *sulfinate ester* **10'd** as a pale yellow oil (9 mg, 8%).

Sulfone **10d**: ν_{max} (neat)/cm^{-1} 2957, 2928, 2855, 1597, 1457, 1285, 1141, 1087; δ_H (400 MHz, $CDCl_3$) 7.79-7.75 (2H, m, 2 × Ar*H*), 7.37-7.32 (2H, m, 2 × Ar*H*), 3.08-3.01 (2H, m, $CH_2(CH_2)_4CH_3$), 2.44 (3H, s, Ar*Me*), 1.76-1.61 (2H, m, $CH_2CH_2(CH_2)_3CH_3$), 1.37-1.29 (2H, m, CH_2), 1.28-1.19 (4H, m, 2 × CH_2), 0.84 (3H, t, *J* 7.0, $(CH_2)_5CH_3$); δ_C (101 MHz, $CDCl_3$) 144.5, 136.2, 129.8, 128.0, 56.4, 31.1, 27.9, 22.7, 22.3, 21.6, 13.9; HRMS (FI^+) $C_{13}H_{20}O_2S^+$ ($[M]^+$) requires 240.1184; found 240.1181.

Sulfinate ester **10'd**: ν_{max} (neat)/cm^{-1} 2955, 2939, 2859, 1597, 1492, 1465, 1379, 1302, 1260, 1178, 1133, 1080, 1038, 1017; δ_H (400 MHz, $CDCl_3$) 7.64-7.57 (2H, m, 2 × Ar*H*), 7.36-7.31 (2H, m, 2 × Ar*H*), 4.02 (1H, dt, *J* 10.0, 6.5, OCH_aH_b), 3.61 (1H, dt, *J* 10.0, 6.5, OCH_aH_b), 2.43 (3H, s, Ar*Me*), 1.66-1.58 (2H, m, $OCH_2CH_2(CH_2)_3CH_3$), 1.38-1.20 (6H, m, 3 × CH_2), 0.87 (3H, t, *J* 7.0, $O(CH_2)_5CH_3$); δ_C (101 MHz, $CDCl_3$) 142.6, 141.8, 129.7, 125.2, 64.6, 31.3, 29.7, 25.4, 22.5, 21.5, 14.0; HRMS (FI^+) $C_{13}H_{20}O_2S^+$ ($[M]^+$) requires 240.1184; found 240.1184.

Benzyl 4-ethoxyphenyl sulfone, 10e

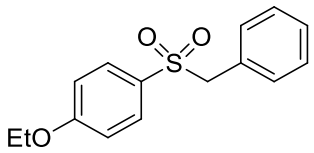


Table 2, entry 6: General procedure A was followed by the use of 4-ethoxy-*N*-(morpholin-4-yl)benzenesulfonamide, **9b** (137 mg, 0.48 mmol) and benzyl bromide (114 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 1 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10e** as a white crystalline solid (124 mg, 94%).

Table 4, entry 9: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10e** as a white crystalline solid (119 mg, 90%).

Table 4, entry 9: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl chloride

(138 μL, 1.20 mmol) and 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10e** as a white crystalline solid (99 mg, 75%).

Table 4, entry 9: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 1-aminopiperidine (62 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10e** as a white crystalline solid (117 mg, 88%).

Sulfone **10e**: mp 93-96 °C ($(CD_3)_2CO$); ν_{max} (neat)/cm^{-1} 2972, 2930, 2893, 1594, 1577, 1493, 1482, 1454, 1428, 1415, 1389, 1317, 1298, 1270, 1176, 1145, 1132, 1114, 1084, 1033, 1007; δ_H (400 MHz, $(CD_3)_2CO$) 7.62-7.54 (2H, m, 2 × Ar*H*), 7.36-7.25 (3H, m, 3 × Ar*H*), 7.21-7.15 (2H, m, 2 × Ar*H*), 7.06-6.99 (2H, m, 2 × Ar*H*), 4.45 (2H, s, SCH_2), 4.15 (2H, q, *J* 7.0, OCH_2CH_3), 1.40 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (101 MHz, $(CD_3)_2CO$) 163.5, 131.4, 131.0, 130.7, 130.0, 128.6(2), 128.5(7), 114.8, 64.3, 62.4, 14.4; *m/z* (ESI^+) 575 ($[2M + Na]^+$, 100%), 299 ($[M + Na]^+$, 88%); *m/z* (ESI^-) 311 ($[M + Cl]^-$, 100%); HRMS (ESI^+) $C_{15}H_{16}NaO_3S^+$ ($[M + Na]^+$) requires 299.0712; found 299.0707.

Benzyl 4-(trifluoromethyl)phenyl sulfone, 10f

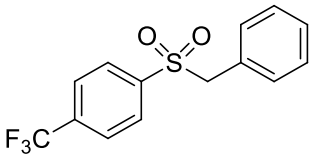
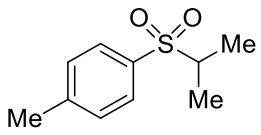


Table 2, entry 7: General procedure A was followed by the use of *N*-(morpholin-4-yl)-4-(trifluoromethyl)benzenesulfonamide[3] (149 mg, 0.48 mmol) and benzyl bromide (114 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10f** as a white crystalline solid (101 mg, 70%).

Table 4, entry 15: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodobenzotrifluoride (71 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10f** as a white crystalline solid (61 mg, 42%).

Sulfone **10f**: mp 162-164 °C (CH_2Cl_2) {lit.[23] 163-165 °C}; δ_H (500 MHz, $CDCl_3$) 7.77-7.68 (4H, m, 4 × Ar*H*), 7.38-7.33 (1H, m, Ar*H*), 7.31-7.27 (2H, m, 2 × Ar*H*), 7.13-7.06 (2H, m, 2 × Ar*H*), 4.35 (2H, s, SCH_2); δ_C (126 MHz, $CDCl_3$) 141.3, 135.4 (q, J_{CF} 33.0), 130.8, 129.3, 129.1, 128.8, 127.5, 126.0 (q, J_{CF} 4.0), 123.1 (q, J_{CF} 273.0), 62.8; δ_F (377 MHz, $CDCl_3$) –63.2 (s, CF_3); *m/z* (ESI^+) 623 ($[2M + Na]^+$, 100%), 323 ($[M + Na]^+$, 99%). Data in accordance with literature.[23]

4-Methylphenyl propan-2-yl sulfone, 10g and propan-2-yl 4-methylbenzenesulfinate, 10'g



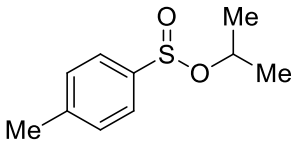


Table 2, entry 8: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 2-iodopropane (96 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10g** as a white crystalline solid (45 mg, 47%) and sulfinate ester **10'g** as a colourless oil (6 mg, 7%).

Table 2, entry 8: General procedure B was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 2-iodopropane (72 μL, 0.72 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10g** as a white crystalline solid (42 mg, 44%) and sulfinate ester **10'g** as a colourless oil (5 mg, 5%).

Sulfone **10g**: mp 81-83°C (CH_2Cl_2) {lit.[11] 81-83 °C}; δ_H (400 MHz, $(CD_3)_2SO$) 7.72 (2H, d, *J* 8.0, 2 × Ar*H*), 7.47 (2H, d, *J* 8.0, 2 × Ar*H*), 3.42-3.30 (1H, m, C*H*Me_2), 2.42 (3H, s, Ar*Me*), 1.13 (6H, d, *J* 7.0, CH*Me*$_2$); δ_C (101 MHz, $(CD_3)_2SO$) 145.2, 134.7, 130.7, 129.5, 55.0, 21.9, 16.1; *m/z* (ESI^+) 419 ($[2M + Na]^+$, 100%), 221 ($[M + Na]^+$, 45%). Data in accordance with literature.[12]

Sulfinate ester **10'g**: ν_{max} (neat)/cm^{-1} 2950, 2940, 2861, 1594, 1491, 1470, 1377, 1301, 1265, 1179, 1131, 1051; δ_H (400MHz, $(CD_3)_2SO$) 7.48 (2H, d, *J* 7.0, 2 × Ar*H*), 7.12 (2H, d, *J* 7.0, 2 × Ar*H*), 3.82-3.71 (1H, m, C*H*Me_2), 2.29 (3H, s, Ar*Me*), 1.03 (6H, d, *J* 6.5, CH*Me*$_2$); δ_C (101 MHz, $(CD_3)_2SO$) 138.6, 131.0, 129.0, 126.3, 62.9, 26.4, 21.7; *m/z* (ESI^+) 419 ($[2M + Na]^+$. Data in accordance with literature.[13]

Ethyl 2-methyl-2-[(4-methylphenyl)sulfonyl]propanoate, 10h

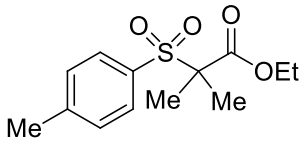


Table 2, entry 9: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and ethyl-α-bromoisobutyrate (141 μL, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10h** as a white crystalline solid (66 mg, 51%).

Table 2, entry 9: General procedure B was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and ethyl-α-bromoisobutyrate (106 μL, 0.72 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10h** as a white crystalline solid (75 mg, 58%).

Sulfone **10h**: mp 73-75 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2988, 2940, 1733, 1688, 1593, 1544, 1493, 1467, 1443, 1402, 1386, 1364, 1312, 1302, 1272, 1186, 1157, 1127, 1113, 1077, 1021; δ_H (400 MHz, $CDCl_3$) 7.73 (2H, d, *J* 8.0, 2 × Ar*H*), 7.34 (2H, d, *J* 8.0, 2 × Ar*H*), 4.14 (2H, q, *J* 7.0, OCH_2CH_3), 2.45 (3H, s, Ar*Me*), 1.61 (6H, s, 2 × SC*Me*), 1.22 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (101 MHz, $CDCl_3$) 168.9, 145.1, 132.7, 130.4, 129.3, 69.0, 62.2, 21.7, 20.3, 13.8; *m/z* (ESI^+) 564 ($[2M + Na]^+$, 100%), 293 ($[M + Na]^+$, 75%), 270 ($[M + H]^+$, 65%); HRMS (ESI^+) $C_{13}H_{18}NaO_4S^+$ ($[M + Na]^+$) requires 293.0818; found 293.0810.

Ethenyl 4-methylphenyl sulfone, 10i

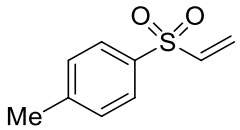


Table 2, entry 6: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 1,2-dibromoethane (83 μL, 0.96 mmol). The reaction mixture was stirred for 1 h at 100 °C, then triethylamine (67 μL, 0.48 mmol) was added and the reaction stirred for a further 15 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10i** as a white crystalline solid (16 mg, 18%).

Table 2, entry 6: General procedure B was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 1,2-dibromoethane (62 μL, 0.72 mmol). The reaction mixture was stirred for 1 h at 100 °C, then triethylamine (67 μL, 0.48 mmol) was added and the reaction stirred for a further 15 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10i** as a white crystalline solid (46 mg, 53%).

Sulfone **10i**: mp 63-65°C (CH_2Cl_2) {lit.[14] 65.0-66.0 °C (2-PrOH)}; δ_H (400 MHz, $CDCl_3$) 7.80-7.75 (2H, m, 2 × Ar*H*), 7.37-7.32 (2H, m, 2 × Ar*H*), 6.64 (1H, dd, *J* 16.5, 10.0, C*H*=CH_2), 6.42 (1H, d, *J* 16.5, CH=CH_{trans}H), 6.00 (1H, d, *J* 10.0, CH=CHH_{cis}), 2.44 (3H, s, Ar*Me*); δ_C (101 MHz, $CDCl_3$) 144.7, 138.7, 136.6, 130.0, 128.0, 127.2, 21.7; *m/z* (ESI^+) 205 ($[M + Na]^+$, 100%), 183 ($[M + H]^+$, 10%). Data in accordance with literature.[14, 15]

2-[(4-Methylphenyl)sulfonyl]-5-(trifluoromethyl)benzaldehyde, 10j

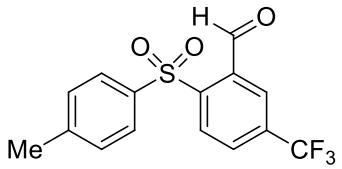


Table 2, entry 11: General procedure B was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and 2-fluoro-5-(trifluoromethyl)benzaldehyde (101 μL, 0.72 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10j** as a white crystalline solid (63 mg, 40%); mp 64-66 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2930, 1692, 1598, 1494,

1323, 1297, 1251, 1157, 1125, 1078, 1046, 1020; δ_H (500 MHz, $CDCl_3$) 10.90 (1H, s, C*H*O), 8.30-8.26 (2H, m, 2 × Ar*H*), 7.99 (1H, dd, *J* 8.5, 2.0, Ar*H*), 7.82-7.78 (2H, m, 2 × Ar*H*), 7.37 (2H, d, *J* 8.0, 2 × Ar*H*), 2.45 (3H, s, Ar*Me*); δ_C (126 MHz, $CDCl_3$) 188.0, 146.0, 145.7, 137.4, 135.5 (q, J_{CF} 35.0), 134.4, 130.5, 130.2 (q, J_{CF} 3.5), 130.1, 127.8, 126.6 (q, J_{CF} 3.5), 122.5 (q, J_{CF} 273.5), 21.7; δ_F (377 MHz, $CDCl_3$) –63.4 (s, CF_3); HRMS (FI^+) $C_{15}H_{11}F_3O_3S^+$ ($[M]^+$) requires 328.0381; found 328.0388.

1-Methyl-4-(phenylsulfonyl)benzene, 10k

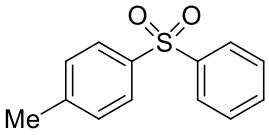


Table 2, entry 12: General procedure A was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and diphenyliodonium triflate[16] (413 mg, 0.96 mmol). The reaction mixture was stirred at 100 °C for 16 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10k** as a white crystalline solid (22 mg, 20%).

Table 2, entry 12: General procedure B was followed by the use of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a**[3] (123 mg, 0.48 mmol) and diphenyliodonium triflate[16] (320 mg, 0.72 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10k** as a white crystalline solid (66 mg, 59%).

Sulfone **10k**: mp 124-125 °C (CH_2Cl_2) {lit.[17] 125-127 °C}; δ_H (400 MHz, $CDCl_3$) 7.95-7.91 (2H, m, Ar*H*), 7.85-7.81 (2H, m, Ar*H*), 7.57-7.52 (1H, m, Ar*H*), 7.51-7.46 (2H, m, Ar*H*), 7.29 (2H, d, *J* 8.0, Ar*H*), 2.39 (3H, s, Ar*Me*); δ_C (126 MHz, $CDCl_3$) 144.1, 142.0, 138.6, 133.0, 129.9, 129.2, 127.7, 127.5, 21.5; *m/z* (ESI^+) 255 ($[M + Na]^+$, 100%); HRMS (FI^+) $C_{13}H_{12}O_2S^+$ ($[M]^+$) requires 232.0558; found 232.0555. Data in accordance with literature.[17]

Benzyl phenyl sulfone, 10l

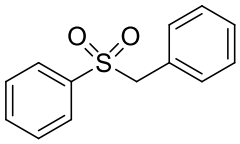


Table 4, entry 2: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 µL, 0.58 mmol), benzyl bromide (142 µL, 1.20 mmol) and iodobenzene (54 µL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10l** as a white crystalline solid (72 mg, 65%); mp 147-148 °C (CH_2Cl_2) {lit.[18] 147-148 °C (ethanol)}; δ_H (400 MHz, $CDCl_3$) 7.68-7.56 (3H, m, 3 × Ar*H*), 7.50-7.42 (2H, m, 2 × Ar*H*), 7.36-7.23 (3H, m, 3 × Ar*H*), 7.08 (2H, d, *J* 7.5, 2 × Ar*H*),

4.32 (2H, s, SCH_2); δ_C (101 MHz, $CDCl_3$) 137.8, 133.7, 130.8, 128.9, 128.8, 128.6(2), 128.5(7), 128.1, 62.9; *m/z* (ESI^+) 255 ($[M + Na]^+$, 100%). Data in accordance with literature.[19]

Benzyl 4-*tert*-butylphenyl sulfone, 10m

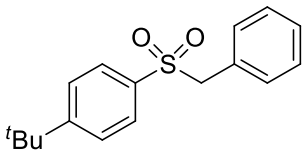


Table 4, entry 3: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-*tert*-butyliodobenzene (85 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 10 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10m** as a white crystalline solid (120 mg, 87%); mp 98-101 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3062, 3032, 2964, 2924, 2871, 1922, 1594, 1493, 1473, 1456, 1400, 1363, 1317, 1304, 1288, 1266, 1204, 1164, 1154, 1132, 1106, 1085, 1028, 1015; δ_H (400 MHz, $CDCl_3$) 7.60-7.54 (2H, m, 2 × Ar*H*), 7.49-7.43 (2H, m, 2 × Ar*H*), 7.36-7.23 (3H, m, 3 × Ar*H*), 7.11 (2H, d, *J* 8.0, 2 × Ar*H*), 4.30 (2H, s, SCH_2), 1.33 (9H, s, Ar^t*Bu*); δ_C (101 MHz, $CDCl_3$) 157.7, 135.0, 130.9, 128.7, 128.5, 128.4, 128.2, 125.9, 62.9, 35.2, 31.1; *m/z* (ESI^+) 311 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{17}H_{20}NaO_2S^+$ ($[M + Na]^+$) requires 311.1076; found 311.1074.

4-(Benzylsulfonyl)biphenyl, 10n

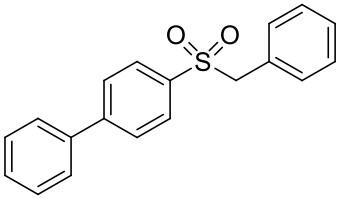


Table 4, entry 4: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodobiphenyl (134 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10n** as a white crystalline solid (115 mg, 78%); mp 204-207 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2947, 1562, 1491, 1479, 1454, 1403, 1325, 1305, 1284, 1201, 1183, 1147, 1119, 1090, 1038, 1025, 1005; δ_H (500 MHz, $CDCl_3$) 7.71-7.65 (4H, m, 4 × Ar*H*), 7.63-7.59 (2H, m, 2 × Ar*H*), 7.51-7.47 (2H, m, 2 × Ar*H*), 7.46-7.42 (1H, m, Ar*H*), 7.36-7.32 (2H, m, 2 × Ar*H*), 7.31-7.27 (1H, m, Ar*H*), 7.16-7.12 (2H, m, 2 × Ar*H*), 4.36 (2H, s, SCH_2); δ_C (126 MHz, $CDCl_3$) 146.6, 139.0, 136.4, 130.9, 129.2, 129.1, 128.8, 128.7, 128.6, 128.1, 127.4(1), 127.3(5), 63.0; *m/z* (ESI^+) 331 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{19}H_{16}NaO_2S^+$ ($[M + Na]^+$) 331.0763; found 331.0761.

Benzyl 2-naphthyl sulfone, 10o

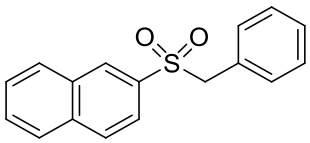


Table 4, entry 5: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 2-iodonaphthalene (70 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10o** as a white crystalline solid (98 mg, 72%); mp 190-191 °C (CH_2Cl_2) {lit.[20] 192-193 °C}; ν_{max} (neat)/cm^{-1} 3062, 2968, 2922, 1593, 1508, 1495, 1458, 1401, 1346, 1314, 1256, 1201, 1186, 1158, 1118, 1075, 1030; δ_H (500 MHz, $CDCl_3$) 8.78 (1H, d, *J* 8.5, Ar*H*), 8.09 (1H, d, *J* 8.5, Ar*H*), 8.00-7.95 (2H, m, 2 × Ar*H*), 7.70 (1H, ddd, *J* 8.5, 7.0, 1.5, Ar*H*), 7.64 (1H, ddd, *J* 8.0, 7.0, 1.0, Ar*H*), 7.44 (1H, app t, *J* 8.0, Ar*H*), 7.27-7.23 (1H, m, Ar*H*), 7.18-7.14 (2H, m, 2 × Ar*H*), 6.97-6.93 (2H, m, 2 × Ar*H*), 4.52 (2H, s, SCH_2); δ_C (126 MHz, $CDCl_3$) 135.2, 134.0, 133.0, 131.5, 130.6, 129.2(3), 129.1(7), 128.6(9), 128.6(7), 128.4, 128.0, 126.9, 124.2, 124.1, 62.3; *m/z* (ESI^+) 305 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{17}H_{14}NaO_2S^+$ ($[M + Na]^+$) requires 305.0607; found 305.0608. ^{1}H NMR spectroscopy data in accordance with literature.[21]

Benzyl 2,4-dimethylphenyl sulfone, 10p

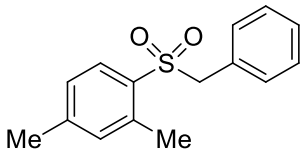


Table 4, entry 6: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodo-*m*-xylene (68 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10p** as a white crystalline solid (110 mg, 88%); mp 81-84 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2979, 2937, 2924, 2879, 1592, 1574, 1496, 1476, 1465, 1415, 1402, 1384, 1347, 1311, 1290, 1261, 1214, 1180, 1138, 1115, 1088, 1038; δ_H (400 MHz, $CDCl_3$) 7.60 (1H, d, *J* 8.0, Ar*H*), 7.41-7.24 (3H, m, 3 × Ar*H*), 7.13-7.08 (3H, m, 3 × Ar*H*), 7.05 (1H, d, *J* 8.0, ArH), 4.33 (2H, s, SCH_2), 2.48 (3H, s, Ar*Me*), 2.38 (3H, s, Ar*Me*); δ_C (101 MHz, $CDCl_3$) 144.6, 138.5, 133.1, 131.0, 130.9, 128.7, 128.6, 128.1, 127.1, 127.0, 62.3, 21.4, 20.3; *m/z* (ESI^+) 283 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{15}H_{16}NaO_2S^+$ ($[M + Na]^+$) requires 283.0763; found 283.0751.

Benzyl 4-methoxyphenyl sulfone, 10q

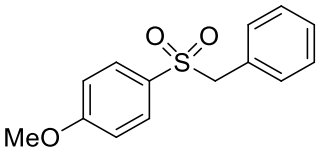


Table 4, entry 7: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodoanisole (112 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10q** as a white crystalline solid (110 mg, 87%).

Table 4, entry 8: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-bromoanisole (60 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10q** as a white crystalline solid (58 mg, 46%).

Sulfone **10q**: mp 82-83 °C (CH_2Cl_2) {lit.[22] 83 °C}; δ_H (400 MHz, $CDCl_3$) 7.53 (2H, d, *J* 8.0, 2 × Ar*H*), 7.36-7.24 (3H, m, 3 × Ar*H*), 7.09 (2H, d, *J* 7.5, 2 × Ar*H*), 6.90 (2H, d, *J* 8.0, 2 × Ar*H*), 4.29 (2H, s, SCH_2), 3.86 (3H, s, O*Me*); δ_C (101 MHz, $CDCl_3$) 163.7, 130.8(3), 130.8(2), 129.4, 128.7, 128.6, 128.5, 114.0, 63.1, 55.7; *m/z* (ESI^+) 285 ($[M + Na]^+$, 100%). Data in accordance with literature.[23]

Benzyl 3-ethoxyphenyl sulfone 10r

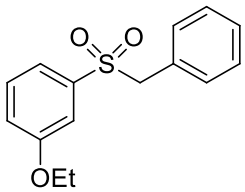


Table 4, entry 10: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-ethoxy-3-iodobenzene (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10r** as a white crystalline solid (115 mg, 87%); mp 103-105 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2987, 2922, 2878, 1594, 1580, 1492, 1480, 1467, 1456, 1437, 1390, 1307, 1286, 1239, 1200, 1181, 1164, 1151, 1126, 1096, 1072, 1048; δ_H (500 MHz, $CDCl_3$) 7.37-7.30 (2H, m, Ar*H*), 7.30-7.22 (3H, m, 3 × Ar*H*), 7.13-7.09 (3H, m, 3 × Ar*H*), 7.05 (1H, app t, *J* 2.0, Ar*H*), 4.30 (2H, s, SCH_2), 3.92 (2H, q, *J* 7.0, OC$H_2$$CH_3$), 1.38 (3H, t, *J* 7.0, $OCH_2C$$H_3$); δ_C (126 MHz, $CDCl_3$) 159.0, 138.8, 130.8, 129.9, 128.7, 128.5, 128.2, 121.1, 120.6, 113.2, 63.9, 62.9, 14.5; *m/z* (ESI^+) 299 ($[M + Na]^+$, 100%); *m/z* (ESI^-) 311 ($[M + Cl]^-$, 100%); HRMS (ESI^+) $C_{15}H_{16}NaO_3S^+$ ($[M + Na]^+$) requires 299.0712; found 299.0717.

Benzyl 2-ethoxyphenyl sulfone, 10s

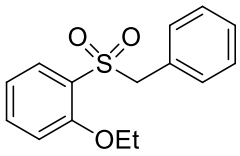


Table 4, entry 11: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-ethoxy-2-iodobenzene (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10s** as a white crystalline solid (99 mg, 75%); mp 78-80 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3064, 3030, 2987, 2934, 2885, 1592, 1577, 1483, 1469, 1445, 1401, 1391, 1308, 1281, 1245, 1198, 1153, 1138, 1118, 1061, 1037; δ_H (400 MHz, $CDCl_3$) 7.68 (1H, dd, *J* 8.0, 1.5, Ar*H*), 7.53 (1H, app t, *J* 8.0, Ar*H*), 7.29-7.19 (5H, m, 5 × Ar*H*), 7.04 (1H, d, *J* 8.0, Ar*H*), 6.95 (1H, app t, *J* 8.0, Ar*H*), 4.63 (2H, s, SCH_2), 4.29 (2H, q, *J* 7.0, OCH_2CH_3), 1.61 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (101 MHz, $CDCl_3$) 156.7, 135.5, 130.9, 130.7, 128.6, 128.5, 128.3, 126.3, 120.6, 113.0, 65.2, 60.4, 14.8; *m/z* (ESI$^+$) 299 ([M + Na]$^+$, 100%); HRMS (ESI$^+$) $C_{15}H_{16}NaO_3S^+$ ([M + Na]$^+$) requires 299.0712; found 299.0709.

Benzyl 4-(methylsulfanyl)phenyl sulfone, 10t

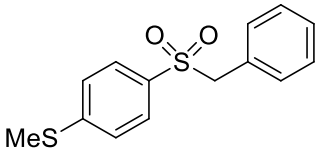


Table 4, entry 12: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodothioanisole (120 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10t** as a white crystalline solid (119 mg, 89%); mp 150-153 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3060, 3030, 2998, 2947, 2921, 1579, 1477, 1455, 1428, 1404, 1394, 1310, 1295, 1284, 1274, 1190, 1150, 1094, 1074, 1026, 1012; δ_H (500 MHz, $CDCl_3$) 7.50-7.46 (2H, m, 2 × Ar*H*), 7.35-7.31 (1H, m, Ar*H*), 7.30-7.26 (2H, m, 2 × Ar*H*), 7.23-7.20 (2H, m, 2 × Ar*H*), 7.12-7.09 (2H, m, 2 × Ar*H*), 4.30 (2H, s, SCH_2), 2.51 (3H, s, S*Me*); δ_C (126 MHz, $CDCl_3$) 147.2, 133.4, 130.8, 128.9, 128.7, 128.6, 128.2, 124.9, 63.0, 14.7; HRMS (FI$^+$) $C_{14}H_{14}O_2S_2^+$ ([M]$^+$) requires 278.0435; found 278.0448.

4-(Benzylsulfonyl)aniline, 10u

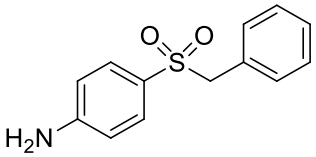


Table 4, entry 13: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodoaniline (105 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10u** as a white crystalline solid (68 mg, 57%); mp 218-220 °C (CH_2Cl_2) {lit.[24] 218 °C (butan-2-one, ethanol, 1:1)}; ν_{max} (neat)/cm^{-1} 3471, 3377, 3033, 2971, 2918, 1638, 1591, 1504, 1456, 1436, 1401, 1327, 1303, 1280, 1253, 1200, 1184, 1163, 1144, 1121, 1081, 1031, 1002; δ_H (400 MHz, $(CD_3)_2SO$) 7.29-7.21 (5H, m, 5 × Ar*H*), 7.12-7.08 (2H, m, 2 × Ar*H*), 6.55-6.52 (2H, m, 2 × Ar*H*), 6.08 (2H, s, NH_2), 4.41 (2H, s, SCH_2); δ_C (126 MHz, $(CD_3)_2SO$) 153.5, 130.9, 129.9, 129.6, 128.0(5), 128.0(1), 123.0, 112.4, 61.5; *m/z* (ESI^+) 517 ([2M + Na]$^+$, 25%), 270 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{13}H_{13}NNaO_2S^+$ ([M + Na]$^+$) requires 270.0559; found 270.0568. ^{1}H NMR spectroscopy data in accordance with literature.[24]

4-(Benzylsulfonyl)-*N*,*N*-dimethylaniline, 10v

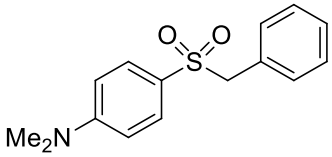


Table 4, entry 14: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 4-iodo-*N*,*N*-dimethylaniline[25] (119 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10v** as a white crystalline solid (97 mg, 74%); mp 147-149 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2926, 1592, 1550, 1561, 1493, 1455, 1442, 1403, 1374, 1331, 1300, 1285, 1229, 1201, 1159, 1135, 1086, 1062, 1029; δ_H (500 MHz, $CDCl_3$) 7.43-7.38 (2H, m, 2 × Ar*H*), 7.33-7.25 (3H, m, 3 × Ar*H*), 7.13-7.10 (2H, m, 2 × Ar*H*), 6.60-6.56 (2H, m, 2 × Ar*H*), 4.26 (2H, s, SCH_2), 3.04 (6H, s, NMe_2); δ_C (126 MHz, $CDCl_3$) 153.3, 130.9, 130.3, 129.1, 128.4, 123.0, 110.5, 63.3, 40.0; *m/z* (ESI^+) 298 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{15}H_{17}NNaO_2S^+$ ([M + Na]$^+$) requires 298.0872; found 298.0864.

Benzyl 4-chlorophenyl sulfone, 10w

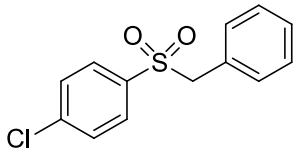


Table 4, entry 16: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-chloro-4-iodobenzene (114 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10w** as a white crystalline solid

(86 mg, 67%); mp 139-142 °C (CH_2Cl_2) {lit.[26] 142.5-143.0 °C (methanol)}; δ_H (400 MHz, $CDCl_3$) 7.54 (2H, d, *J* 8.5, 2 × Ar*H*), 7.41 (2H, d, *J* 8.5, 2 × Ar*H*), 7.37-7.25 (3H, m, 3 × Ar*H*), 7.09 (2H, d, *J* 7.5, 2 × Ar*H*), 4.32 (2H, s, SCH_2); δ_C (101 MHz, $CDCl_3$) 140.5, 136.2, 130.8, 130.1, 129.2, 129.0, 128.7, 127.8, 62.9; *m/z* (ESI^+) 291 ([M(^{37}Cl) + Na]$^+$, 40%), 289 ([M(^{35}Cl) + Na]$^+$, 100%). Data in accordance with literature.[27]

Benzyl thiophen-3-yl sulfone, 10x

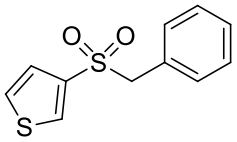


Table 4, entry 17: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 3-iodothiophene (49 μL, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10x** as a white crystalline solid (71 mg, 62%); mp 123-125 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3117, 3093, 3032, 2973, 2920, 1603, 1496, 1457, 1405, 1363, 1295, 1259, 1207, 1186, 1165, 1150, 1120, 1094, 1073, 1030; δ_H (400 MHz, $CDCl_3$) 7.75 (1H, d, *J* 2.0, Ar*H*), 7.40-7.25 (4H, m, 4 × Ar*H*), 7.18-7.06 (3H, m, 3 × Ar*H*), 4.34 (2H, s, SCH_2); δ_C (101 MHz, $CDCl_3$) 138.2, 133.4, 130.7, 128.9, 128.6, 128.2, 127.7, 126.4, 63.1; *m/z* (ESI^+) 261 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{11}H_{10}NaO_2S_2^+$ ([M + Na]$^+$) requires 261.0014; found 261.0012.

2-(Benzylsulfonyl)dibenzo[*b*,*d*]furan, 10y

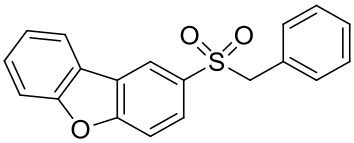


Table 4, entry 18: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 2-iododibenzofuran (141 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10y** as white needles (99 mg, 64%); mp 205-208 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2960, 2927, 2852, 1584, 1442, 1422, 1406, 1346, 1327, 1307, 1295, 1260, 1244, 1199, 1184, 1164, 1154, 1118, 1104, 1071, 1021; δ_H (200 MHz, $CDCl_3$) 8.25 (1H, d, *J* 2.0, Ar*H*), 7.92 (1H, d, *J* 8.0, Ar*H*), 7.73-7.51 (5H, m, 5 × Ar*H*), 7.47-7.38 (1H, m, Ar*H*), 7.34-7.19 (2H, m, 2 × Ar*H*), 7.15-7.03 (2H, m, 2 × Ar*H*), 4.40 (2H, s, SCH_2); δ_C (126 MHz, $CDCl_3$) 158.7, 157.0, 132.3, 130.8, 128.8, 128.6(2), 128.6(0), 128.3, 127.6, 124.8, 123.7, 122.9, 122.3, 121.1, 112.1, 112.0, 63.3; *m/z* (ESI^+) 345 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{19}H_{14}NaO_3S^+$ ([M + Na]$^+$) requires 345.0556; found 345.0552.

5-(Benzylsulfonyl)-2-methoxypyridine, 10z

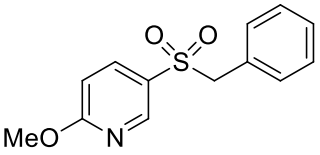


Table 4, entry 19: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 5-iodo-2-methoxypyridine (113 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10z** as a white crystalline solid (88 mg, 70%); mp 114-116 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2977, 2950, 2930, 1589, 1559, 1484, 1454, 1431, 1408, 1375, 1310, 1286, 1272, 1257, 1200, 1163, 1126, 1098, 1071, 1029, 1006; δ_H (500 MHz, $CDCl_3$) 8.39 (1H, dd, *J* 2.5, 0.5, Ar*H*), 7.60 (1H, dd, *J* 9.0, 2.5, Ar*H*), 7.37-7.33 (1H, m, Ar*H*), 7.32-7.28 (2H, m, 2 × Ar*H*), 7.14-7.12 (2H, m, 2 × Ar*H*), 6.71 (1H, dd, *J* 9.0, 0.5, Ar*H*), 4.33 (2H, s, SCH_2), 3.99 (3H, s, O*Me*); δ_C (126 MHz, $CDCl_3$) 167.1, 149.2, 138.5, 130.9, 129.0, 128.7, 128.0, 127.0, 111.0, 63.4, 54.4; *m/z* (ESI^+) 286 ($[M + Na]^+$, 100%), 264 ($[M + H]^+$, 60%); HRMS (ESI^+) $C_{13}H_{13}NNaO_3S^+$ ($[M + Na]^+$) requires 286.0508; found 286.0509.

5-(Benzylsulfonyl)-1*H*-indole, 10aa

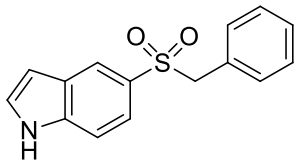


Table 4, entry 20: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 5-iodoindole (117 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10aa** as a white crystalline solid (47 mg, 36%); mp 229-231 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3366, 3142, 3082, 3031, 2916, 1606, 1503, 1467, 1455, 1424, 1407, 1348, 1327, 1291, 1254, 1204, 1162, 1150, 1133, 1112, 1095, 1060, 1030; δ_H (500 MHz, $(CD_3)_2CO$) 10.80 (1H, br. s, N*H*), 8.00-7.99 (1H, m, Ar*H*), 7.59-7.55 (2H, m, Ar*H*), 7.44 (1H, dd, *J* 8.5, 2.0, Ar*H*), 7.33-7.23 (3H, m, 3 × Ar*H*), 7.18-7.15 (2H, m, 2 × Ar*H*), 6.66-6.64 (1H, m, Ar*H*), 4.47 (2H, s, SCH_2); δ_C (126 MHz, $(CD_3)_2CO$) 139.4, 131.9, 130.7, 130.5, 128.9, 128.4, 128.3, 123.2, 121.7, 112.4, 104.0, 79.2, 63.2; *m/z* (ESI^-) 270 ($[M - H]^-$, 100%); HRMS (ESI^+) $C_{15}H_{13}NNaO_2S^+$ ($[M + Na]^+$) requires 294.0559; found 294.0558.

Benzyl (1*E*)-oct-1-en-1-yl sulfone 10ab

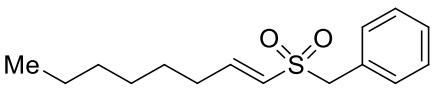


Table 4, entry 21: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and *trans*-1-iodo-1-octene (114 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ab** as a white crystalline solid (59 mg, 46%); mp 28-29 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2928, 2870, 2858, 1496, 1456, 1376, 1303, 1285, 1256, 1221, 1202, 1161, 1151, 1113, 1072, 1030; δ_H (500 MHz, $CDCl_3$) 7.39-7.33 (5H, m, 5 × Ar*H*), 6.71 (1H, dt, *J* 15.0, 7.0, C*H*=CHS), 6.13 (1H, d, *J* 15.0, CH=C*H*S), 4.21 (2H, s, SCH_2), 2.21-2.15 (2H, m, CH_2(CH_2)$_4$$CH_3$), 1.37 (2H, app quin, *J* 6.5, CH_2), 1.28 (2H, app quin, *J* 6.5, CH_2) overlapping 1.26-1.15 (4H, m, 2 × CH_2), 0.95-0.81 (3H, m, (CH_2)$_5$CH_3); δ_C (126 MHz, $CDCl_3$) 151.3, 131.3, 129.2(4), 129.2(0), 128.8, 127.2, 61.9, 32.0, 31.9, 29.1, 27.9, 22.9, 14.5; *m/z* (ESI^+) 289 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{15}H_{22}NaO_2S^+$ ([M + Na]$^+$) requires 289.1233; found 289.1223.

{[(Cyclohexylidenemethyl)sulfonyl]methyl}benzene benzyl cyclohexylidenemethyl sulfone, 10ac

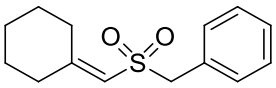


Table 4, entry 22: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and (iodomethylidene)cyclohexane[28] (106 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ac** as a white crystalline solid (104 mg, 87%); mp 74-76 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2922, 2855, 1495, 1450, 1439, 1407, 1357, 1339, 1317, 1298, 1251, 1234, 1202, 1179, 1159, 1150, 1115, 1073, 1029; δ_H (400 MHz, $CDCl_3$) 7.39-7.33 (5H, m, 5 × Ar*H*), 5.85 (1H, s, C=C*H*S), 4.20 (2H, s, SCH_2), 2.37 (2H, t, *J* 6.0, CH_2C=CH), 2.15 (2H, t, *J* 6.0, CH_2C=CH), 1.66-1.59 (2H, m, CH_2), 1.54-1.47 (2H, m, CH_2), 1.43-1.35 (2H, m, CH_2); δ_C (101 MHz, $CDCl_3$) 164.6, 131.1, 128.7(1), 128.6(8), 128.6(5), 119.4, 62.4, 37.7, 29.0, 28.3, 27.3, 25.7; *m/z* (ESI^+) 523 ([2M + Na]$^+$, 100%), 273 ([M + Na]$^+$, 50%); HRMS (ESI^+) $C_{14}H_{18}NaO_2S^+$ ([M + Na]$^+$) requires 273.0920; found 273.0922.

Benzyl cyclohept-1-en-1-yl sulfone, 10ad

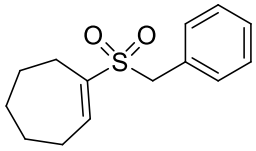


Table 4, entry 23: General procedure C (Method I) was followed by the use of DABSO (127 mg, 0.53 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), benzyl bromide (142 μL, 1.20 mmol) and 1-iodocycloheptene[29] (107 mg, 0.48 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ad** as a white crystalline solid (97 mg, 81%); mp 57-58 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3063, 2922, 2849, 1602, 1494, 1445, 1415,

1360, 1297, 1284, 1224, 1147, 1128, 1114, 1077, 1044, 1031; δ_H (400 MHz, $CDCl_3$) 7.38-7.30 (5H, m, 5 × Ar*H*), 6.85 (1H, t, *J* 6.5, SC=C*H*), 4.16 (2H, s, SCH_2), 2.48-2.43 (2H, m, CH_2), 2.26-2.20 (2H, m, CH_2), 1.78-1.71 (2H, m, CH_2), 1.61-1.54 (2H, m, CH_2), 1.54-1.47 (2H, m, CH_2); δ_C (101 MHz, $CDCl_3$) 146.2, 142.1, 130.7, 128.7(3), 128.6(7), 128.4, 59.5, 31.1, 28.7, 28.2, 26.1, 25.3; *m/z* (ESI^+) 523 ($[2M + Na]^+$, 50%), 273 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{14}H_{18}O_2S^+$ ($[M + Na]^+$) requires 273.0920; found 273.0925.

4-Ethoxyphenyl 4-(trifluoromethyl)benzyl sulfone, 10ae

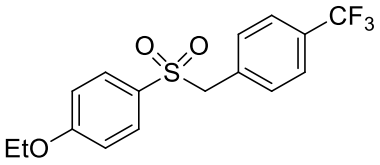


Table 5, entry 1: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 4-(trifluoromethyl)benzyl bromide (186 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ae** as a white crystalline solid (150 mg, 91%); mp 226-228 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2989, 2952, 2916, 1596, 1577, 1497, 1477, 1446, 1411, 1396, 1331, 1312, 1295, 1286, 1261, 1205, 1176, 1146, 1131, 1117, 1089, 1067, 1040, 1019; δ_H (500 MHz, $CDCl_3$) 7.56-7.52 (4H, m, 4 × Ar*H*), 7.26-7.22 (2H, m, 2 × Ar*H*), 6.95-6.84 (2H, m, 2 × Ar*H*), 4.33 (2H, s, SCH_2), 4.09 (2H, q, *J* 7.0, O$CH_2$$CH_3$), 1.45 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (126 MHz, $CDCl_3$) 163.4, 132.5, 131.2, 131.1 (q, J_{CF} 32.0), 130.7, 128.8, 125.4 (q, J_{CF} 4.0), 123.8 (q, J_{CF} 272.5), 114.6, 64.1, 62.6, 14.5; δ_F (470 MHz, $CDCl_3$) –62.9 (s, CF_3); *m/z* (ESI^-) 343 ($[M - H]^-$, 100%); HRMS (ESI^+) $C_{16}H_{15}F_3NaO_3S^+$ ($[M + Na]^+$) requires 367.0586; found 367.0588.

2-Bromobenzyl 4-ethoxyphenyl sulfone, 10af

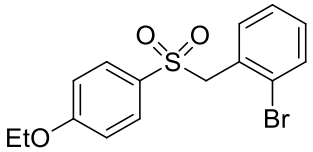


Table 5, entry 2: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 2-bromobenzylbromide (300 mg, 1.20 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10af** as a white crystalline solid (153 mg, 90%); mp 136-138 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2987, 2922, 1592, 1575, 1493, 1477, 1443, 1412, 1394, 1313, 1301, 1292, 1281, 1262, 1244, 1202, 1178, 1152, 1132, 1114, 1083, 1045, 1024; δ_H (500 MHz, $CDCl_3$) 7.55-7.51 (2H, m, 2 × Ar*H*), 7.49 (1H, dd, *J* 8.0, 1.5, Ar*H*), 7.46 (1H, dd, *J* 8.0, 1.5, Ar*H*), 7.32 (1H, app td, *J* 7.5, 1.5, Ar*H*), 7.18 (1H,

app td, *J* 7.5, 1.5, Ar*H*), 6.90-6.86 (2H, m, 2 × Ar*H*), 4.57 (2H, s, SCH_2), 4.09 (2H, q, *J* 7.0, OCH_2CH$_3$), 1.44 (3H, t, *J* 7.0, OCH$_2$CH_3); δ_C (126 MHz, $CDCl_3$) 163.4, 132.9(3), 132.9(0), 131.0, 130.3, 129.4, 128.7, 127.7, 126.0, 114.5, 64.0, 61.8, 14.6; HRMS (FI^+) $C_{15}H_{15}{}^{79}BrO_3S^+$ ([M(^{79}Br)]$^+$) requires 355.9905; found 355.9903.

