

Supporting Information

Metal-free photosensitized aminosulfonylation of alkenes: a practical approach to β -amido sulfones

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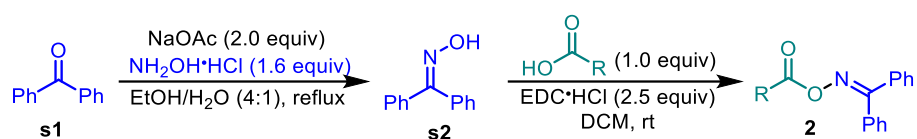
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Supplementary Methods

General information

All glassware was thoroughly oven-dried. Chemicals and solvents were either purchased from commercial suppliers or purified by standard techniques. Thin-layer chromatography plates were visualized by exposure to ultraviolet light and/or staining with phosphomolybdic acid followed by heating on a hot plate. Flash chromatography was carried out using silica gel (200–300 mesh). ^1H NMR and ^{13}C NMR spectra were recorded on a Bruker AM-400 (400 MHz). The spectra were recorded in deuteriochloroform (CDCl_3) as solvent at room temperature, ^1H and ^{13}C NMR chemical shifts are reported in ppm relative to the residual solvent peak. The residual solvent signals were used as references and the chemical shifts were converted to the TMS scale (CDCl_3 : $\delta_{\text{H}} = 7.26$ ppm, $\delta_{\text{C}} = 77.0$ ppm). Data for ^1H NMR are reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, dd = doublet, br = broad), integration, coupling constant (Hz) and assignment. Data for ^{13}C NMR are reported as chemical shift. HRMS were performed on a Bruker Apex II mass instrument (ESI).

General experimental procedure for the preparation of alkyl oxime esters¹

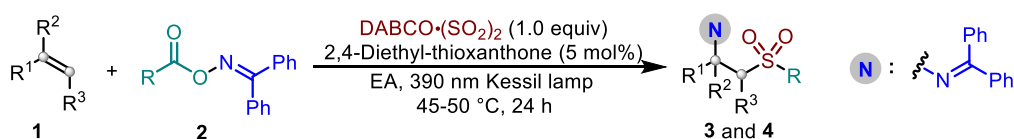


Step 1: In a 500 mL round bottom flask equipped with a condenser, aromatic ketones **s1** (100 mmol, 1.0 equiv) were dissolved in the mixture of EtOH/H₂O (v/v, 4:1, 250 mL). Then, hydroxylamine hydrochloride (160 mmol, 1.6 equiv) and NaOAc (200 mmol, 2.0 equiv) were added in one portion. The reaction mixture was refluxed overnight and the consumption of the starting material was observed by TLC. The reaction mixture was cooled down to room temperature, and then EtOH was removed under reduced pressure. The resulting mixture was extracted with EtOAc. The organic layer was then washed with brine and dried over Na₂SO₄. The solvent was removed under vacuum to give oxime **s2**, not further purified.

Step 2: An oven-dried 100-mL round-bottom flask equipped with a magnetic stir bar was charged with the oxime **s2** (5.0 mmol, 1.0 equiv), carboxylic acid (5.0 mmol, 1.0 equiv), DMAP (0.5 mmol, 0.1 equiv) and DCM (25 mL). To this solution was added EDC·HCl (12.5 mmol, 2.5 equiv) and the resulting mixture was stirred at rt. The progress of the reaction was monitored by TLC. Upon reaction completion, the mixture was diluted with distilled water (50 mL) and the DCM layer was separated, dried over anhydrous Na₂SO₄ and concentrated. The crude mass was treated with PE (10 mL) and sonicated for 30 minutes. The resultant solid was filtered and dried under vacuum to obtain the pure oxime esters.

General procedure for aminosulfonylation

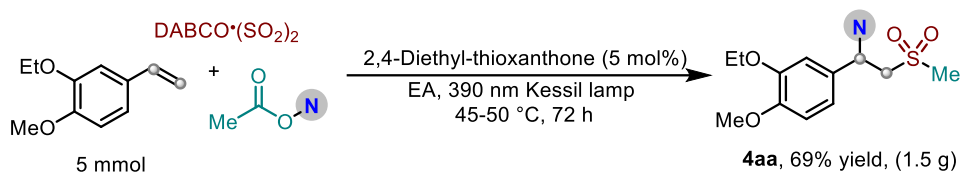
(a) General procedure for the aminosulfonylation of alkenes



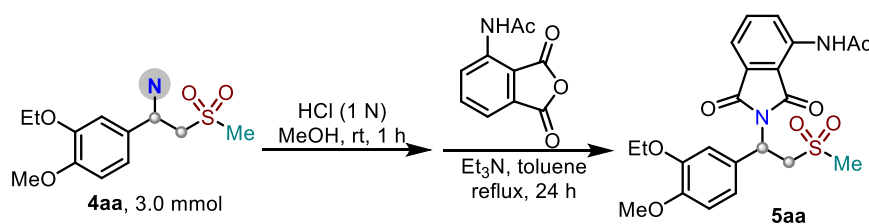
All optimization reactions were set up in a glove box under N₂ atmosphere. Alkene **1** (0.2 mmol), DABCO·(SO₂)₂ (0.2 mmol), and oxime ester **2** (0.3 mmol) were added

to a solution of 2,4-diethyl-thioxanthone (5 mol %) in dry EA (4.0 mL) at room temperature. The heterogenous mixture was placed in the irradiation apparatus equipped with 390 nm Kessil lamp. The resulting mixture was stirred for 24 h. Upon completion of the reaction, the resulting crude residue was concentrated in vacuum and purified by column chromatography to afford the desired β -amino sulfone.

(b) *General procedure for the preparation of apremilast²*



All optimization reactions were set up in a glove box under N₂ atmosphere. 2-ethoxy-1-methoxy-4-vinylbenzene **1** (5.0 mmol), DABCO·(SO₂)₂ (5.0 mmol) and cdiiphenylmethanone O-acetyl oxime (15.0 mmol) were added to a solution of 2,4-diethyl-thioxanthone (5 mol %) in dry EA (100 mL) at room temperature. The heterogenous mixture was placed in the irradiation apparatus equipped with 390 nm Kessil lamp. The resulting mixture was stirred for 72 h. Upon completion of the reaction, the resulting crude residue was concentrated in vacuum and purified by column chromatography to afford the desired β -amino sulfone **4aa** (1.5 g, 69% yield).

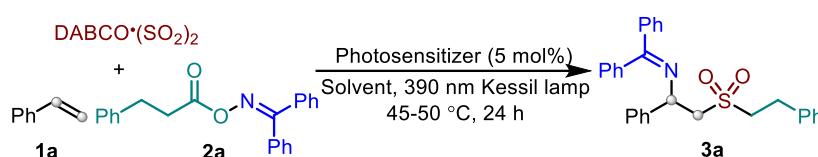


Step 1: A 100 mL vial was charged with **4aa** (51.3 mg, 3.0 mmol), MeOH (30 mL), and 1 N HCl (10 mL). The resulting solution was stirred at room temperature for 1 h. After the reaction was completed (monitored by TLC), the solution was poured into water (30 mL) and extracted with Et₂O (50 mL x 3). The water layer was poured into aq. NaHCO₃ (100 mL), and extracted with Et₂O (50 mL x 3). The combined organic layers were washed with brine, dried over sodium sulfate and filtrated. Et₂O was removed in vacuo, and the crude product obtained was directly used in the next step.