4-Ethoxyphenyl naphthalen-2-ylmethyl sulfone, 10ag

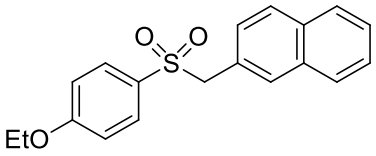


Table 5, entry 3: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 2-(bromomethyl)naphthalene (265 mg, 1.20 mmol). The reaction mixture was stirred at 90 °C for 5 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ag** as a white crystalline solid (146 mg, 93%); mp 128-130 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3052, 2977, 2938, 1596, 1579, 1498, 1477, 1442, 1210, 1393, 1365, 1313, 1295, 1256, 1211, 1179, 1146, 1114, 1089, 1044; δ_H (500 MHz, $CDCl_3$) 7.84-7.81 (1H, m, Ar*H*), 7.75 (1H, d, *J* 8.0, Ar*H*) overlapping 7.75-7.73 (1H, m, Ar*H*), 7.56 (1H, app br. s, Ar*H*), 7.54-7.46 (4H, m, 4 × Ar*H*), 7.20 (1H, dd, *J* 8.5, 2.0, Ar*H*), 6.87-6.83 (2H, m, 2 × Ar*H*), 4.45 (2H, s, SCH_2), 4.06 (2H, q, *J* 7.0. OCH_2CH$_3$), 1.43 (3H, t, *J* 7.0, OCH$_2$CH_3); δ_C (126 MHz, $CDCl_3$) 163.1, 133.0, 130.8, 130.5, 129.2, 128.2, 128.0, 127.9, 127.6, 126.6, 126.3, 126.0, 114.5, 64.0, 63.3, 14.5; *m/z* (ESI^+) 349 ([M + Na]$^+$, 100%); HRMS (ESI^+) $C_{19}H_{18}NaO_3S^+$ ([M + Na]$^+$) requires 349.0869; found 349.0879.

4-Ethoxyphenyl (2*E*)-3-phenylprop-2-en-1-yl sulfone, 10ah

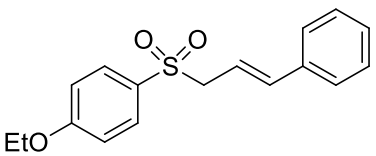


Table 5, entry 4: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 3-bromo-1-phenyl-1-propene (178 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ah** as a white crystalline solid (75 mg, 51%).

Table 5, entry 4: General procedure C (Method II) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 3-bromo-1-phenyl-1-propene (142 μL, 0.96 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ah** as a white crystalline solid (120 mg, 83%).

Sulfone **10ah**: mp 102-104 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2938, 1595, 1574, 1494, 1471, 1453, 1414, 1390, 1315, 1296, 1262, 1178, 1141, 1117, 1087, 1039; δ_H (500 MHz, $CDCl_3$) 7.80-7.76 (2H, m, 2 × Ar*H*), 7.32-7.28 (5H, m, 5 × Ar*H*), 6.98-6.94 (2H, m, 2 × Ar*H*), 6.38 (1H, app d, *J* 16.0, SCH_2CH=C*H*), 6.11 (1H, dt, *J* 16.0, 7.5, SCH_2C*H*=CH), 4.09 (2H, q, *J* 7.0, OCH_2CH_3), 3.92 (2H, dd, *J* 7.5, 1.0, SCH_2CH=CH), 1.44 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (126 MHz, $CDCl_3$) 163.6, 139.4, 136.3, 131.1, 130.2, 129.1, 128.9, 127.1, 116.0, 115.1, 64.5, 61.2, 15.0; *m/z* (ESI^+) 325 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{17}H_{18}NaO_3S^+$ ($[M + Na]^+$) requires 325.0869; found 325.0866.

Cyclohex-2-en-1-yl 4-ethoxyphenyl sulfone, 10ai

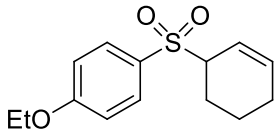


Table 5, entry 5: General procedure C (Method II) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 3-bromocyclohexene (110 μL, 0.96 mmol). Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ai** as a white crystalline solid (70 mg, 55%); mp 128-130 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2962, 2933, 2863, 1591, 1575, 1491, 1471, 1456, 1428, 1410, 1392, 1312, 1285, 1261, 1238, 1216, 1188, 1173, 1137, 1124, 1113, 1105, 1083, 1040, 1018; δ_H (400 MHz, $CDCl_3$) 7.80-7.75 (2H, m, 2 × Ar*H*), 7.01-6.96 (2H, m, 2 × Ar*H*), 6.06 (1H, app dtd, *J* 10.0, 4.0, 2.5, CH=C*H*), 5.78 (1H, app dq, *J* 10.0, 2.5, C*H*=CH), 4.10 (2H, q, *J* 7.0, OCH_2CH_3), 3.75-3.68 (1H, m, SC*H*), 2.03-1.93 (3H, m), 1.89-1.70 (2H, m), 1.54-1.46 (1H, m) overlapping 1.45 (3H, t, *J* 7.0, OCH_2CH_3); δ_C (101 MHz, $CDCl_3$) 163.1, 135.0, 131.3, 128.6, 118.9, 114.5, 64.0, 62.0, 24.4, 22.8, 19.6, 14.6; *m/z* (ESI^+) 289 ($[M + Na]^+$, 100%); HRMS (ESI^+) $C_{14}H_{18}NaO_3S^+$ ($[M + Na]^+$) requires 289.0869; found 289.0874.

2-[(4-Ethoxyphenyl)sulfonyl]cyclohexanol, 10aj

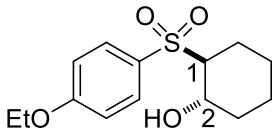


Table 5, entry 6: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and cyclohexene oxide (121 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3 to 1:1, petrol:Et_2O) yielded *sulfone* **10aj** as a white crystalline solid (48 mg, 35%, >20:1 dr by ^1H NMR spectroscopy).

Table 5, entry 6: General procedure C (Method II) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and cyclohexene oxide (97 μL, 0.96 mmol). Column

chromatography (eluent: 7:3 to 1:1, petrol:Et_2O) yielded *sulfone* **10aj** as a white crystalline solid (102 mg, 75%, >20:1 dr by ^{1}H NMR spectroscopy).

Sulfone **10aj**: mp 69-72 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3511, 2985, 2943, 2861, 1592, 1574, 1494, 1468, 1449, 1394, 1357, 1333, 1312, 1262, 1211, 1177, 1131, 1113, 1086, 1062, 1040; δ_H (400 MHz, $CDCl_3$) 7.83-7.77 (2H, m, 2 × Ar*H*), 7.08-6.99 (2H, m, 2 × Ar*H*), 4.40 (1H, br. s, O*H*), 4.12 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 3.86 (1H, td, *J* 10.0, 5.0, C(2)*H*OH), 2.98-2.90 (1H, m, SC(1)*H*), 2.16-2.08 (1H, m), 1.96-1.89 (1H, m), 1.77-1.67 (2H, m), 1.46 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$), 1.40-1.13 (4H, m); δ_C (101 MHz, $CDCl_3$) 163.5, 131.2, 127.6, 114.8, 69.0, 68.3, 64.2, 34.1, 25.8, 24.6, 23.6, 14.6; *m/z* (ESI$^+$) 307 ([M + Na]$^+$, 100%); HRMS (ESI$^+$) $C_{14}H_{20}NaO_4S^+$ ([M + Na]$^+$) requires 307.0975; found 307.0980.

4-Ethoxyphenyl propyl sulfone 10ak

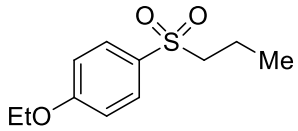


Table 5, entry 7: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 1-iodopropane (117 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10ak** as a white crystalline solid (60 mg, 55%); mp 39-40 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2979, 2937, 2879, 1593, 1574, 1496, 1476, 1465, 1402, 1384, 1348, 1311, 1290, 1261, 1214, 1180, 1138, 1115, 1089, 1038; δ_H (400 MHz, $CDCl_3$) 7.85-7.78 (2H, m, 2 × Ar*H*), 7.04-6.96 (2H, m, 2 × Ar*H*), 4.11 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 3.07-3.02 (2H, m, SC*H*$_2$CH$_2$CH$_3$), 1.80-1.66 (2H, m, SCH$_2$C*H*$_2$CH$_3$), 1.46 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$), 0.99 (3H, t, *J* 7.5, SCH$_2$CH$_2$C*H*$_3$); δ_C (101 MHz, $CDCl_3$) 163.1, 130.4, 130.2, 114.8, 64.0, 58.3, 16.7, 14.6, 12.9; *m/z* (ESI$^+$) 251 ([M + Na]$^+$, 100%); HRMS (ESI$^+$) $C_{11}H_{16}NaO_3S^+$ ([M + Na]$^+$) requires 251.0712; found 251.0707.

4-Ethoxyphenyl hexyl sulfone, 10al

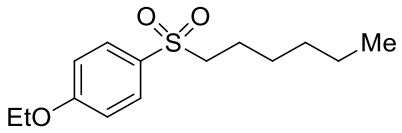


Table 5, entry 8: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 1-iodohexane (177 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded *sulfone* **10al** as a colourless oil (82 mg, 63%); ν_{max} (neat)/cm^{-1} 2931, 2871, 1595, 1578, 1496, 1475, 1395, 1314, 1296, 1257, 1216, 1138, 1115, 1089, 1039; δ_H (400 MHz, $CDCl_3$) 7.84-7.70 (2H, m, 2 × Ar*H*), 7.03-6.95

(2H, m, 2 × Ar*H*), 4.10 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 3.10-2.99 (2H, m, SC*H*$_2$(CH$_2$)$_4$CH$_3$), 1.75-1.61 (2H, m, SCH$_2$C*H*$_2$(CH$_2$)$_3$CH$_3$), 1.44 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$), 1.33 (2H, app quin, *J* 7.0, C*H*$_2$) overlapping 1.29-1.18 (4H, m, 2 × C*H*$_2$), 0.84 (3H, t, *J* 7.0, S(CH$_2$)$_5$C*H*$_3$); δ_C (101 MHz, $CDCl_3$) 163.0, 130.5, 130.2, 114.8, 64.0, 56.6, 31.2, 27.9, 22.8, 22.3, 14.6, 13.9; *m/z* (ESI$^+$) 293 ([M + Na]$^+$, 100%), 271 ([M + H]$^+$, 70%); HRMS (ESI$^+$) $C_{14}H_{22}NaO_3S^+$ ([M + Na]$^+$) requires 293.1182; found 293.1178.

Ethyl 2-[(4-ethoxyphenyl)sulfonyl]-2-methylpropanoate, 10am

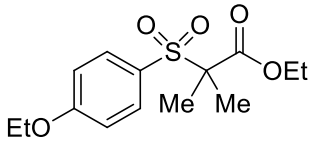


Table 5, entry 9: General procedure C (Method I) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and ethyl-α-bromoisobutyrate (176 μL, 1.20 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3, petrol:Et$_2$O) yielded *sulfone* **10am** as a white crystalline solid (82 mg, 57%); mp 86-89 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2983, 2941, 1731, 1594, 1577, 1497, 1473, 1415, 1394, 1367, 1316, 1298, 1259, 1153, 1128, 1079, 1038, 1023; δ_H (500 MHz, $CDCl_3$) 7.78-7.74 (2H, m, 2 × Ar*H*), 7.02-6.92 (2H, m, 2 × Ar*H*), 4.15 (2H, q, *J* 7.0, COOC*H*$_2$CH$_3$) overlapping 4.12 (2H, q, *J* 7.0, ArOC*H*$_2$CH$_3$), 1.61 (6H, s, 2 × SC*Me*), 1.46 (3H, t, *J* 7.0, ArOCH$_2$C*H*$_3$), 1.24 (3H, t, *J* 7.0, COOCH$_2$C*H*$_3$); δ_C (126 MHz, $CDCl_3$) 169.5, 164.0, 133.0, 127.2, 114.7, 69.4, 64.5, 62.7, 20.8, 15.0, 14.3; *m/z* (ESI$^+$) 323 ([M + Na]$^+$, 100%); HRMS (ESI$^+$) $C_{14}H_{20}NaO_5S^+$ ([M + Na]$^+$) requires 323.0924; found 323.0913.

2-[(4-Ethoxyphenyl)sulfonyl]-5-(trifluoromethyl)benzaldehyde, 10an

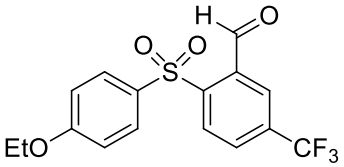
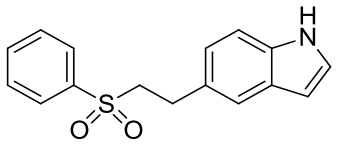


Table 5, entry 10: General procedure C (Method II) was followed by the use of DABSO (69 mg, 0.29 mmol), DABCO (27 mg, 0.24 mmol), 4-aminomorpholine (55 μL, 0.58 mmol), 1-ethoxy-4-iodobenzene (119 mg, 0.48 mmol) and 2-fluoro-5-(trifluoromethyl)benzaldehyde (136 μL, 0.96 mmol). Column chromatography (eluent: 7:3, petrol:Et$_2$O) yielded *sulfone* **10an** as a pale yellow crystalline solid (60 mg, 35%); mp 88-90 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 2987, 2920, 1705, 1593, 1579, 1496, 1473, 1446, 1417, 1397, 1328, 1256, 1178, 1166, 1151, 1128, 1077, 1039; δ_H (500 MHz, $CDCl_3$) 10.92 (1H, s, ArC*H*O), 8.26 (1H, dd, *J* 1.5, 0.5, Ar*H*), 8.24 (1H, d, *J* 8.0, Ar*H*), 7.97 (1H, dd, *J* 8.0, 1.5, Ar*H*), 7.85-7.81 (2H, m, 2 × Ar*H*), 7.05-6.98 (2H, m, 2 × Ar*H*), 4.10 (2H, q, *J* 7.0, OC*H*$_2$CH$_3$), 1.44 (3H, t, *J* 7.0, OCH$_2$C*H*$_3$); δ_C (126 MHz, $CDCl_3$) 188.1, 163.7, 146.5, 135.3 (q, J_{CF} 34.0), 134.3, 131.2, 130.1(3) (q, J_{CF} 3.5), 130.1(0), 129.8, 126.6 (q, J_{CF} 3.5), 122.6 (q, J_{CF} 273.0),

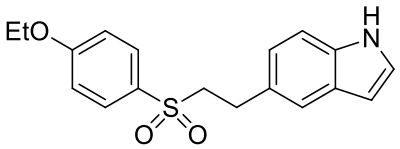
115.5, 64.3, 14.5; δ_F (470 MHz, $CDCl_3$) –63.4 (s, C*F*$_3$); *m/z* (ESI$^+$) 413 ([M + Na + MeOH]$^+$, 100%); *m/z* (ESI$^-$) 425 ([M + Cl + MeOH]$^-$, 100%); HRMS (ESI$^+$) $C_{16}H_{13}F_3NaO_4S^+$ ([M + Na]$^+$) 381.0379; found 381.0367.

5-[2-(Phenylsulfonyl)ethyl]-1*H*-indole, 13



General procedure C (Method I) was followed by the use of DABSO (53 mg, 0.22 mmol), 4-aminomorpholine (25 μL, 0.24 mmol), iodobenzene (22 μL, 0.20 mmol) and 5-(2-iodoethyl)-1*H*-indole, **12** (136 mg, 0.50 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3 to 3:7, petrol:Et_2O) yielded sulfone **13** as an off-white crystalline solid (25 mg, 43%); ν_{max} (neat)/cm^{-1} 3394, 2920, 2851, 1447, 1304, 1149, 1085; δ_H (200 MHz, $CDCl_3$) 8.14 (1H, br. s, N*H*), 8.01-7.92 (2H, m, 2 × Ar*H*), 7.69-7.53 (3H, m, 3 × Ar*H*), 7.40-7.36 (1H, m, Ar*H*), 7.31 (1H, d, *J* 8.5, Ar*H*), 7.23-7.19 (1H, m, Ar*H*), 6.94 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.47 (1H, ddd, *J* 3.0, 2.0, 1.0, Ar*H*), 3.48-3.37 (2H, m, SC*H*$_2$CH$_2$Ar), 3.19-3.08 (2H, m, SCH$_2$C*H*$_2$Ar); δ_C (126 MHz, $CDCl_3$) 139.1, 134.7, 133.7, 129.3, 128.7, 128.2, 128.1, 124.8, 122.4, 120.1, 111.3, 102.3, 58.4, 28.9; HRMS (ESI$^+$) $C_{16}H_{15}NNaO_2S^+$ ([M + Na]$^+$) requires 308.0716; found 308.0718. Data in accordance to literature.[30]

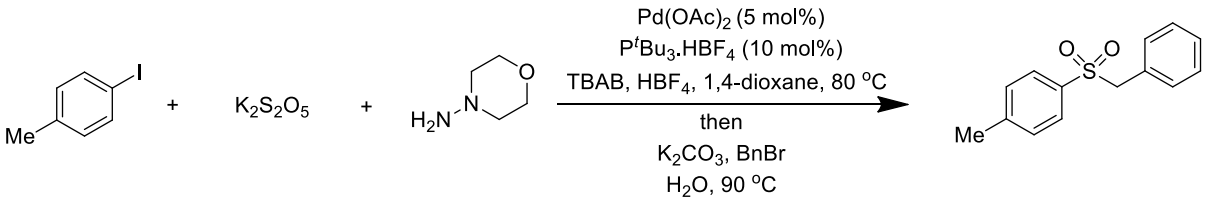
5-{2-[(4-Ethoxyphenyl)sulfonyl]ethyl}-1*H*-indole, 14



General procedure C (Method I) was followed by the use of DABSO (29 mg, 0.12 mmol), DABCO (11 mg, 0.10 mmol), 4-aminomorpholine (25 μL, 0.24 mmol), 4-ethoxy-*N*-(morpholin-4-yl)benzenesulfonamide (56 mg, 0.20 mmol) and 5-(2-iodoethyl)-1*H*-indole, **12** (136 mg, 0.50 mmol). The reaction mixture was stirred at 90 °C for 20 h. Column chromatography (eluent: 7:3 to 3:7, petrol:Et_2O) yielded *sulfone* **14** as an off-white crystalline solid (41 mg, 63%); mp 89-91 °C (CH_2Cl_2); ν_{max} (neat)/cm^{-1} 3400, 2981, 2929, 1594, 1578, 1496, 1475, 1454, 1416, 1395, 1342, 1313, 1260, 1180, 1137, 1087, 1039; δ_H (400 MHz, $CDCl_3$) 8.13 (1H, br. s, N*H*), 7.88-7.83 (2H, m, 2 × Ar*H*), 7.38-7.35 (1H, m, Ar*H*), 7.29 (1H, d, *J* 8.5, Ar*H*), 7.21-7.18 (1H, m, Ar*H*), 7.03-6.98 (2H, m, 2 × Ar*H*), 6.94 (1H, dd, *J* 8.5, 1.5, Ar*H*), 6.46 (1H, ddd, *J* 3.0, 2.0, 1.0, Ar*H*), 4.11 (2H, q, *J* 7.0, ArOC*H*$_2$CH$_3$), 3.41-3.35 (2H, m, SC*H*$_2$CH$_2$Ar), 3.14-3.07 (2H, m, SCH$_2$C*H*$_2$Ar), 1.46 (3H, t, *J* 7.0, ArOCH$_2$C*H*$_3$); δ_C (126 MHz, $CDCl_3$) 163.1, 134.7, 130.4, 130.2, 128.9, 128.2, 124.7, 122.5, 120.1, 114.8, 111.3, 102.3, 64.0, 58.6, 29.1, 14.6; *m/z* (ESI$^+$) 681 ([2M + Na]$^+$, 40%), 352 ([M + Na]$^+$,

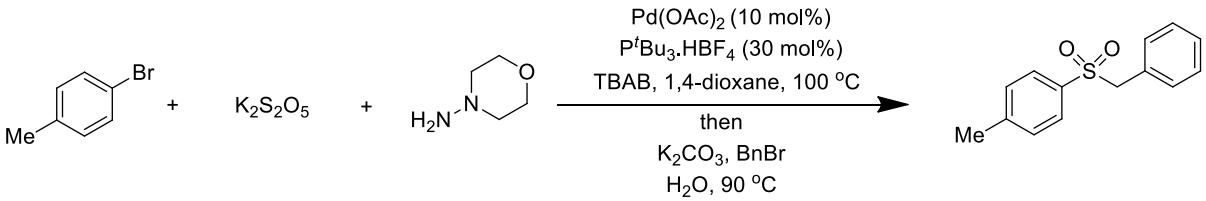
100%); *m/z* (ESI$^-$) 278 ([M – H]$^-$, 100%); HRMS (ESI$^+$) $C_{18}H_{19}NNaO_3S^+$ ([M + Na]$^+$) requires 352.0978; found 352.0964.

Synthesis of benzyl 4-methylphenyl sulfone, 10a using potassium metabisulfite/TBAB and 4-iodotoluene.



An oven-dried tube was charged with tri-*tert*-butylphosphonium tetrafluoroborate (15 mg, 10 mol%), palladium(II) acetate (5 mg, 5 mol%), 4-iodotoluene (109 mg, 0.50 mmol), potassium metabisulfite (111 mg, 0.50 mmol), HBF_4 (14 μL, 0.10 mmol, HBF_4 54 wt.% in Et_2O), and tetrabutylammonium bromide (242 mg, 0.75 mmol). 4-Aminomorpholine (58 μL, 0.60 mmol) and 1,4-dioxane (2.0 mL) were added. The reaction mixture was stirred at 80 °C for 21 h (reaction completion by TLC was not observed after 21 h).[31] $K_2CO_{3(aq)}$ (0.52 mL, 2.40 M) and benzyl bromide (149 μL, 1.25 mmol) were added and the reaction mixture stirred at 90 °C for 5 h. After cooling to rt, the suspension was filtered through a short pad of Celite® and washed sequentially with CH_2Cl_2 (5 mL) and water (5 mL). The organic layer was separated and the aqueous layer extracted with CH_2Cl_2 (2 × 5 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (125 mg, 72%).

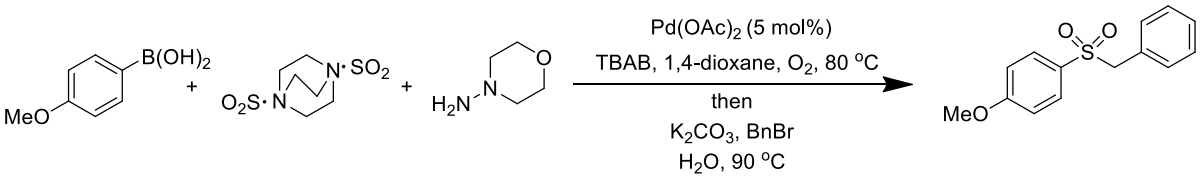
Synthesis of benzyl 4-methylphenyl sulfone, 10a using potassium metabisulfite/TBAB and 4-bromotoluene.



An oven-dried tube was charged with tri-*tert*-butylphosphonium tetrafluoroborate (44 mg, 30 mol%), palladium(II) acetate (11 mg, 10 mol%), 4-bromotoluene (62 μL, 0.50 mmol), potassium metabisulfite (222 mg, 1.00 mmol), and tetrabutylammonium bromide (242 mg, 0.75 mmol). 4-Aminomorpholine (72 μL, 1.00 mmol) and 1,4-dioxane (2.0 mL) were added. The reaction mixture was stirred at 100 °C for 21 h (reaction completion by TLC was not observed after 21 h).[31] $K_2CO_{3(aq)}$ (0.52 mL, 2.40 M) and benzyl bromide (149 μL, 1.24 mmol) were added and the reaction mixture stirred at 90 °C for 5 h. After cooling to rt, the suspension was filtered through a short pad of Celite® and washed sequentially

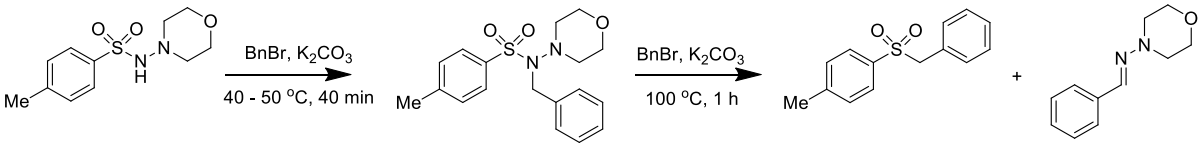
with CH_2Cl_2 (5 mL) and water (5 mL). The organic layer was separated and the aqueous layer extracted with CH_2Cl_2 (2 × 5 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (83 mg, 68%).

Synthesis of benzyl 4-methoxyphenyl sulfone, 10q using DABSO and 4-methoxyphenylboronic acid.



An oven-dried tube was charged with 4-methoxyphenylboronic acid (152 mg, 1.00 mmol), palladium(II) acetate (5 mg, 5 mol%), DABSO (240 mg, 1.00 mmol), and tetrabutylammonium bromide (242 mg, 0.75 mmol). 4-Aminomorpholine (48 µL, 0.50 mmol) and 1,4-dioxane (2.0 mL) were added. The reaction mixture was stirred at 80 °C for 16 h under a balloon of O_2.[32] $K_2CO_{3(aq)}$ (0.52 mL, 2.40 M) and benzyl bromide (149 µL, 1.25 mmol) were added and the reaction mixture stirred at 90 °C for 5 h. After this time, K_2CO_3 (69 mg, 0.50 mmol) and benzyl bromide (59 µL, 0.50 mmol) were added and the reaction mixture stirred at 90 °C for a further 16 h. After cooling to rt, the suspension was filtered through a short pad of Celite® and washed sequentially with CH_2Cl_2 (5 mL) and water (5 mL). The organic layer was separated and the aqueous layer extracted with CH_2Cl_2 (2 × 5 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10q** as a white crystalline solid (113 mg, 86%).

5) Mechanistic investigation



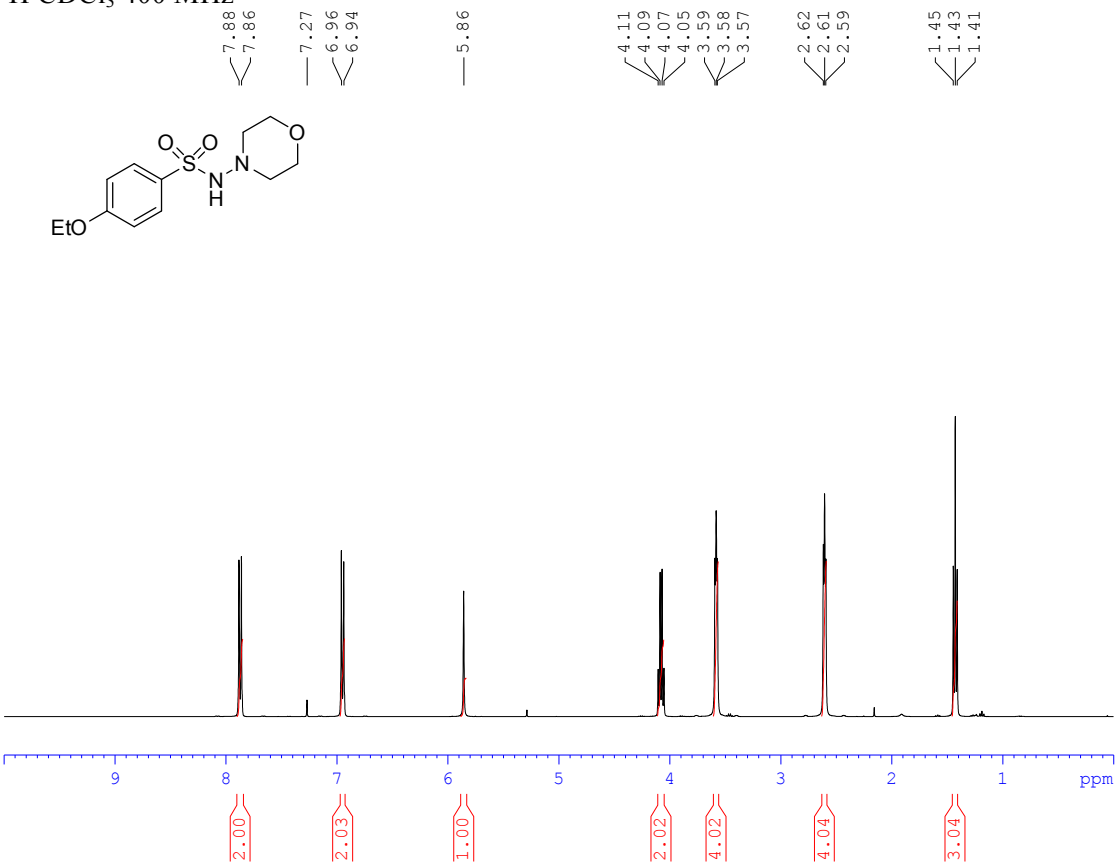
To a round-bottomed flask, benzyl bromide (114 µL, 0.96 mmol) was added to a solution of 4-methyl-*N*-(morpholin-4-yl)benzenesulfonamide **9a** (123 mg, 0.48 mmol) and Cs_2CO_3 (313 mg, 0.96 mmol) in 1,4-dioxane (1.6 mL). The reaction mixture was stirred at 40 °C for 20 min and then stirred at 50 °C for 20 min. After cooling to rt, the suspension was filtered through filter paper and the residue washed with CH_2Cl_2 (5 mL). The filtrate was concentrated *in vacuo* to afford a thick pale yellow oil that was determined by ^{1}H NMR spectroscopy to be a mixture of the presumed trialkylaminosulfonamide intermediate, *N*-benzyl-4-methyl-*N*-morpholinobenzenesulfonamide and unreacted benzyl bromide. To this oil was added benzyl bromide (57 µL, 0.48 mmol), Cs_2CO_3 (150 mg, 0.48 mmol) and 1,4-dioxane (1.6 mL). The reaction mixture was stirred at 100 °C for 1 h and then cooled to rt. The

reaction mixture was diluted with water (5 mL) and washed with CH_2Cl_2 (3 × 5 mL). The combined organic extracts were washed with brine (5 mL), dried over $MgSO_4$, filtered and then concentrated *in vacuo*. Column chromatography (eluent: 7:3, petrol:Et_2O) yielded sulfone **10a** as a white crystalline solid (108 mg, 91%) and hydrazone **11** (77 mg, 85%) as a white crystalline solid.

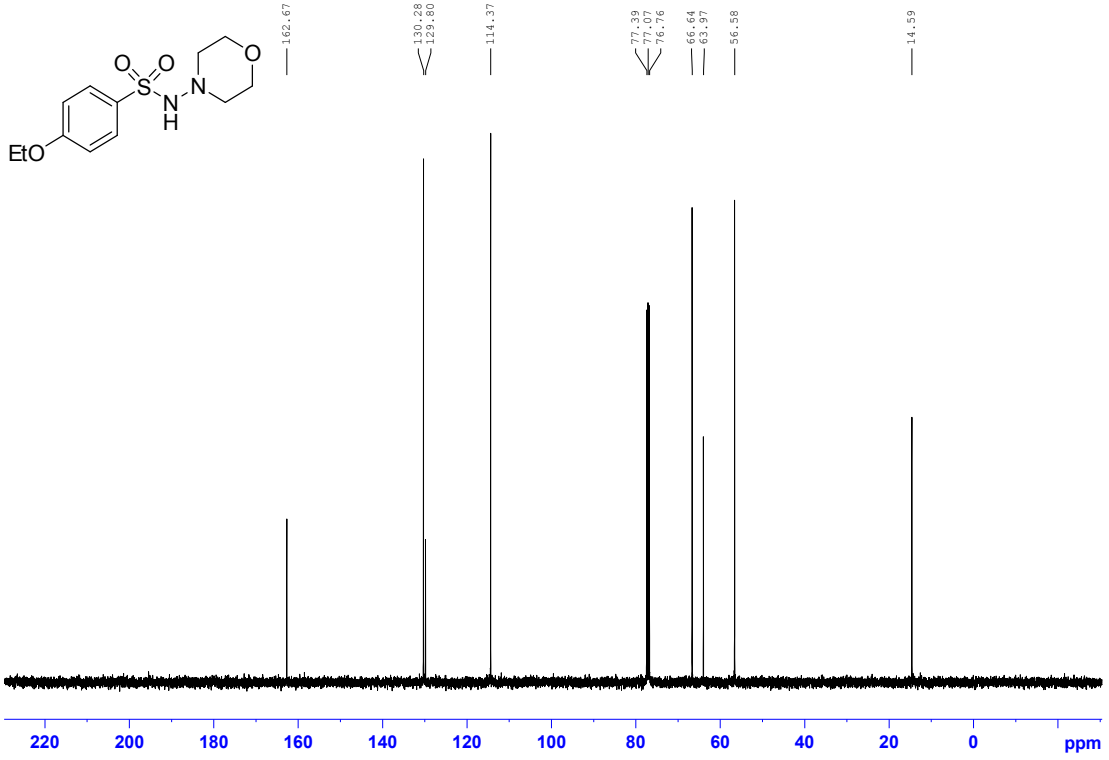
6) ^{1}H and ^{13}C NMR Spectra

4-Ethoxy-*N*-(morpholin-4-yl)benzenesulfonamide, 9b

^{1}H $CDCl_3$ 400 MHz

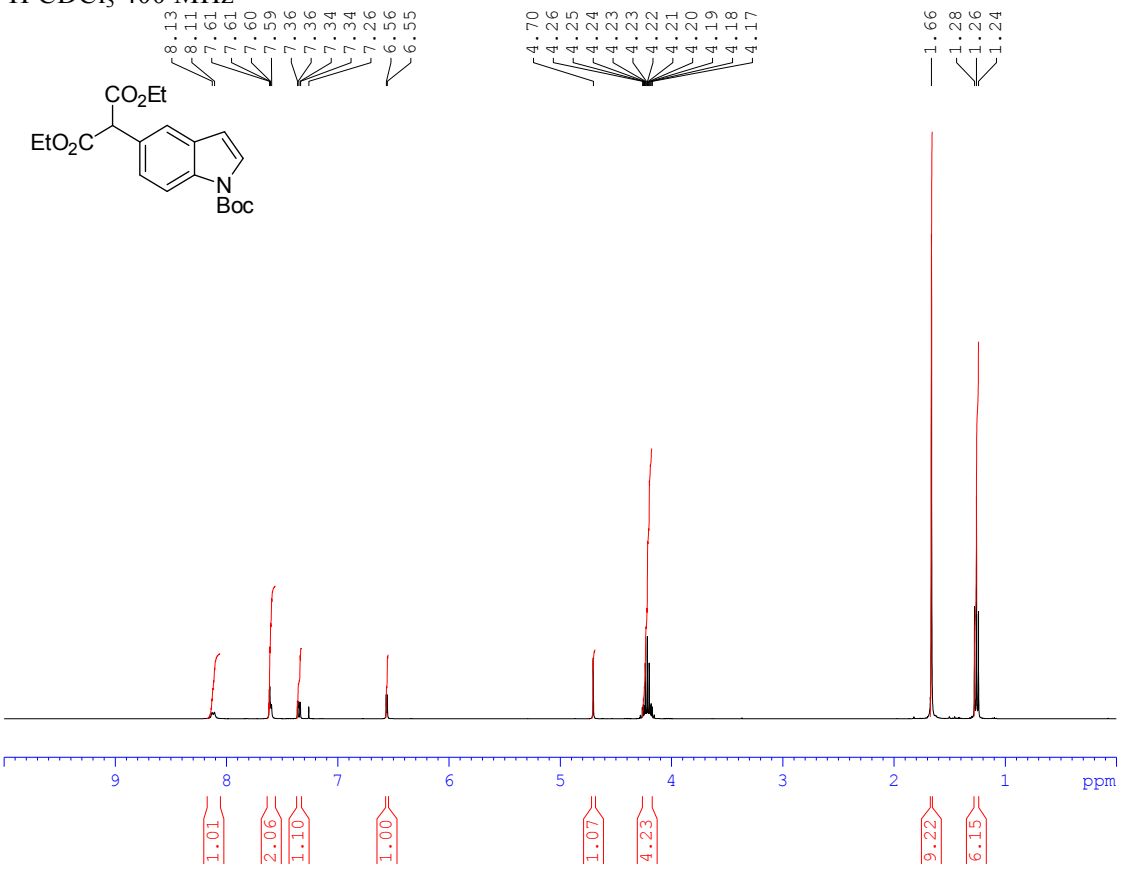


^{13}C $CDCl_3$ 101 MHz

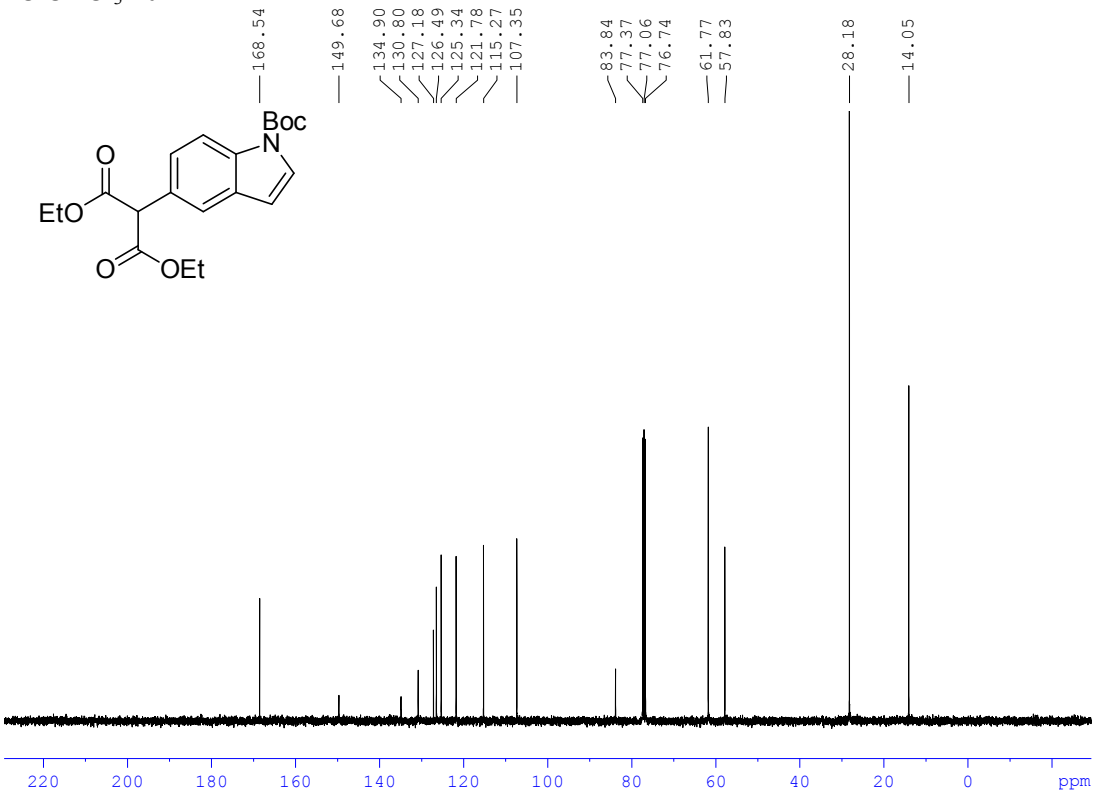


Diethyl [1-(*tert*-butoxycarbonyl)-1*H*-indol-5-yl]propanedioate, 12a

^{1}H $CDCl_3$ 400 MHz

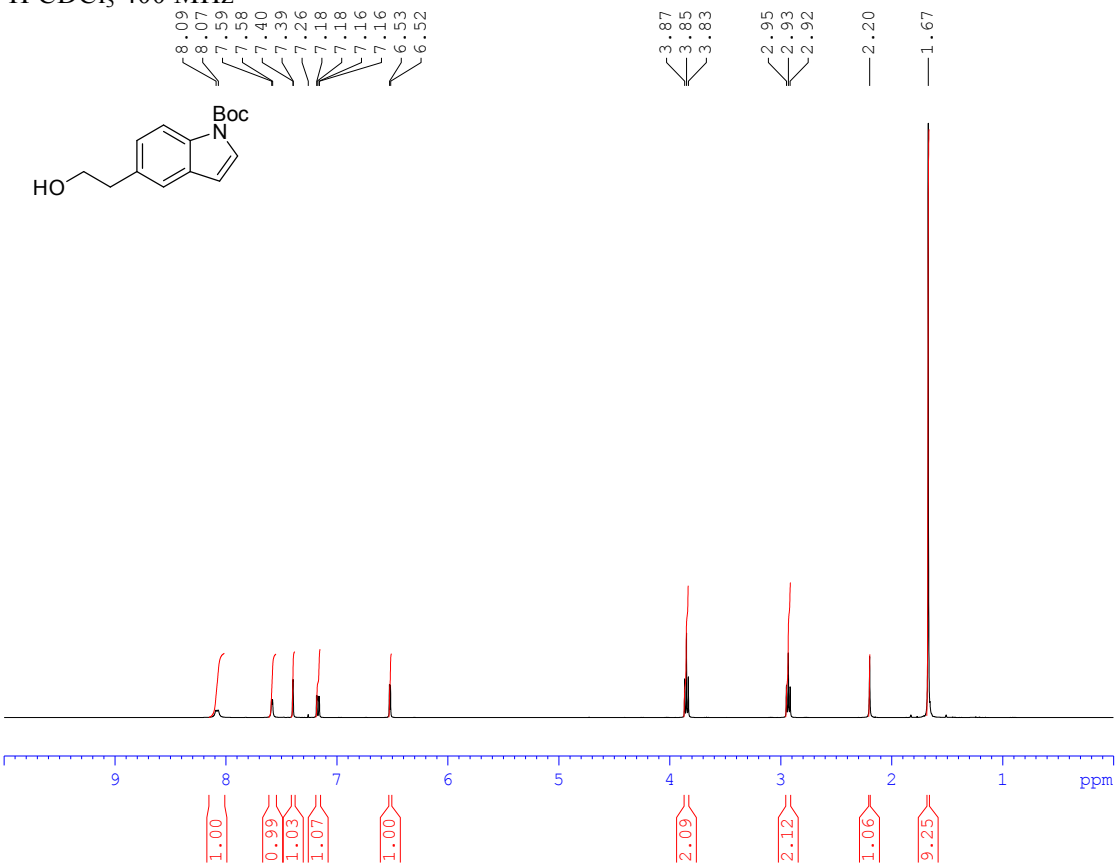


^{13}C $CDCl_3$ 101 MHz

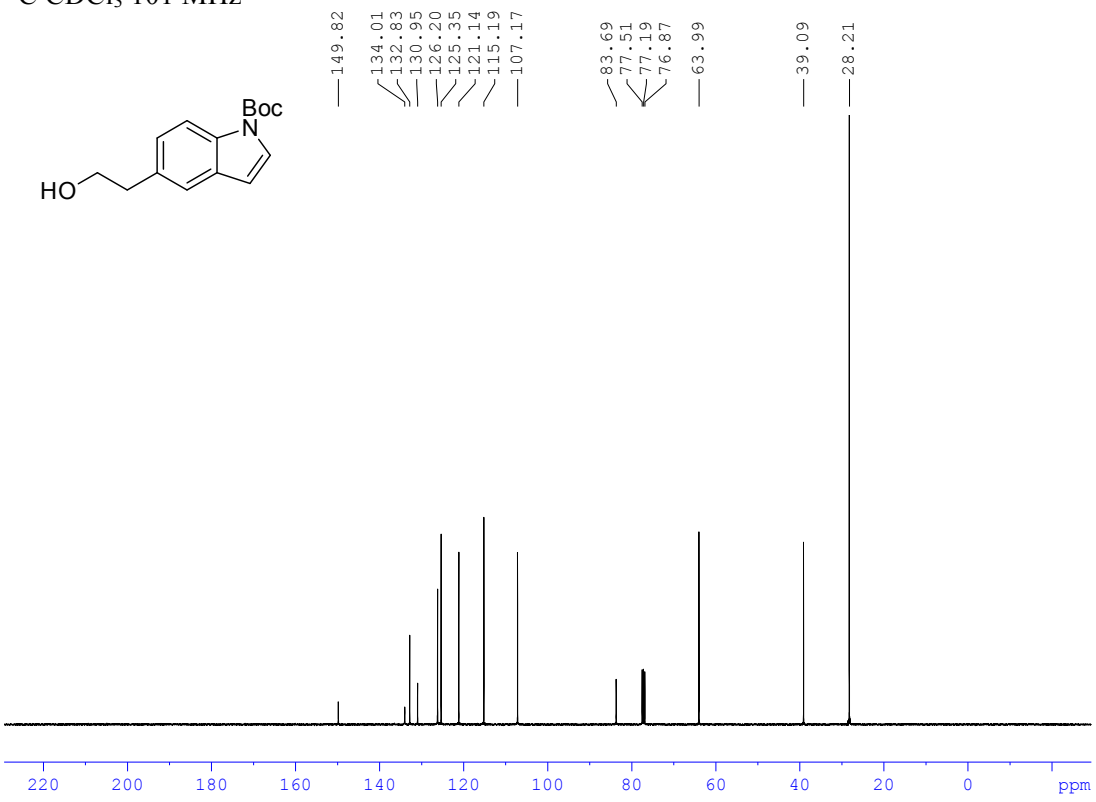


tert-Butyl 5-(2-hydroxyethyl)-1*H*-indole-1-carboxylate, 12c

^{1}H $CDCl_3$ 400 MHz

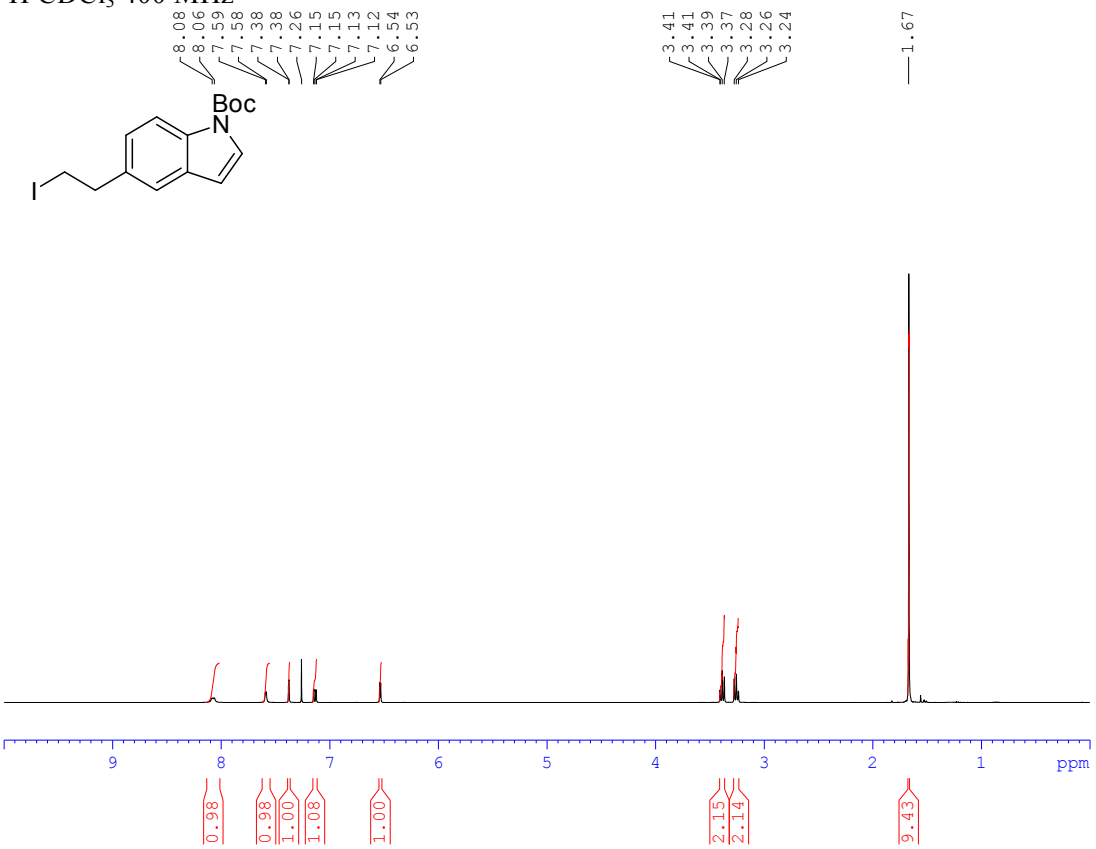


^{13}C $CDCl_3$ 101 MHz

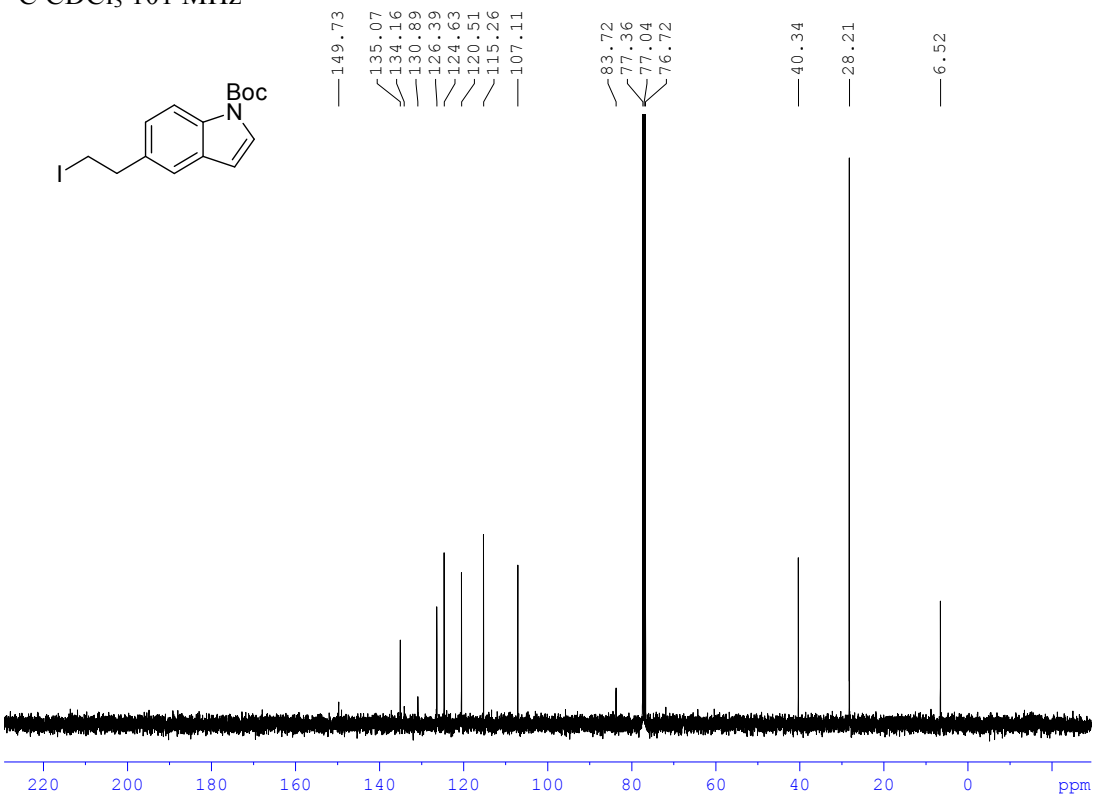


tert-Butyl 5-(2-iodoethyl)-1*H*-indole-1-carboxylate, 12d

^{1}H $CDCl_3$ 400 MHz

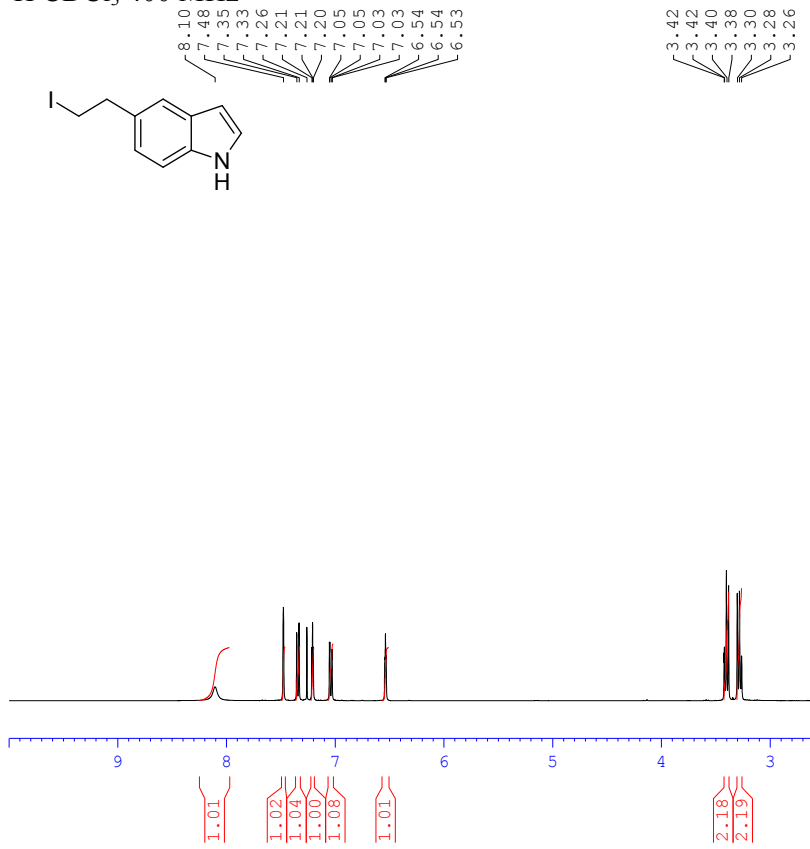


^{13}C $CDCl_3$ 101 MHz

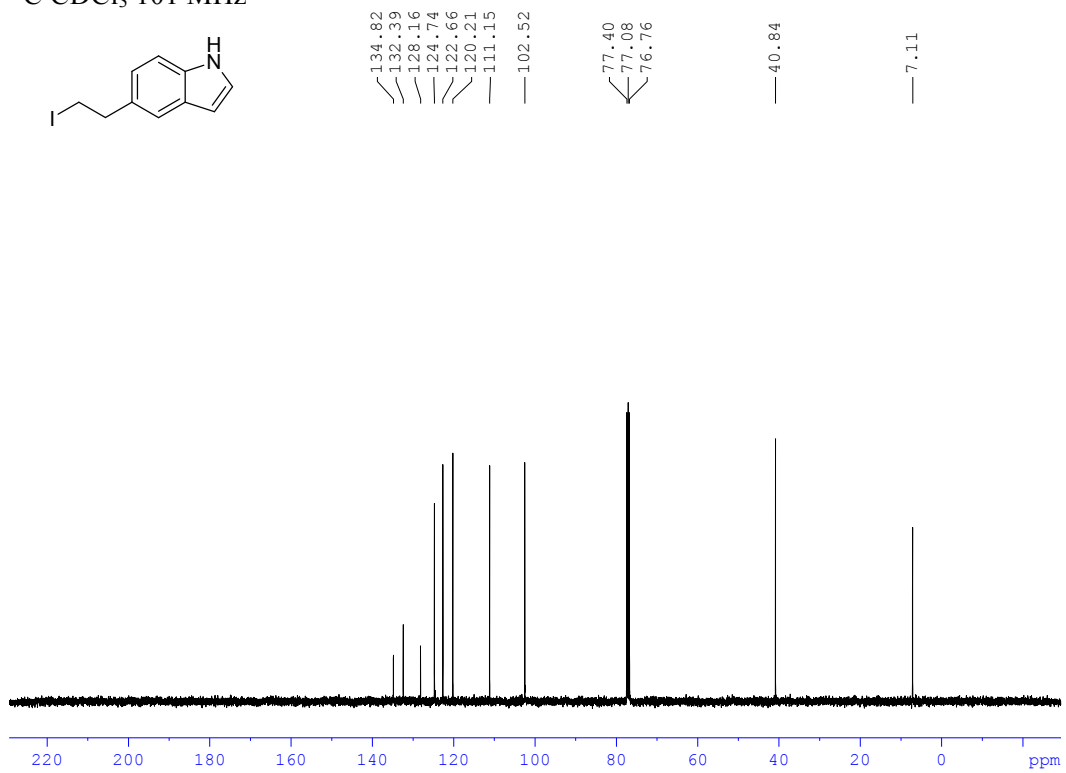


5-(2-Iodoethyl)-1*H*-indole, 12

^{1}H $CDCl_3$ 400 MHz

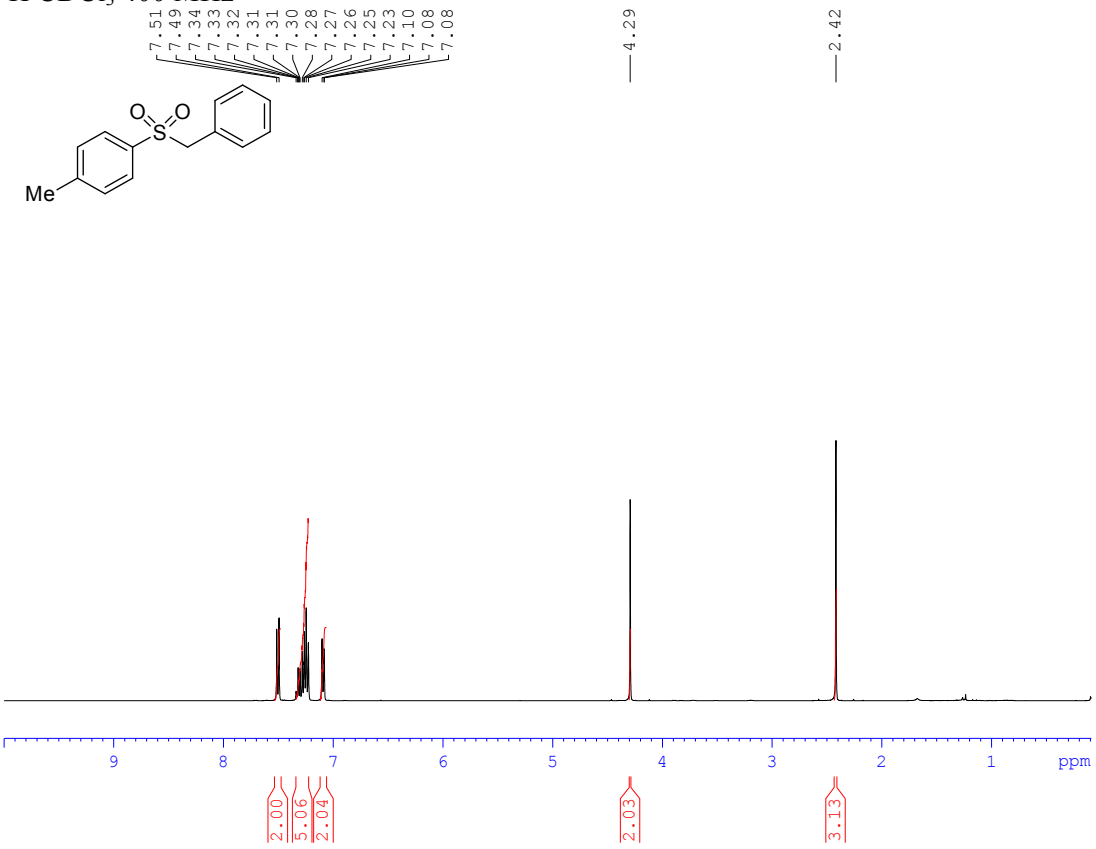


^{13}C $CDCl_3$ 101 MHz

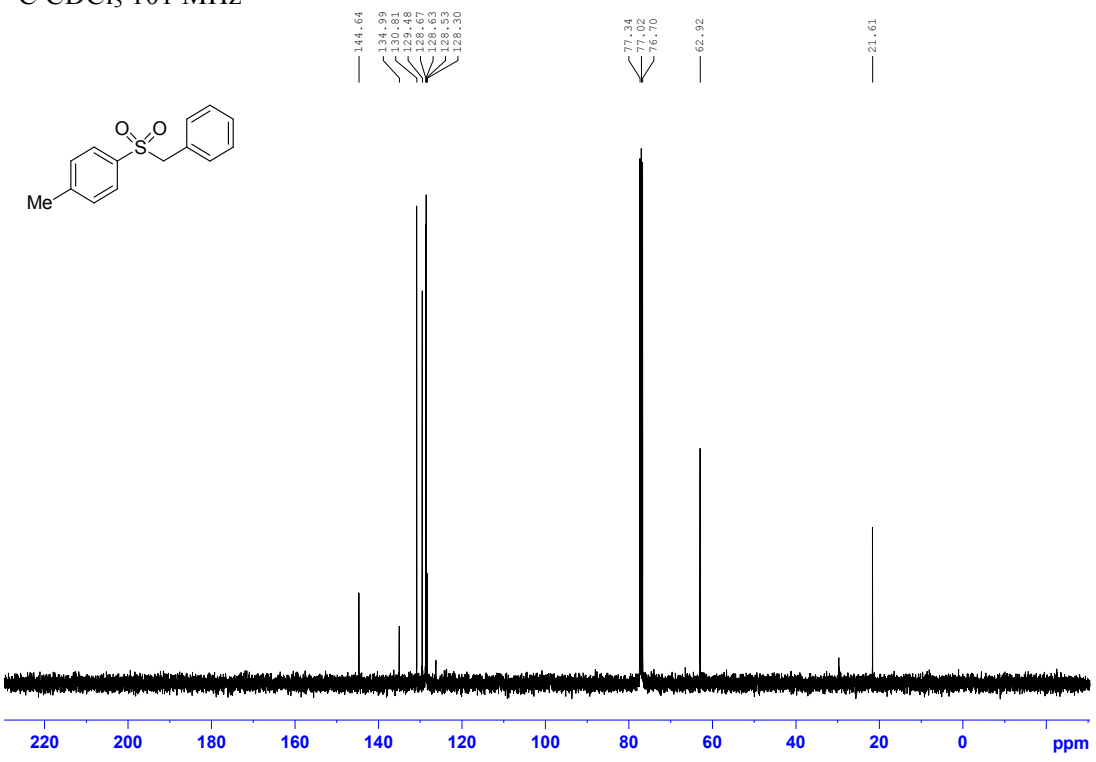


Benzyl 4-methylphenyl sulfone, 10a

^{1}H $CDCl_3$ 400 MHz

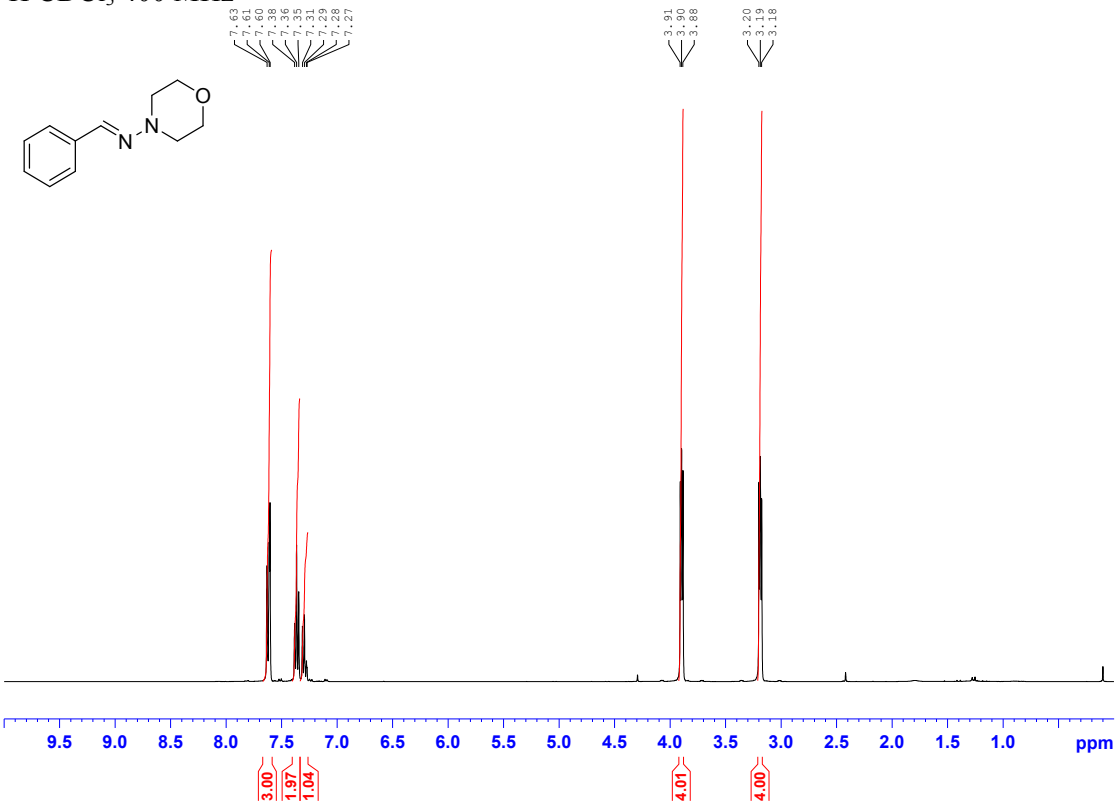


^{13}C $CDCl_3$ 101 MHz

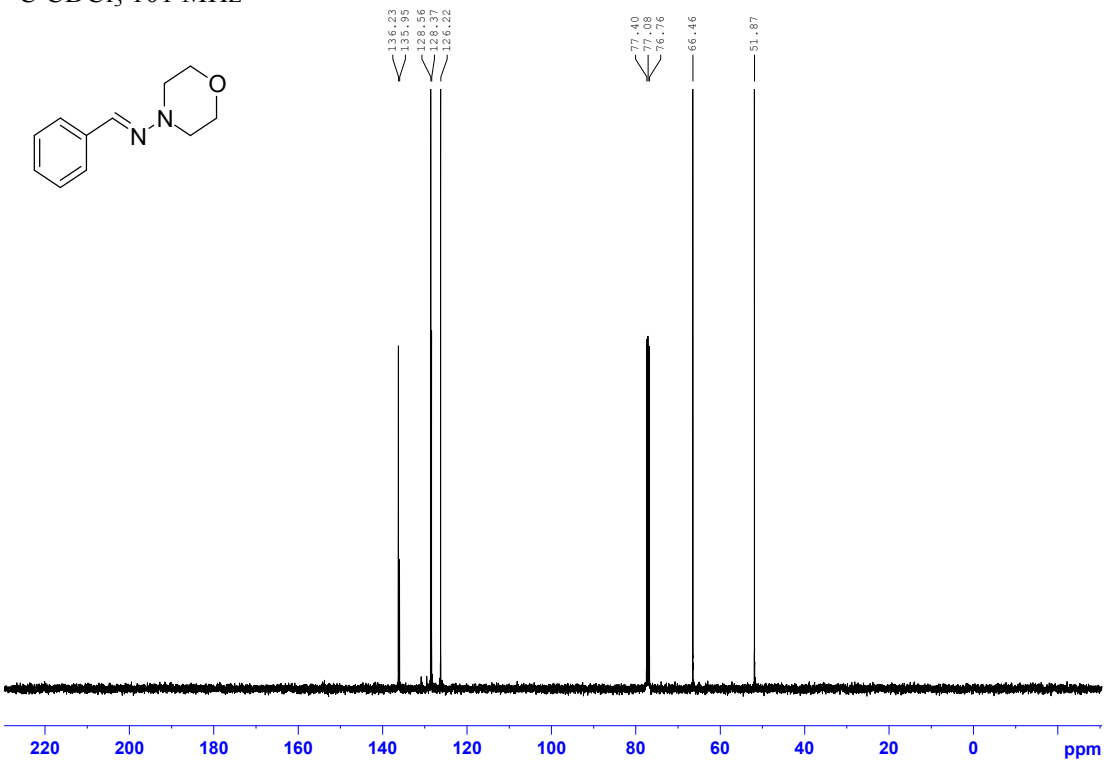


***N*-[(*E*)-Phenylmethylidene]morpholin-4-amine, 11**

^{1}H $CDCl_3$ 400 MHz

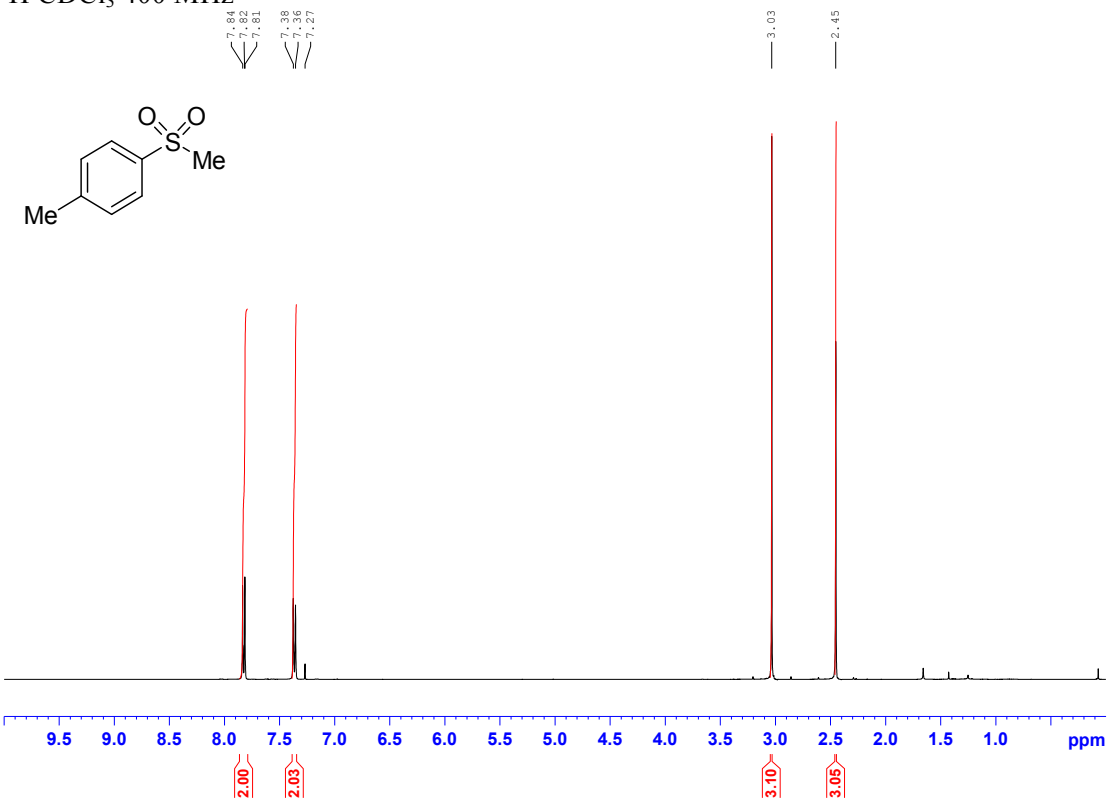


^{13}C $CDCl_3$ 101 MHz

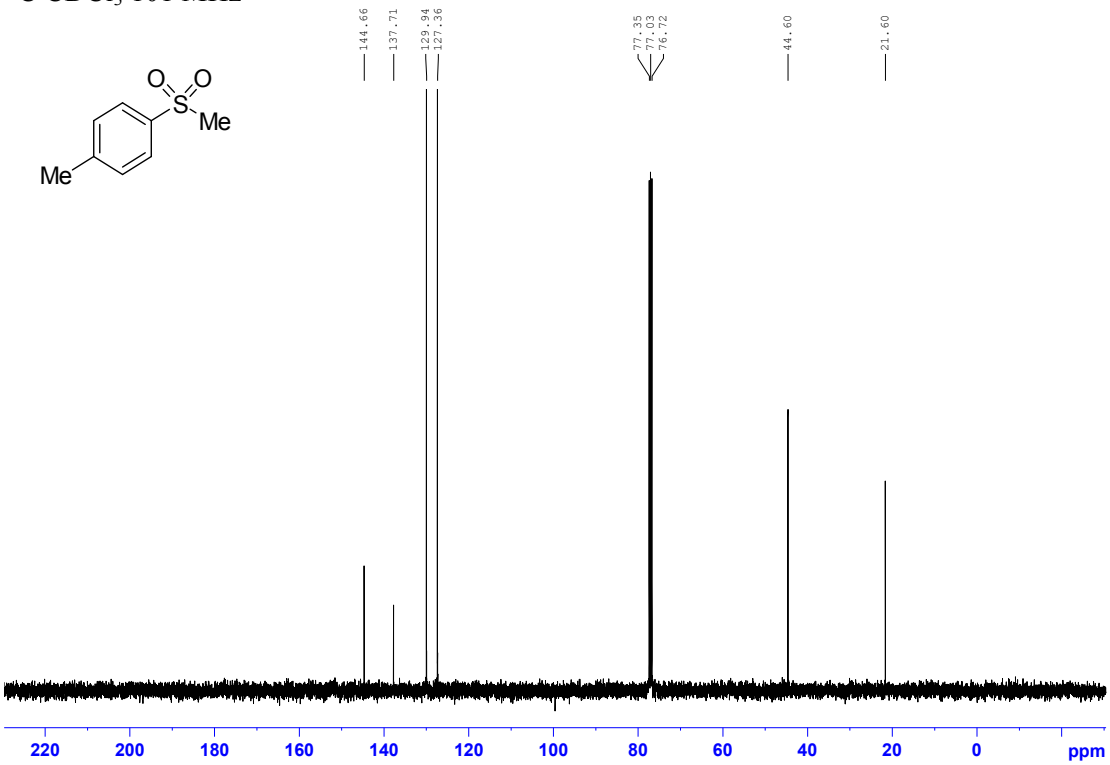


Methyl 4-methylphenyl sulfone, 10b

^{1}H $CDCl_3$ 400 MHz

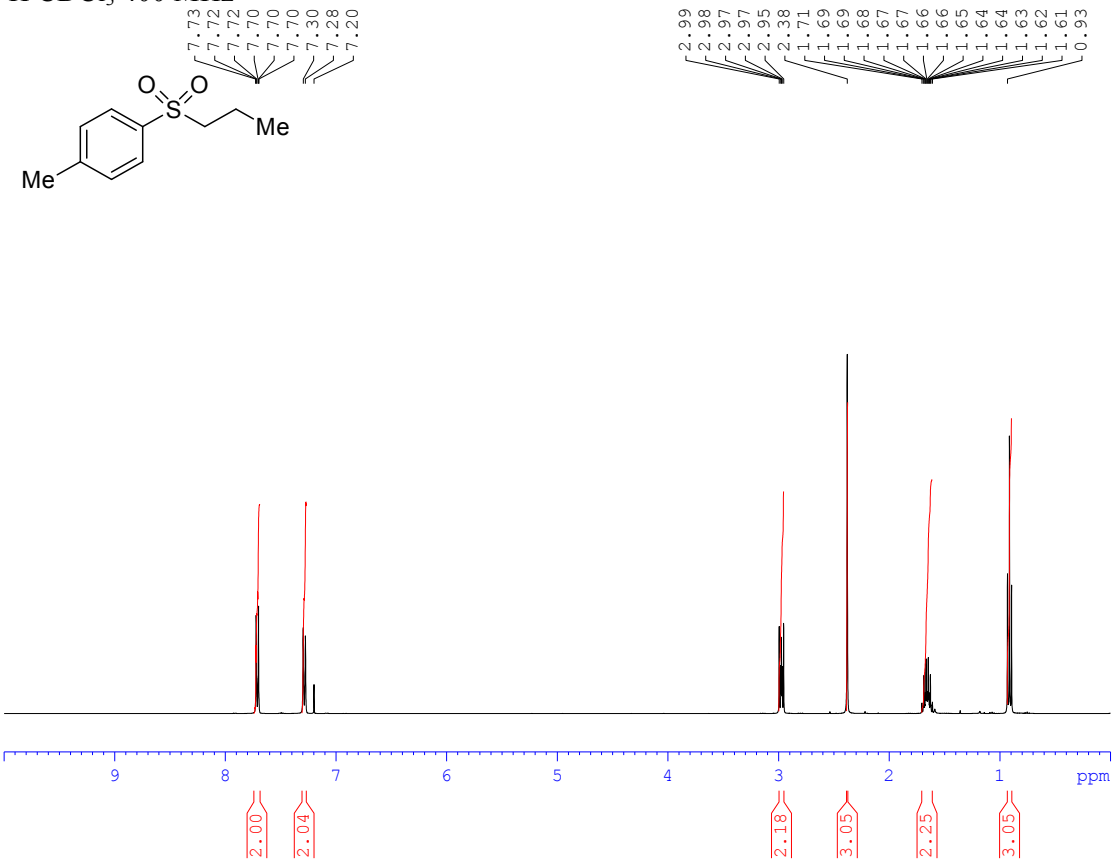


^{13}C $CDCl_3$ 101 MHz

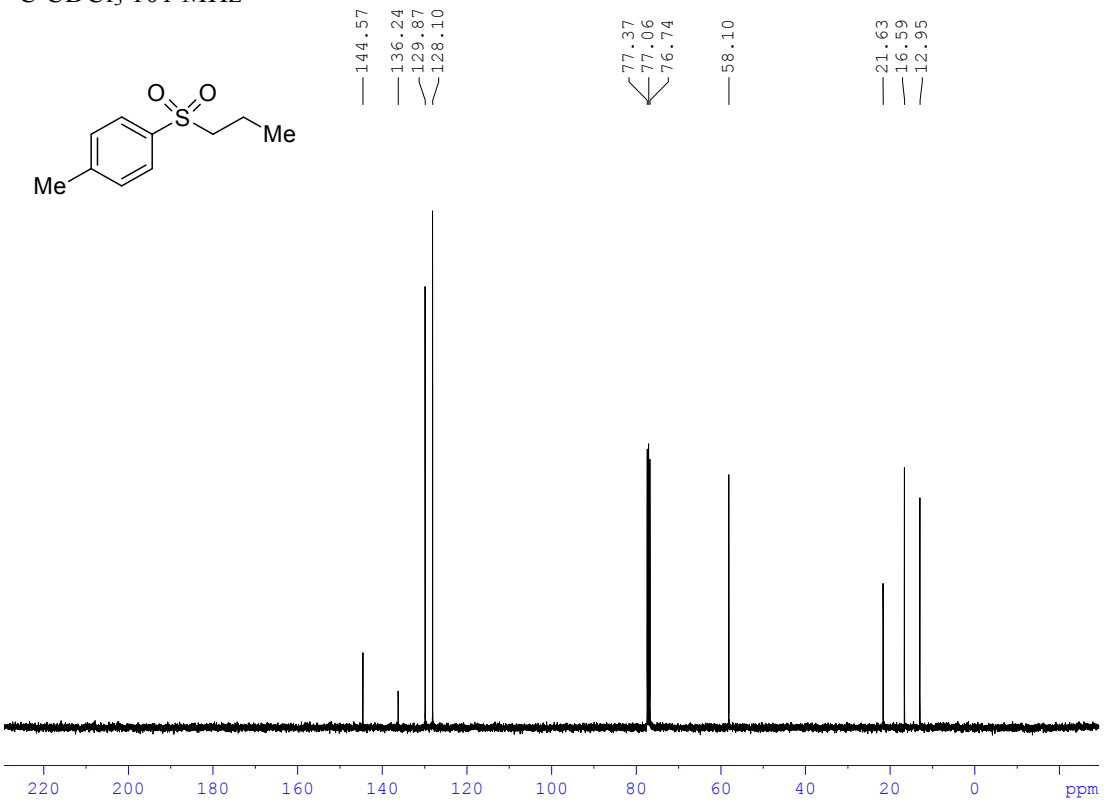


4-Methylphenyl propyl sulfone, 10c