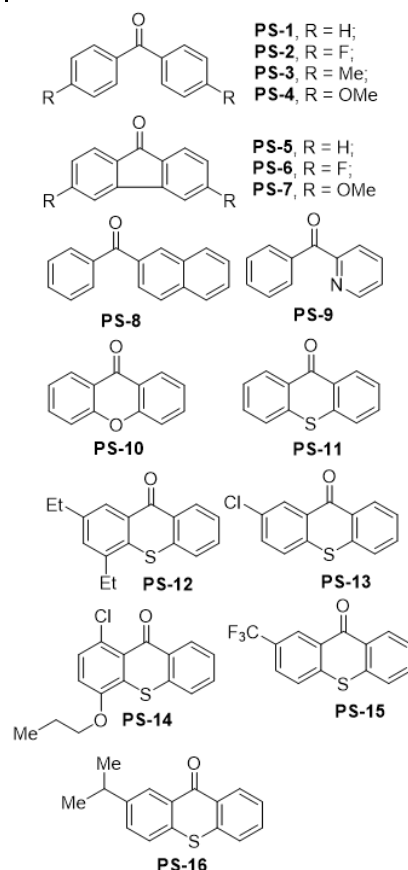
Step 2: A 100 mL vial was charged with crude product, *N*-(1,3-dioxo-1,3-dihydroisobenzofuran-5-yl)-cetamide (4.5 mmol, 1.5 equiv.), and toluene (30 mL). Then, triethylamine (4.5 mmol, 1.5 equiv.) was added. The mixture was stirred at 110 °C for 24 h. After the reaction was completed, the residue was purified by flash silica column chromatography to afford the product **5aa** (0.93 g, 67% yield).

Initial studies and the reaction optimization

Supplementary Table 1 Optimization of reaction conditions^{a,b}

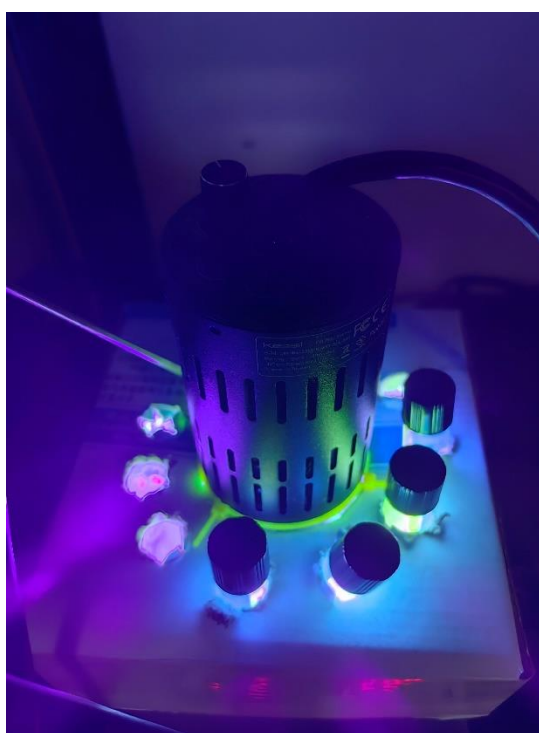
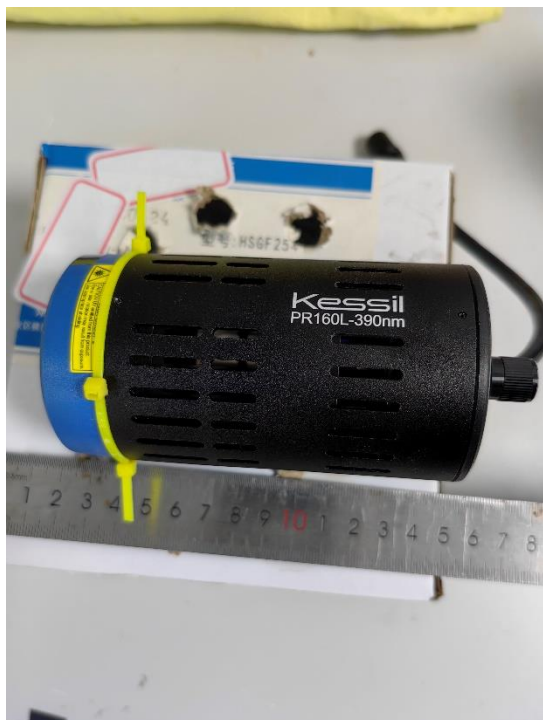


Entry	Photosensitizer	Solvent	Yield (%)
1	PS-1 (Benzophenone)	EA	33
2	PS-2	EA	29
3	PS-3	EA	32
4	PS-4	EA	33
5	PS-5	EA	13
6	PS-6	EA	24
7	PS-7	EA	12
8	PS-8	EA	11
9	PS-9	EA	35
10	PS-10 (Xanthone)	EA	30
11	PS-11 (Thioxanthone)	EA	68
12	PS-12 (2,4-Diethyl-thioxanthone)	EA	79
13	PS-13	EA	63
14	PS-14	EA	49
15	PS-15	EA	61
16	PS-16	EA	59
17	PS-12 (2,4-Diethyl-thioxanthone)	Acetone	53
18	PS-12 (2,4-Diethyl-thioxanthone)	THF	58
19	PS-12 (2,4-Diethyl-thioxanthone)	DCM	55
20	PS-12 (2,4-Diethyl-thioxanthone)	MeCN	36
21	-	EA	29
22 ^c	PS-12 (2,4-Diethyl-thioxanthone)	EA	NR



^aReaction conditions: **1a** (0.2 mmol), **2a** (0.3 mmol), DABCO·(SO₂)₂ (0.2 mmol), photosensitizer (0.01 mmol), solvent (4 mL), 390 nm Kessil lamp, 45–50 °C, 24 h, under a N₂ atmosphere. ^bYields were determined by ¹H NMR analysis using 1,3,5-trimethoxybenzene an internal standard. ^cIn the dark.

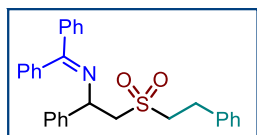
Devices for the photocatalytic reactions



Supplementary Figure 1 Devices for the photocatalytic reactions

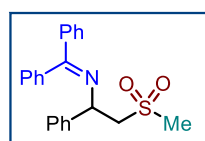
Characterization of products

N-(2-(phenethylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3a**)



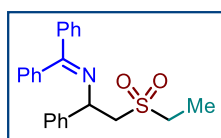
Purification by flash chromatography (PE/EA = 10/1) afforded **3a**. White solid; mp 134–136 °C; 69.8 mg, 77% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.72 (d, $J = 7.5$ Hz, 2H), 7.48–7.40 (m, 4H), 7.37–7.24 (m, 8H), 7.15 (d, $J = 6.5$ Hz, 2H), 7.10–7.01 (m, 4H), 5.10 (dd, $J = 10.1, 2.6$ Hz, 1H), 3.93 (br, 1H), 3.42–3.10 (m, 5H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) = 170.4, 141.5, 138.8, 137.7, 135.8, 130.6, 128.7, 128.7, 128.6, 128.4, 128.3, 128.2, 127.7, 127.4, 126.9, 126.7, 61.5, 61.1, 56.2, 28.1; HRMS (ESI) for $\text{C}_{29}\text{H}_{28}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 454.1835, found 454.1846.

N-(2-(methylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3b**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3b**. White solid; mp 157–159 °C; 67.7 mg, 93% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.73 (d, $J = 7.4$ Hz, 2H), 7.48–7.35 (m, 6H), 7.31–7.24 (m, 3H), 7.17 (d, $J = 6.8$ Hz, 2H), 7.03 (d, $J = 6.2$ Hz, 2H), 5.08 (dd, $J = 10.0, 2.8$ Hz, 1H), 3.96 (br, 1H), 3.32 (dd, $J = 14.7, 1.9$ Hz, 1H), 2.93 (s, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.5, 141.4, 138.6, 135.7, 130.8, 128.8, 128.7, 128.6, 128.3, 128.2, 127.7, 127.4, 126.9, 63.0, 61.5, 43.1; HRMS (ESI) for $\text{C}_{22}\text{H}_{22}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 364.1366, found 364.1375.

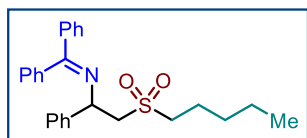
N-(2-(ethylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3c**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3c**. White solid; mp 154–156 °C; 54.3 mg, 72% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.3$ Hz, 2H), 7.45–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.14 (dd, $J = 7.6, 1.3$ Hz, 2H), 6.99 (dd, $J = 7.4, 1.4$ Hz, 2H), 5.04 (dd, $J = 9.9, 2.8$ Hz, 1H), 3.92 (t, $J = 12.0$ Hz, 1H), 3.21 (dd, $J = 14.7, 2.2$ Hz, 1H), 3.08–2.98 (m, 1H), 2.96–2.86 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.2, 141.8, 138.8, 135.9, 130.6, 128.7, 128.7, 128.5, 128.3, 128.2,

127.7, 127.4, 126.9, 61.4, 60.0, 49.1, 6.8; HRMS (ESI) for C₂₃H₂₄NO₂S [M+H]⁺ calcd. 378.1522, found 378.1536.

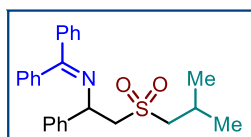
N-(2-(pentylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3d**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3d**. White solid; mp 82–84 °C; 59.5 mg, 71% yield;

¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.68 (dd, *J* = 7.2, 1.4 Hz, 2H), 7.43–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.13 (dd, *J* = 7.9, 1.8 Hz, 2H), 7.03–6.97 (m, 2H), 5.04 (dd, *J* = 10.0, 2.9 Hz, 1H), 3.89 (dd, *J* = 14.6, 10.0 Hz, 1H), 3.21 (dd, *J* = 14.6, 2.4 Hz, 1H), 3.03–2.85 (m, 2H), 1.95–1.74 (m, 2H), 1.32–1.24 (m, 4H), 0.86 (t, *J* = 6.9 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 170.1, 141.7, 138.8, 135.8, 130.6, 128.7, 128.7, 128.5, 128.3, 128.1, 127.6, 127.4, 126.9, 61.4, 60.8, 54.8, 30.5, 22.0, 21.7, 13.7; HRMS (ESI) for C₂₆H₃₀NO₂S [M+H]⁺ calcd. 420.1992, found 420.2005.

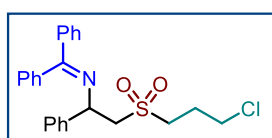
N-(2-(isobutylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3e**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3e**.

Yellow oil; 59.0 mg, 73% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.70 (d, *J* = 7.4 Hz, 2H), 7.44–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.16 (d, *J* = 6.8 Hz, 2H), 7.01 (d, *J* = 6.1 Hz, 2H), 5.05 (dd, *J* = 9.8, 2.4 Hz, 1H), 3.91 (br, 1H), 3.23 (dd, *J* = 14.6, 2.9 Hz, 1H), 2.98–2.85 (m, 2H), 2.42–2.28 (m, 1H), 1.06 (d, *J* = 6.7 Hz, 3H), 0.97 (d, *J* = 6.7 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 170.1, 141.6, 138.7, 135.8, 130.7, 130.0, 128.7, 128.6, 128.3, 128.1, 127.6, 127.4, 126.9, 62.3, 61.5, 23.4, 22.9, 22.5; HRMS (ESI) for C₂₅H₂₈NO₂S [M+H]⁺ calcd. 406.1835, found 406.1842.

N-(2-((3-chloropropyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3f**)

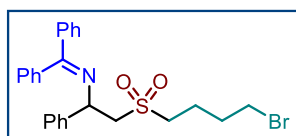


Purification by flash chromatography (PE/EA = 10/1) afforded

3f. Yellow oil; 46.0 mg, 54% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.73–7.65 (m, 2H), 7.44–7.31 (m, 6H),

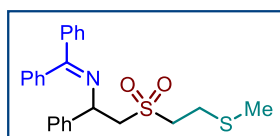
7.29–7.19 (m, 3H), 7.15–7.09 (m, 2H), 7.02–6.94 (m, 2H), 5.05 (dd, $J = 10.0, 2.8$ Hz, 1H), 3.92 (t, $J = 11.8$ Hz, 1H), 3.57 (t, $J = 6.2$ Hz, 2H), 3.28–3.16 (m, 3H), 2.40–2.23 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.5, 141.5, 138.7, 135.8, 130.8, 128.8, 128.7, 128.6, 128.3, 128.2, 127.7, 127.4, 126.8, 61.5, 61.4, 52.2, 42.9, 25.1; HRMS (ESI) for $\text{C}_{24}\text{H}_{25}\text{ClNO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 426.1289, found 426.1291.

***N*-(2-((4-bromobutyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3g)**



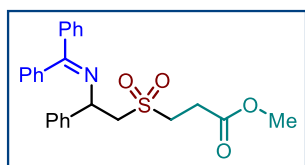
Purification by flash chromatography (PE/EA = 10/1) afforded **3g**. Yellow oil; 40.6 mg, 42% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.70 (d, $J = 7.2$ Hz, 2H), 7.45–7.34 (m, 6H), 7.29–7.20 (m, 3H), 7.14 (dd, $J = 7.8, 1.6$ Hz, 2H), 7.00 (dd, $J = 6.8, 1.6$ Hz, 2H), 5.05 (dd, $J = 10.0, 2.8$ Hz, 1H), 3.92 (t, $J = 12.2$ Hz, 1H), 3.53–3.28 (m, 2H), 3.23 (dd, $J = 14.8, 2.4$ Hz, 1H), 3.07–2.90 (m, 2H), 2.14–2.02 (m, 1H), 2.00–1.78 (m, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.4, 141.5, 138.7, 135.7, 130.8, 128.8, 128.5, 128.4, 128.3, 127.7, 127.4, 126.9, 61.4, 60.9, 53.8, 32.2, 31.1, 20.9; HRMS (ESI) for $\text{C}_{25}\text{H}_{27}\text{BrNO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 484.0940, found 484.0947.

***N*-(2-((2-(methylthio)ethyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3h)**



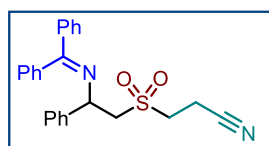
Purification by flash chromatography (PE/EA = 10/1) afforded **3h**. Yellow oil; 36.1 mg, 43% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.70 (d, $J = 7.2$ Hz, 2H), 7.45–7.32 (m, 6H), 7.29–7.21 (m, 3H), 7.13 (dd, $J = 6.9, 1.7$ Hz, 2H), 6.99 (dd, $J = 6.8, 1.6$ Hz, 2H), 5.05 (dd, $J = 10.0, 2.8$ Hz, 1H), 3.96 (dd, $J = 14.3, 10.7$ Hz, 1H), 3.35–3.18 (m, 3H), 3.01–2.93 (m, 1H), 2.90–2.81 (m, 1H), 1.97 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.3, 141.1, 138.4, 135.5, 130.4, 128.4, 128.4, 128.3, 128.0, 127.9, 127.4, 127.0, 126.5, 61.2, 61.1, 54.4, 25.6, 14.9; HRMS (ESI) for $\text{C}_{24}\text{H}_{26}\text{NO}_2\text{S}_2$ $[\text{M}+\text{H}]^+$ calcd. 424.1399, found 424.1410.

methyl 3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)propanoate (3i)



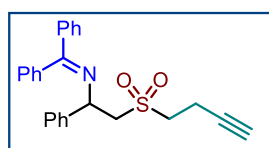
Purification by flash chromatography (PE/EA = 6/1) afforded **3i**. Yellow solid; mp 85–87 °C; 43.5 mg, 50% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.71 (d, $J = 6.8$ Hz, 2H), 7.46–7.33 (m, 6H), 7.29–7.21 (m, 3H), 7.20–7.07 (m, 2H), 7.00 (d, $J = 6.6$ Hz, 2H), 5.07 (d, $J = 9.3$ Hz, 1H), 3.99 (br, 1H), 3.65 (s, 3H), 3.47–3.23 (m, 3H), 2.97–2.78 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 141.4, 135.7, 130.8, 128.8, 128.4, 128.2, 127.8, 127.4, 126.9, 61.3, 52.2, 50.2, 26.9; HRMS (ESI) for $\text{C}_{25}\text{H}_{26}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 436.1577, found 436.1584.