^{1}H $CDCl_3$ 400 MHz

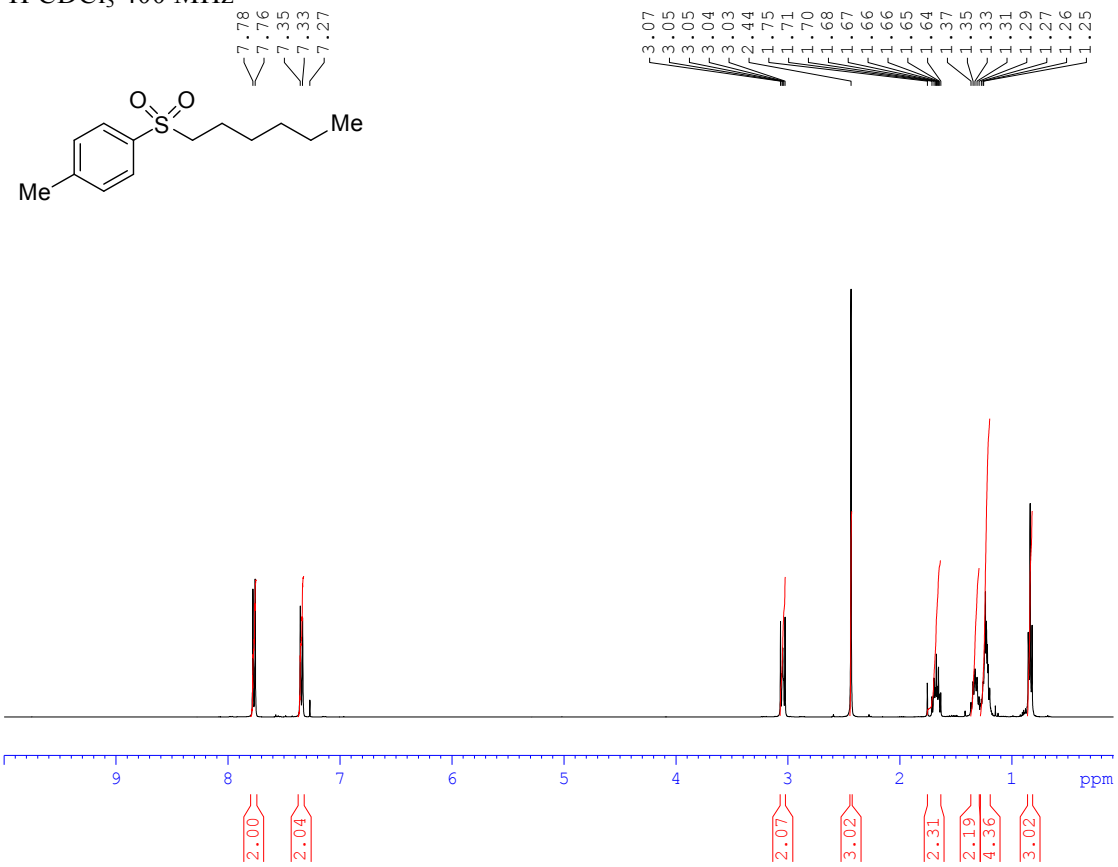


^{13}C $CDCl_3$ 101 MHz

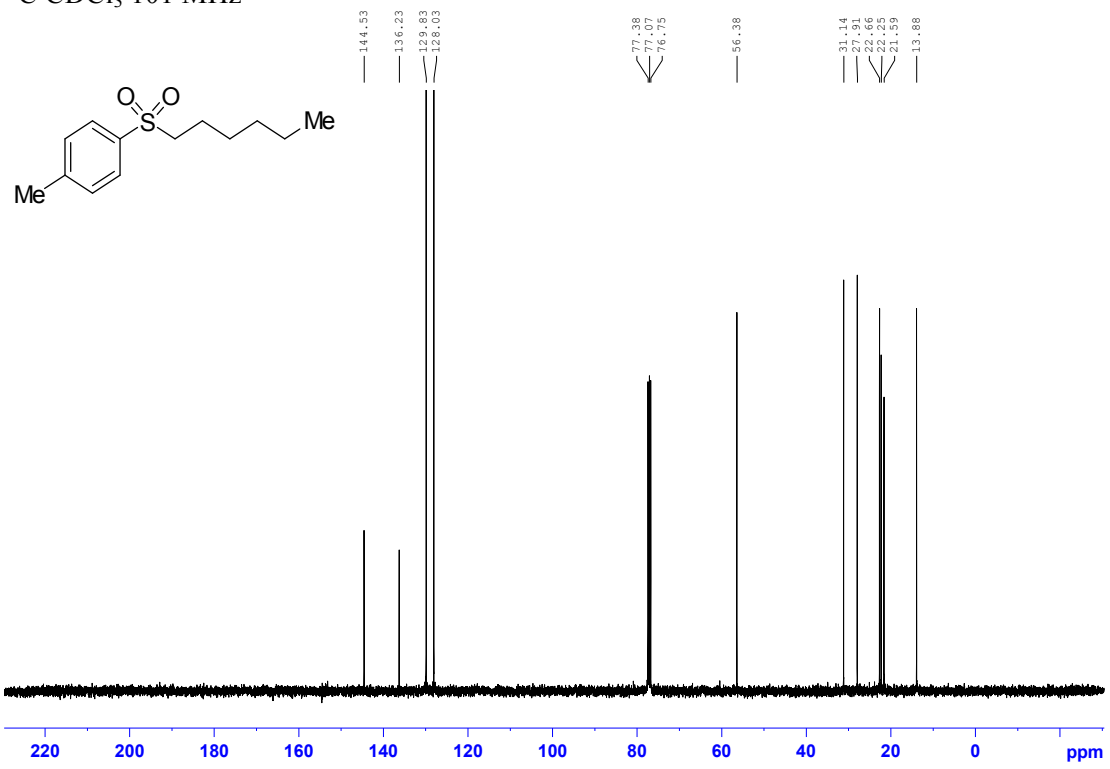


Hexyl 4-methylphenyl sulfone, 10d

^{1}H $CDCl_3$ 400 MHz

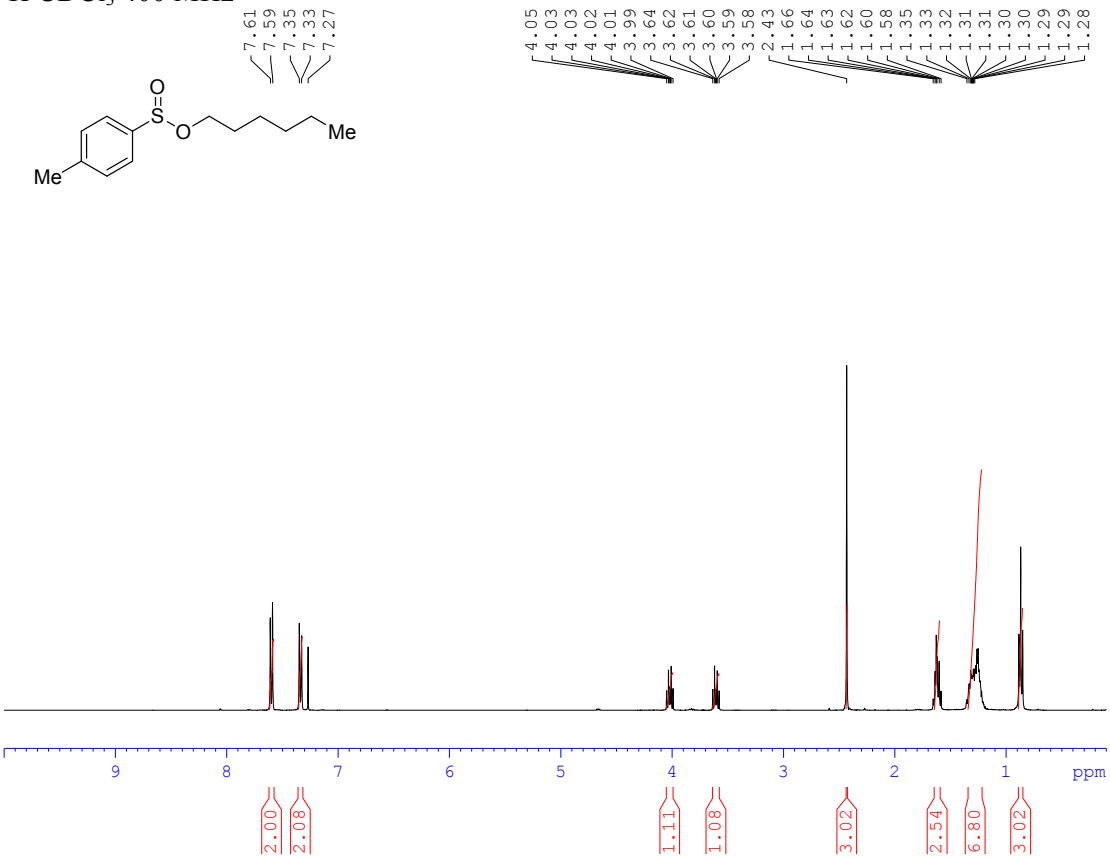


^{13}C $CDCl_3$ 101 MHz

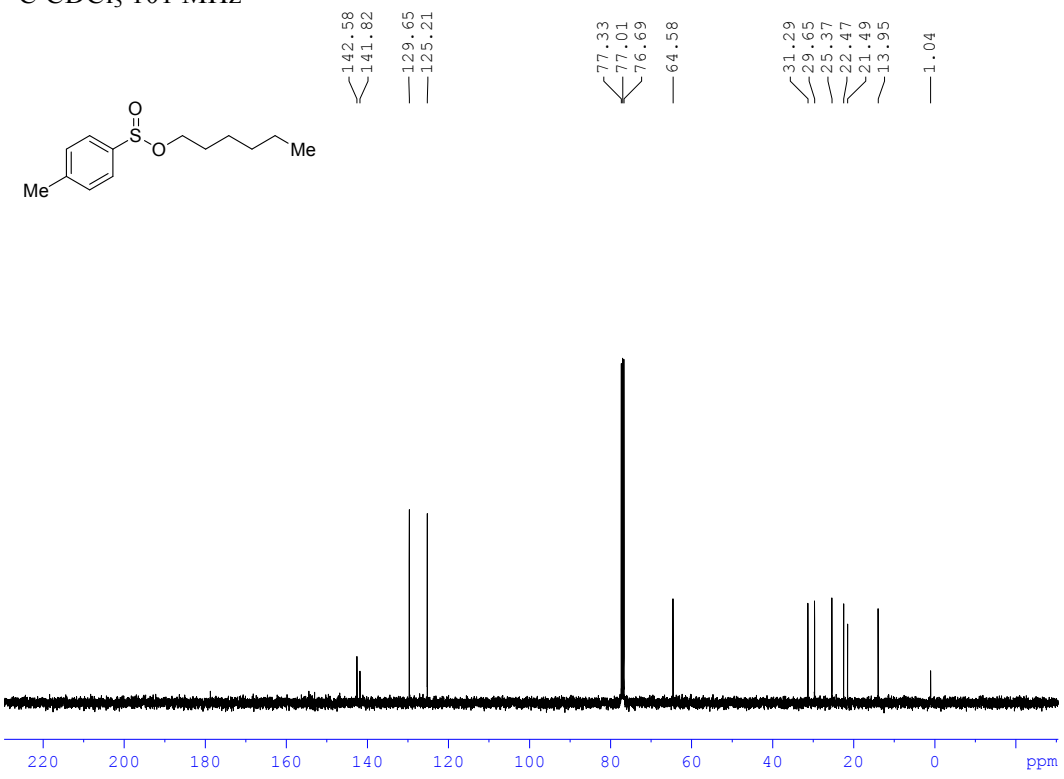


Hexyl 4-methylbenzenesulfinate, 10'd

^{1}H $CDCl_3$ 400 MHz

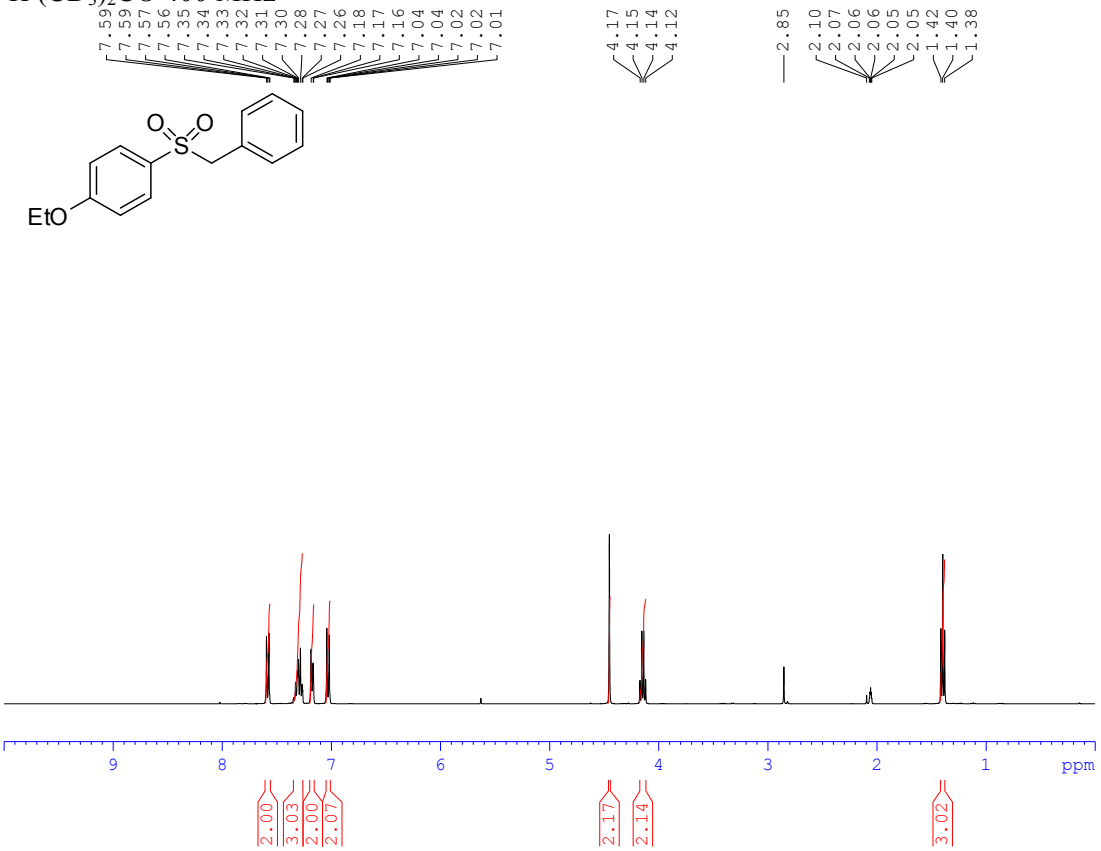


^{13}C $CDCl_3$ 101 MHz

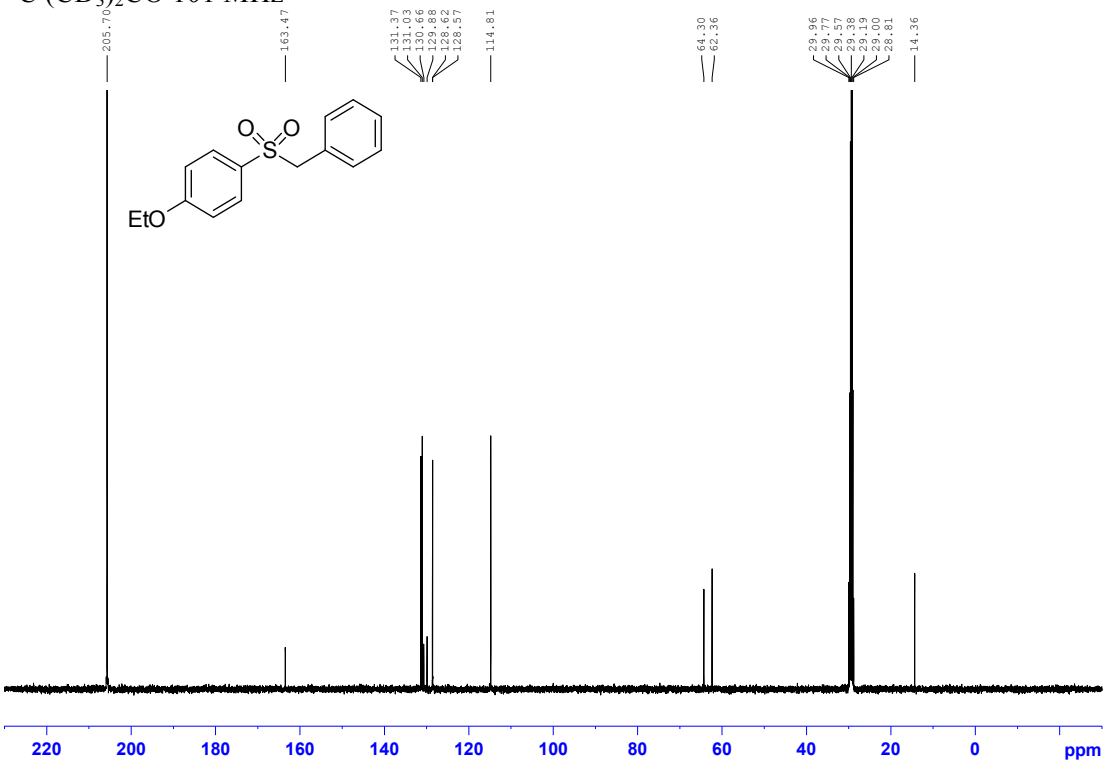


Benzyl 4-ethoxyphenyl sulfone, 10e

^{1}H $(CD_3)_2CO$ 400 MHz

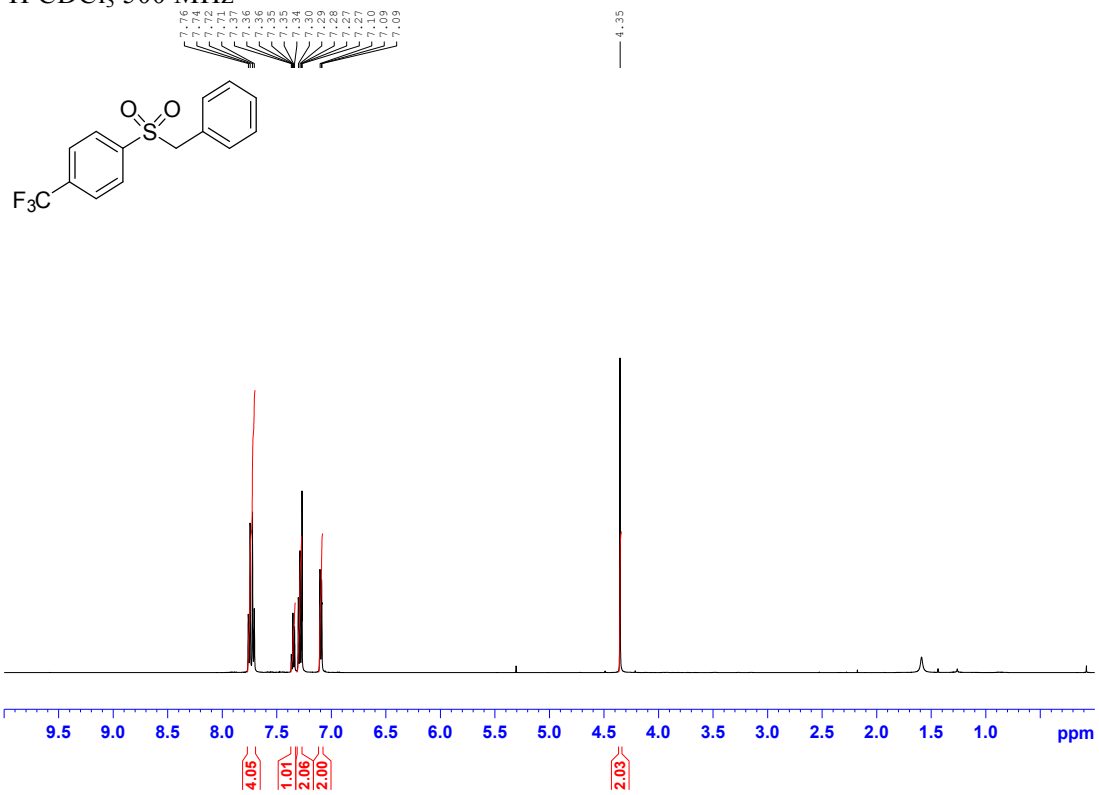


^{13}C $(CD_3)_2CO$ 101 MHz

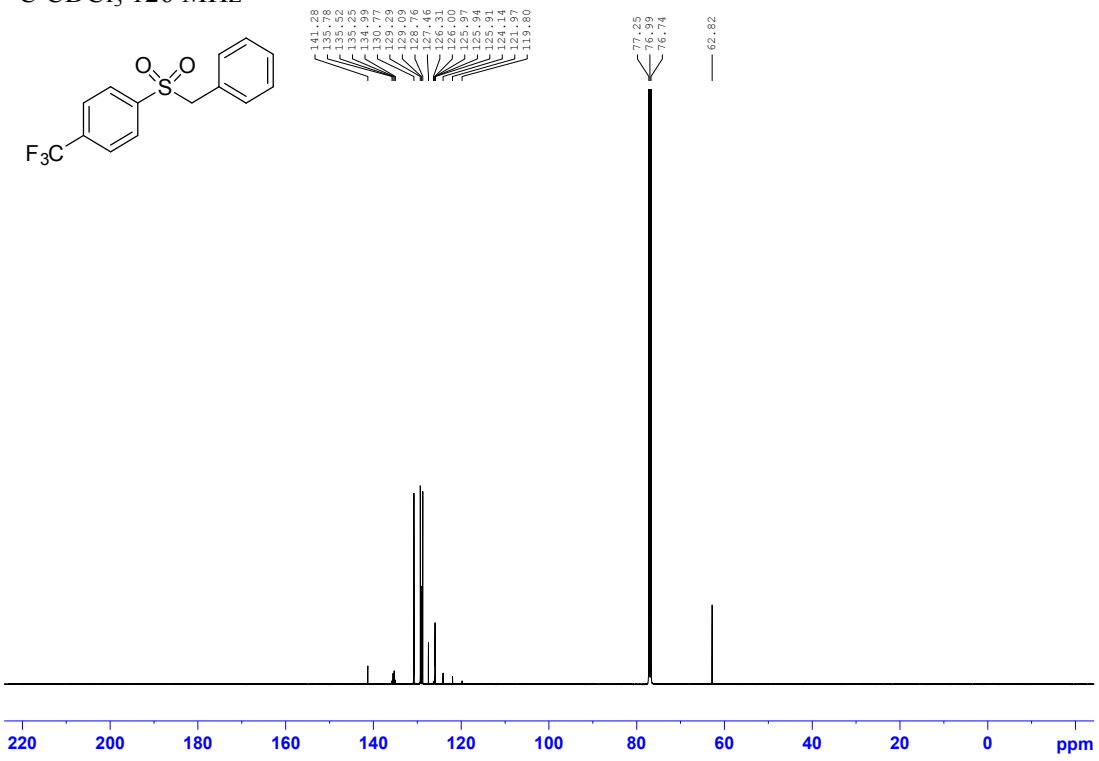


Benzyl 4-(trifluoromethyl)phenyl sulfone, 10f

^{1}H $CDCl_3$ 500 MHz

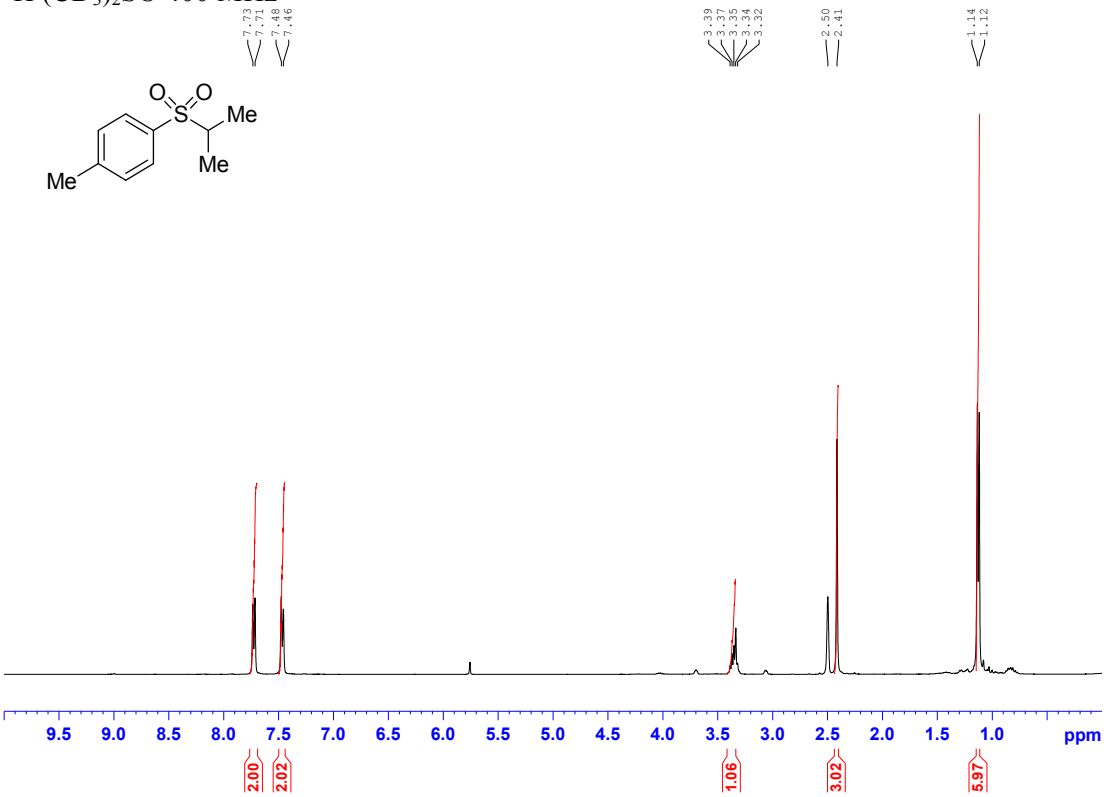


^{13}C $CDCl_3$ 126 MHz

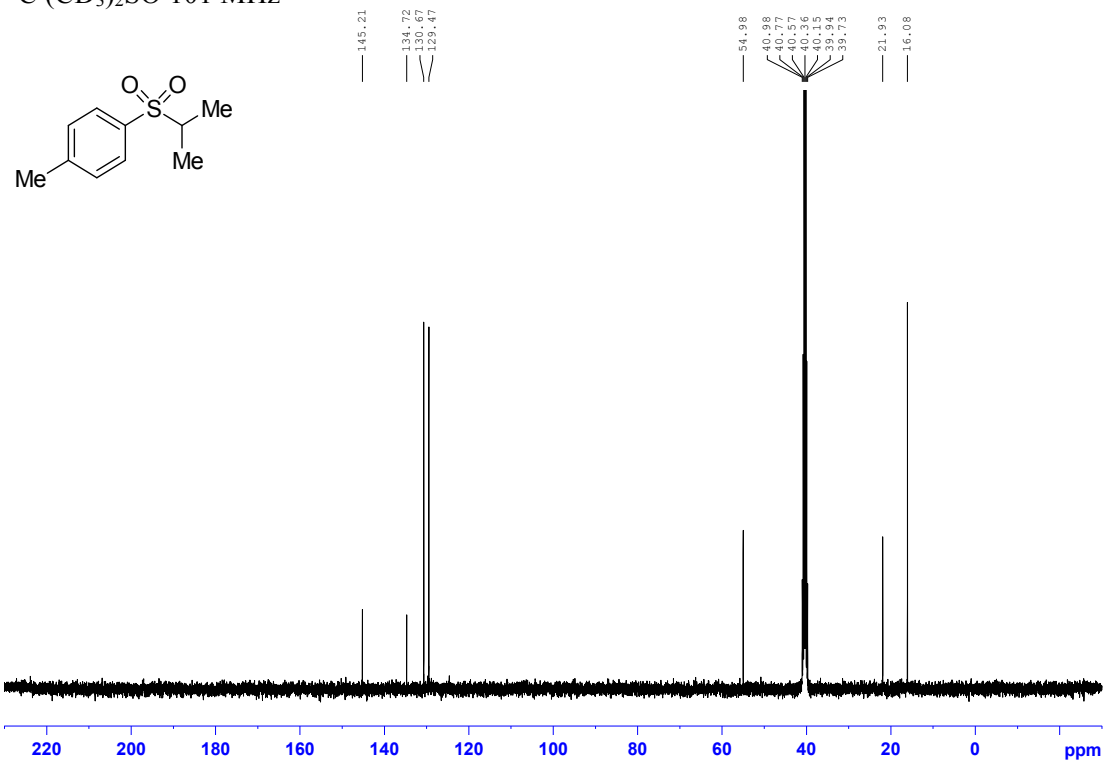


4-Methylphenyl propan-2-yl sulfone, 10g

^{1}H $(CD_3)_2SO$ 400 MHz

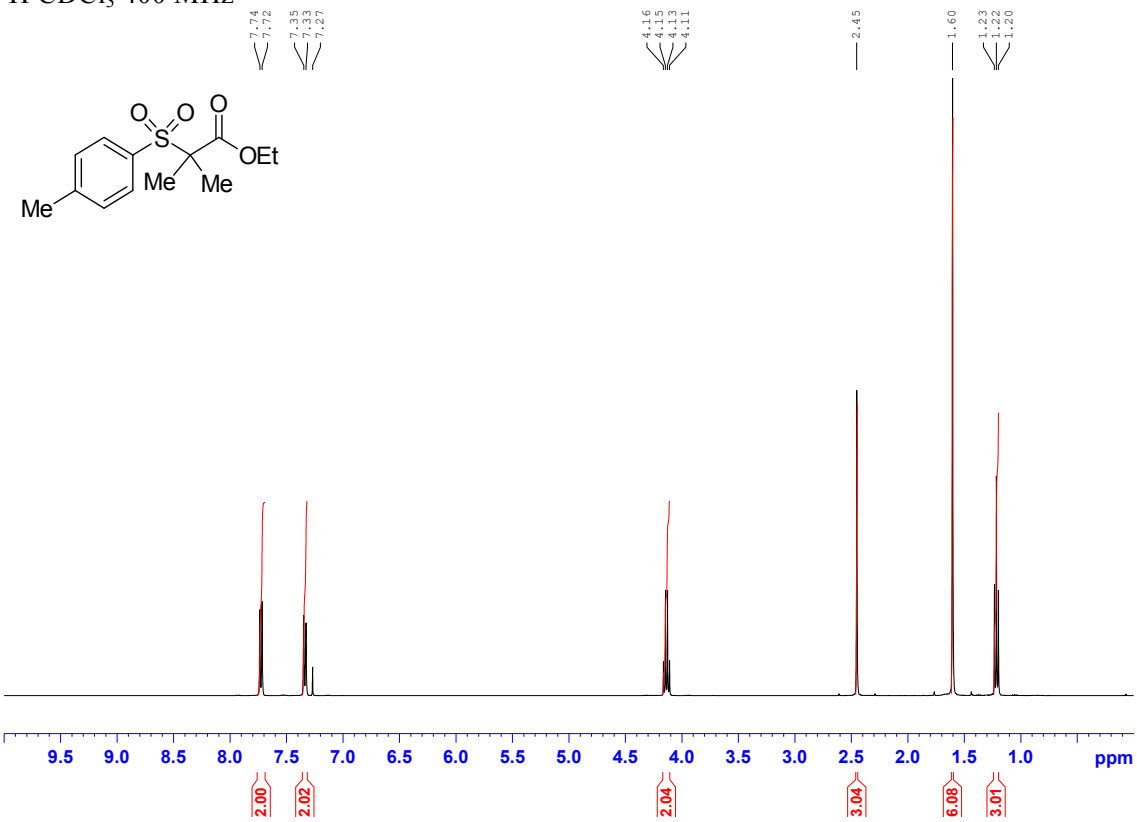


^{13}C $(CD_3)_2SO$ 101 MHz

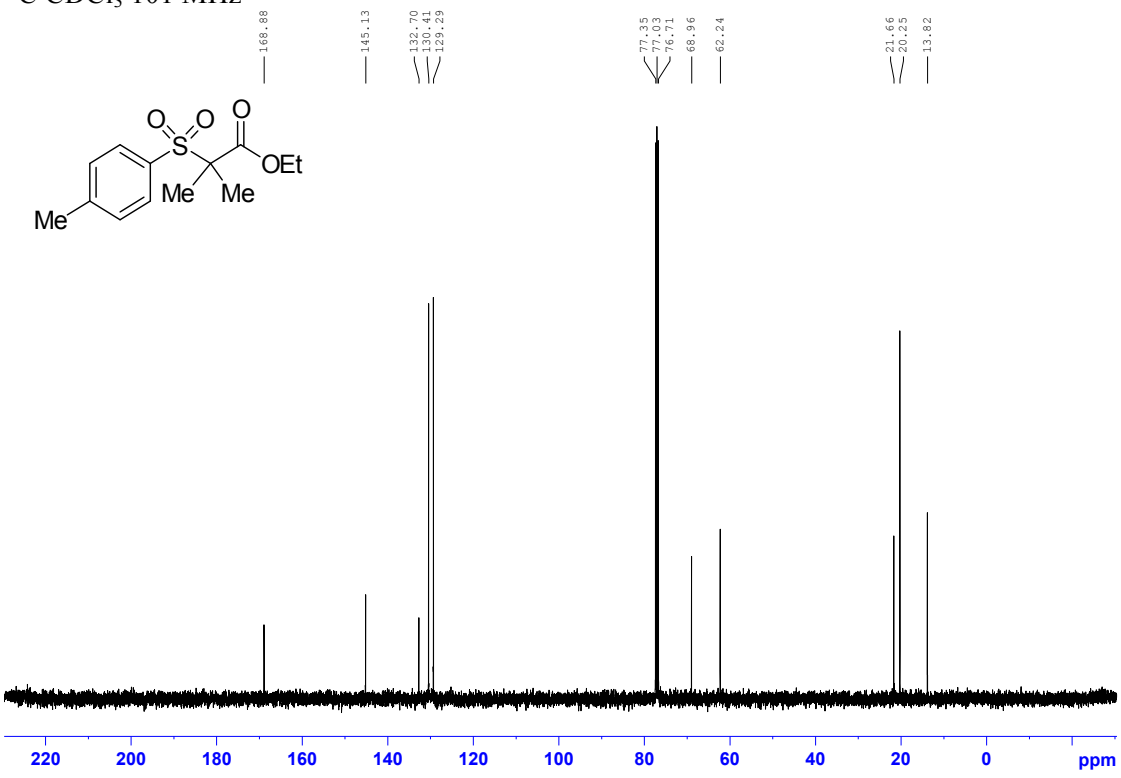


Ethyl 2-methyl-2-[(4-methylphenyl)sulfonyl]propanoate, 10h

^{1}H $CDCl_3$ 400 MHz

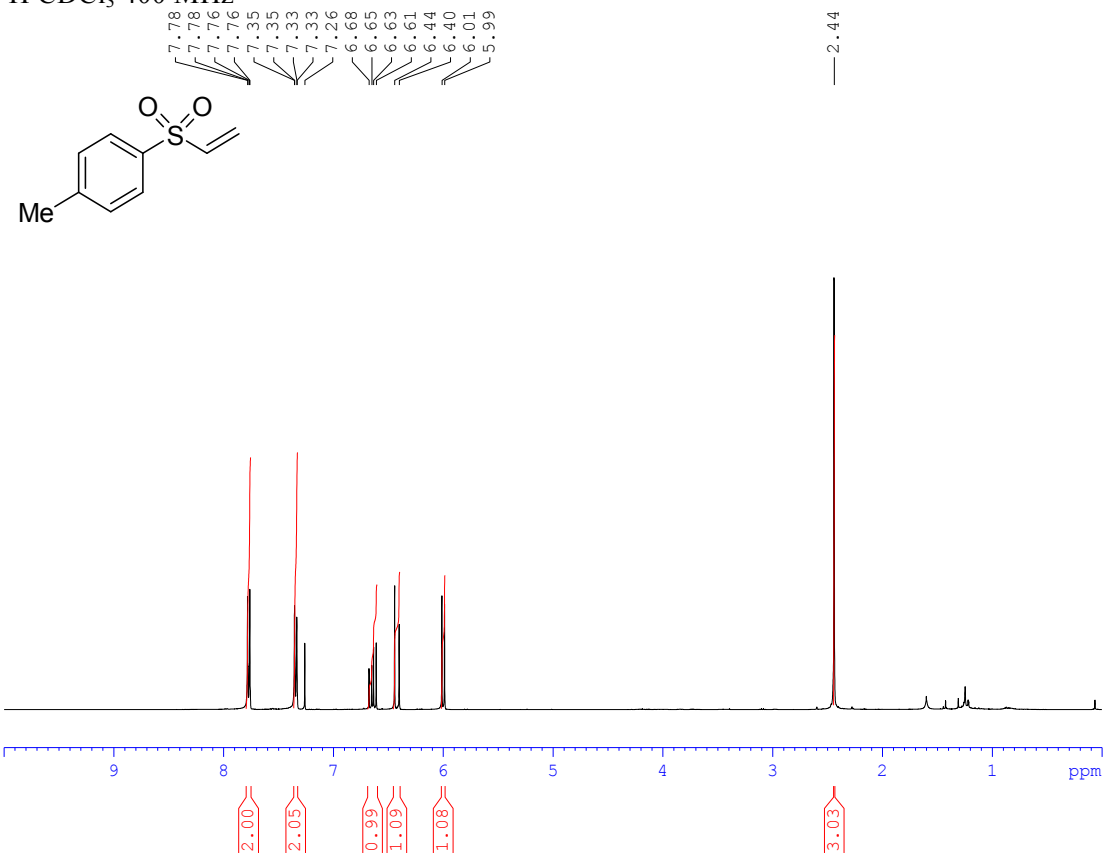


^{13}C $CDCl_3$ 101 MHz

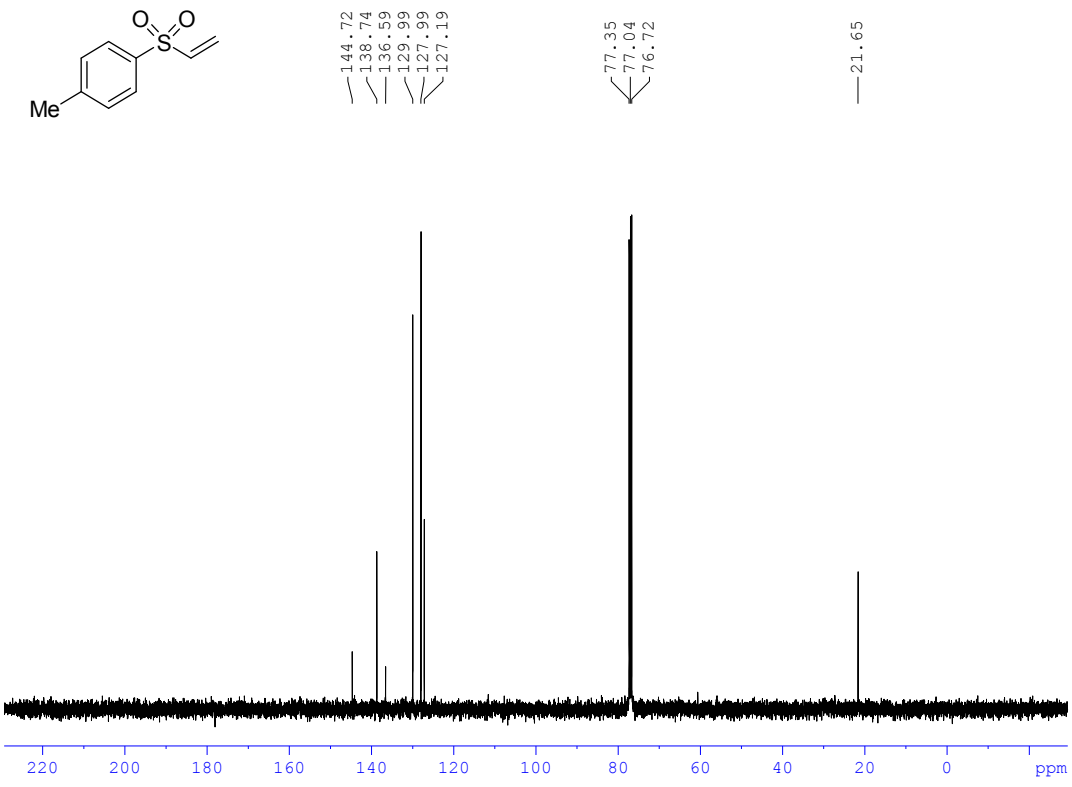


Ethenyl 4-methylphenyl sulfone, 10i

^{1}H $CDCl_3$ 400 MHz

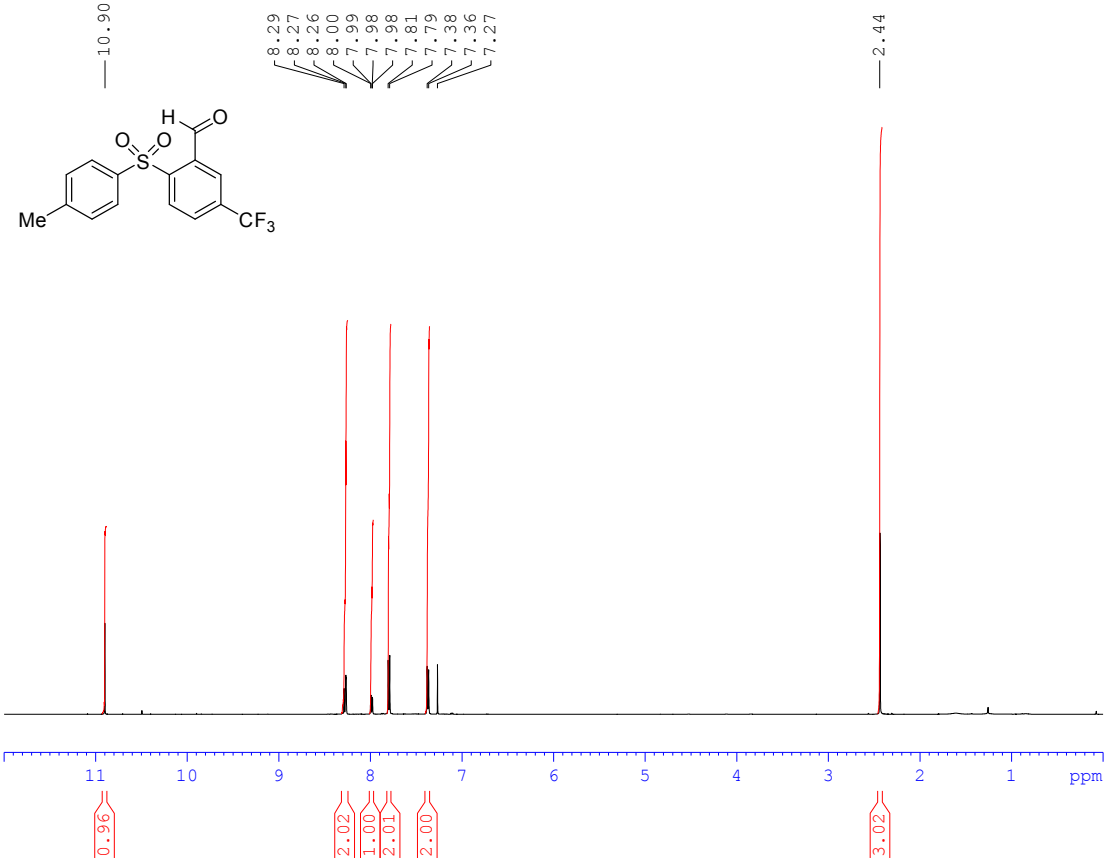


^{13}C $CDCl_3$ 101 MHz

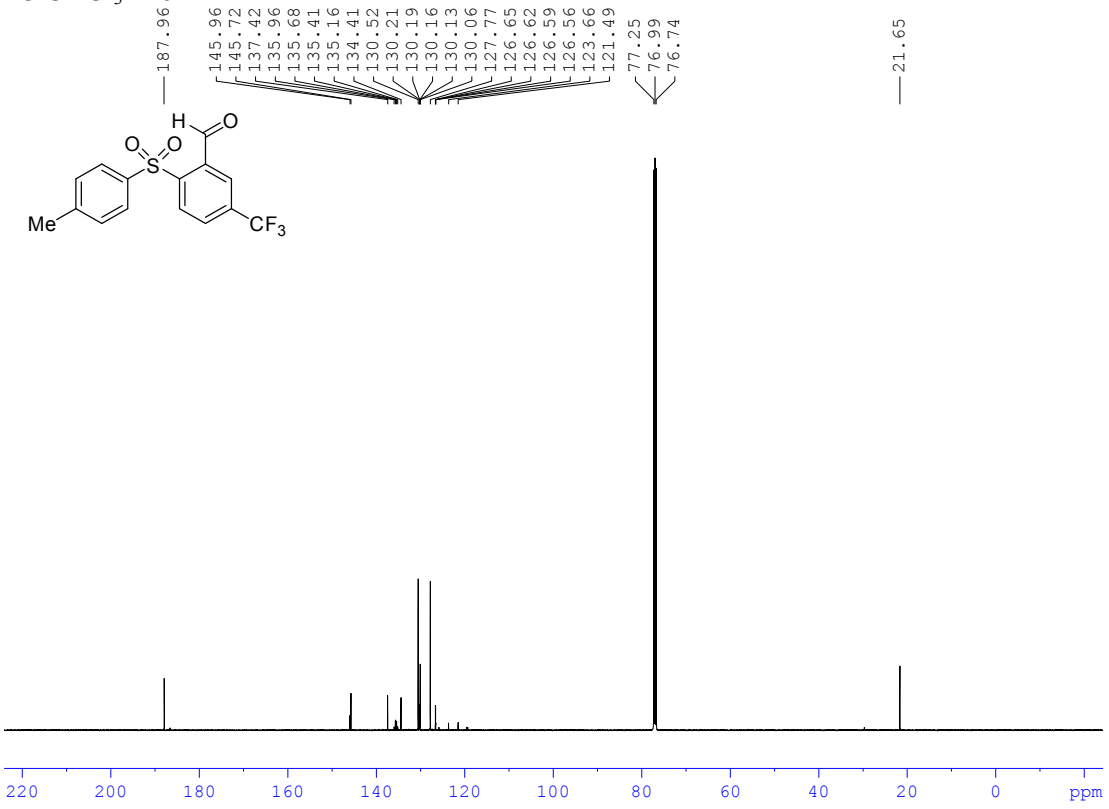


2-[(4-Methylphenyl)sulfonyl]-5-(trifluoromethyl)benzaldehyde, 10j

^{1}H $CDCl_3$ 500 MHz

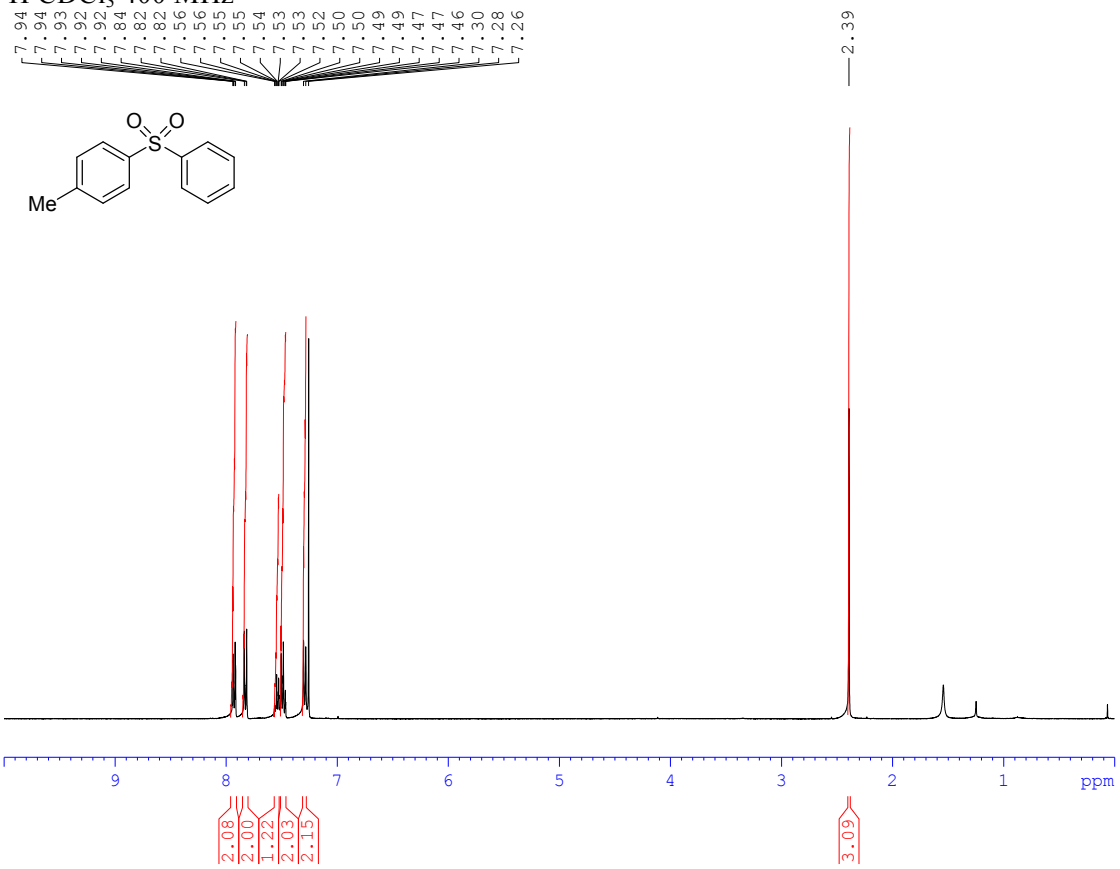


^{13}C $CDCl_3$ 126 MHz

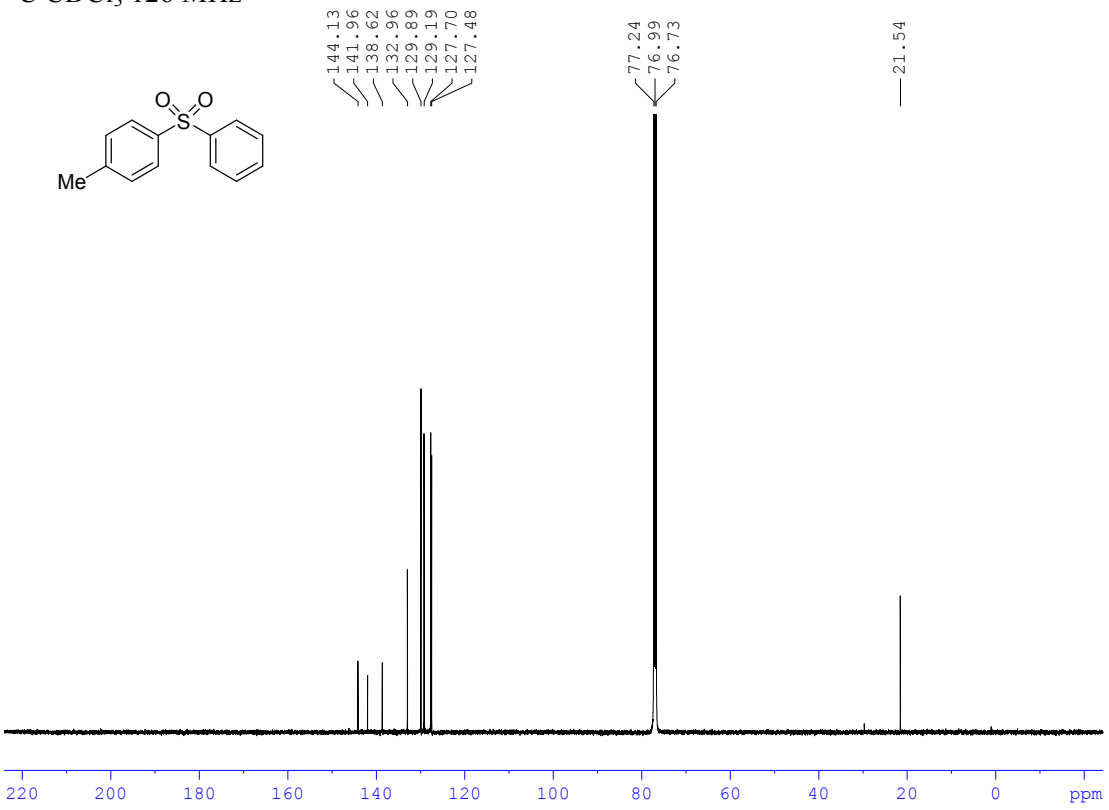


1-Methyl-4-(phenylsulfonyl)benzene, 10k

^{1}H $CDCl_3$ 400 MHz

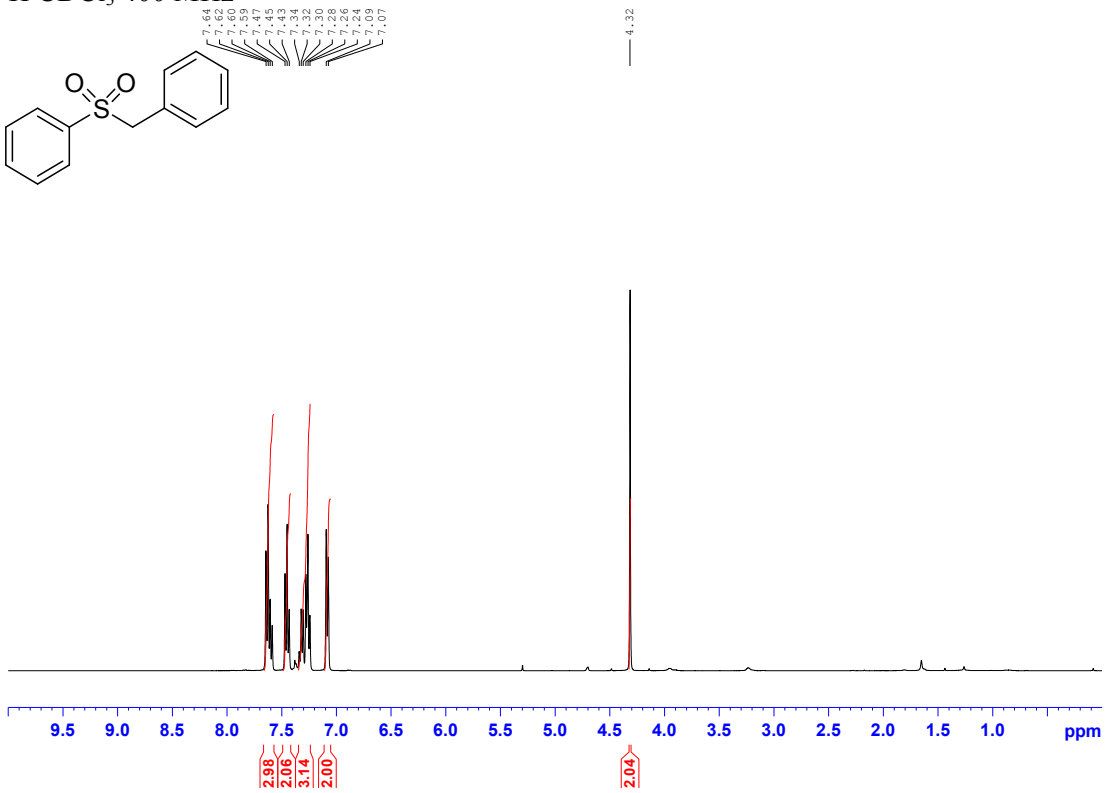


^{13}C $CDCl_3$ 126 MHz

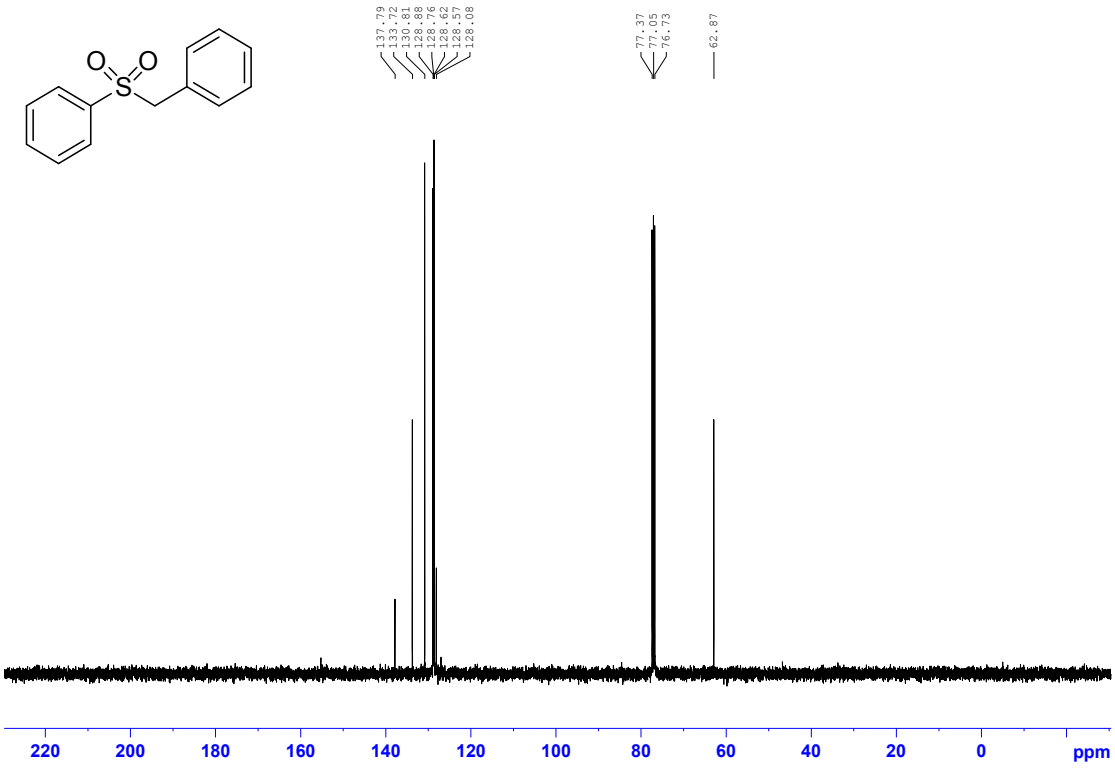


Benzyl phenyl sulfone, 10l

^{1}H $CDCl_3$ 400 MHz

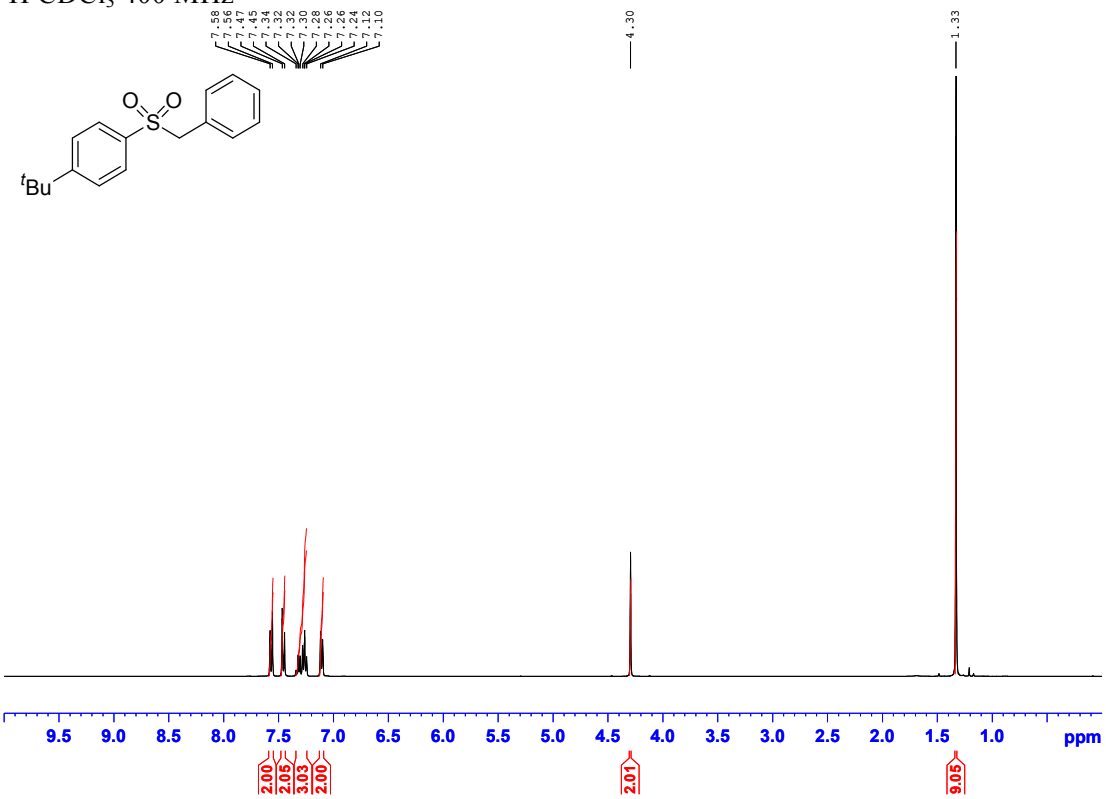


^{13}C $CDCl_3$ 101 MHz

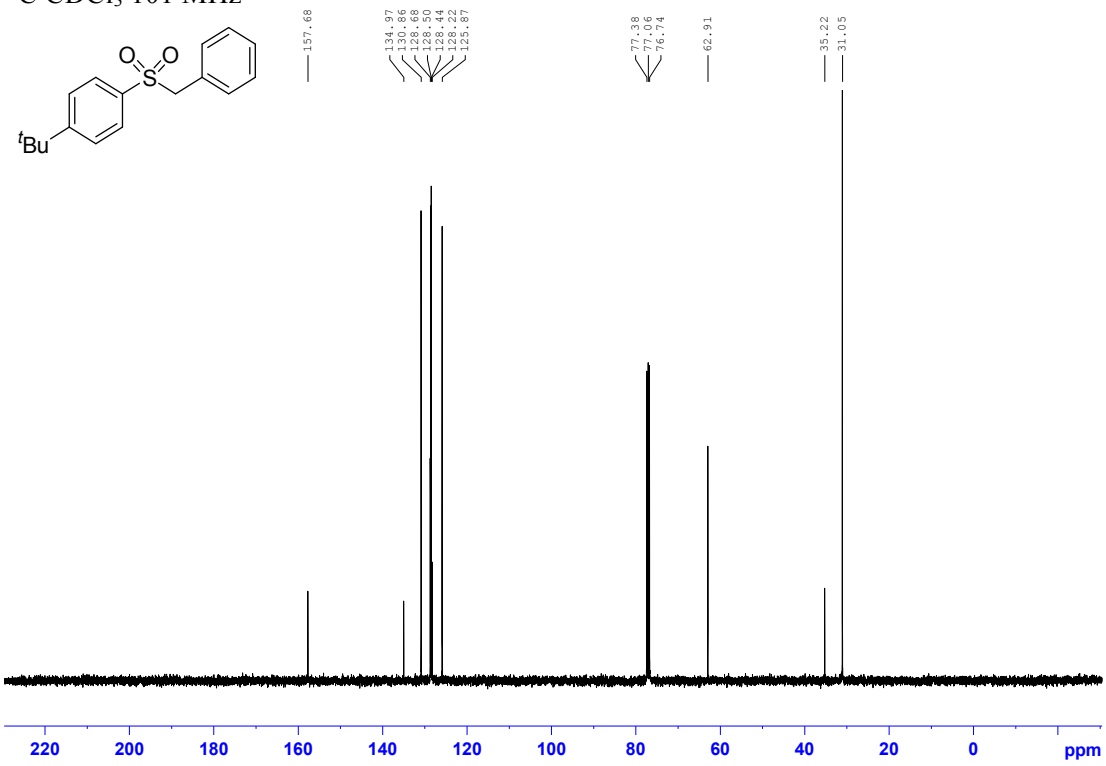


Benzyl 4-*tert*-butylphenyl sulfone, 10m

^{1}H $CDCl_3$ 400 MHz

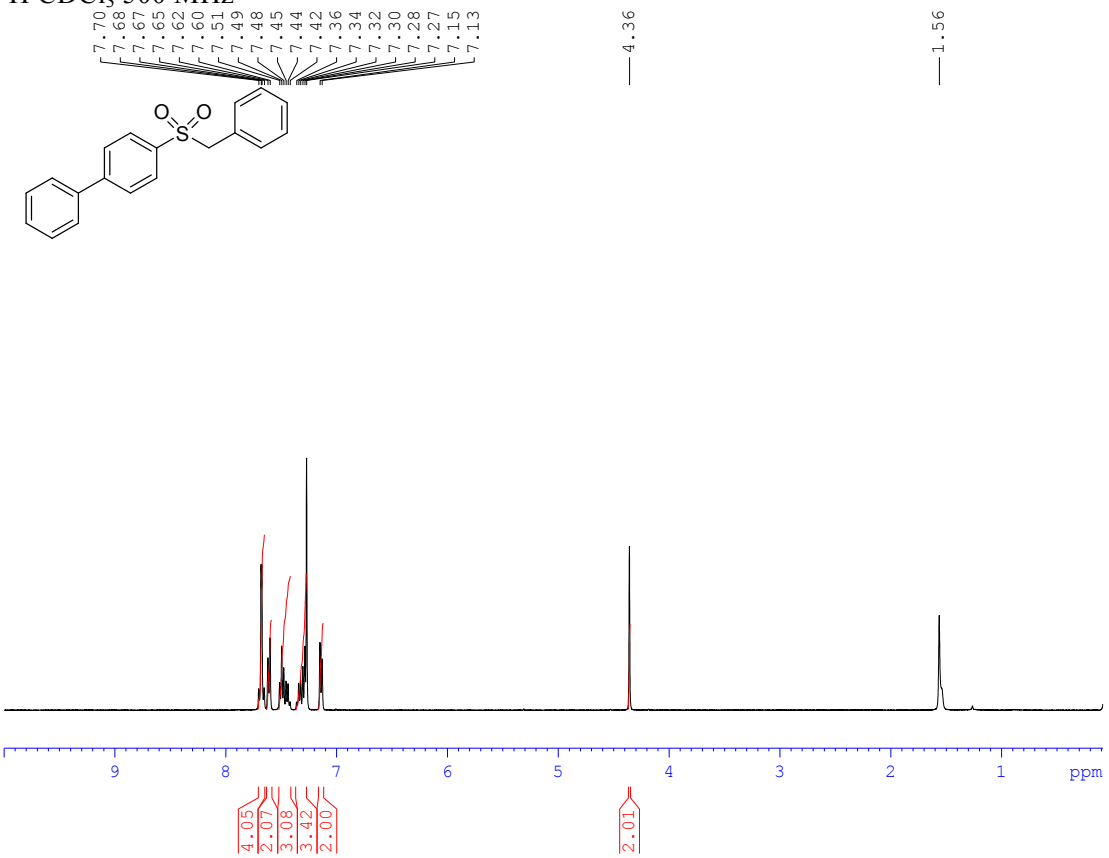


^{13}C $CDCl_3$ 101 MHz

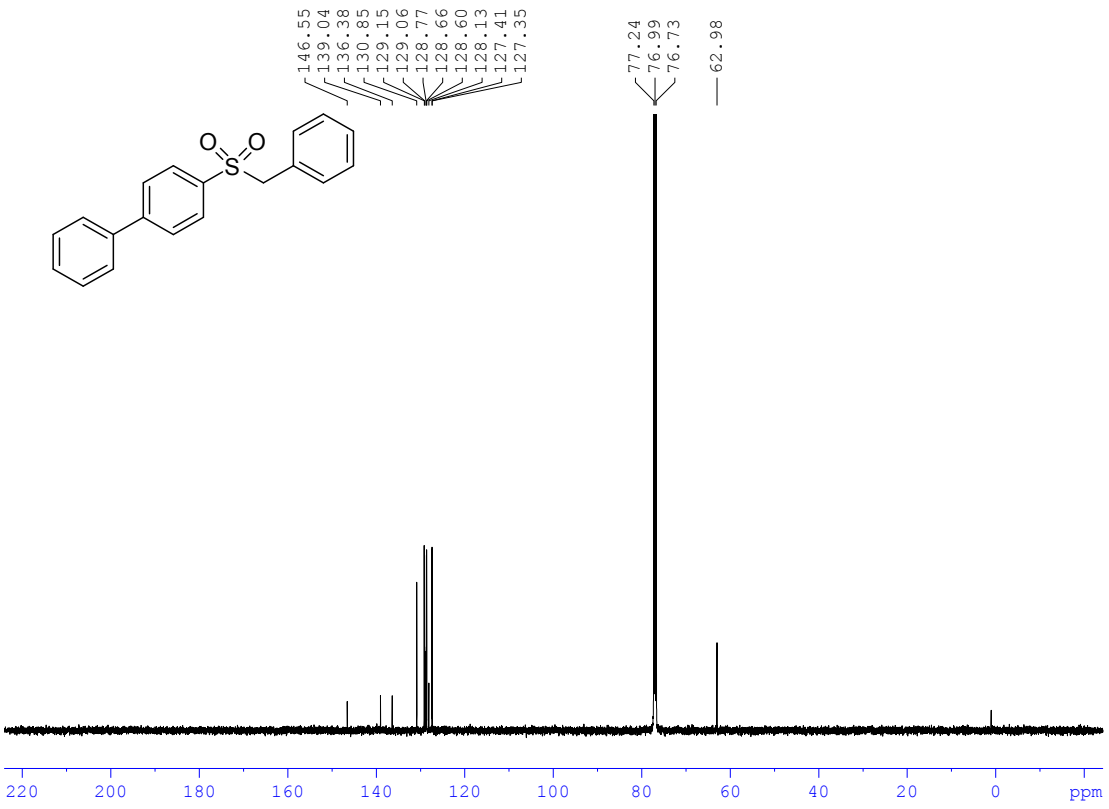


4-(Benzylsulfonyl)biphenyl, 10n

^{1}H $CDCl_3$ 500 MHz

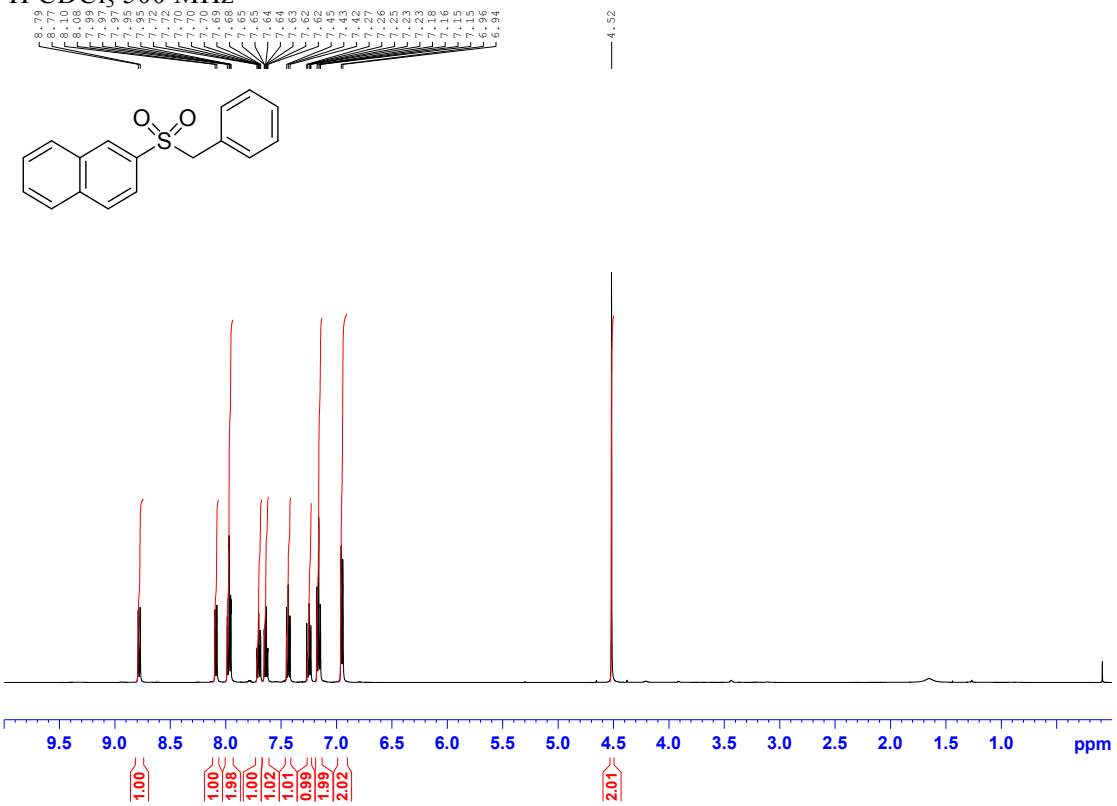


^{13}C $CDCl_3$ 126 MHz

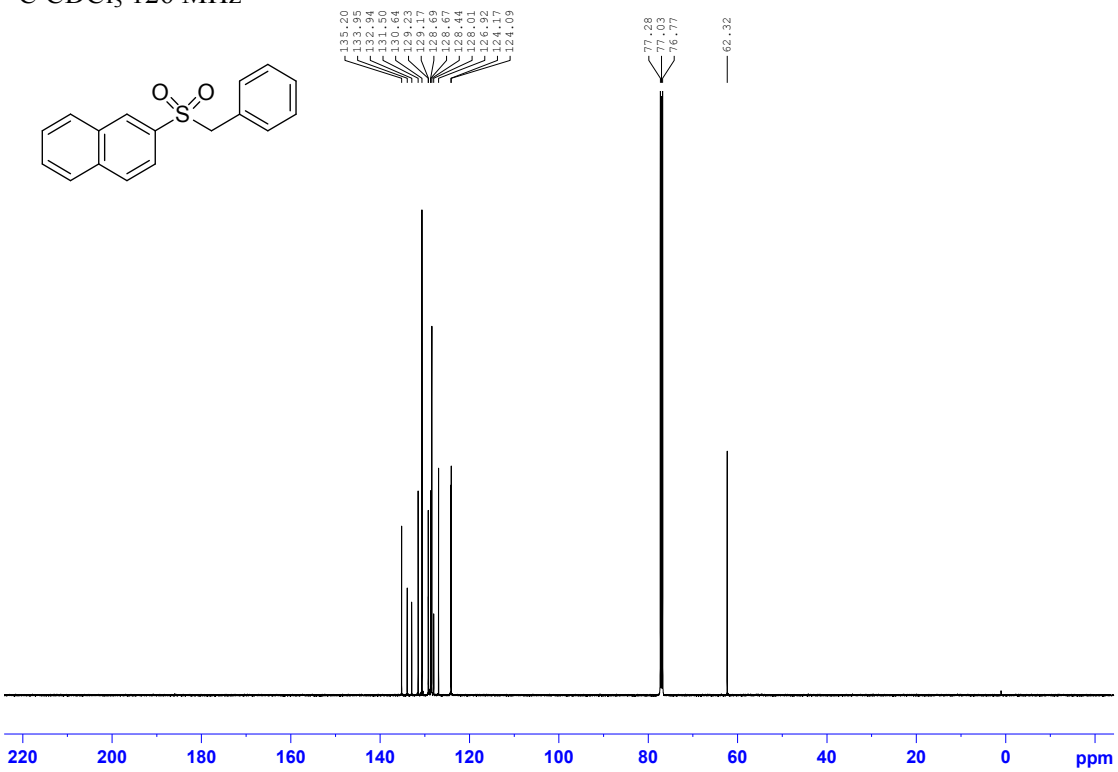


Benzyl 2-naphthyl sulfone, 10o

^{1}H $CDCl_3$ 500 MHz

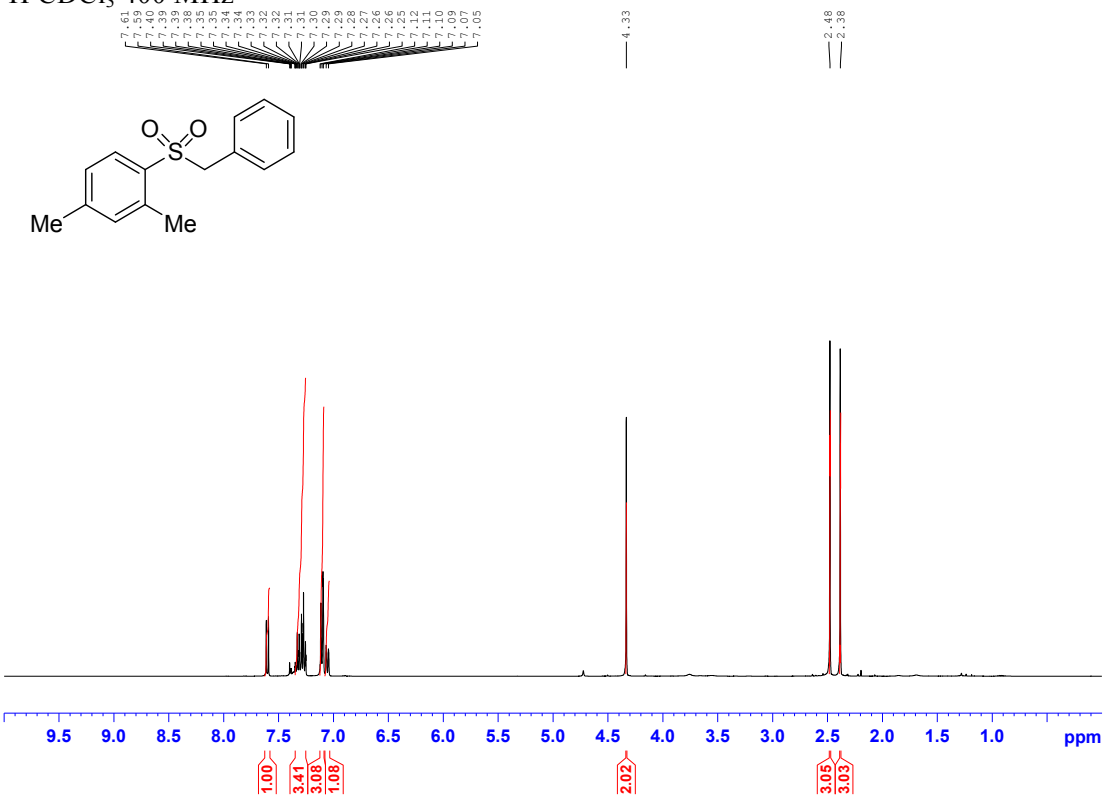


^{13}C $CDCl_3$ 126 MHz

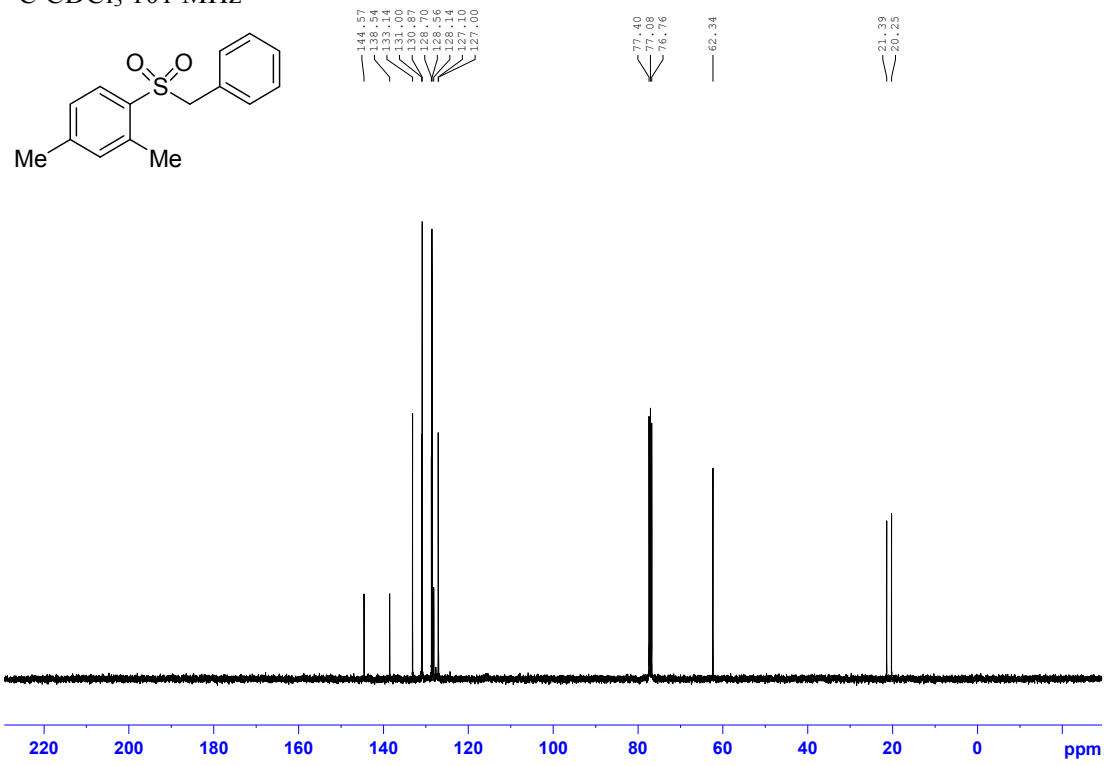


Benzyl 2,4-dimethylphenyl sulfone, 10p

^{1}H $CDCl_3$ 400 MHz

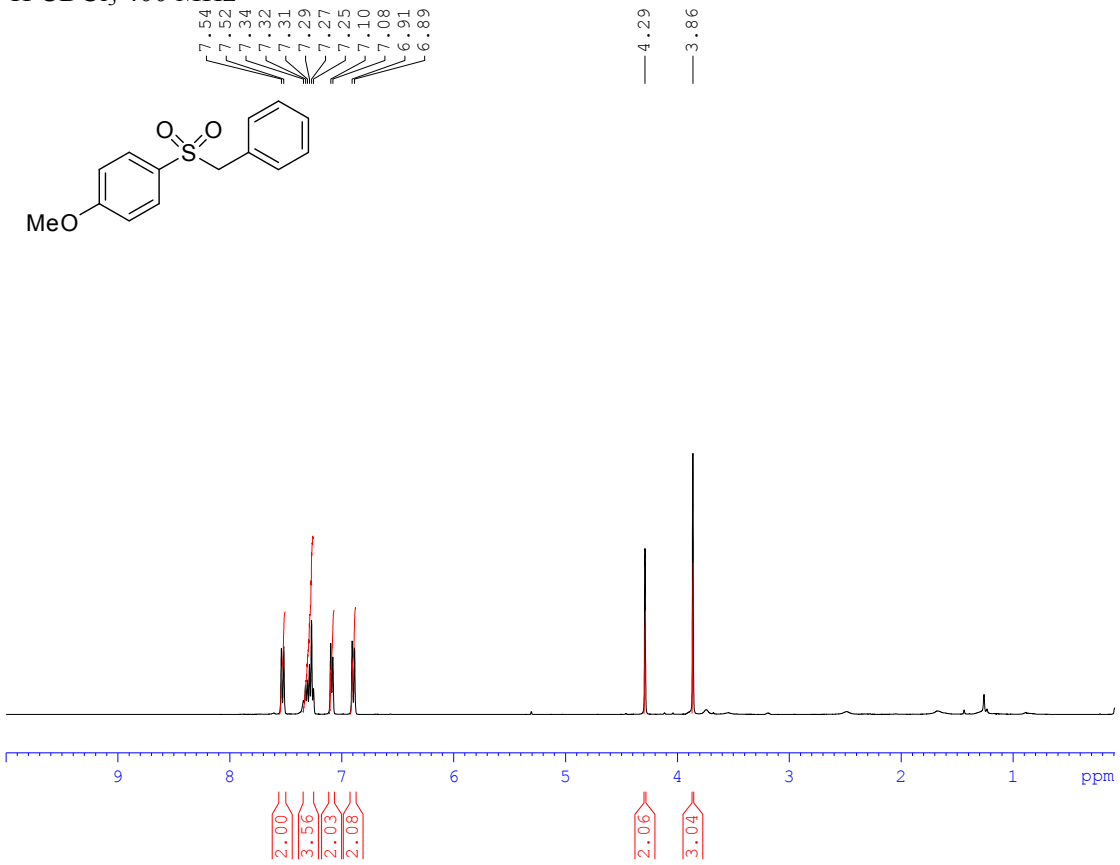


^{13}C $CDCl_3$ 101 MHz

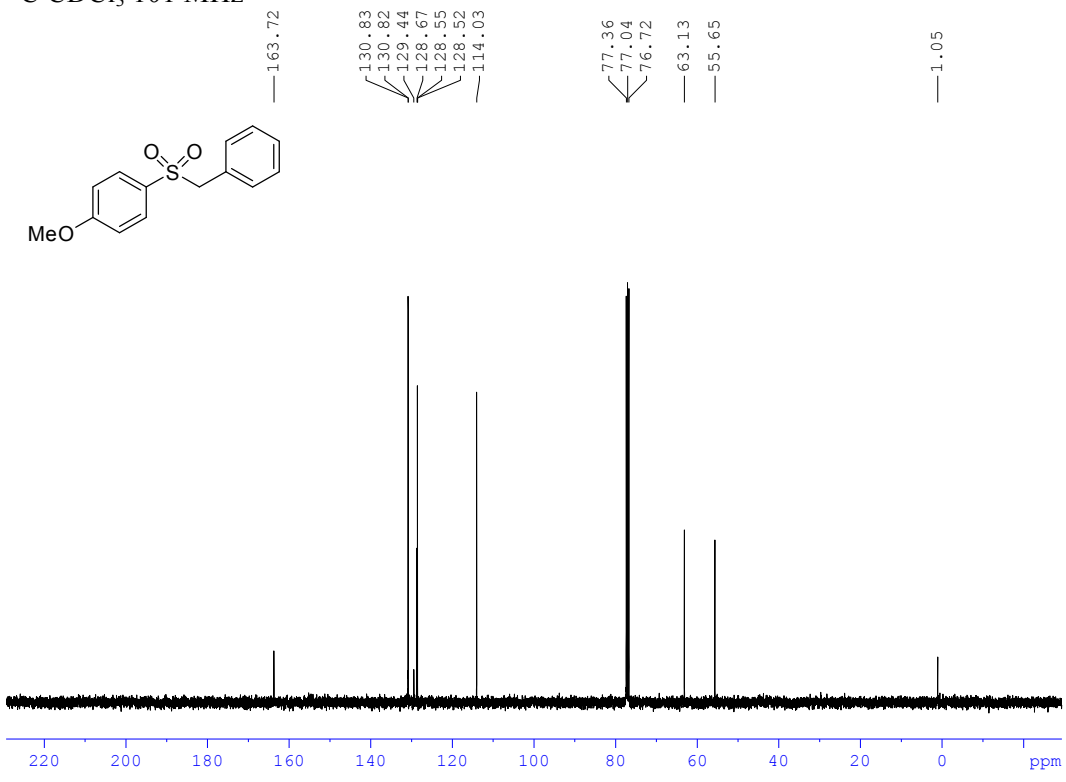


Benzyl 4-methoxyphenyl sulfone, 10q

^{1}H $CDCl_3$ 400 MHz

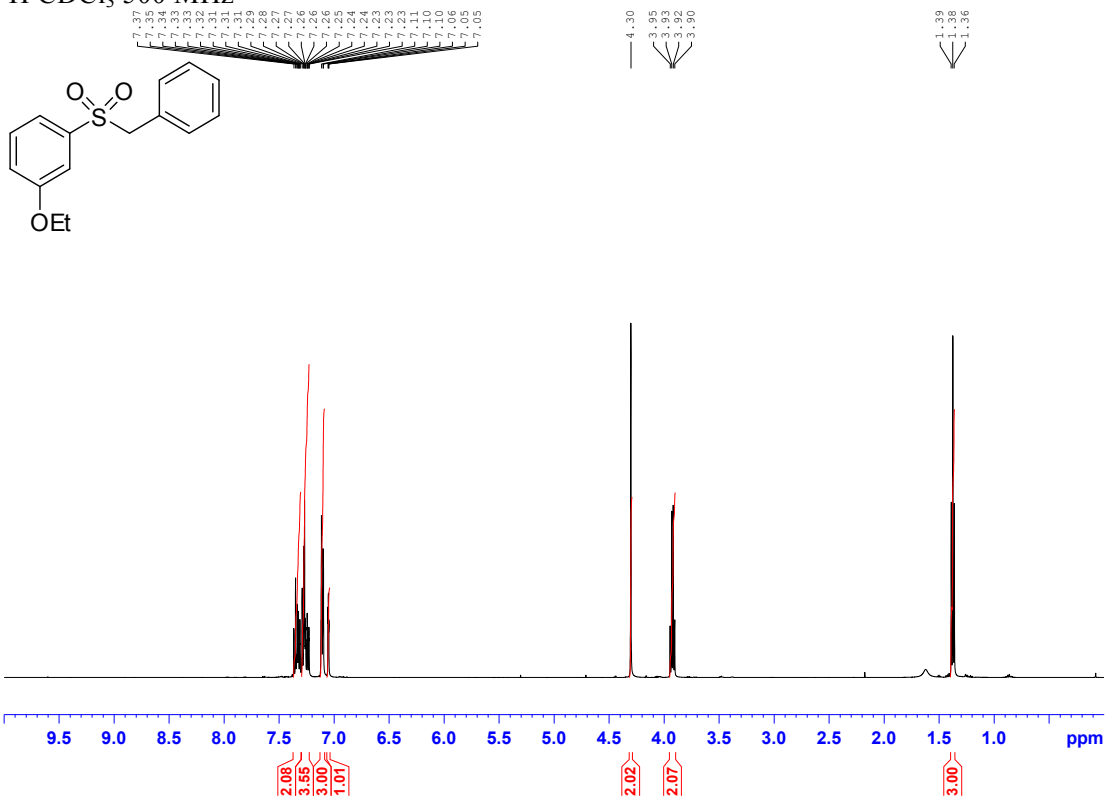


^{13}C $CDCl_3$ 101 MHz

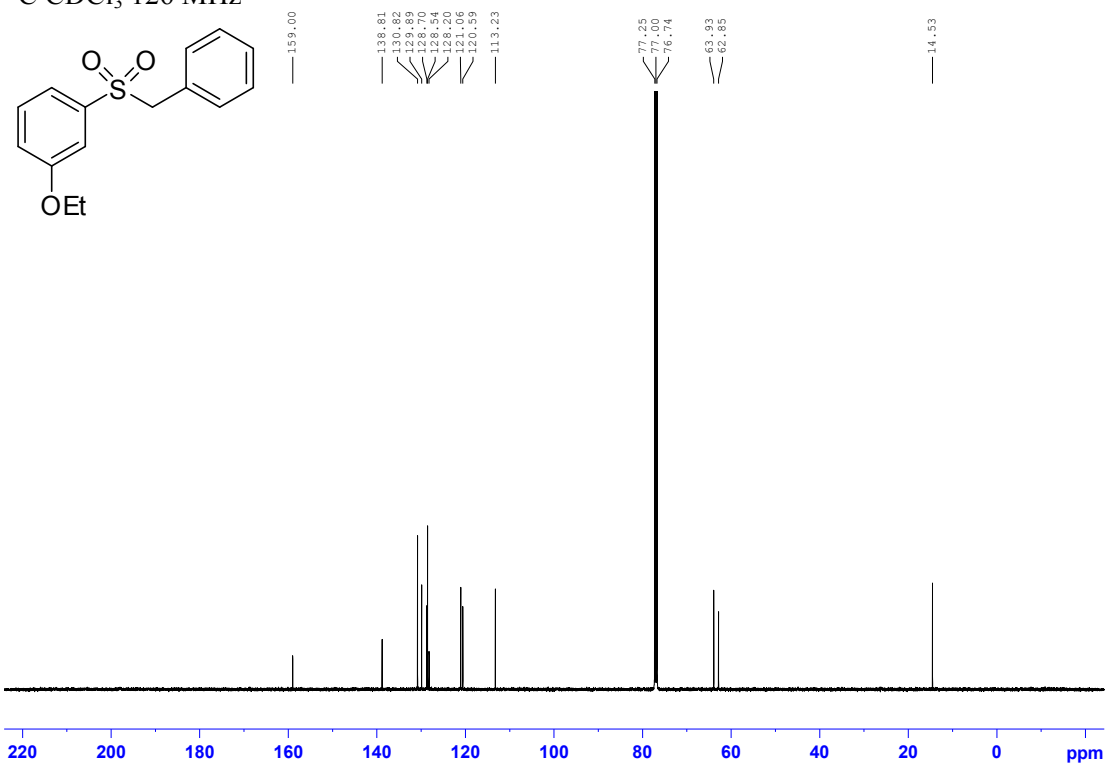


Benzyl 3-ethoxyphenyl sulfone, 10r

^{1}H $CDCl_3$ 500 MHz

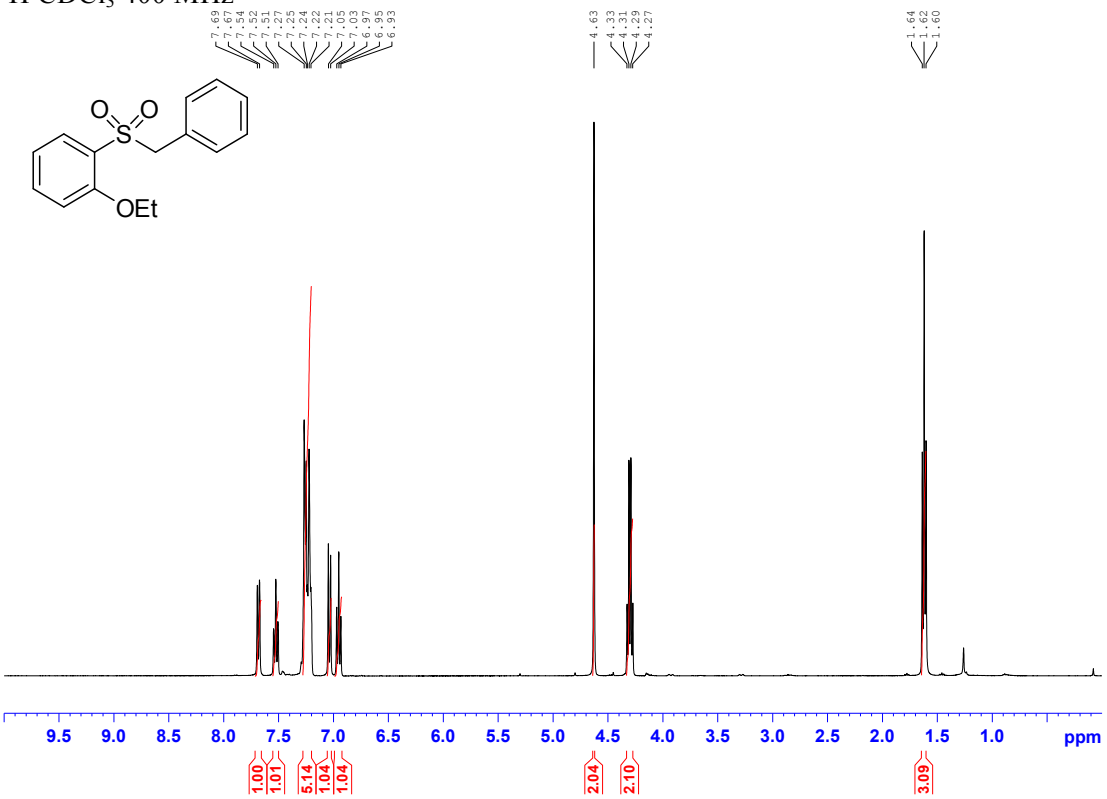


^{13}C $CDCl_3$ 126 MHz

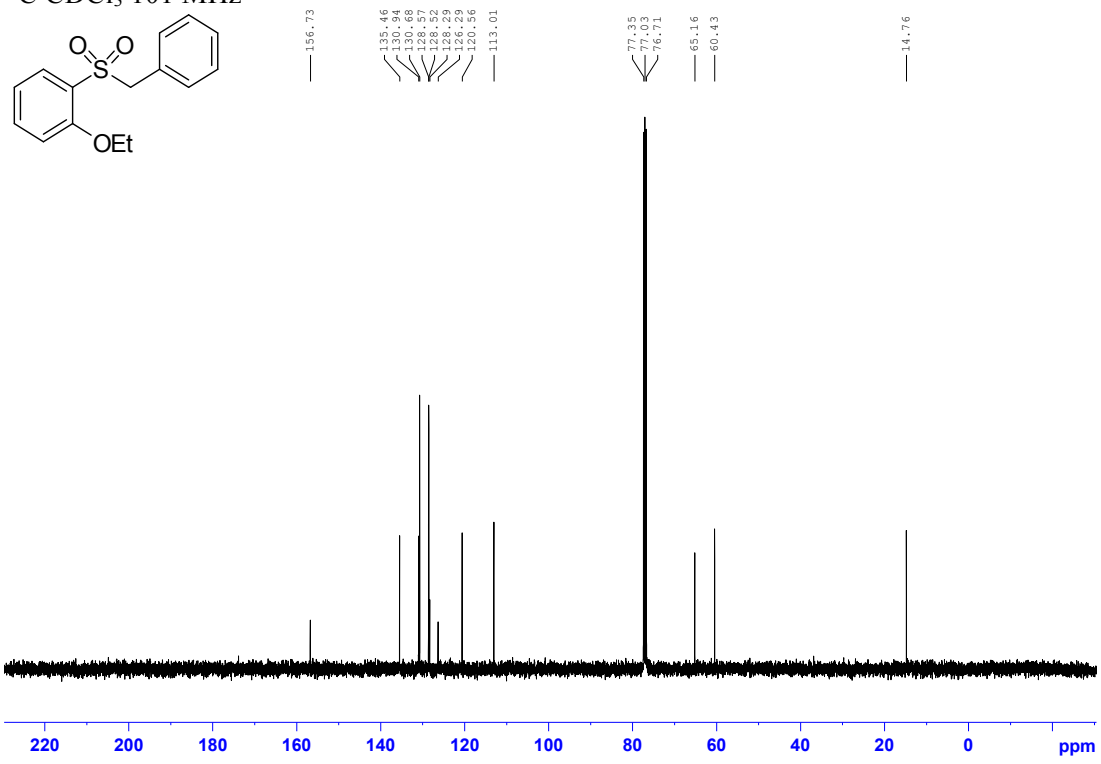


Benzyl 2-ethoxyphenyl sulfone, 10s

^{1}H $CDCl_3$ 400 MHz

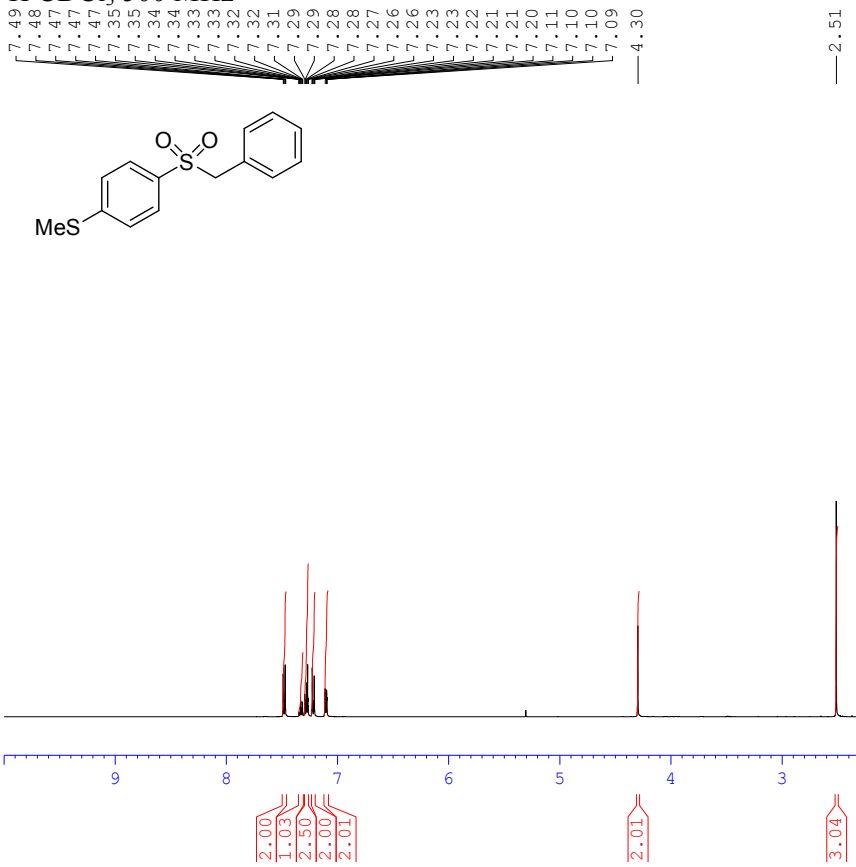


^{13}C $CDCl_3$ 101 MHz

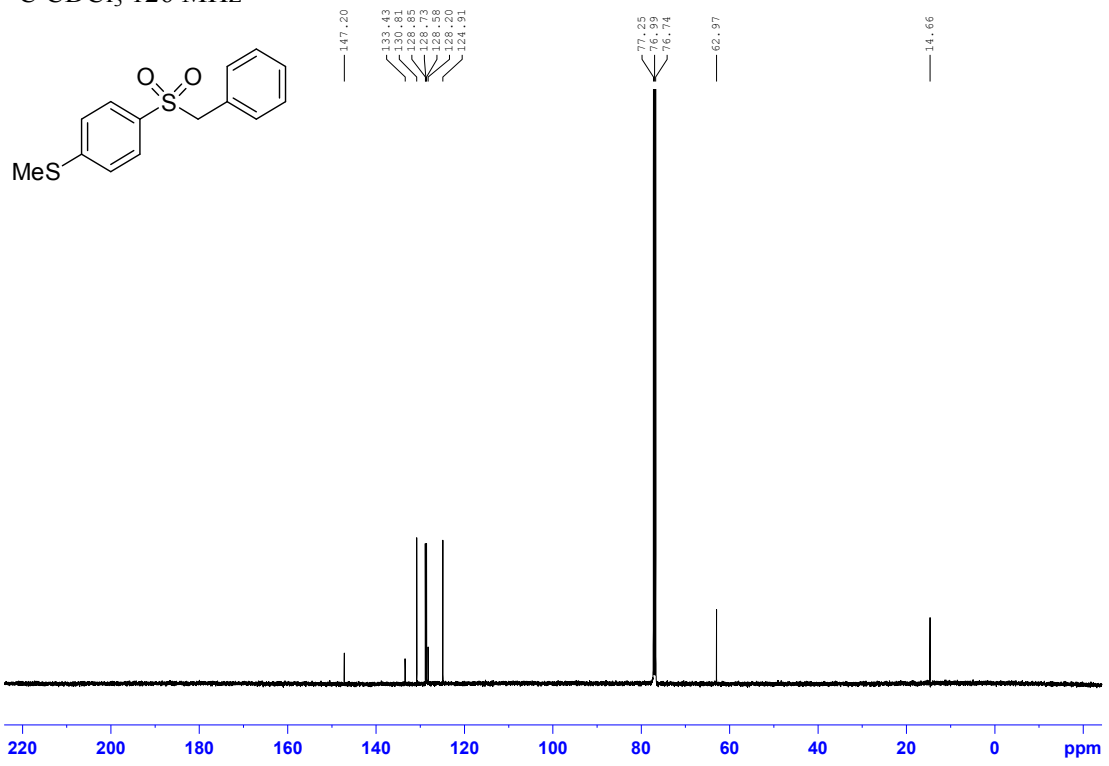


Benzyl 4-(methylsulfanyl)phenyl sulfone, 10t

^{1}H $CDCl_3$ 500 MHz