3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)propanenitrile (**3j**)



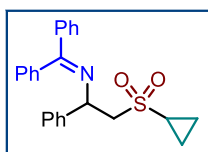
Purification by flash chromatography (PE/EA = 6/1) afforded **3j**. Yellow oil; 43.1 mg, 53% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.71 (d, $J = 7.2$ Hz, 2H), 7.47–7.36 (m, 6H), 7.29–7.21 (m, 3H), 7.14 (dd, $J = 7.6, 2.3$ Hz, 2H), 6.97 (d, $J = 6.5$ Hz, 2H), 5.05 (dd, $J = 10.3, 2.7$ Hz, 1H), 4.01 (t, $J = 11.9$ Hz, 1H), 3.50–3.42 (m, 1H), 3.33–3.22 (m, 2H), 2.99–2.80 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 171.3, 140.9, 138.4, 135.6, 131.1, 128.8, 128.8, 128.5, 128.4, 127.9, 127.2, 126.9, 116.4, 61.5, 61.3, 49.9, 11.4; HRMS (ESI) for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 403.1475, found 403.1483.

N-(2-(but-3-yn-1-ylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3k**)



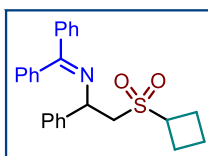
Purification by flash chromatography (PE/EA = 10/1) afforded **3k**. White solid; mp 116–118 °C; 37.7 mg, 47% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.73 (d, $J = 7.5$ Hz, 2H), 7.45–7.34 (m, 6H), 7.29–7.21 (m, 3H), 7.14 (d, $J = 6.3$ Hz, 2H), 6.99 (d, $J = 6.6$ Hz, 2H), 5.04 (d, $J = 8.1$ Hz, 1H), 4.06 (br, 1H), 3.40–3.32 (m, 1H), 3.26 (dd, $J = 14.7, 1.6$ Hz, 1H), 3.15–3.07 (m, 1H), 2.87–2.68 (m, 2H), 2.08 (t, $J = 2.6$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.8, 141.5, 138.5, 135.7, 130.9, 128.8, 128.4, 128.3, 127.8, 127.4, 126.9, 79.8, 70.8, 61.3, 61.1, 53.1, 12.9; HRMS (ESI) for $\text{C}_{25}\text{H}_{24}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 402.1522, found 402.1530.

N-(2-(cyclopropylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3l**)



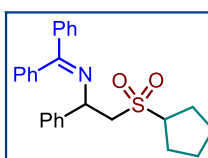
Purification by flash chromatography (PE/EA = 10/1) afforded **3l**. White solid; mp 134–136 °C; 51.3 mg, 66% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.70 (d, $J = 7.2$ Hz, 2H), 7.43–7.37 (m, 4H), 7.36–7.30 (m, 2H), 7.30–7.19 (m, 5H), 7.03 (dd, $J = 6.6, 1.7$ Hz, 2H), 5.10 (dd, $J = 9.6, 3.1$ Hz, 1H), 3.95 (t, $J = 11.6$ Hz, 1H), 3.36 (dd, $J = 14.4, 3.2$ Hz, 1H), 2.34–2.27 (m, 1H), 1.30–1.23 (m, 1H), 1.18–1.10 (m, 1H), 1.01–0.93 (m, 1H), 0.91–0.83 (m, 1H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 169.7, 141.9, 139.1, 136.0, 130.5, 128.7, 128.6, 128.3, 128.1, 127.6, 127.6, 127.0, 62.3, 61.4, 31.3, 5.3, 4.8; HRMS (ESI) for $\text{C}_{24}\text{H}_{24}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 390.1522, found 390.1524.

N-(2-(cyclobutylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3m**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3m**. White solid; mp 115–117 °C; 55.9 mg, 69% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.74 (d, $J = 7.1$ Hz, 2H), 7.48–7.36 (m, 6H), 7.32–7.23 (m, 3H), 7.18 (dd, $J = 7.0, 1.4$ Hz, 2H), 7.04 (dd, $J = 6.7, 1.6$ Hz, 2H), 5.06 (dd, $J = 9.7, 2.9$ Hz, 1H), 3.90–3.69 (m, 2H), 3.19 (dd, $J = 14.5, 3.0$ Hz, 1H), 2.67–2.50 (m, 2H), 2.40–2.30 (m, 1H), 2.18–2.09 (m, 1H), 2.05–1.93 (m, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 169.9, 141.9, 139.0, 136.0, 130.5, 128.7, 128.6, 128.3, 128.2, 127.6, 127.5, 126.9, 61.0, 58.8, 55.3, 23.0, 21.3, 16.9; HRMS (ESI) for $\text{C}_{25}\text{H}_{26}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 404.1679, found 404.1687.

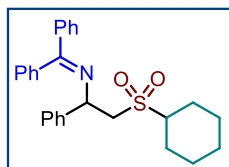
N-(2-(cyclopentylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3n**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3n**. Yellow oil; 53.5 mg, 64% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.2$ Hz, 2H), 7.42–7.31 (m, 6H), 7.29–7.20 (m, 3H), 7.18 (d, $J = 6.8$ Hz, 2H), 7.01 (dd, $J = 6.6, 1.8$ Hz, 2H), 5.07 (dd, $J = 9.7, 2.8$ Hz, 1H), 3.91 (br, 1H), 3.38–3.29 (m, 1H), 3.22 (dd, $J = 14.4, 3.0$ Hz, 1H), 2.16–1.99 (m, 3H), 1.88–1.70 (m, 3H), 1.64–1.50 (m, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 169.9, 142.0, 139.0, 135.9, 130.5, 128.7, 128.6, 128.3, 128.1, 127.6, 127.5, 126.9, 62.4,

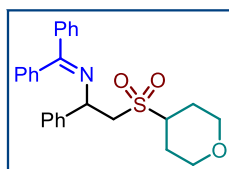
61.2, 59.9, 27.8, 26.0, 25.9, 25.4; HRMS (ESI) for C₂₆H₂₈NO₂S [M+H]⁺ calcd. 418.1835, found 418.1843.

***N*-(2-(cyclohexylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3o)**



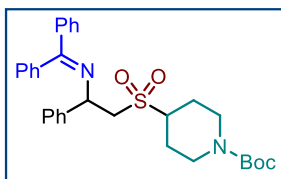
Purification by flash chromatography (PE/EA = 10/1) afforded **3o**. Yellow oil; 54.8 mg, 64% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.69 (dd, *J* = 7.8, 1.4 Hz, 2H), 7.44–7.32 (m, 6H), 7.29–7.21 (m, 3H), 7.17 (dd, *J* = 7.1, 1.7 Hz, 2H), 7.01 (dd, *J* = 5.4, 2.2 Hz, 2H), 5.04 (dd, *J* = 9.7, 2.9 Hz, 1H), 3.92 (dd, *J* = 9.7, 2.9 Hz, 1H), 3.15 (dd, *J* = 14.5, 3.0 Hz, 1H), 2.87–2.77 (m, 1H), 2.29 (d, *J* = 12.5 Hz, 1H), 2.07 (d, *J* = 12.7 Hz, 1H), 1.94–1.76 (m, 2H), 1.64–1.42 (m, 3H), 1.22–1.09 (m, 2H), 1.04–0.92 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 169.8, 141.8, 138.8, 135.8, 130.7, 128.7, 128.3, 128.1, 127.6, 127.5, 126.9, 61.8, 61.2, 57.8, 25.7, 25.0, 23.4; HRMS (ESI) for C₂₇H₃₀NO₂S [M+H]⁺ calcd. 432.1992, found 432.2000.