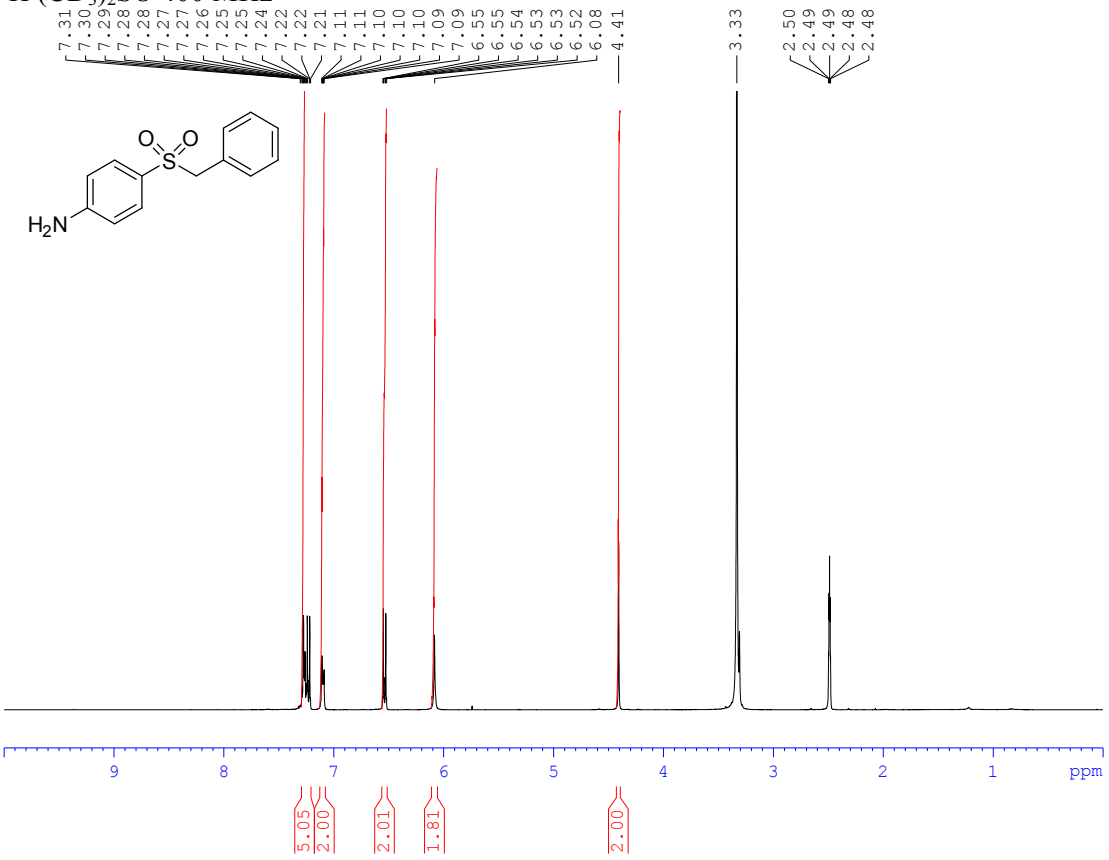


^{13}C $CDCl_3$ 126 MHz

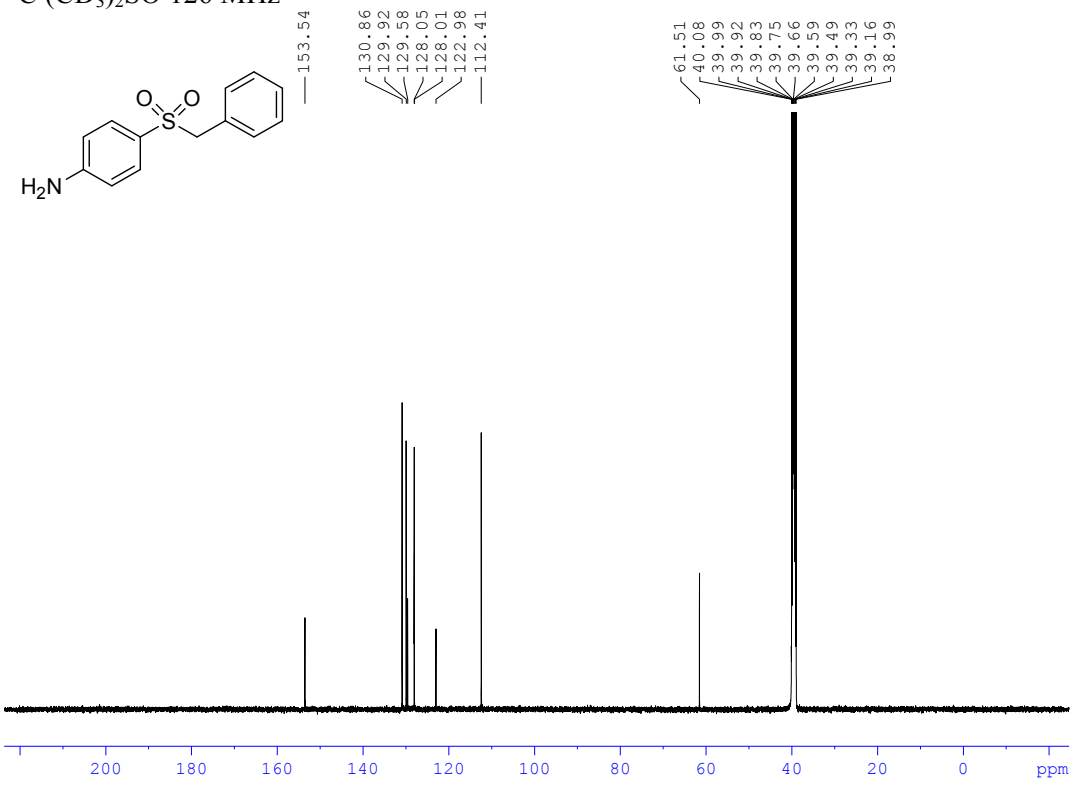


4-(Benzylsulfonyl)aniline, 10u

^{1}H $(CD_3)_2SO$ 400 MHz

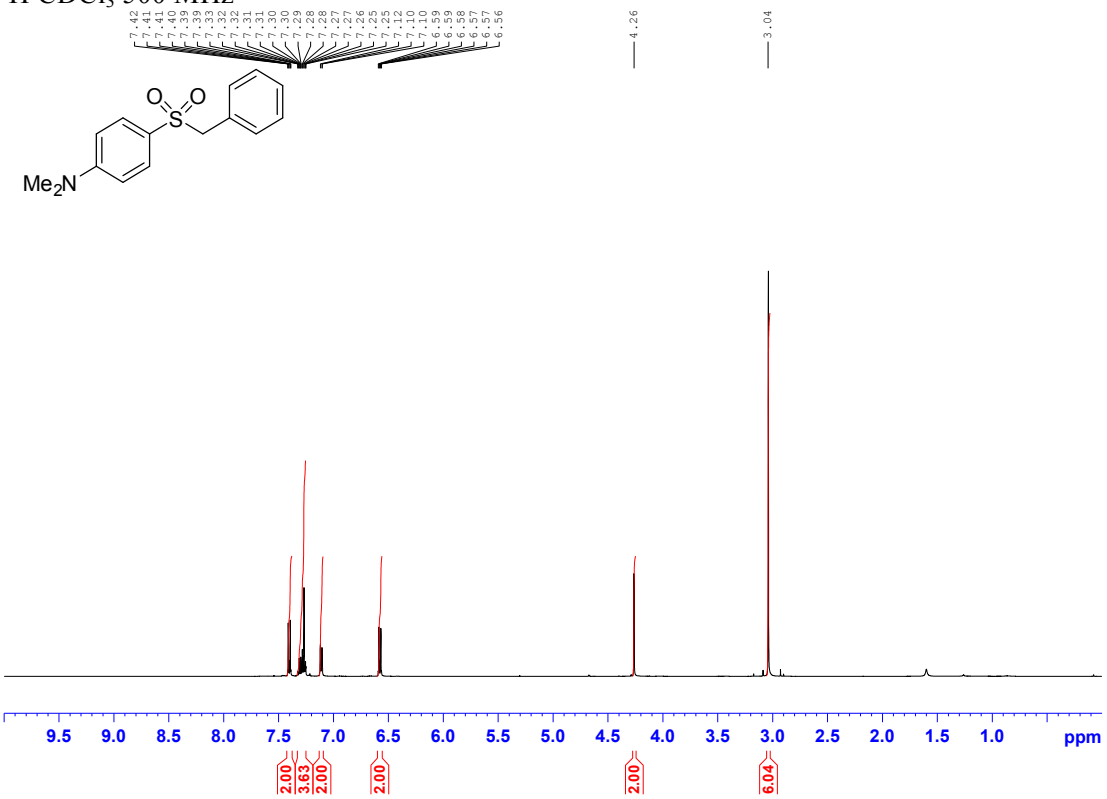


^{13}C $(CD_3)_2SO$ 126 MHz

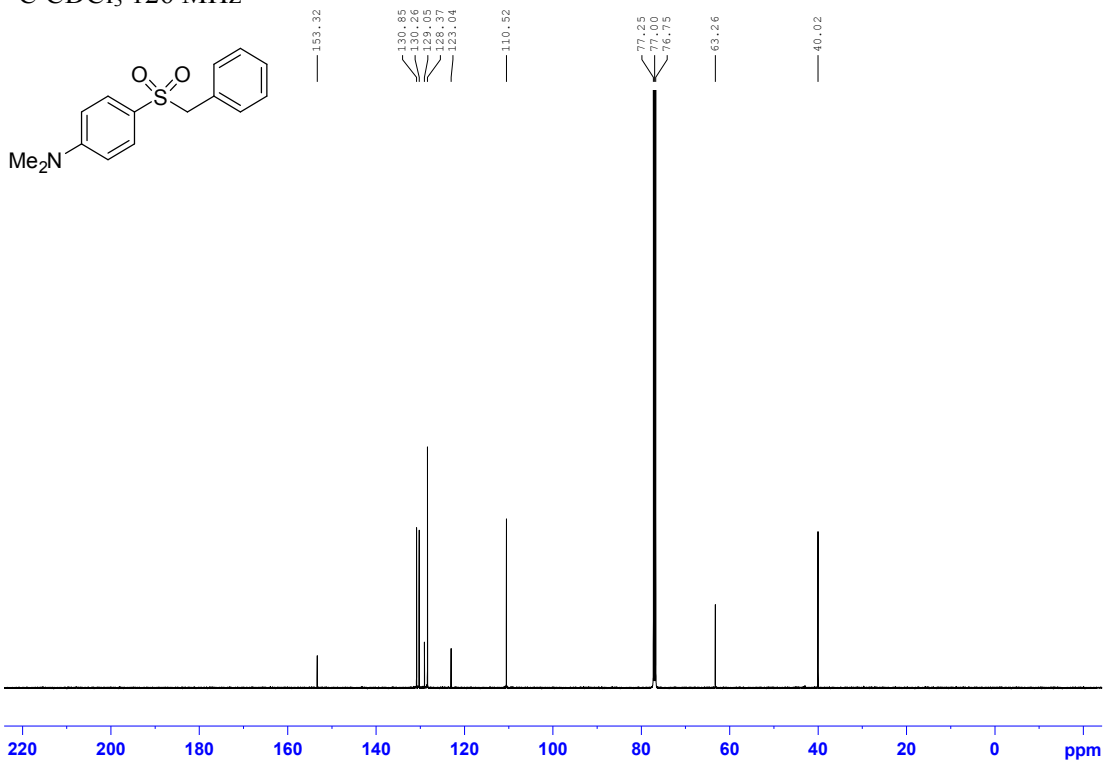


4-(Benzylsulfonyl)-*N*,*N*-dimethylaniline, 10v

^{1}H $CDCl_3$ 500 MHz

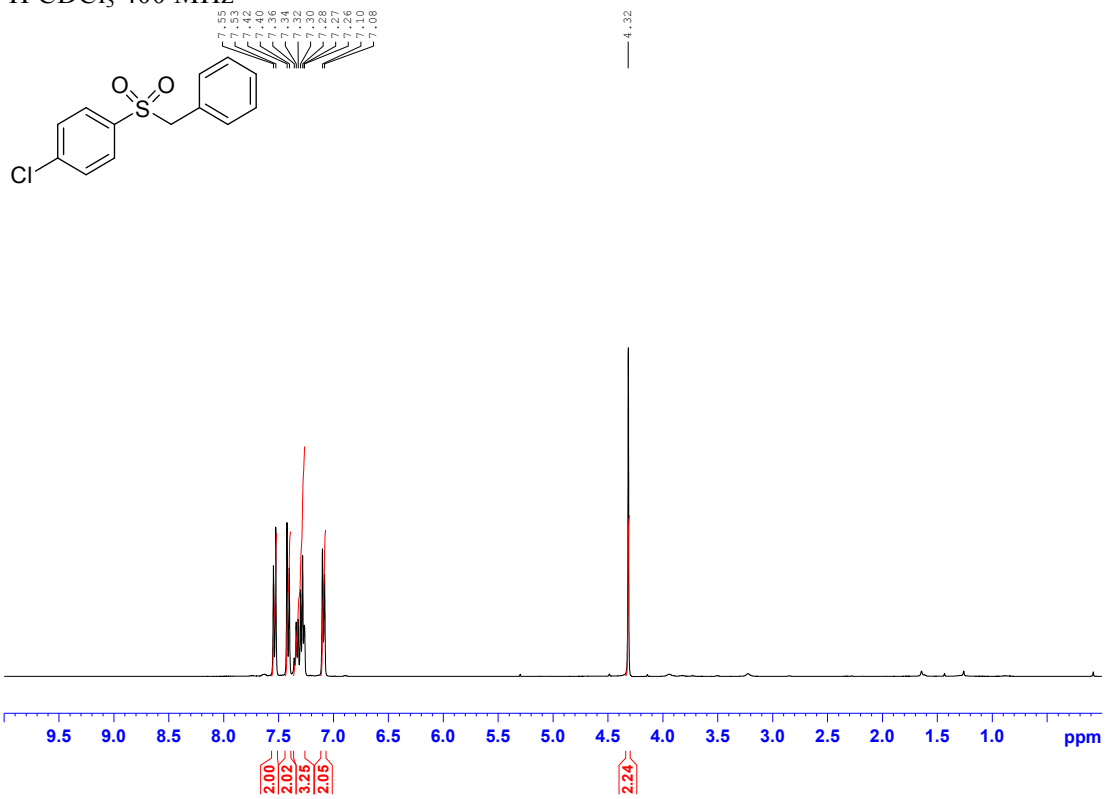


^{13}C $CDCl_3$ 126 MHz

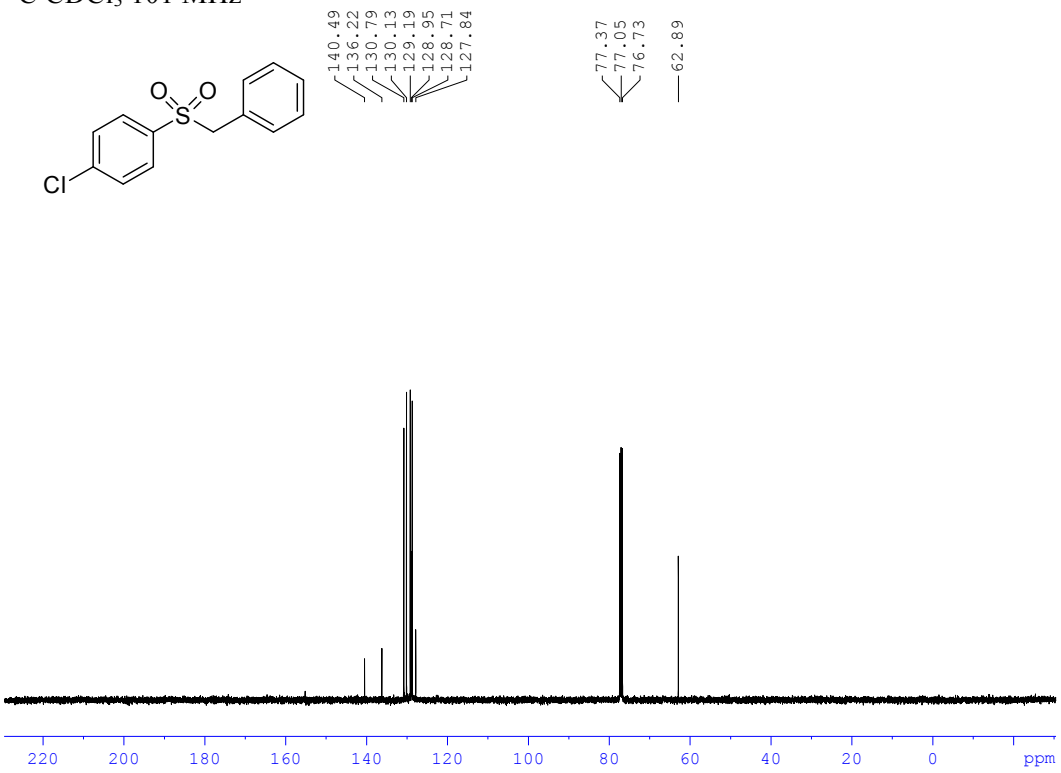


Benzyl 4-chlorophenyl sulfone, 10w

^{1}H $CDCl_3$ 400 MHz

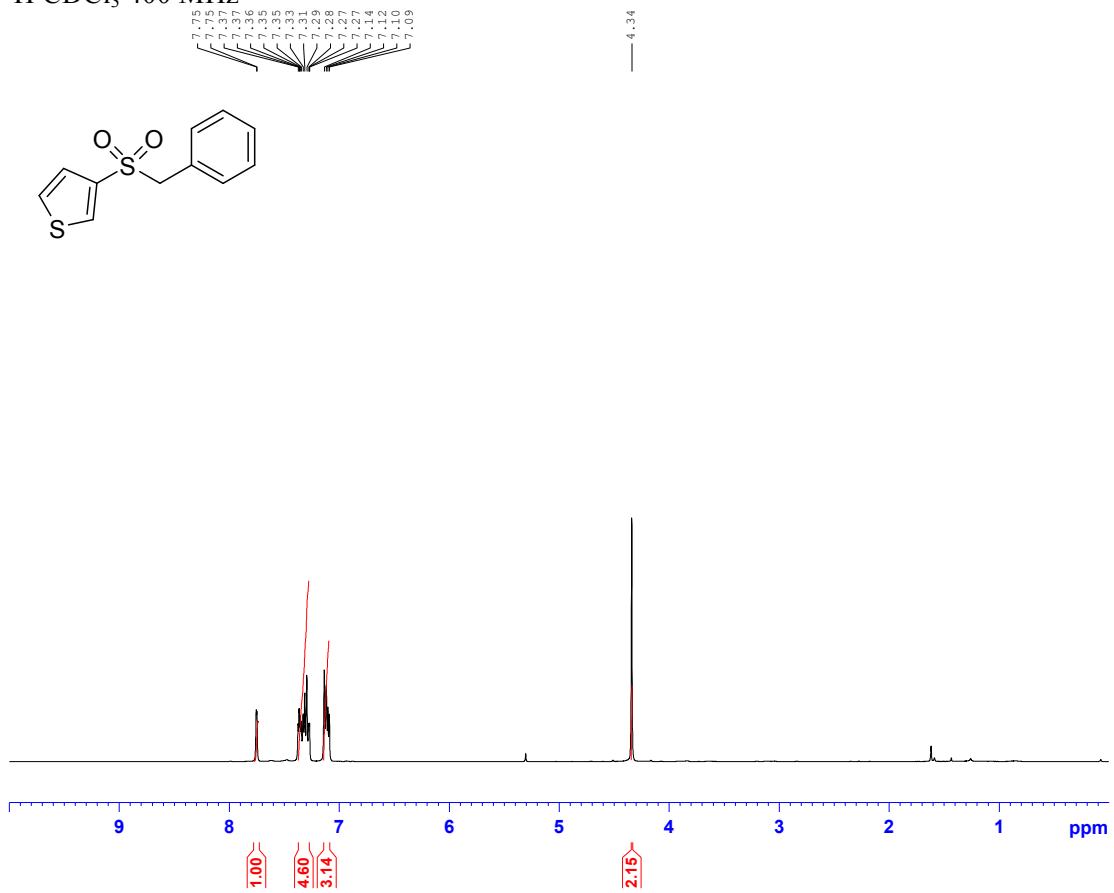


^{13}C $CDCl_3$ 101 MHz

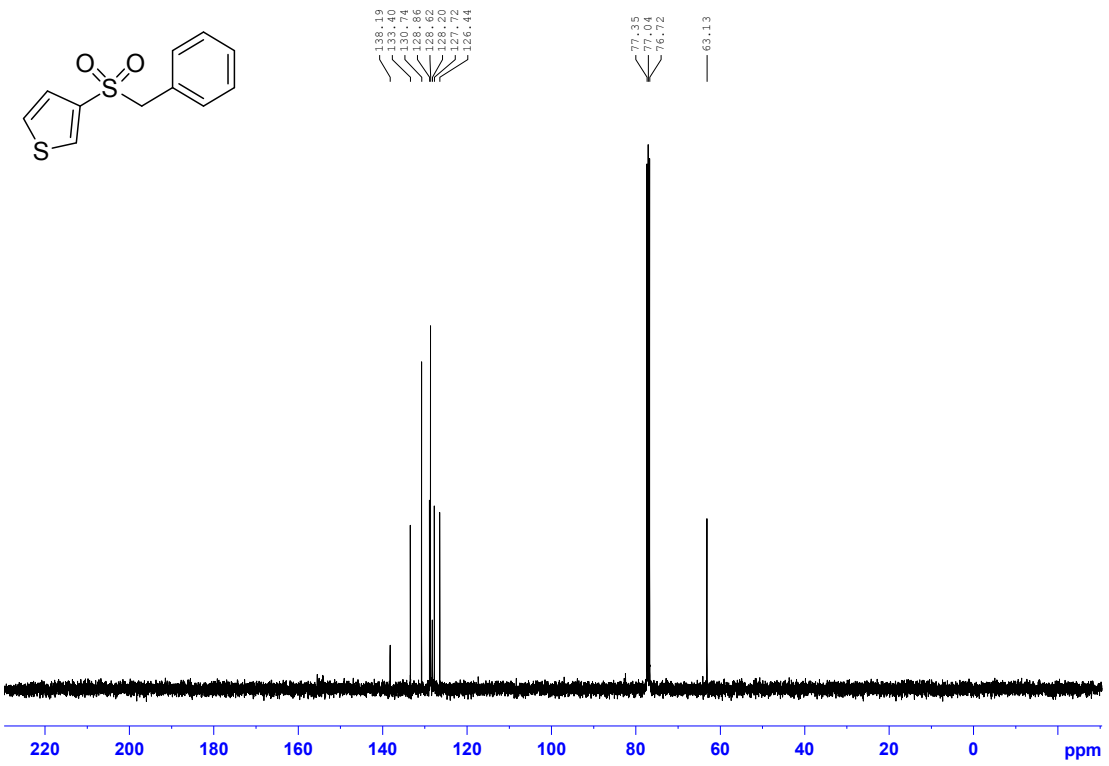


Benzyl thiophen-3-yl sulfone, 10x

^{1}H $CDCl_3$ 400 MHz

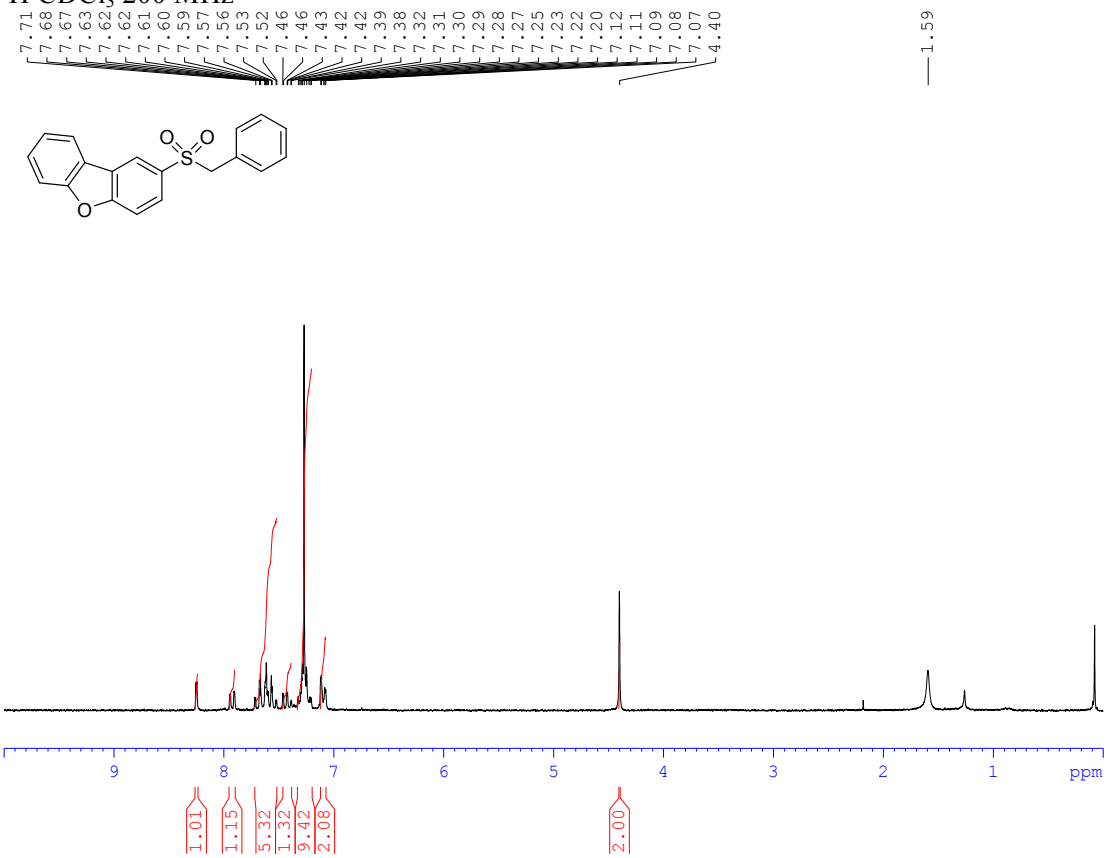


^{13}C $CDCl_3$ 101 MHz

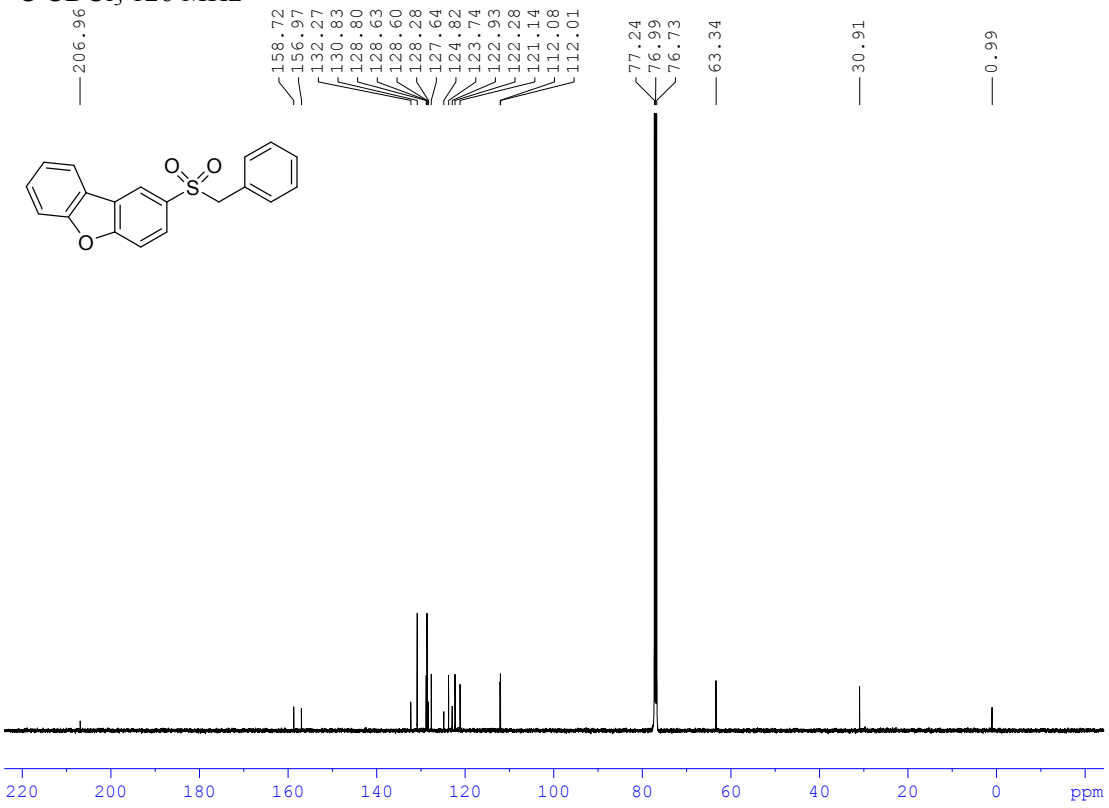


2-(Benzylsulfonyl)dibenzo[*b*,*d*]furan, 10y

^{1}H $CDCl_3$ 200 MHz

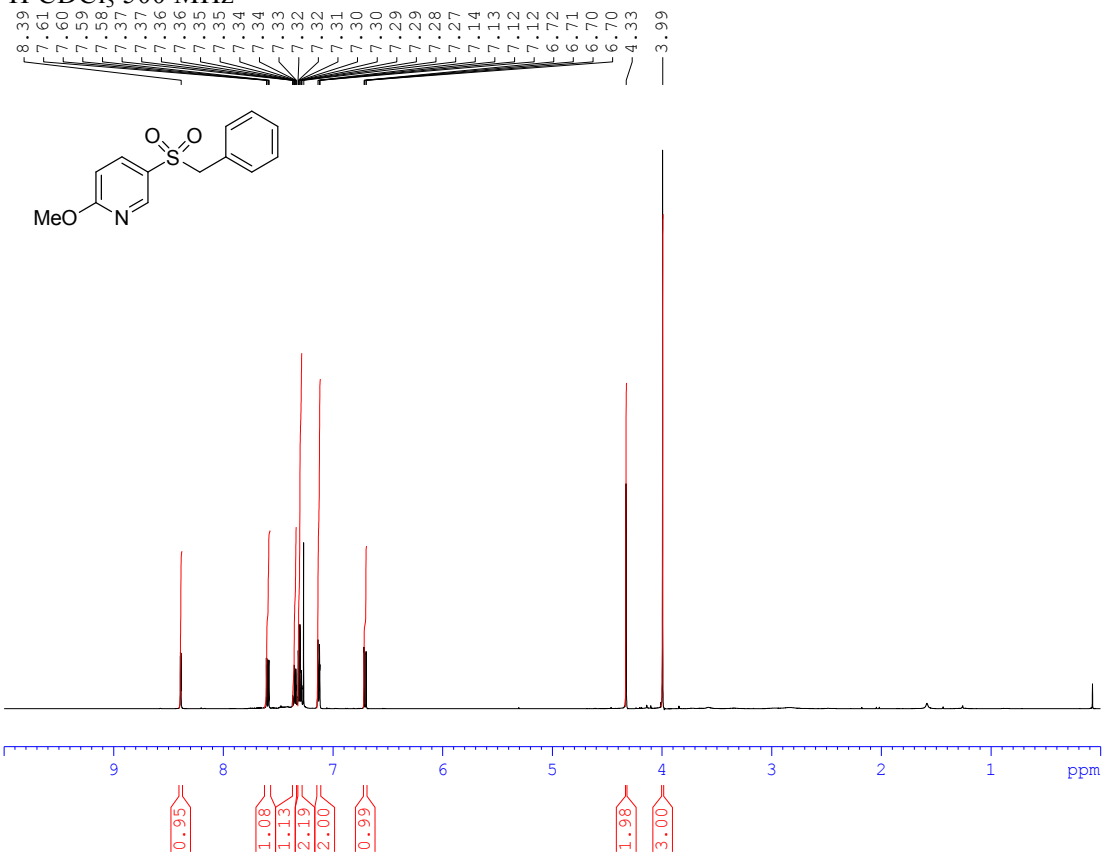


^{13}C $CDCl_3$ 126 MHz

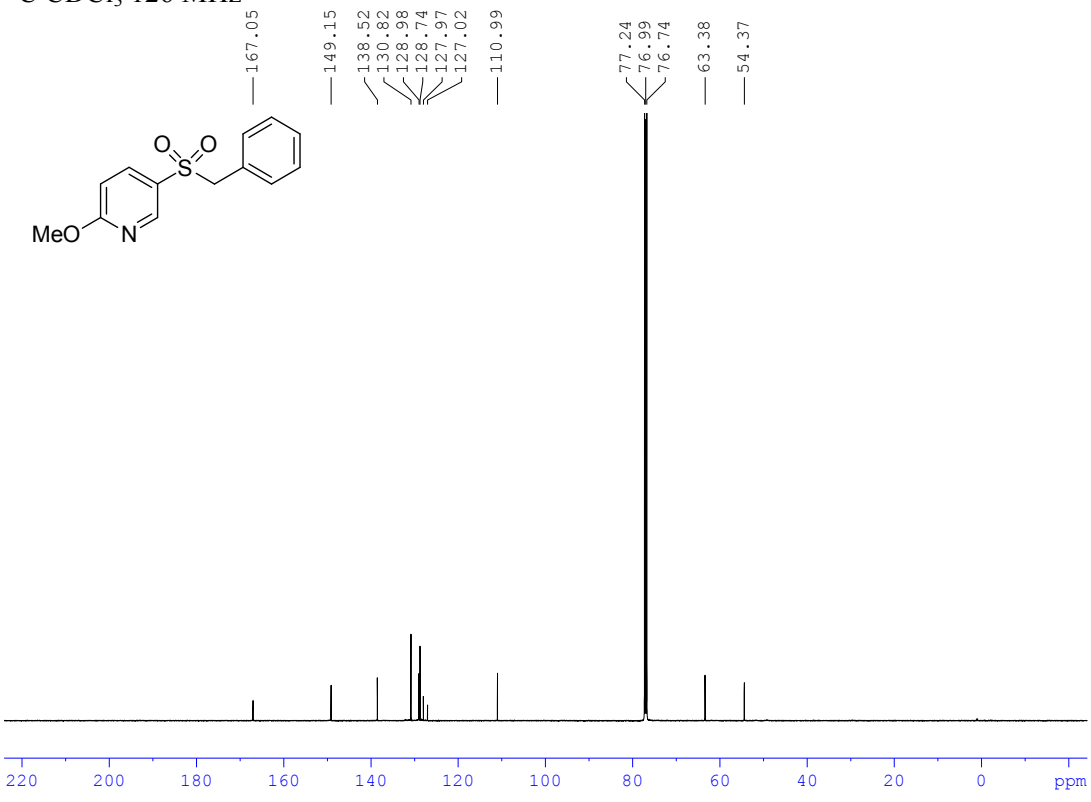


5-(Benzylsulfonyl)-2-methoxypyridine, 10z

^{1}H $CDCl_3$ 500 MHz

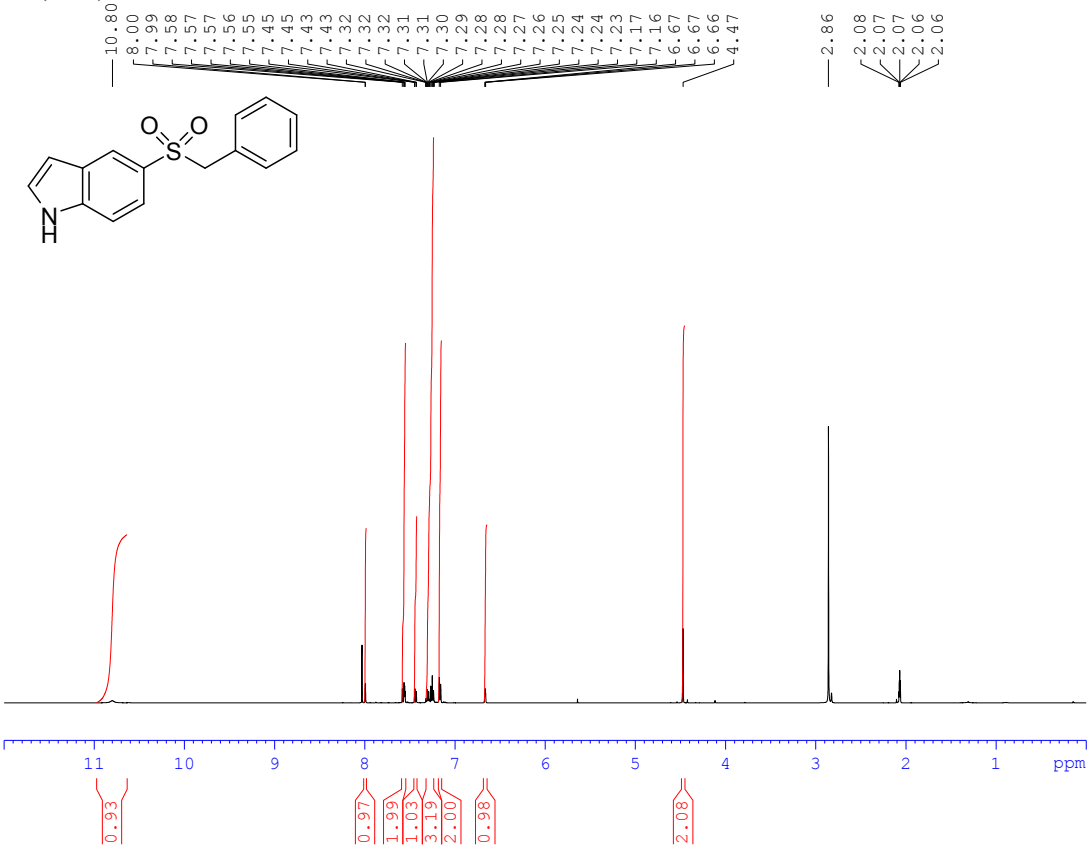


^{13}C $CDCl_3$ 126 MHz

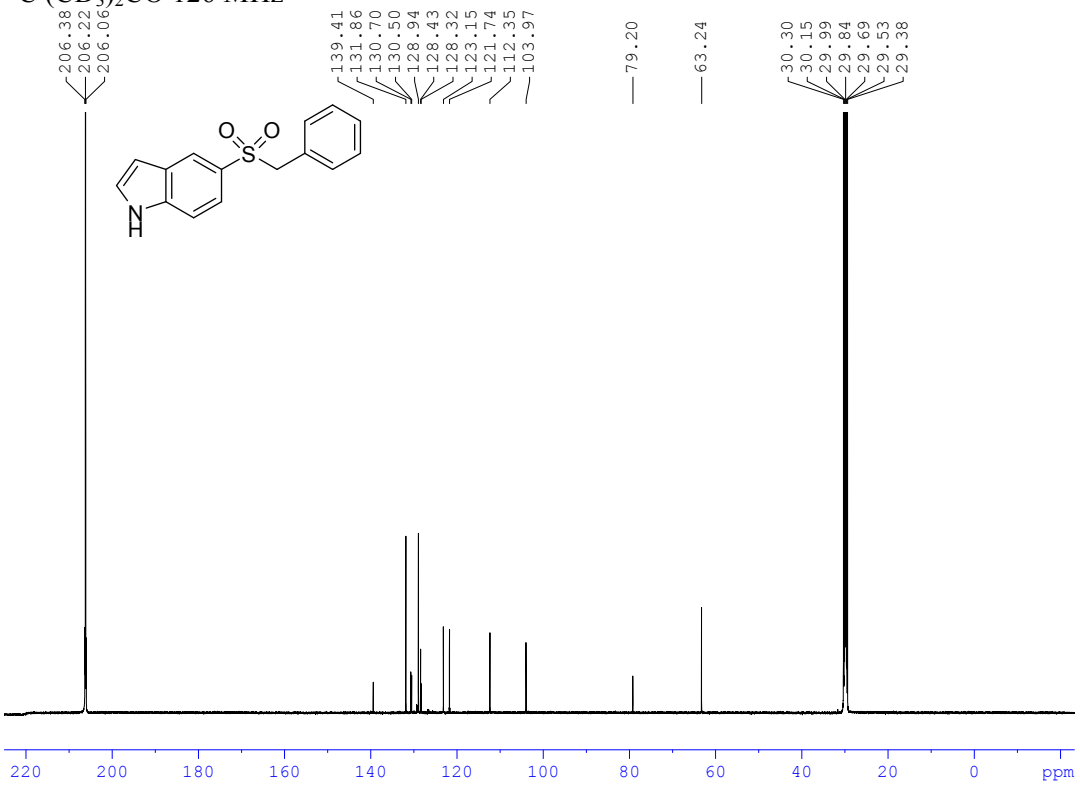


5-(Benzylsulfonyl)-1*H*-indole, 10aa

^{1}H $(CD_3)_2CO$ 500 MHz

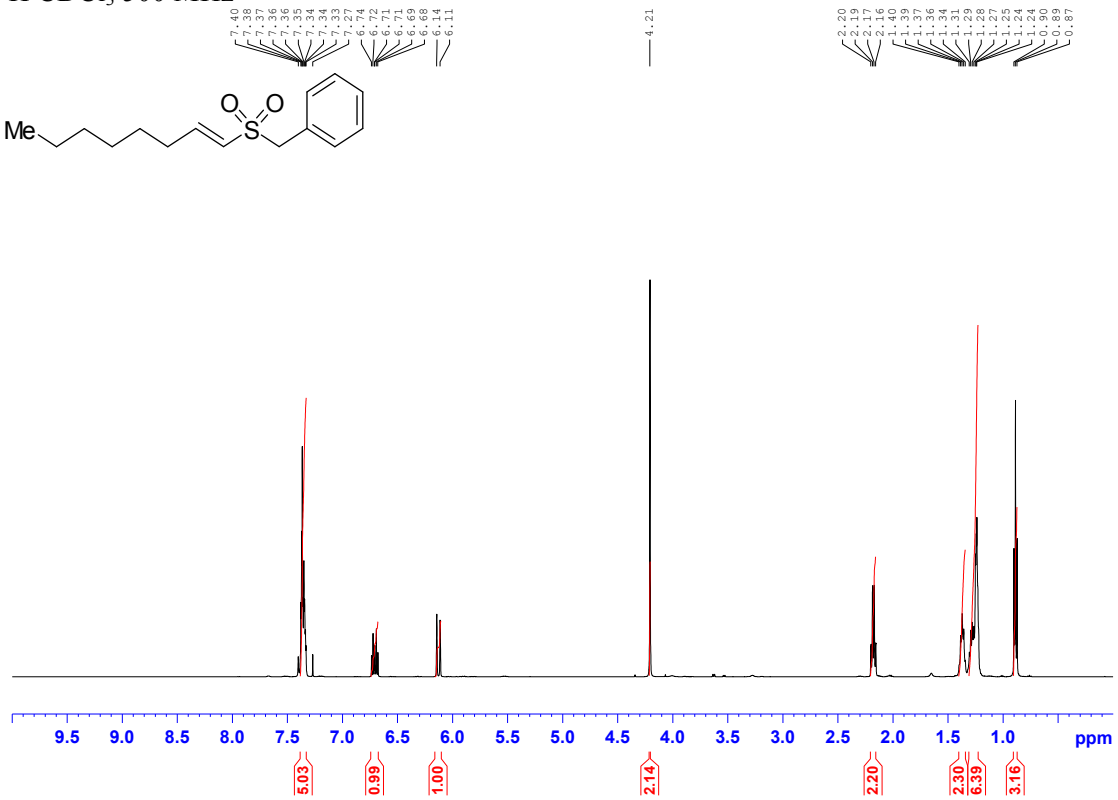


^{13}C $(CD_3)_2CO$ 126 MHz

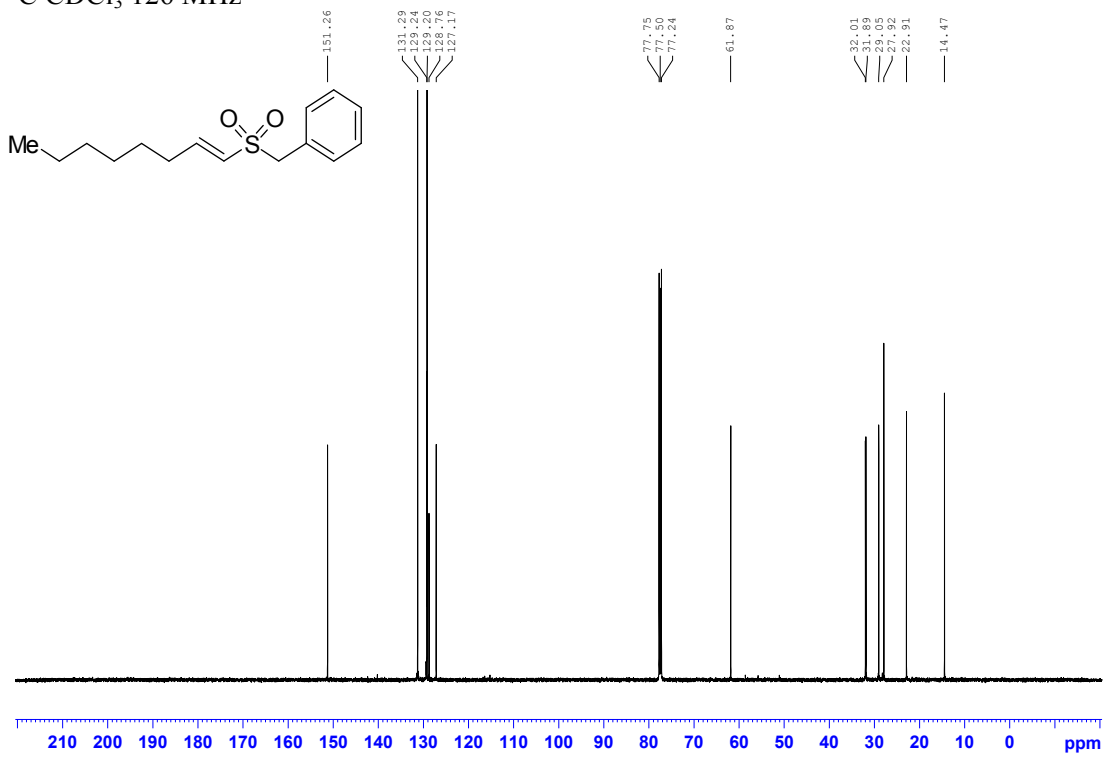


Benzyl (1*E*)-oct-1-en-1-yl sulfone, 10ab

^{1}H $CDCl_3$ 500 MHz

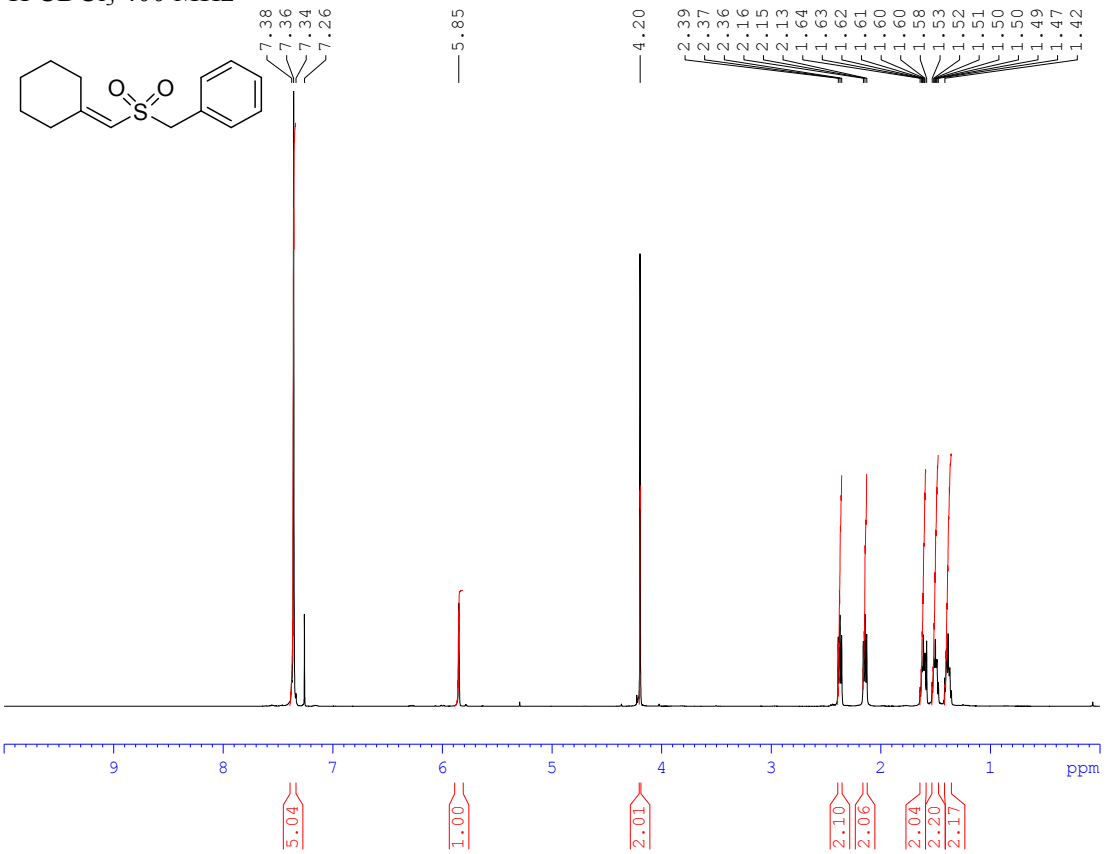


^{13}C $CDCl_3$ 126 MHz

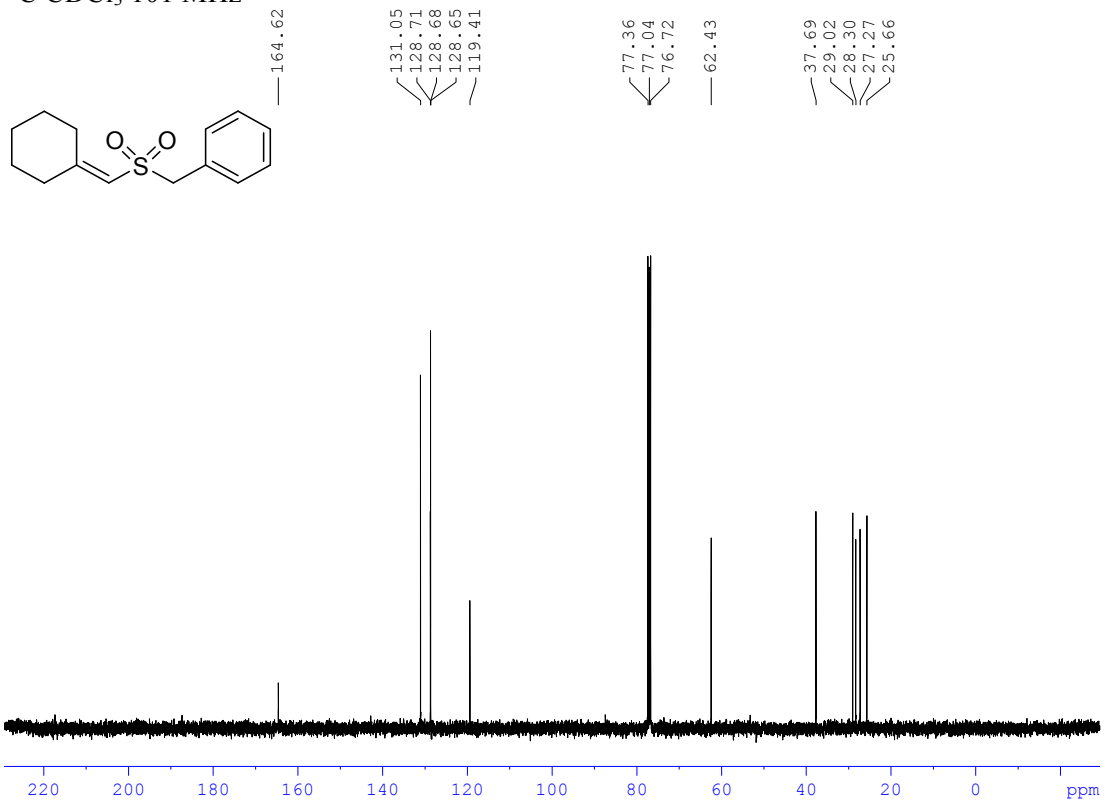


{[(Cyclohexylidenemethyl)sulfonyl]methyl}benzene benzyl cyclohexylidenemethyl sulfone, 10ac

^{1}H $CDCl_3$ 400 MHz

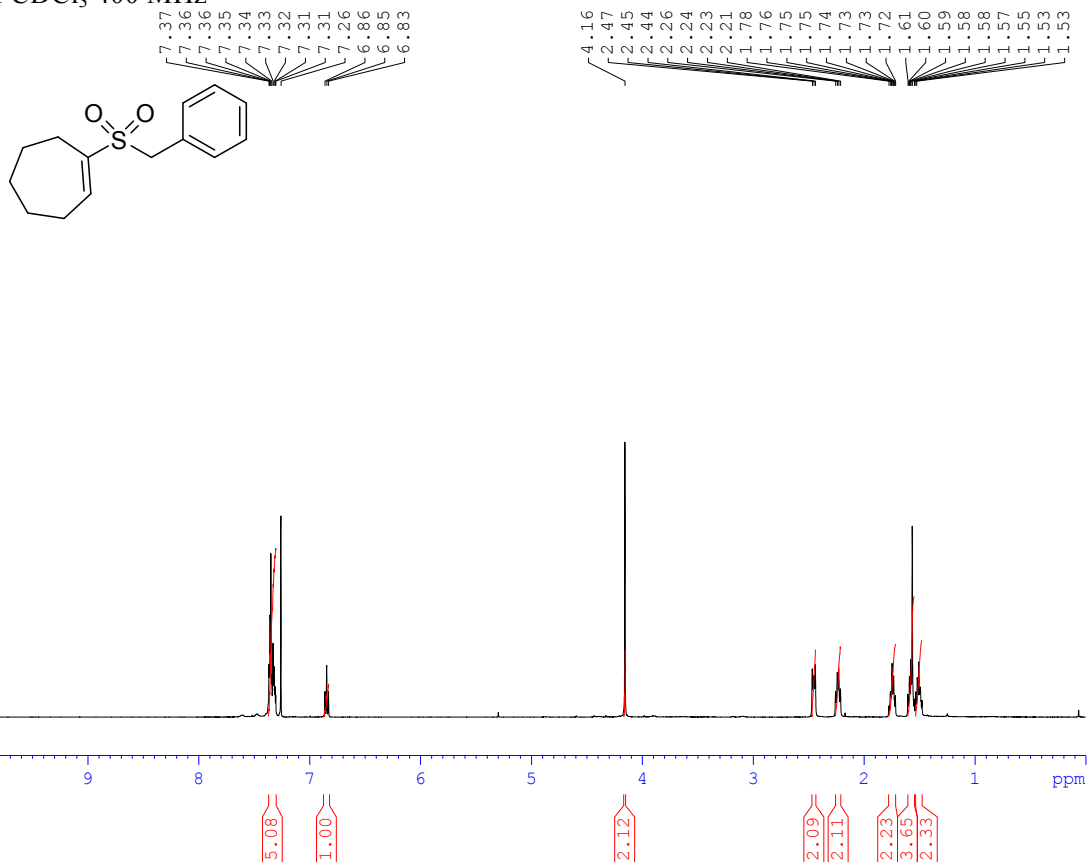


^{13}C $CDCl_3$ 101 MHz

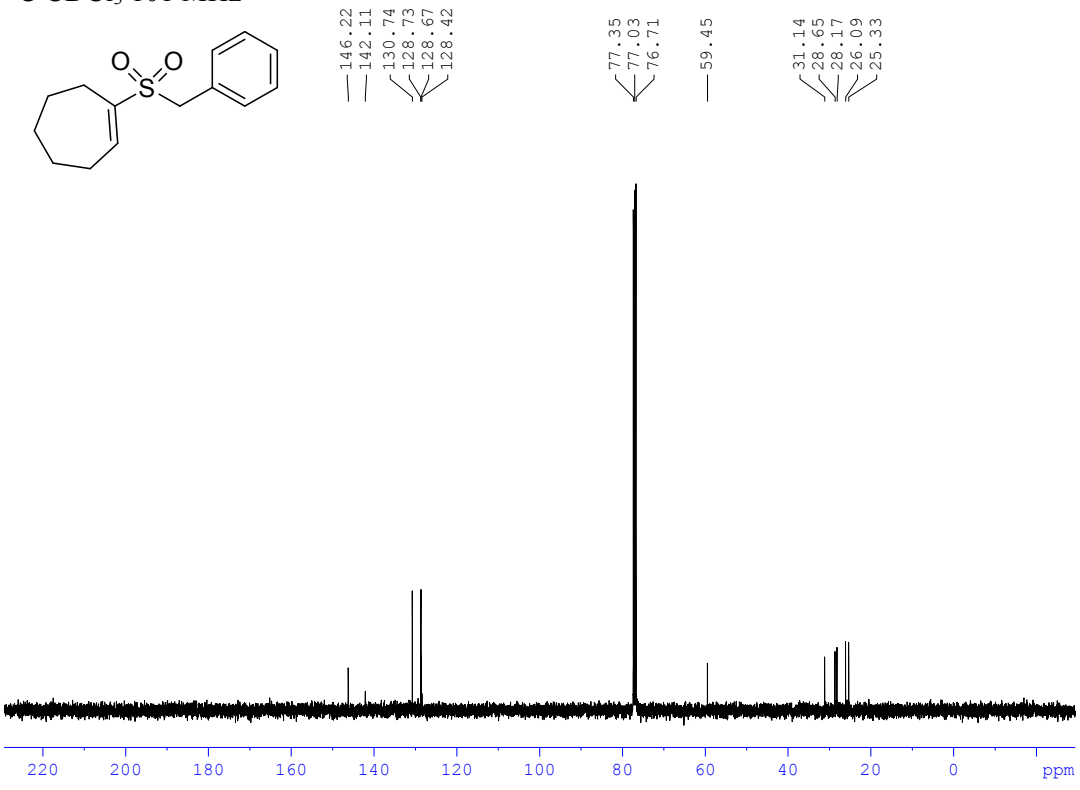


Benzyl cyclohept-1-en-1-yl sulfone, 10ad

^{1}H $CDCl_3$ 400 MHz

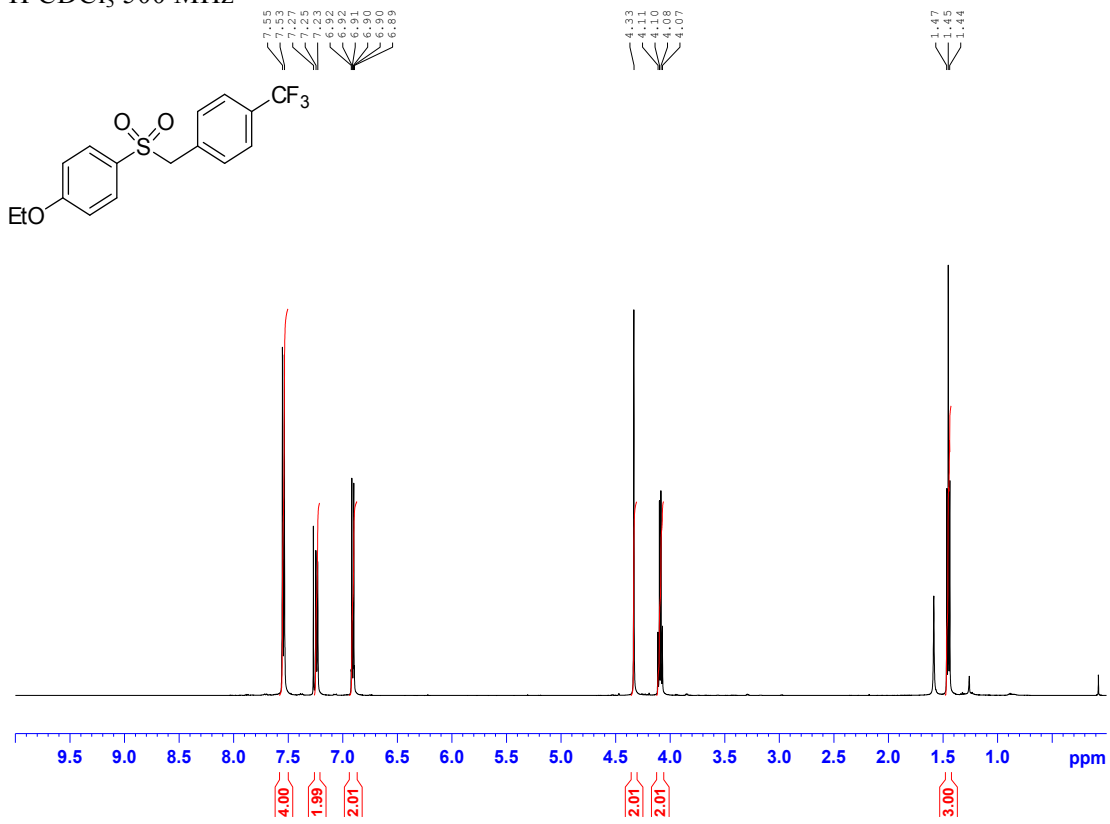


^{13}C $CDCl_3$ 101 MHz

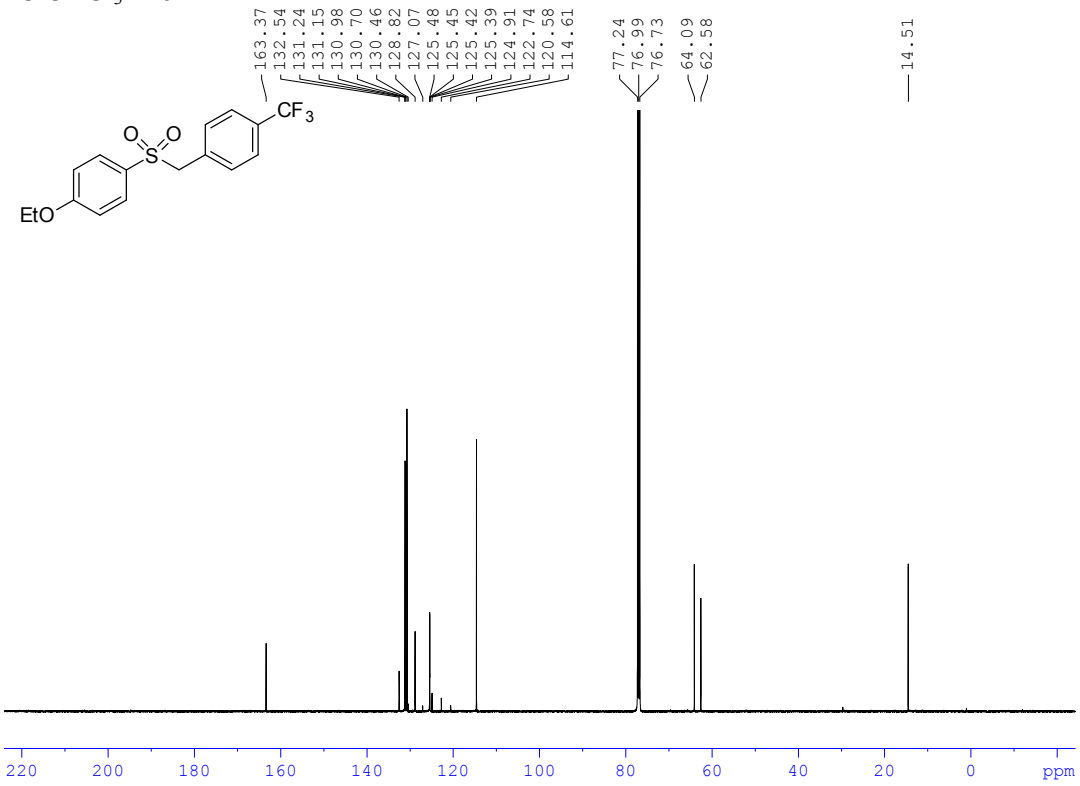


4-Ethoxyphenyl 4-(trifluoromethyl)benzyl sulfone, 10ae

^{1}H $CDCl_3$ 500 MHz

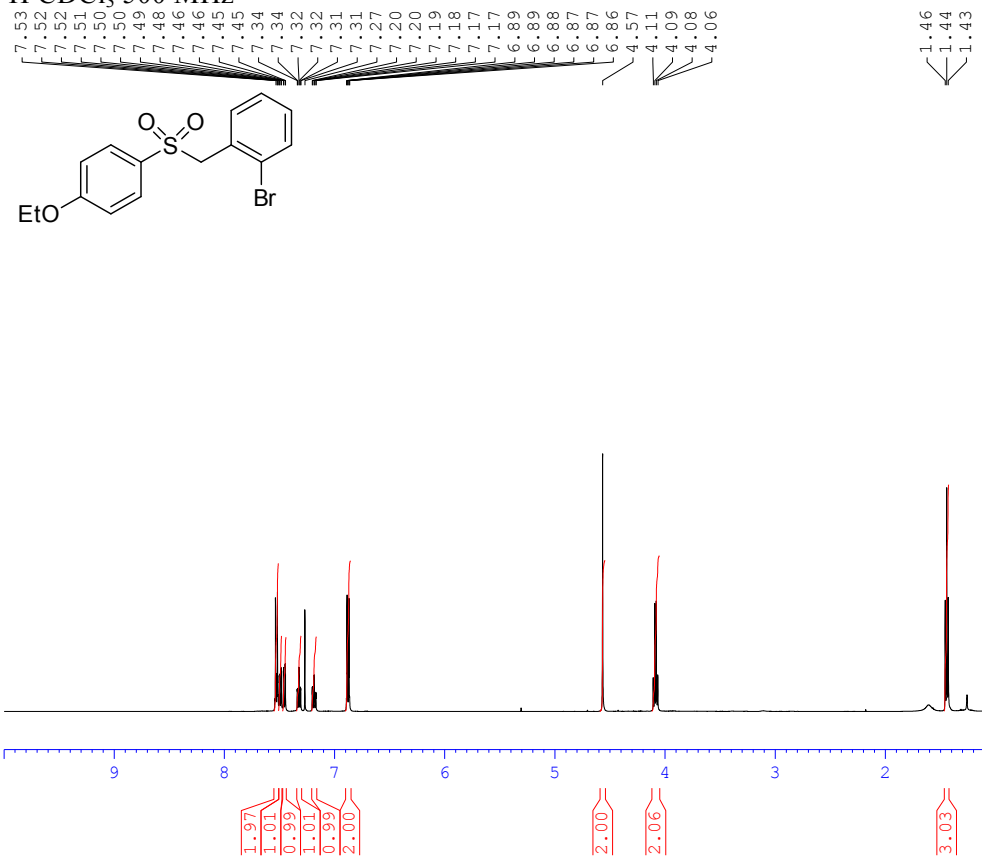


^{13}C $CDCl_3$ 126 MHz

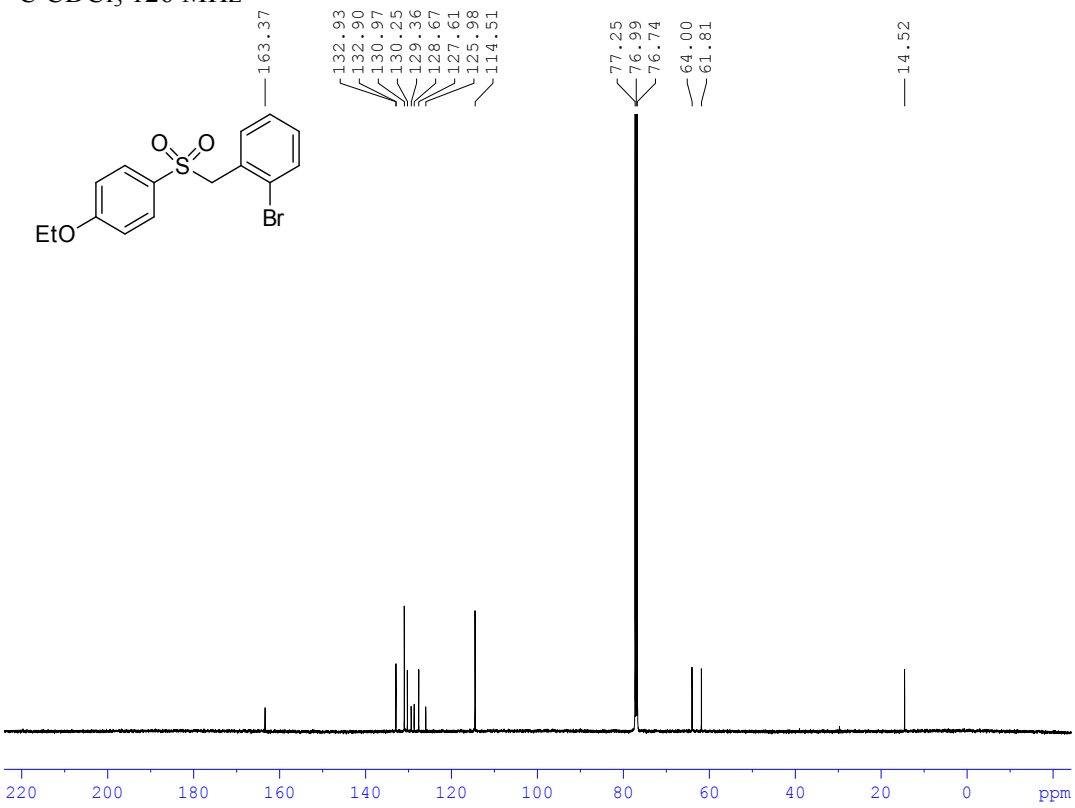


2-Bromobenzyl 4-ethoxyphenyl sulfone, 10af

^{1}H $CDCl_3$ 500 MHz

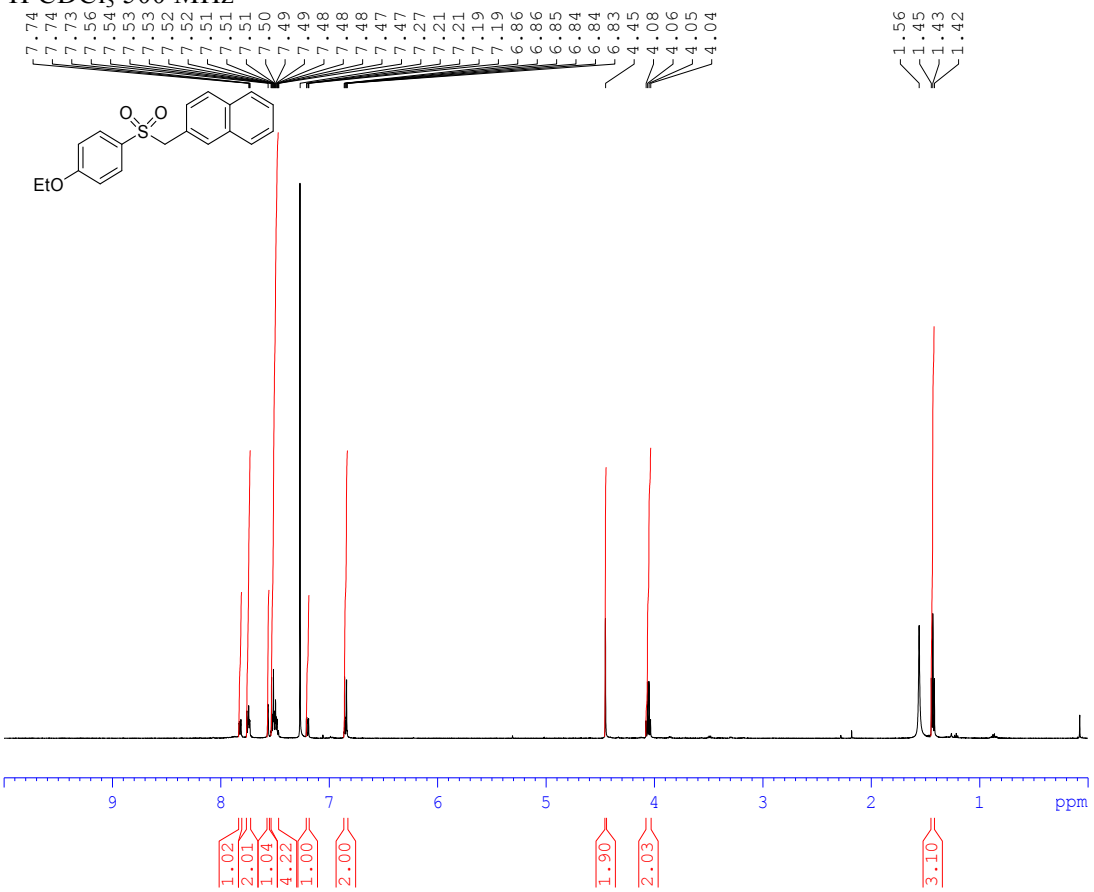


^{13}C $CDCl_3$ 126 MHz

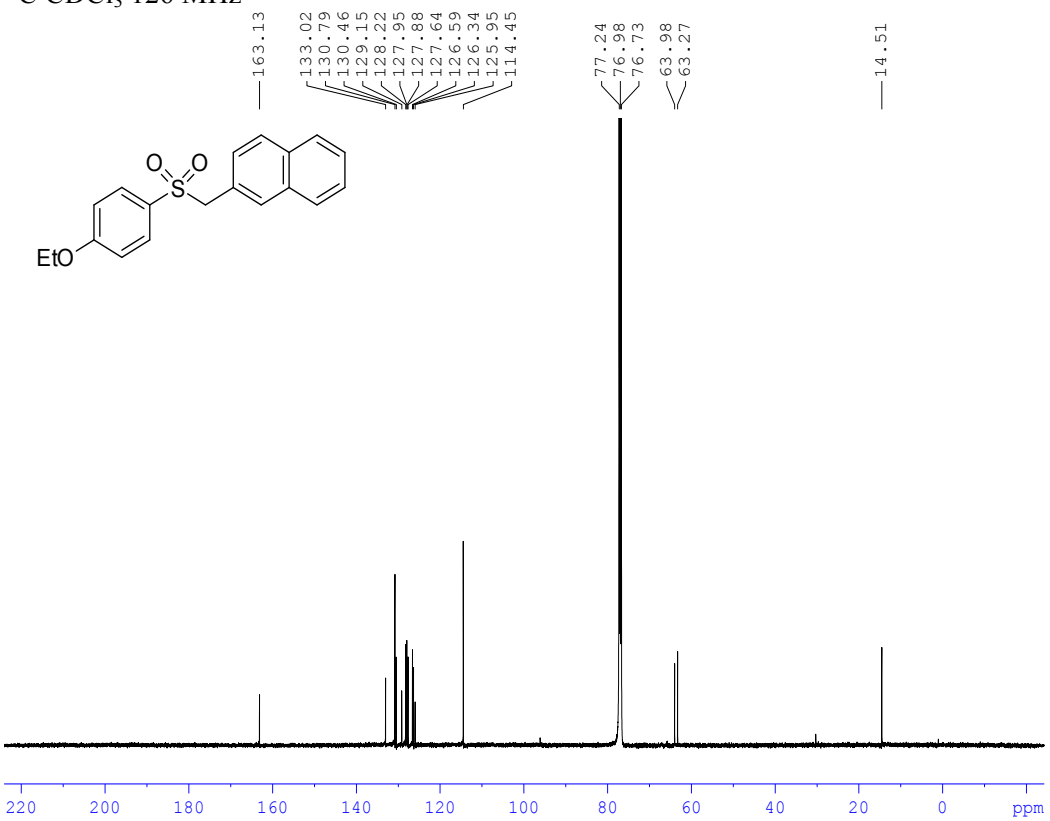


4-Ethoxyphenyl naphthalen-2-ylmethyl sulfone, 10ag

^{1}H $CDCl_3$ 500 MHz

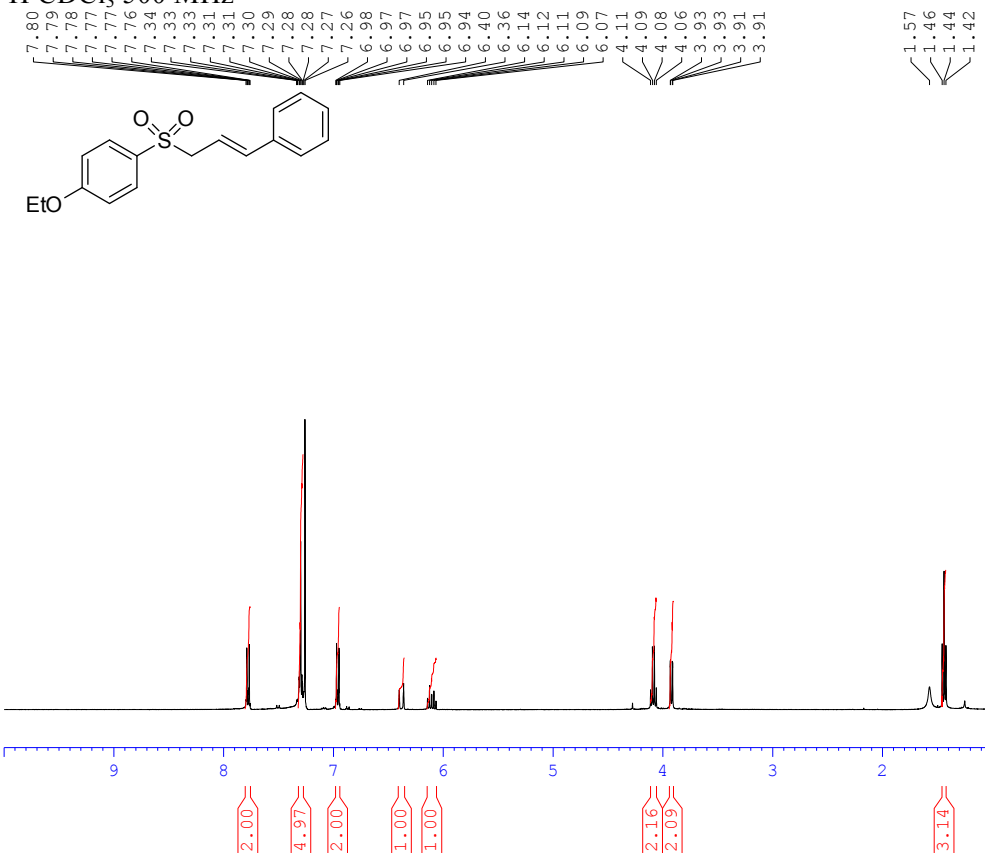


^{13}C $CDCl_3$ 126 MHz

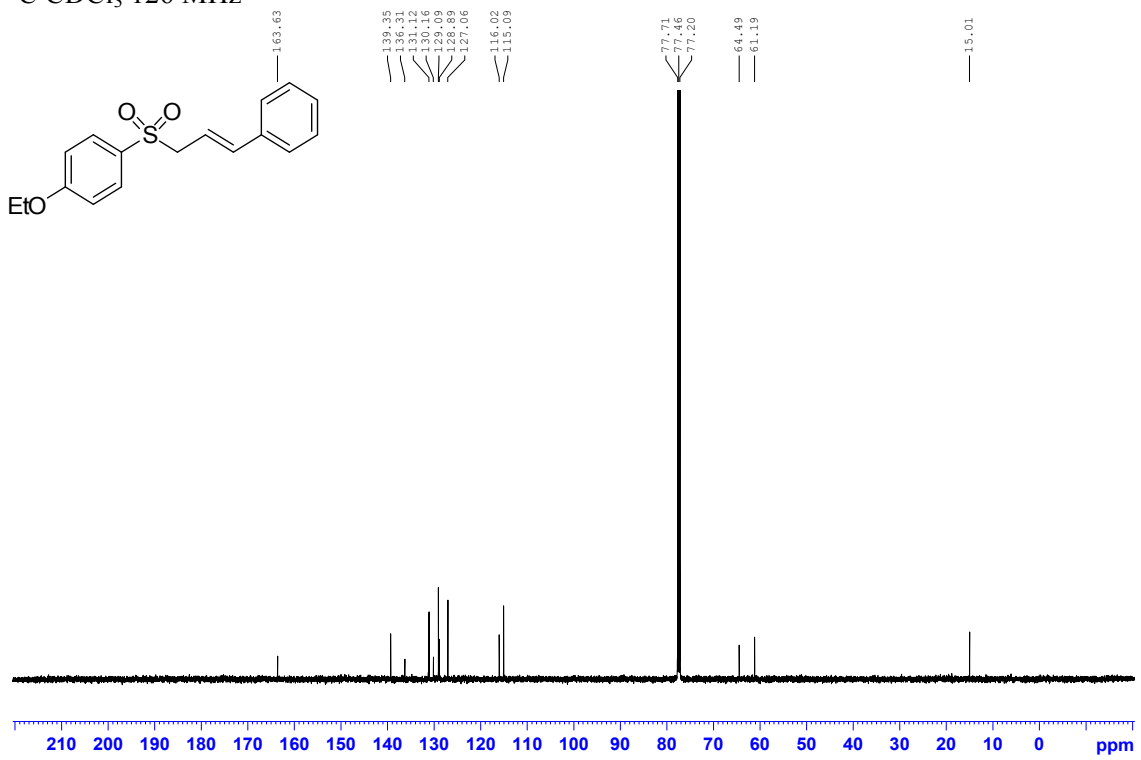


4-Ethoxyphenyl (2*E*)-3-phenylprop-2-en-1-yl sulfone, 10ah

^{1}H $CDCl_3$ 500 MHz

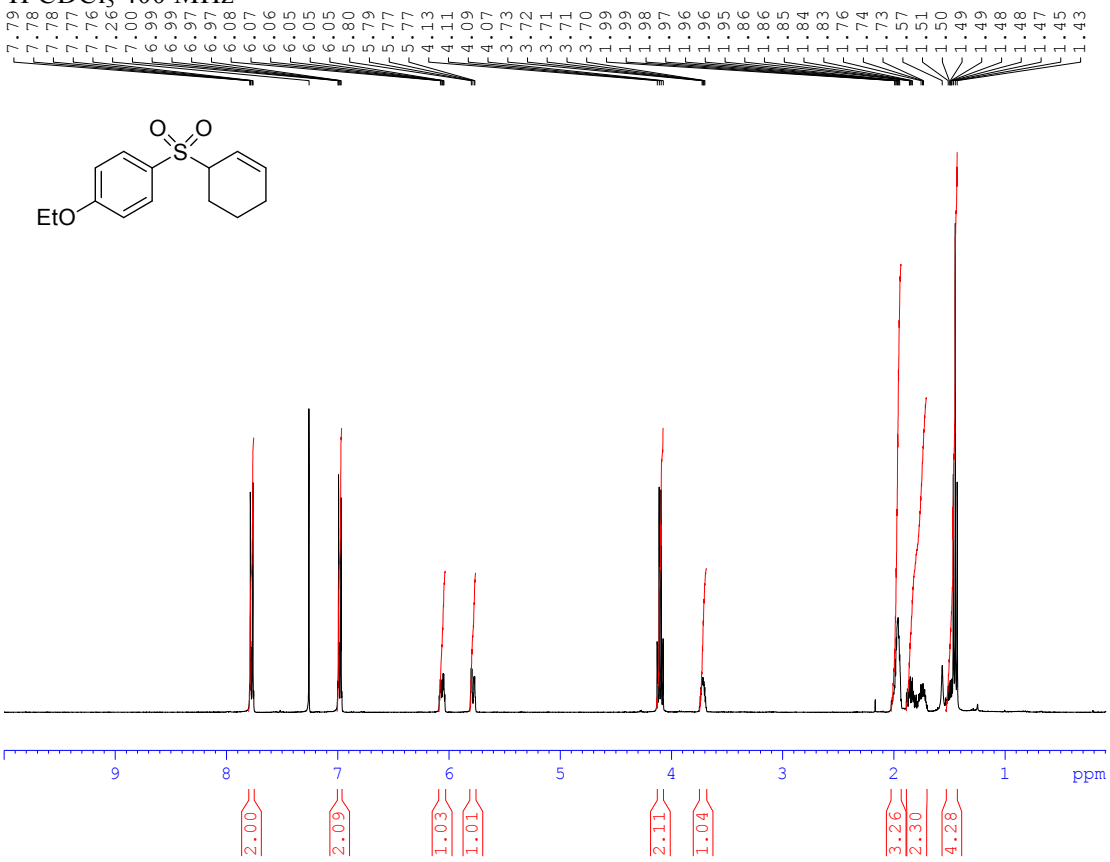


^{13}C $CDCl_3$ 126 MHz

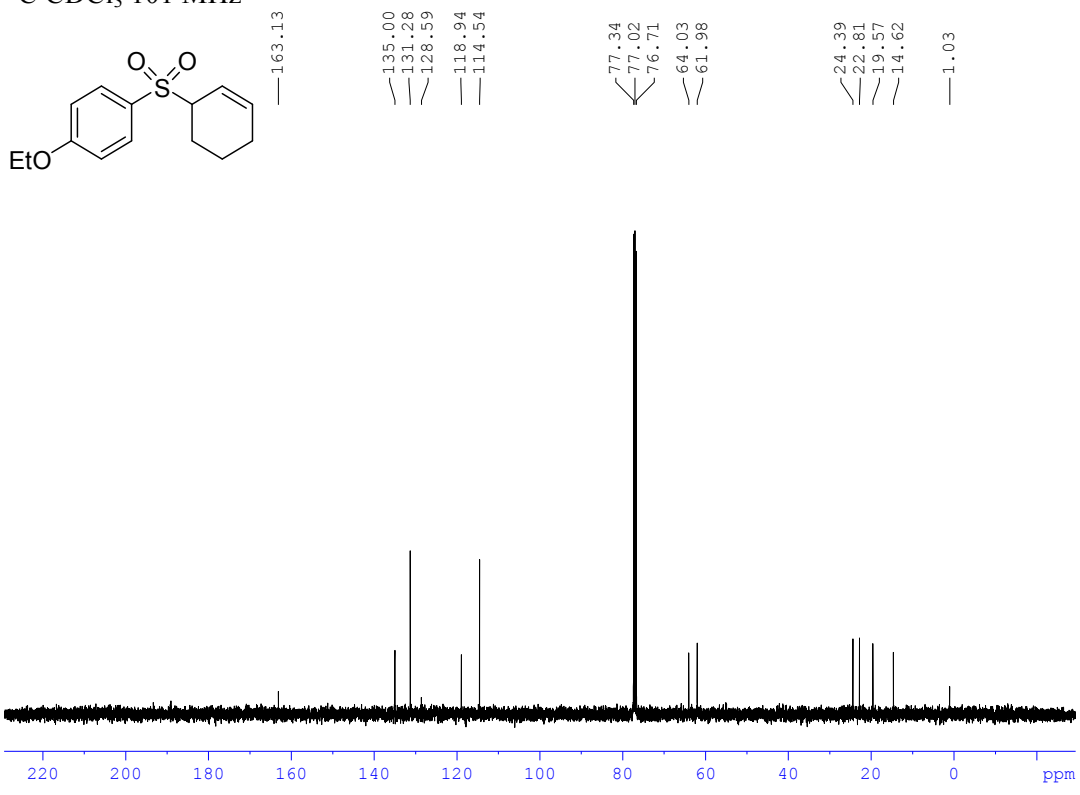


Cyclohex-2-en-1-yl 4-ethoxyphenyl sulfone, 10ai

^{1}H $CDCl_3$ 400 MHz

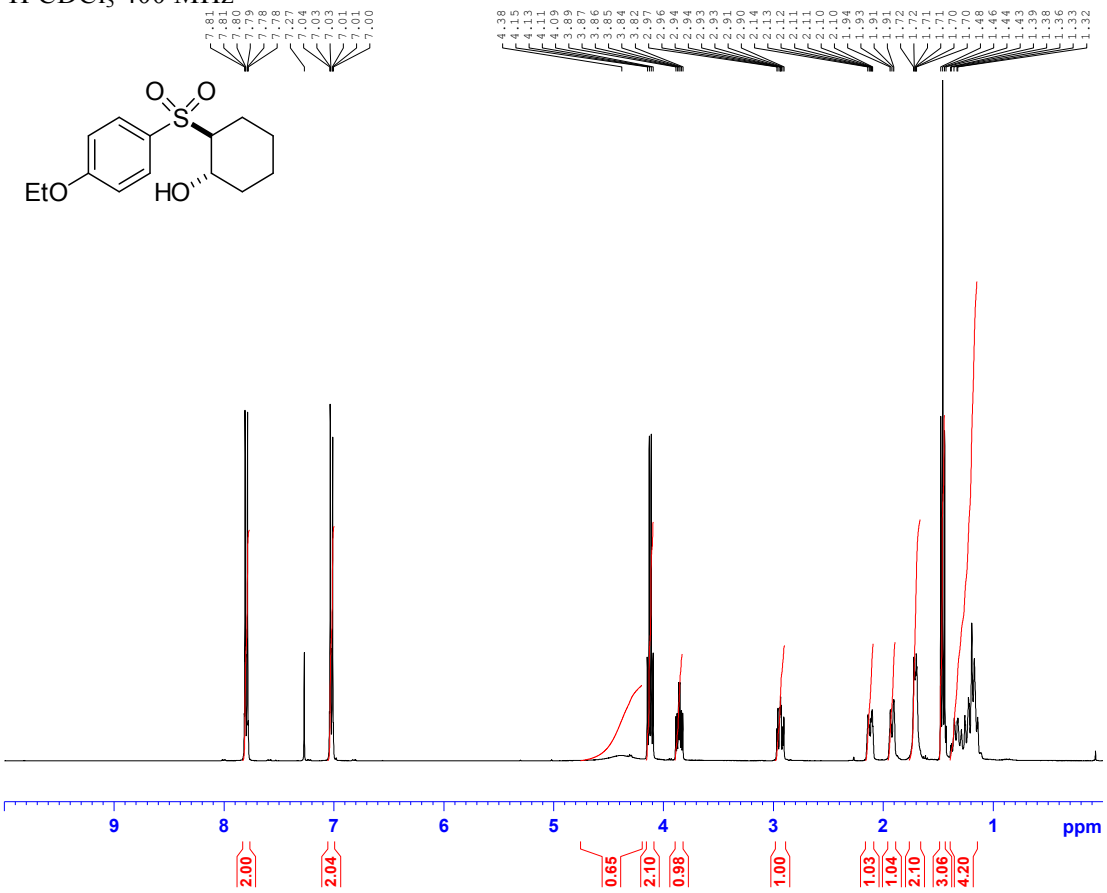


^{13}C $CDCl_3$ 101 MHz

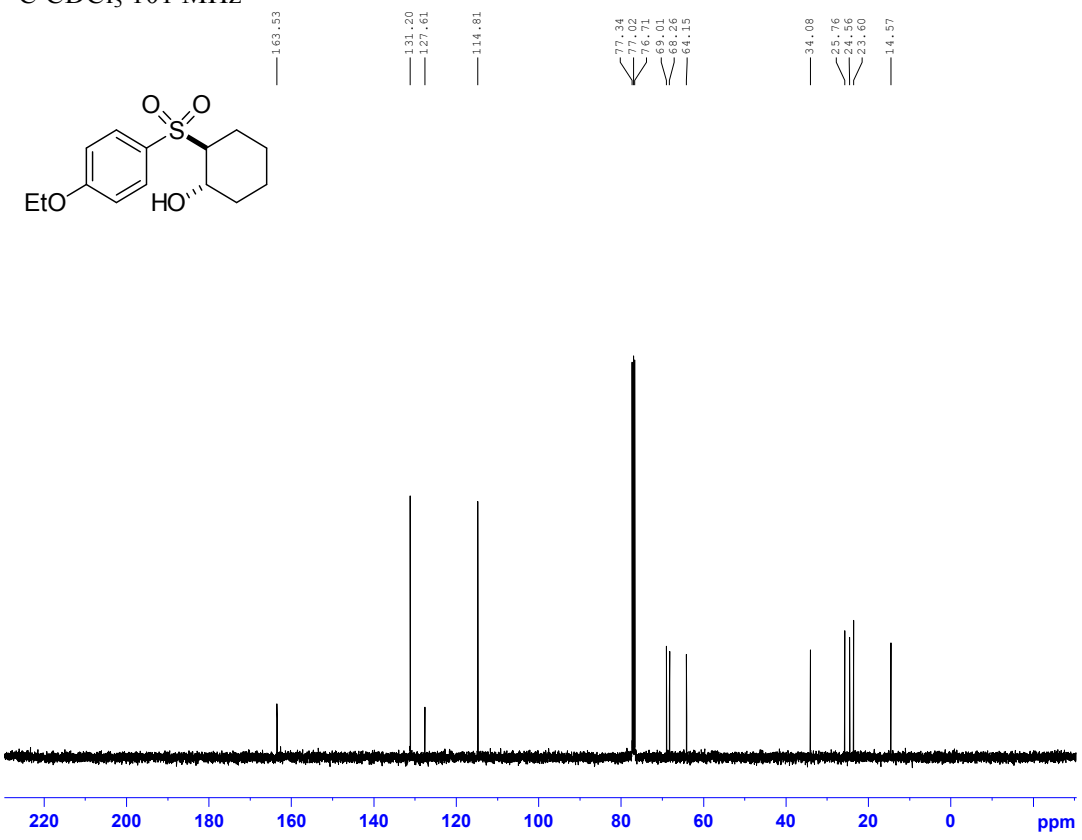