***1,1*-diphenyl-*N*-(1-phenyl-2-((tetrahydro-2H-pyran-4-yl)sulfonyl)ethyl)methanimine (3p)**



Purification by flash chromatography (PE/EA = 10/1) afforded **3p**. Yellow solid; mp 117–119 °C; 61.8 mg, 71% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.69 (d, *J* = 7.3 Hz, 2H), 7.45–7.34 (m, 6H), 7.29–7.20 (m, 3H), 7.14 (dd, *J* = 6.8, 1.8 Hz, 2H), 7.01 (dd, *J* = 6.7, 1.8 Hz, 2H), 5.07 (dd, *J* = 10.0, 2.8 Hz, 1H), 4.12–3.87 (m, 3H), 3.29–3.03 (m, 4H), 2.19–2.09 (m, 1H), 2.01–1.85 (m, 3H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 170.2, 141.5, 138.7, 135.7, 130.8, 128.7, 128.5, 128.3, 128.2, 127.7, 127.4, 126.8, 66.6, 66.3, 61.2, 58.9, 57.8, 26.0, 23.3; HRMS (ESI) for C₂₆H₂₈NO₃S [M+H]⁺ calcd. 434.1784, found 434.1787.

***tert*-butyl 4-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)piperidine-1-carboxylate (3q)**

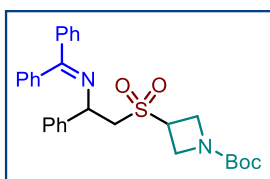


Purification by flash chromatography (PE/EA = 10/1) afforded

3q. Yellow oil; 61.8 mg, 64% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.5$ Hz, 2H), 7.46–7.33 (m, 6H), 7.29–7.20 (m, 3H), 7.15 (d, $J = 6.0$ Hz, 2H), 7.01 (d, $J = 6.2$

Hz, 2H), 5.07 (dd, $J = 9.6, 2.0$ Hz, 1H), 4.45–3.80 (m, 3H), 3.17 (dd, $J = 14.6, 2.2$ Hz, 1H), 3.01 (t, $J = 11.2$ Hz, 1H), 2.59 (br, 1H), 2.39 (br, 1H), 2.28–2.15 (m, 1H), 1.97 (d, $J = 12.6$ Hz, 1H), 1.83–1.64 (m, 2H), 1.44 (s, 9H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.4, 154.3, 141.5, 138.7, 135.7, 130.9, 128.8, 128.6, 128.5, 128.3, 127.8, 127.5, 126.9, 80.1, 61.3, 60.1, 58.1, 42.9, 28.4, 25.4, 22.9; HRMS (ESI) for $\text{C}_{31}\text{H}_{37}\text{N}_2\text{O}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 533.2469, found 533.2474.

tert-butyl 3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)azetidine-1-carboxylate (3r)

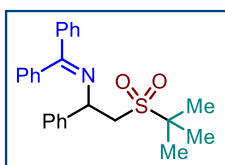


Purification by flash chromatography (PE/EA = 10/1) afforded

3r. Yellow oil; 77.1 mg, 77% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.4$ Hz, 2H), 7.48–7.33 (m, 6H), 7.29–7.20 (m, 3H), 7.09 (d, $J = 5.2$ Hz, 2H), 6.96 (d, $J = 6.7$ Hz,

2H), 5.05 (d, $J = 7.7$ Hz, 1H), 4.33–4.25 (m, 2H), 4.20–4.12 (m, 1H), 4.00–3.70 (m, 3H), 3.26 (dd, $J = 14.7, 2.6$ Hz, 1H), 1.41 (s, 9H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.0, 155.6, 141.2, 138.6, 135.6, 131.0, 128.8, 128.6, 128.4, 128.3, 127.9, 127.3, 126.8, 80.3, 60.9, 60.0, 49.8, 48.8, 28.2; HRMS (ESI) for $\text{C}_{29}\text{H}_{33}\text{N}_2\text{O}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 505.2156, found 505.2165.

N-(2-(tert-butylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3s)



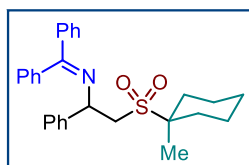
Purification by flash chromatography (PE/EA = 10/1) afforded **3s**.

Yellow solid; 44.1 mg, 55% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.70 (d, $J = 6.7$ Hz, 2H), 7.44–7.23 (m, 11H), 7.08 (d, $J =$

5.3 Hz, 2H), 5.15 (d, $J = 8.4$ Hz, 1H), 3.87 (br, 1H), 3.24 (dd, $J = 13.2, 2.3$ Hz, 1H), 1.38 (s, 9H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 169.4, 142.7, 139.6, 136.4, 130.2, 128.9, 128.7, 128.6, 128.1, 128.0, 127.9, 127.5, 127.0, 60.0, 59.4, 54.2, 23.3; HRMS

(ESI) for $C_{25}H_{28}NO_2S$ $[M+H]^+$ calcd. 406.1835, found 406.1848.

***N*-((1-methylcyclohexyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3t)**



Purification by flash chromatography (PE/EA = 10/1) afforded **3t**.

White solid; mp 129–131 °C; 54.3 mg, 61% yield; 1H NMR (400

MHz, $CDCl_3$) δ (ppm) 7.73–7.63 (m, 2H), 7.41–7.22 (m, 11H),

7.12–7.00 (m, 2H), 5.13 (dd, J = 9.2, 2.6 Hz, 1H), 3.81 (dd, J = 13.2, 9.3 Hz, 1H), 3.21

(dd, J = 13.2, 2.7 Hz, 1H), 1.90–1.80 (m, 2H), 1.76–1.64 (m, 5H), 1.40 (s, 3H),

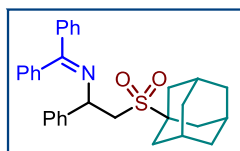
1.37–1.17 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.1, 142.9, 139.8, 136.5,

130.0, 128.8, 128.7, 128.5, 128.1, 127.9, 127.8, 127.4, 127.0, 63.0, 59.9, 53.9, 29.2,

25.0, 21.3, 21.3, 17.0; HRMS (ESI) for $C_{28}H_{32}NO_2S$ $[M+H]^+$ calcd. 446.2148, found

446.2148.

***N*-((3*s*,5*s*,7*s*)-adamantan-1-yl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3u)**



Purification by flash chromatography (PE/EA = 15/1) afforded **3u**.