2-[(4-Ethoxyphenyl)sulfonyl]cyclohexanol, 10aj

^{1}H $CDCl_3$ 400 MHz

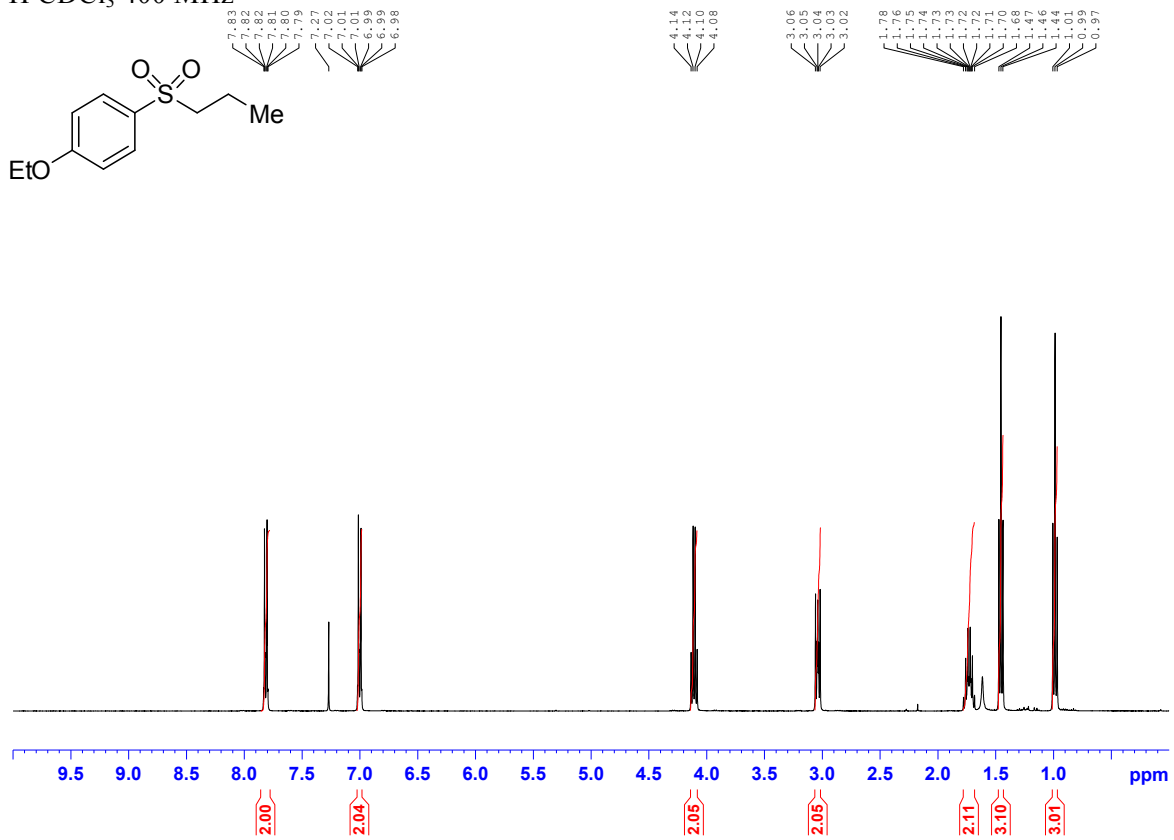


^{13}C $CDCl_3$ 101 MHz

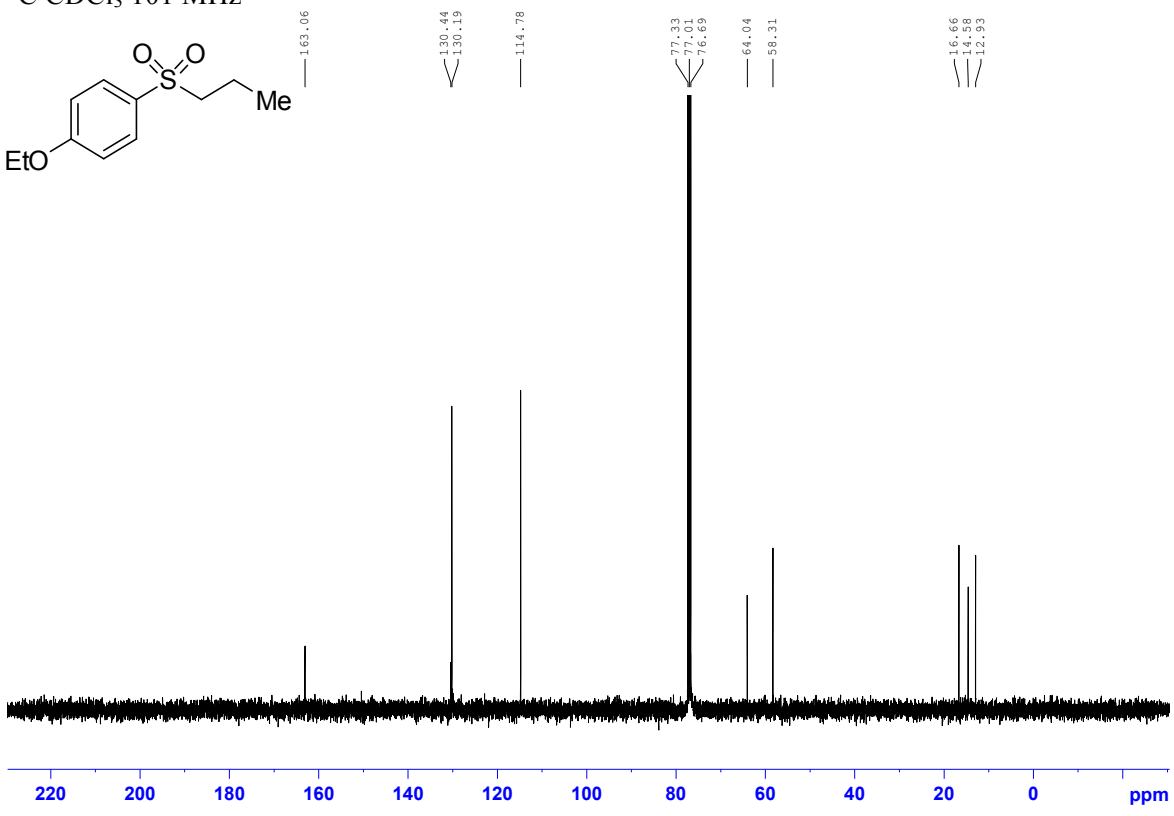


4-Ethoxyphenyl propyl sulfone, 10ak

^{1}H $CDCl_3$ 400 MHz

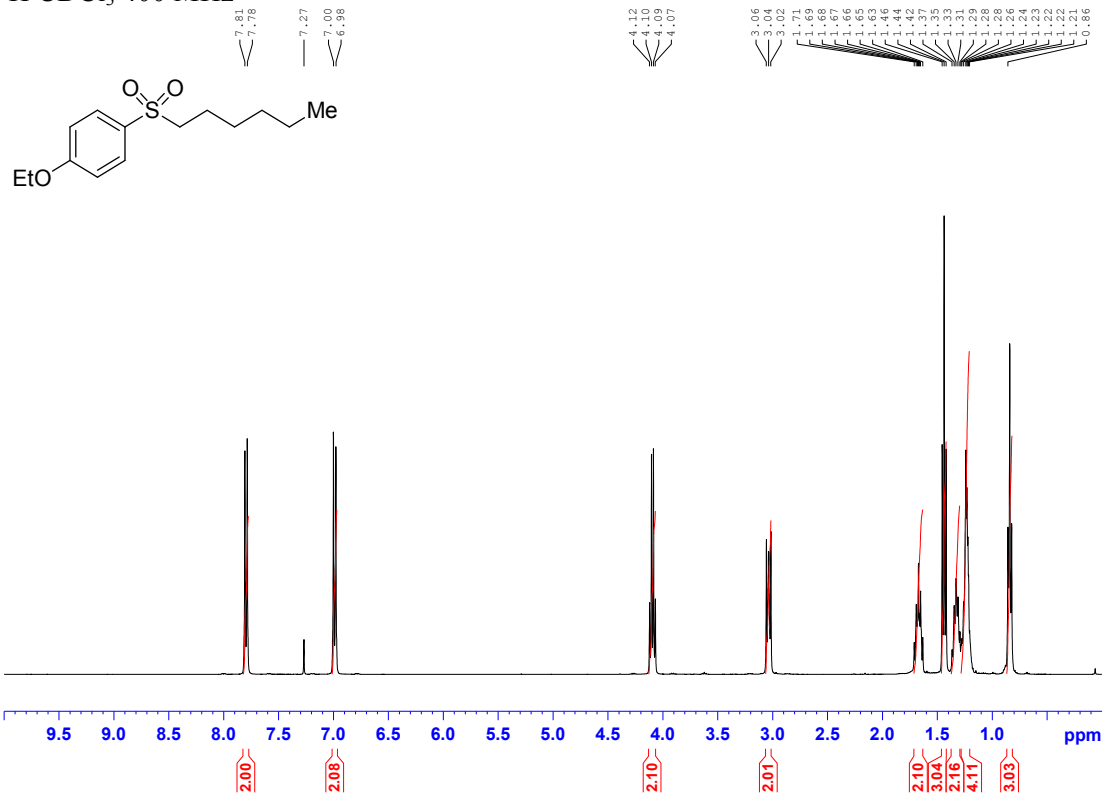


^{13}C $CDCl_3$ 101 MHz

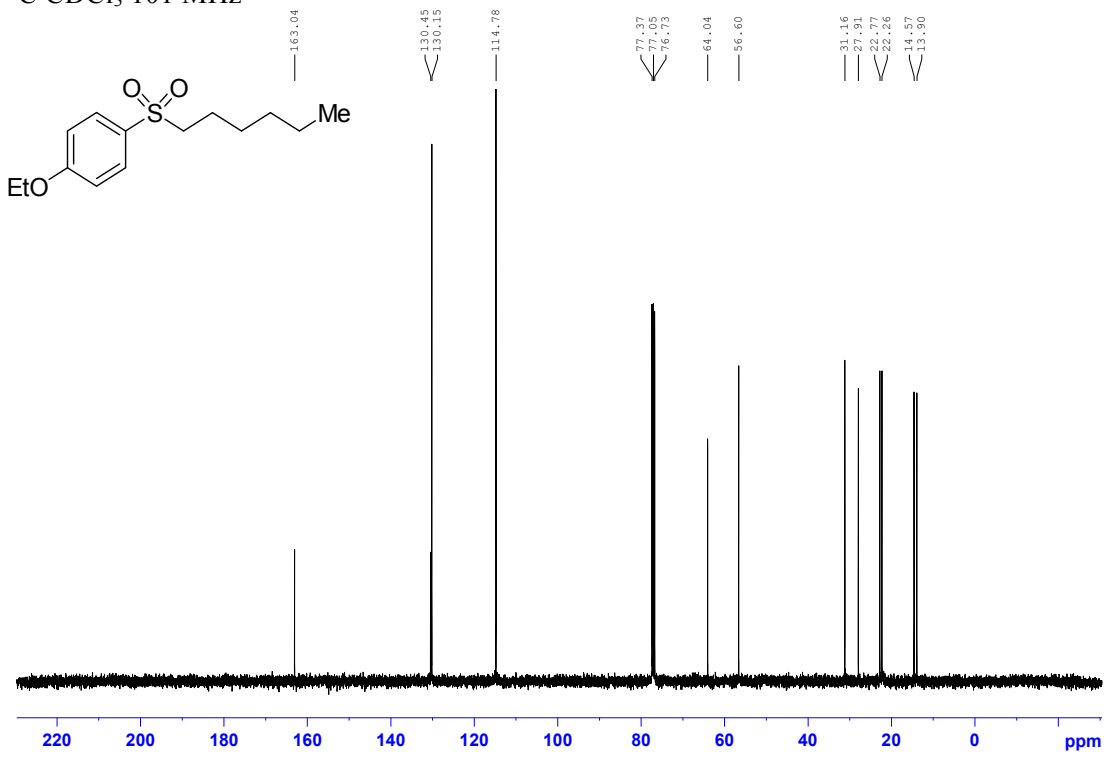


4-Ethoxyphenyl hexyl sulfone, 10al

^{1}H $CDCl_3$ 400 MHz

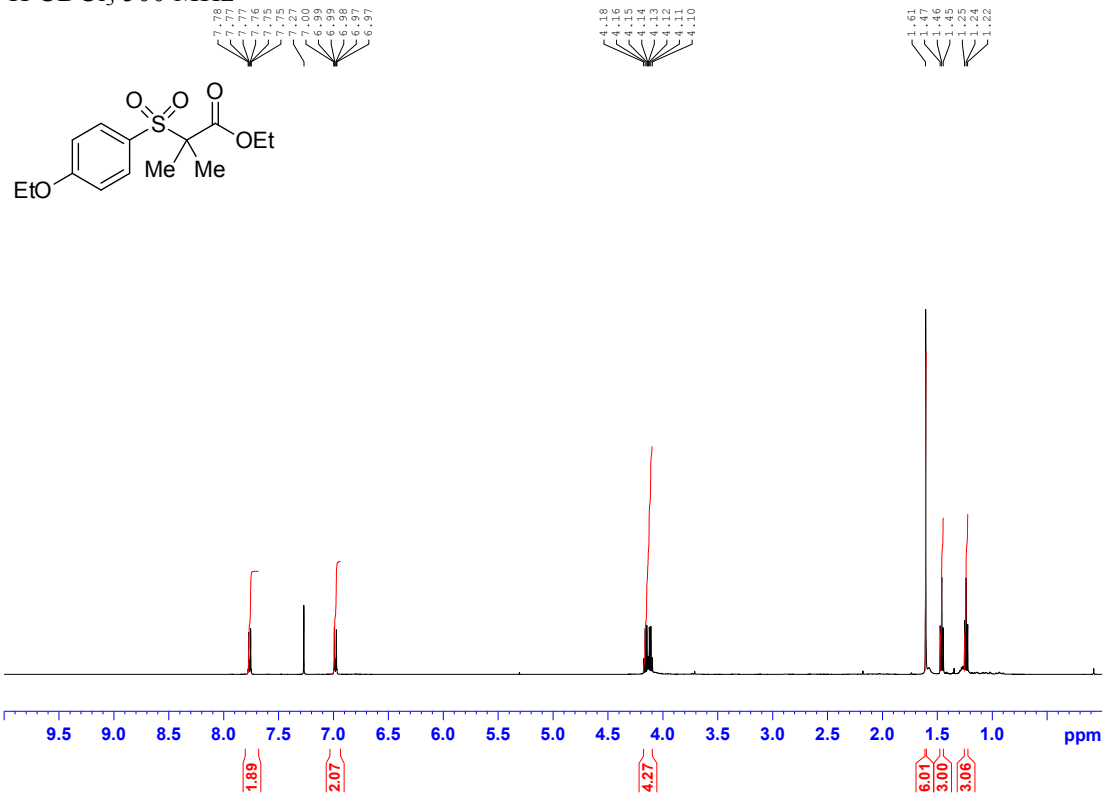


^{13}C $CDCl_3$ 101 MHz

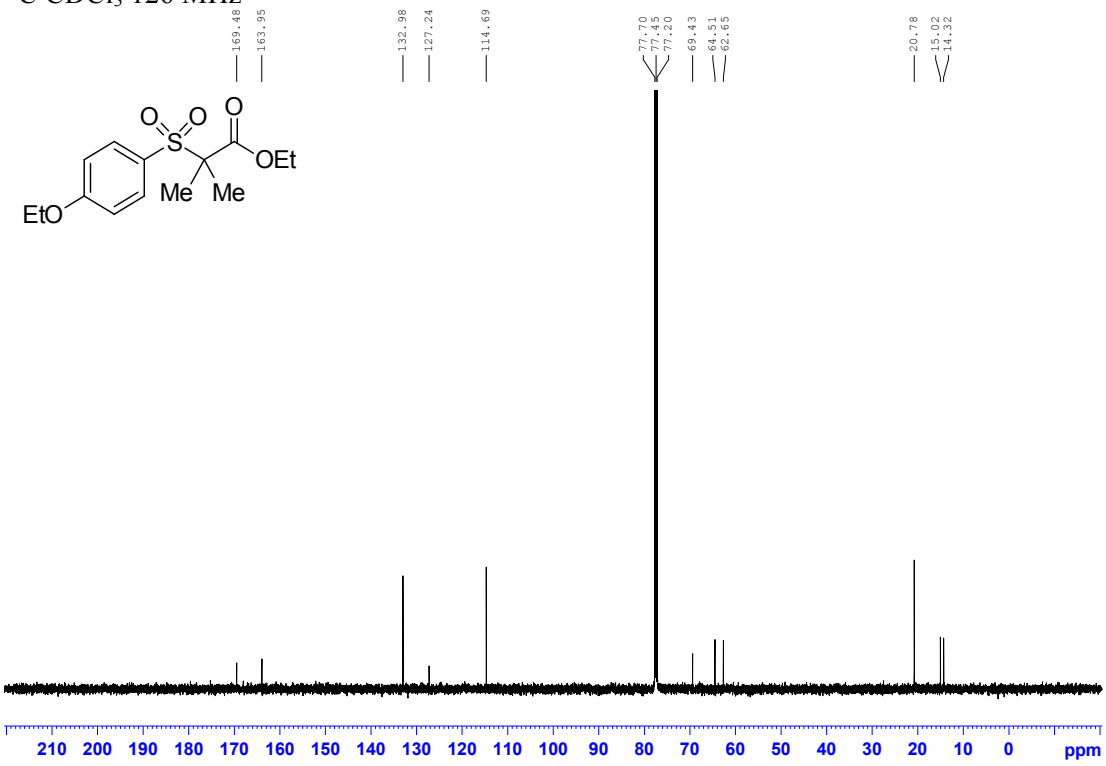


Ethyl 2-[(4-ethoxyphenyl)sulfonyl]-2-methylpropanoate, 10am

^{1}H $CDCl_3$ 500 MHz

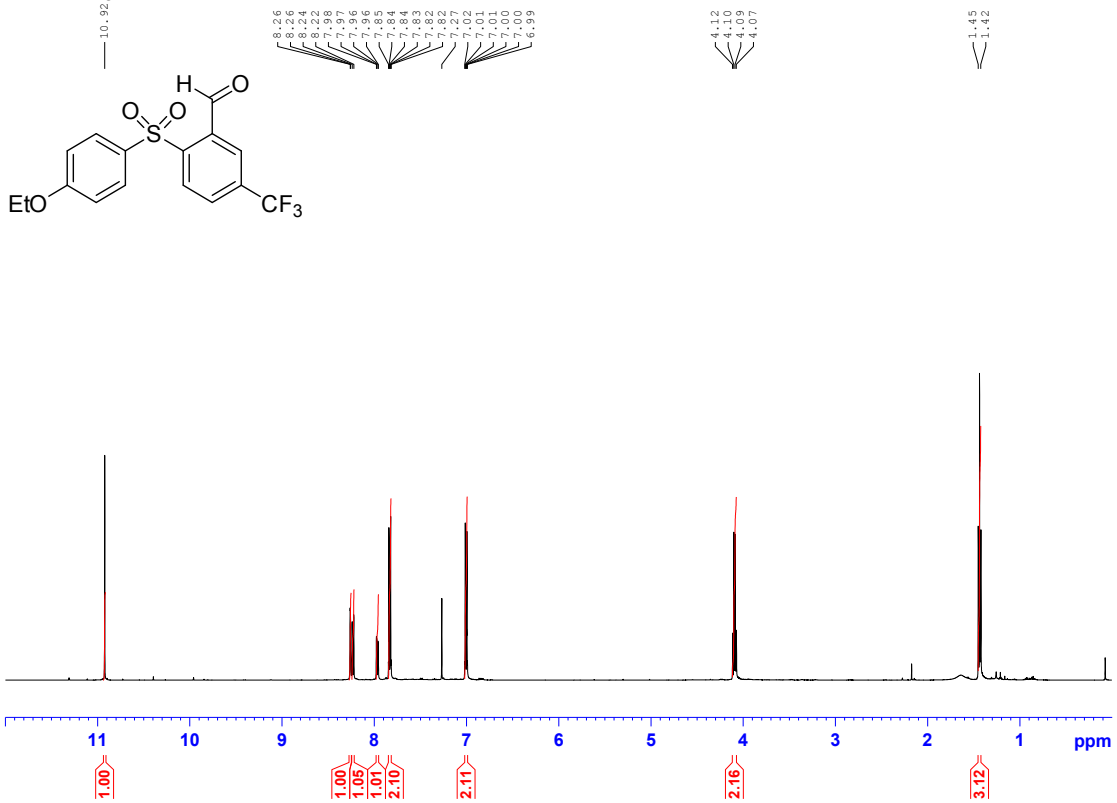


^{13}C $CDCl_3$ 126 MHz

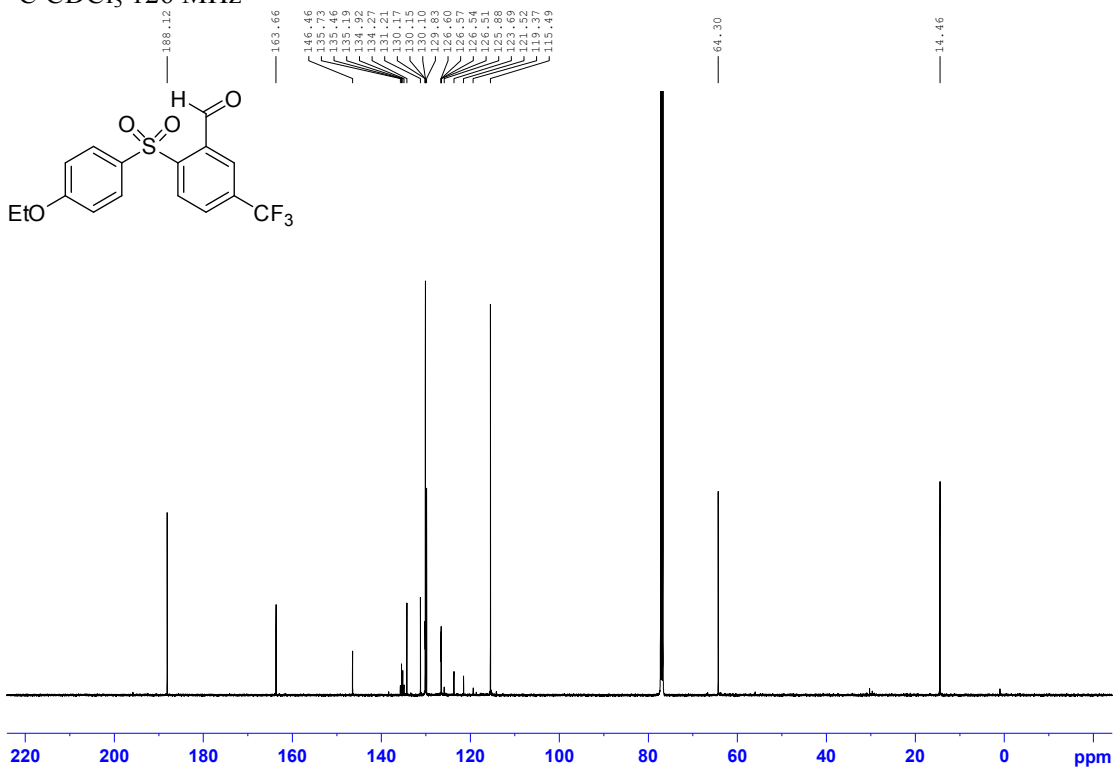


2-[(4-Ethoxyphenyl)sulfonyl]-5-(trifluoromethyl)benzaldehyde, 10an

^{1}H $CDCl_3$ 500 MHz

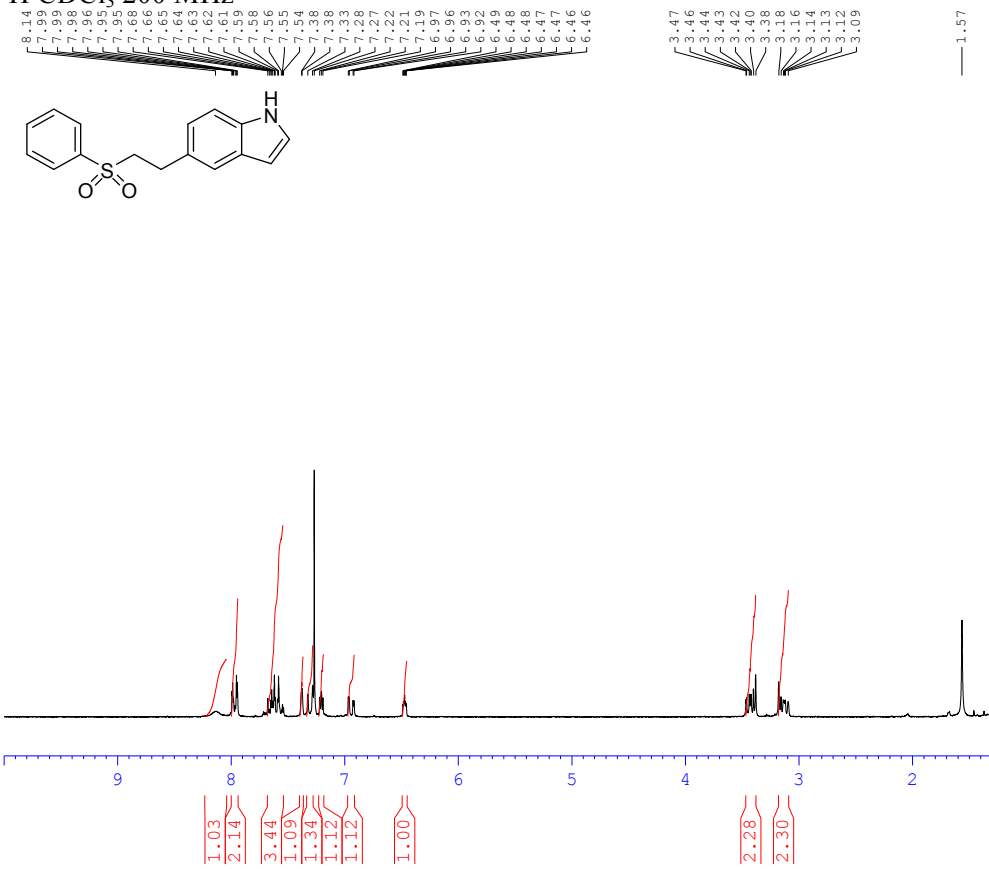


^{13}C $CDCl_3$ 126 MHz

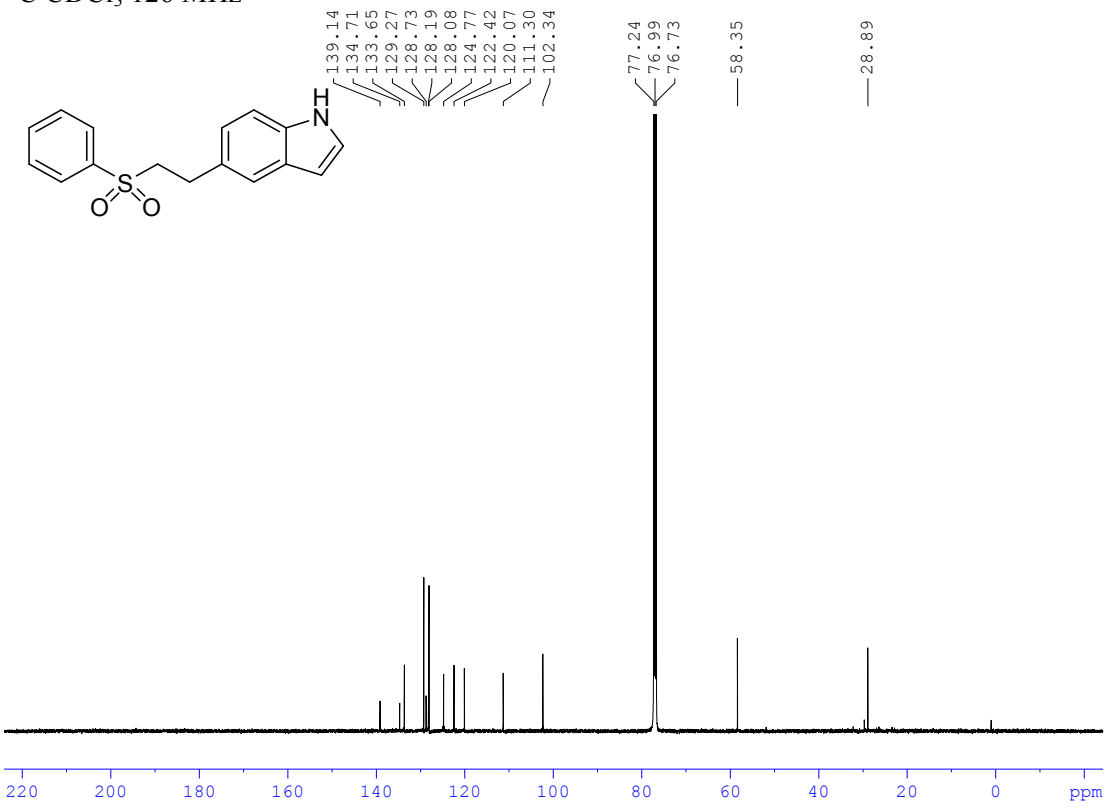


5-[2-(Phenylsulfonyl)ethyl]-1*H*-indole, 13

^{1}H $CDCl_3$ 200 MHz

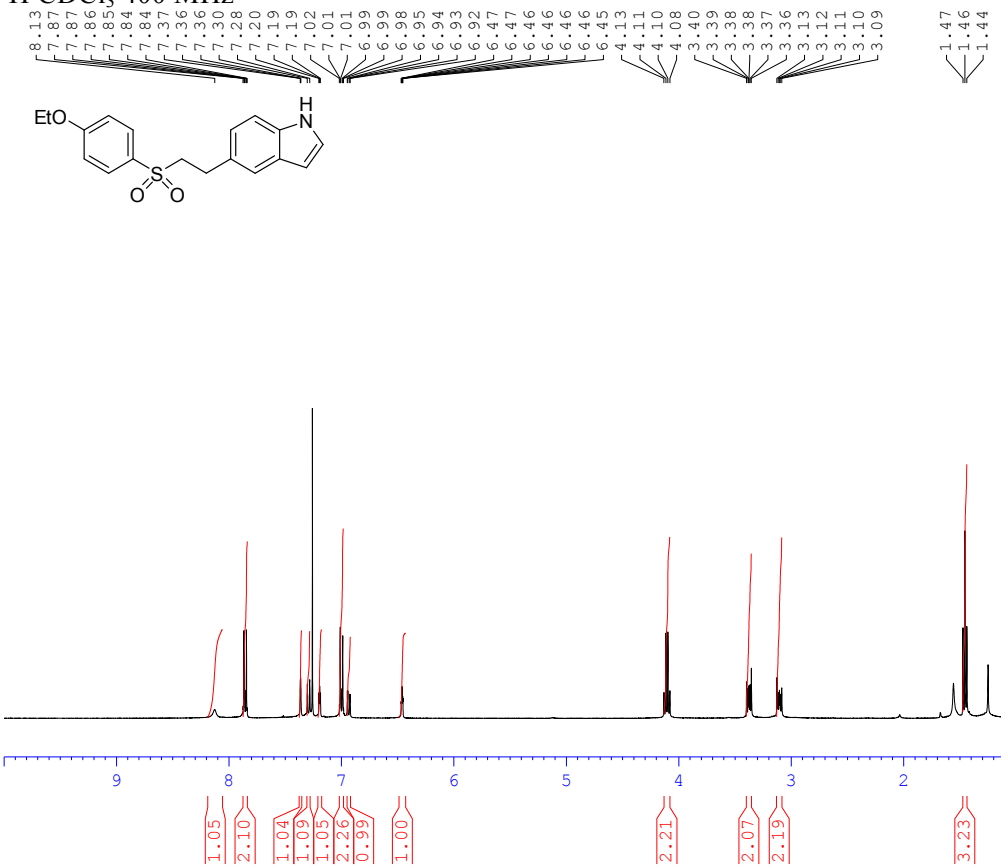


^{13}C $CDCl_3$ 126 MHz

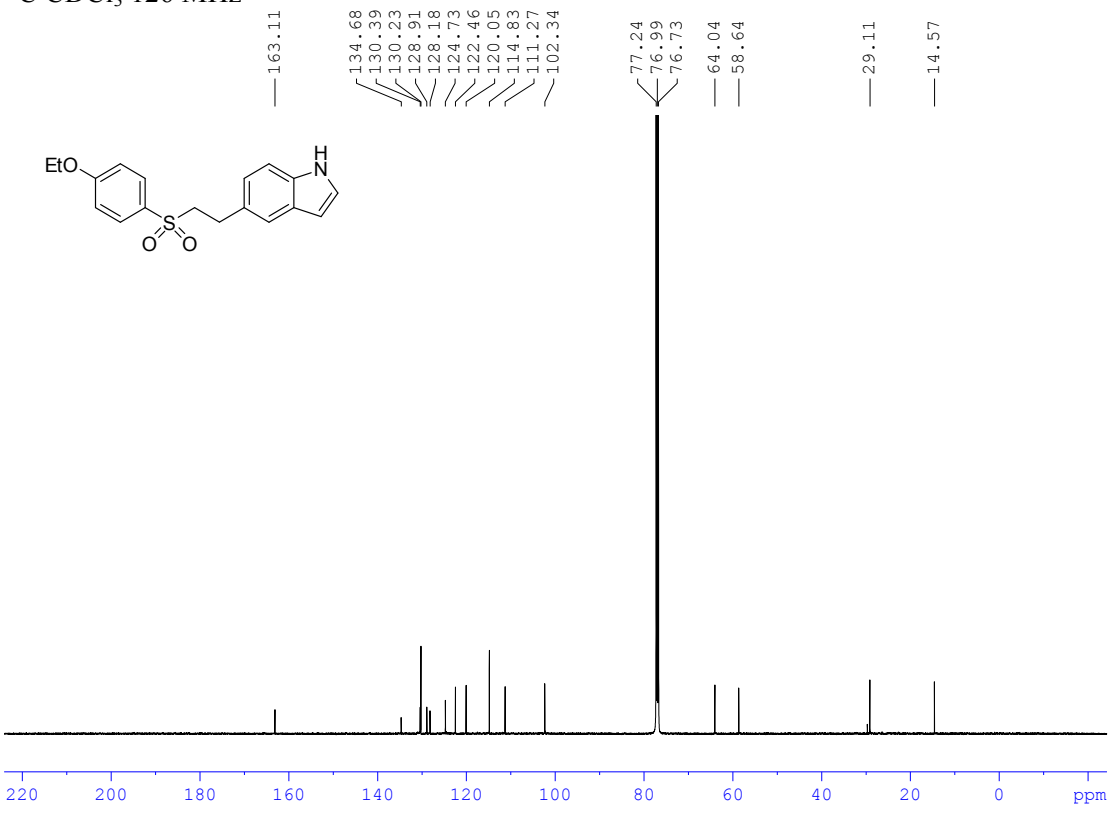


5-{2-[(4-Ethoxyphenyl)sulfonyl]ethyl}-1*H*-indole, 14

^{1}H $CDCl_3$ 400 MHz



^{13}C $CDCl_3$ 126 MHz



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