White solid; mp 153–155 °C; 50.2 mg, 52% yield; 1H NMR (400

MHz, $CDCl_3$) δ (ppm) 7.69 (d, J = 7.2 Hz, 2H), 7.41–7.24 (m,

11H), 7.12–7.02 (m, 2H), 5.13 (dd, J = 9.0, 1.8 Hz, 1H), 3.78 (br, 1H), 3.18 (dd, J =

13.2, 2.6 Hz, 1H), 2.14 (br, 3H), 2.06–1.96 (m, 6H), 1.69 (q, J = 12.6 Hz, 6H); ^{13}C

NMR (100 MHz, $CDCl_3$) δ (ppm) 169.1, 142.9, 139.8, 136.5, 130.0, 128.8, 128.7,

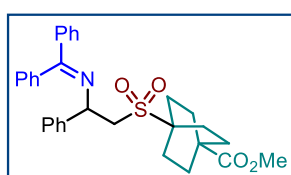
128.5, 128.1, 127.9, 127.9, 127.5, 60.9, 59.8, 53.2, 35.7, 34.6, 28.1; HRMS (ESI) for

$C_{31}H_{34}NO_2S$ $[M+H]^+$ calcd. 484.2305, found 484.2315.

methyl

***4*-((2-((diphenylmethylene)amino)-2-**

phenylethyl)sulfonyl)bicyclo[2.2.2]octane-1-carboxylate (3v)



Purification by flash chromatography (PE/EA = 10/1)

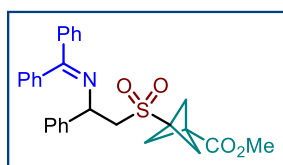
afforded **3v**. Yellow oil; 59.8 mg, 58% yield; 1H NMR (400

MHz, $CDCl_3$) δ (ppm) 7.68 (d, J = 7.3 Hz, 2H), 7.40–7.24 (m,

11H), 7.06 (d, J = 5.5 Hz, 2H), 5.12 (dd, J = 9.2, 2.0 Hz, 1H),

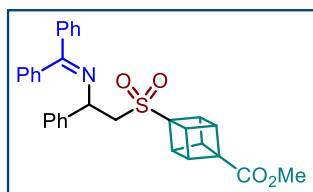
3.83 (br, 1H), 3.64 (s, 3H), 3.17 (dd, $J = 13.3, 2.5$ Hz, 1H), 2.02–1.91 (m, 6H), 1.89–1.84 (m, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 176.7, 142.5, 139.5, 136.3, 130.2, 128.9, 128.7, 128.1, 128.0, 127.8, 127.6, 126.9, 59.8, 59.3, 54.9, 51.9, 38.4, 27.5, 24.0; HRMS (ESI) for $\text{C}_{31}\text{H}_{34}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 516.2203, found 516.2216.

methyl **3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)bicyclo[1.1.1]pentane-1-carboxylate (3w)**



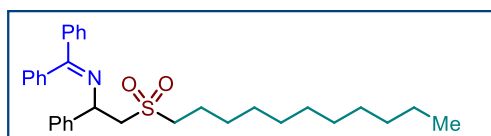
Purification by flash chromatography (PE/EA = 6/1) afforded **3w**. Yellow oil; 57.8 mg, 61% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J=7.3$ Hz, 2H), 7.41–7.21 (m, 11H), 7.05 (d, $J=5.4$ Hz, 2H), 5.09 (dd, $J=9.4, 2.4$ Hz, 1H), 3.90 (br, 1H), 3.67 (s, 3H), 3.28 (dd, $J=14.2, 2.8$ Hz, 1H), 2.36 (q, $J=9.2$ Hz, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 169.7, 168.2, 142.0, 139.4, 136.2, 130.3, 128.8, 128.7, 128.6, 128.2, 128.0, 127.7, 127.7, 126.9, 60.4, 58.8, 52.1, 52.0, 51.0, 36.2, 21.0, 14.1; HRMS (ESI) for $\text{C}_{28}\text{H}_{28}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 474.1734, found 474.1740.

methyl **(2*r*,3*R*,4*r*,5*S*)-4-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)cubane-1-carboxylate (3x)**



Purification by flash chromatography (PE/EA = 8/1) afforded **3x**. Yellow solid; mp 150–152 °C; 40.5 mg, 40% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.66 (d, $J=7.1$ Hz, 2H), 7.41–7.33 (m, 6H), 7.28–7.23 (m, 3H), 7.18 (dd, $J=7.1, 1.6$ Hz, 2H), 7.04 (d, $J=5.5$ Hz, 2H), 5.13 (dd, $J=9.4, 2.8$ Hz, 1H), 4.34 (t, $J=5.2$ Hz, 3H), 4.15 (t, $J=5.2$ Hz, 3H), 3.88 (dd, $J=14.2, 9.5$ Hz, 1H), 3.68 (s, 3H), 3.26 (dd, $J=14.2, 2.9$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 171.0, 170.8, 141.9, 139.2, 136.1, 130.7, 128.9, 128.4, 128.3, 128.1, 128.1, 127.8, 127.8, 127.0, 68.8, 60.4, 58.0, 55.9, 51.8, 46.9, 46.6; HRMS (ESI) for $\text{C}_{31}\text{H}_{28}\text{NO}_4\text{S}$ $[\text{M}+\text{Na}]^+$ calcd. 510.1734, found 510.1739.

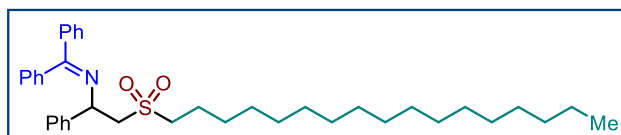
1,1-diphenyl-*N*-(1-phenyl-2-(undecylsulfonyl)ethyl)methanimine (3y)



Purification by flash chromatography (PE/EA = 10/1) afforded **3y**. White solid; mp 61–63 °C; 46.7 mg, 46% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.4$ Hz, 2H), 7.43–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.15

(d, $J = 6.8$ Hz, 2H), 7.00 (d, $J = 6.0$ Hz, 2H), 5.04 (dd, $J = 9.8, 2.5$ Hz, 1H), 3.90 (br, 1H), 3.21 (dd, $J = 14.7, 2.6$ Hz, 1H), 3.03–2.85 (m, 2H), 1.95–1.73 (m, 2H), 1.33–1.20 (m, 16H), 0.89 (t, $J = 6.8$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.2, 141.7, 138.8, 135.8, 130.7, 130.0, 128.7, 128.5, 128.3, 128.1, 127.6, 127.4, 126.9, 61.4, 60.7, 54.9, 31.8, 29.5, 29.4, 29.3, 29.0, 28.4, 22.6, 22.0, 14.1; HRMS (ESI) for $\text{C}_{32}\text{H}_{42}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 504.2931, found 504.2946.

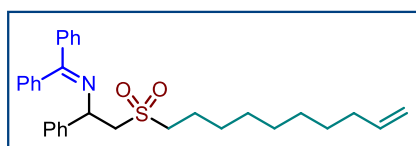
N-(2-(heptadecylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3z**)



Purification by flash chromatography (PE/EA = 10/1) afforded **3z**. Yellow solid; mp

53–55 °C; 63.3 mg, 54% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.3$ Hz, 2H), 7.42–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.17–7.13 (m, 2H), 7.00 (dd, $J = 6.7, 1.7$ Hz, 2H), 5.04 (dd, $J = 9.9, 2.8$ Hz, 1H), 3.90 (dd, $J = 14.2, 10.5$ Hz, 1H), 3.21 (dd, $J = 14.7, 2.6$ Hz, 1H), 3.03–2.85 (m, 2H), 1.95–1.72 (m, 2H), 1.33–1.20 (m, 28H), 0.88 (t, $J = 6.6$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.1, 141.7, 138.8, 135.8, 130.6, 128.7, 128.7, 128.5, 128.3, 128.1, 127.6, 127.4, 126.9, 61.4, 60.8, 54.9, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.3, 29.0, 28.4, 22.6, 22.0, 14.1; HRMS (ESI) for $\text{C}_{38}\text{H}_{54}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 588.3870, found 588.3878.

N-(2-(dec-9-en-1-ylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (**3aa**)

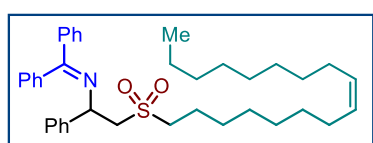


Purification by flash chromatography (PE/EA = 10/1) afforded **3aa**. Yellow oil; 69.7 mg, 72% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.3$ Hz, 2H), 7.45–7.32 (m, 6H), 7.29–7.20 (m, 3H), 7.14 (d, $J = 6.5$ Hz, 2H), 7.00 (dd, $J = 6.7, 1.6$ Hz, 2H), 5.86–5.75 (m, 1H), 5.07–4.92 (m, 3H), 3.90 (t, $J = 11.3$ Hz, 1H),

3.21 (dd, $J = 14.7, 2.6$ Hz, 1H), 3.03–2.85 (m, 2H), 2.04 (q, $J = 7.2$ Hz, 2H), 1.93–1.73 (m, 2H), 1.40–1.22 (m, 10H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.1, 141.7, 139.0, 138.8, 135.8, 130.7, 128.7, 128.5, 128.3, 128.2, 127.7, 127.4, 126.9, 114.2, 61.4, 60.8, 54.9, 33.7, 29.1, 28.9, 28.8, 28.4, 22.0; HRMS (ESI) for $\text{C}_{31}\text{H}_{38}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 488.2618, found 488.2625.

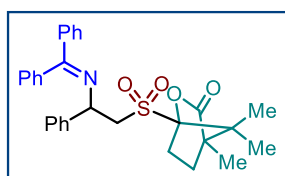
(Z)-N-(2-(heptadec-8-en-1-ylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine

(3ab)



Purification by flash chromatography (PE/EA = 10/1) afforded **3ab**. Yellow oil; 103.0 mg, 88% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.2$ Hz, 2H), 7.43–7.31 (m, 6H), 7.28–7.20 (m, 3H), 7.14 (dd, $J = 7.8, 1.4$ Hz, 2H), 7.00 (dd, $J = 6.7, 1.8$ Hz, 2H), 5.63–5.29 (m, 2H), 5.04 (dd, $J = 9.9, 2.8$ Hz, 1H), 3.89 (dd, $J = 14.3, 10.3$ Hz, 1H), 3.21 (dd, $J = 14.7, 2.6$ Hz, 1H), 3.02–2.94 (m, 1H), 2.93–2.84 (m, 1H), 2.03–1.73 (m, 6H), 1.38–1.21 (m, 20H), 0.88 (t, $J = 6.8$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.1, 141.7, 138.8, 135.8, 130.6, 130.5, 130.0, 128.7, 128.7, 128.5, 128.3, 128.1, 127.6, 127.4, 126.9, 61.4, 60.8, 54.9, 32.6, 32.5, 31.8, 29.6, 29.4, 29.4, 29.3, 29.1, 28.8, 28.7, 28.4, 22.6, 22.0, 14.1; HRMS (ESI) for $\text{C}_{38}\text{H}_{52}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 586.3713, found 586.3726.

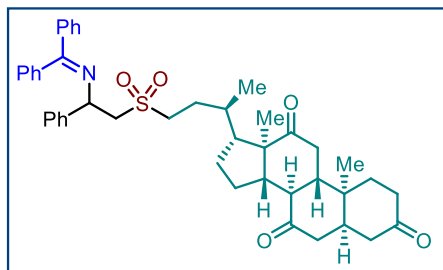
(4S)-1-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)-4,7,7-trimethyl-2-oxabicyclo[2.2.1]heptan-3-one (3ac)



Purification by flash chromatography (PE/EA = 10/1) afforded **3ac**. Yellow oil; 80.0 mg, 80% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.74–7.65 (m, 2H), 7.41–7.22 (m, 11H), 7.05 (t, $J = 7.8$ Hz, 2H), 5.20–5.11 (m, 1H), 3.98 (br, 1H), 3.51–3.43 (m, 1H), 2.68–2.46 (m, 1H), 2.13–2.01 (m, 1H), 1.99–1.87 (m, 1H), 1.74–1.62 (m, 1H), 1.21–1.16 (m, 6H), 1.09–1.05 (m, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 176.5, 176.4, 169.6, 142.1, 139.6, 136.4, 130.3, 129.0, 128.9, 128.8, 128.3, 128.1, 128.0, 127.9, 127.8, 127.1, 127.0, 100.6, 99.9, 60.0, 59.8, 59.7, 59.4, 55.0, 54.9, 54.8, 54.7, 29.6, 28.8,

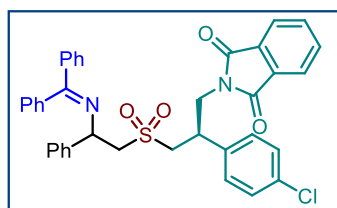
28.3, 28.1, 17.5, 17.4, 17.0, 16.9, 9.5, 9.4; HRMS (ESI) for C₃₀H₃₂NO₄S [M+H]⁺ calcd. 502.2047, found 502.2058.

(5*S*,8*R*,9*S*,10*S*,13*R*,14*S*,17*R*)-17-((2*R*)-4-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)butan-2-yl)-10,13-dimethyldodecahydro-3*H*-cyclopenta[*a*]phenanthrene-3,7,12(2*H*,4*H*)-trione (3*ad*)



Purification by flash chromatography (PE/EA = 2/1) afforded **3ad**. Yellow solid; mp 110–112 °C; 95.9 mg, 68% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.71 (br, 2H), 7.42–7.33 (m, 6H), 7.30–7.21 (m, 3H), 7.15 (br, 2H), 7.02 (br, 2H), 5.05 (t, *J* = 8.2 Hz, 1H), 3.88 (br, 1H), 3.28–3.20 (m, 1H), 3.18–3.04 (m, 1H), 2.94–2.78 (m, 4H), 2.35–2.11 (m, 9H), 2.05–1.73 (m, 7H), 1.40–1.25 (m, 7H), 1.01 (d, *J* = 8.9 Hz, 3H), 0.76 (d, *J* = 6.6 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 211.7, 211.5, 209.0, 208.6, 208.4, 141.6, 130.6, 128.7, 128.3, 128.2, 128.1, 127.7, 127.4, 126.9, 126.9, 61.4, 56.7, 56.7, 52.7, 51.9, 51.6, 51.4, 48.8, 46.7, 46.7, 45.4, 45.3, 44.9, 44.7, 42.7, 38.5, 36.4, 35.9, 35.9, 35.4, 35.2, 34.7, 27.4, 27.3, 27.1, 26.3, 25.0, 24.9, 21.8, 18.6, 18.6, 11.7; HRMS (ESI) for C₄₄H₅₂NO₅S [M+H]⁺ calcd. 706.3561, found 706.3567.

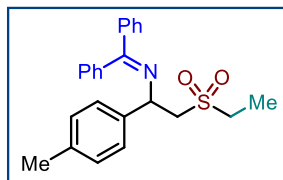
2-((2*R*)-2-(4-chlorophenyl)-3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)propyl)isoindoline-1,3-dione (3*ae*)



Purification by flash chromatography (PE/EA = 2/1) afforded **3ae**. White solid; mp 89–91 °C; 87.8 mg, 68% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.82–7.73 (m, 2H), 7.71–7.58 (m, 4H), 7.48–7.20 (m, 11H), 7.07–6.80 (m, 6H), 5.05–4.81 (m, 1H), 4.04–3.54 (m, 5H), 3.43–3.26 (m, 1H), 3.14–2.93 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 170.2, 168.0, 167.8, 141.1, 138.7, 137.8, 137.2, 135.6, 134.0, 134.0, 133.7, 133.1, 131.6, 131.5, 130.7, 129.6, 129.0, 128.9, 128.8, 128.7, 128.3, 128.3, 128.2, 127.7, 127.4, 127.3, 126.8, 126.8, 123.3, 123.3, 62.1, 61.3, 58.6, 58.2, 42.5, 42.1, 38.6, 37.3; HRMS (ESI) for C₃₈H₃₂ClN₂O₄S [M+H]⁺ calcd. 647.1766,

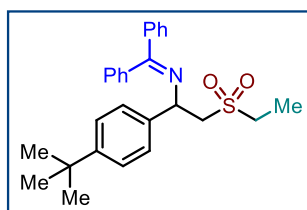
found 647.1771.

***N*-(2-(ethylsulfonyl)-1-(*p*-tolyl)ethyl)-1,1-diphenylmethanimine (4a)**



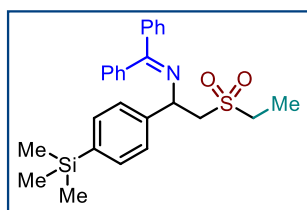
Purification by flash chromatography (PE/EA = 10/1) afforded **4a**. Yellow solid; mp 86–88 °C; 54.8 mg, 70% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.69 (d, *J* = 7.4 Hz, 2H), 7.45–7.30 (m, 6H), 7.11–6.96 (m, 6H), 5.02 (dd, *J* = 11.2, 2.6 Hz, 1H), 3.96 (br, 1H), 3.19 (dd, *J* = 14.7, 2.4 Hz, 1H), 3.09–2.97 (m, 1H), 2.96–2.83 (m, 1H), 2.30 (s, 3H), 1.39 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 170.3, 138.6, 137.4, 135.7, 130.7, 129.4, 128.8, 128.7, 128.3, 128.2, 127.5, 126.7, 61.0, 59.9, 49.1, 21.1, 6.7; HRMS (ESI) for C₂₄H₂₆NO₂S [M+H]⁺ calcd. 392.1679, found 392.1675.

***N*-(1-(4-(*tert*-butyl)phenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4b)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4b**. White solid; mp 102–104 °C; 59.8 mg, 69% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.71 (d, *J* = 7.4 Hz, 2H), 7.45–7.27 (m, 8H), 7.18–6.97 (m, 4H), 5.06 (d, *J* = 9.0 Hz, 1H), 4.04 (br, 1H), 3.20 (dd, *J* = 14.6, 2.4 Hz, 1H), 3.10–2.98 (m, 1H), 2.98–2.85 (m, 1H), 1.39 (t, *J* = 7.4 Hz, 3H), 1.29 (s, 9H); ¹³C NMR (100 MHz, CDCl₃) δ (ppm) 150.7, 138.3, 135.6, 130.9, 128.9, 128.8, 128.3, 128.2, 127.6, 126.6, 125.7, 60.9, 59.7, 49.1, 34.5, 31.3, 6.7; HRMS (ESI) for C₂₇H₃₂NO₂S [M+H]⁺ calcd. 434.2148, found 434.2154.

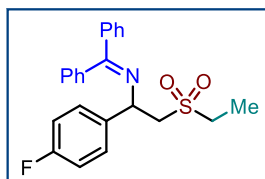
***N*-(2-(ethylsulfonyl)-1-(4-(trimethylsilyl)phenyl)ethyl)-1,1-diphenylmethanimine (4c)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4c**. Yellow oil; 59.3 mg, 66% yield; ¹H NMR (400 MHz, CDCl₃) δ (ppm) 7.71–7.65 (m, 2H), 7.43–7.31 (m, 8H), 7.14 (d, *J* = 7.9 Hz, 2H), 7.06–6.98 (m, 2H), 5.03 (dd, *J* = 10.0, 2.7 Hz, 1H), 3.91 (dd, *J* = 14.6, 10.1 Hz, 1H), 3.24–3.14 (m, 1H), 3.08–2.98

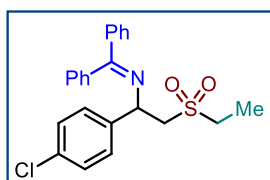
(m, 1H), 2.96–2.86 (m, 1H), 1.39 (t, $J = 7.4$ Hz, 3H), 0.24 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.0, 142.1, 139.9, 138.9, 135.9, 133.7, 130.5, 128.6, 128.5, 128.3, 128.2, 127.5, 126.2, 61.4, 59.9, 49.1, 6.8, -1.2; HRMS (ESI) for $\text{C}_{26}\text{H}_{32}\text{NO}_2\text{SSi}$ $[\text{M}+\text{H}]^+$ calcd. 450.1918, found 450.1913.

***N*-(2-(ethylsulfonyl)-1-(4-fluorophenyl)ethyl)-1,1-diphenylmethanimine (4d)**



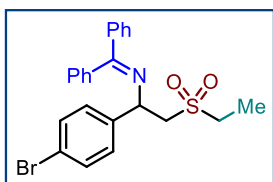
Purification by flash chromatography (PE/EA = 10/1) afforded **4d**. Yellow solid; mp 126–128 °C; 45.2 mg, 57% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.5$ Hz, 2H), 7.48–7.32 (m, 6H), 7.12 (dd, $J = 7.9, 5.4$ Hz, 2H), 7.03–6.90 (m, 4H), 5.04 (dd, $J = 9.8, 2.4$ Hz, 1H), 3.91 (br, 1H), 3.17 (dd, $J = 14.6, 2.2$ Hz, 1H), 3.08–2.98 (m, 1H), 2.97–2.86 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 162.0 (d, $J = 245.1$ Hz), 138.6, 137.5, 135.7, 130.8, 128.8, 128.5, 128.5 (d, $J = 8.1$ Hz), 128.4, 128.2, 127.3, 115.6 (d, $J = 21.3$ Hz), 60.6, 60.0, 49.2, 6.7; HRMS (ESI) for $\text{C}_{23}\text{H}_{23}\text{FNO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 396.1428, found 396.1427.

***N*-(1-(4-chlorophenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4e)**



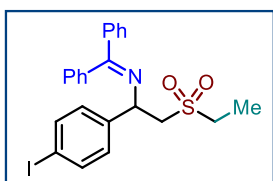
Purification by flash chromatography (PE/EA = 10/1) afforded **4e**. Yellow oil; 50.2 mg, 61% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.69–7.63 (m, 2H), 7.45–7.33 (m, 6H), 7.27–7.20 (m, 2H), 7.08 (d, $J = 8.4$ Hz, 2H), 7.02–6.92 (m, 2H), 5.03 (dd, $J = 9.9, 2.8$ Hz, 1H), 3.89 (dd, $J = 13.9, 10.4$ Hz, 1H), 3.15 (dd, $J = 14.7, 2.1$ Hz, 1H), 3.09–2.99 (m, 1H), 2.98–2.87 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.8, 140.2, 138.6, 135.7, 133.4, 130.8, 128.9, 128.8, 128.6, 128.4, 128.3, 128.2, 127.3, 60.6, 59.8, 49.2, 6.7; HRMS (ESI) for $\text{C}_{23}\text{H}_{23}\text{ClNO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 412.1133, found 412.1136.

***N*-(1-(4-bromophenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4f)**



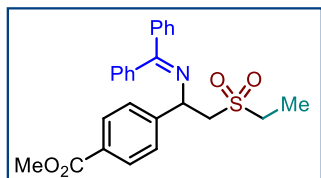
Purification by flash chromatography (PE/EA = 10/1) afforded **4f**. Yellow oil; 54.7 mg, 60% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.3$ Hz, 2H), 7.46–7.32 (m, 8H), 7.08–6.93 (m, 4H), 5.01 (dd, $J = 9.9, 2.7$ Hz, 1H), 3.90 (t, $J = 11.9$ Hz, 1H), 3.15 (dd, $J = 14.6, 2.2$ Hz, 1H), 3.09–2.99 (m, 1H), 2.98–2.88 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.9, 140.7, 138.5, 135.6, 131.9, 130.9, 128.9, 128.6, 128.6, 128.4, 128.3, 127.3, 121.6, 60.7, 59.7, 49.2, 6.7; HRMS (ESI) for $\text{C}_{23}\text{H}_{23}\text{BrNO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 456.0627, found 456.0636.

***N*-(2-(ethylsulfonyl)-1-(4-iodophenyl)ethyl)-1,1-diphenylmethanimine (4g)**



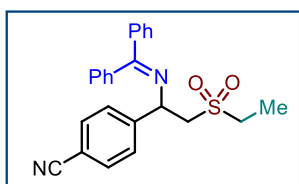
Purification by flash chromatography (PE/EA = 10/1) afforded **4g**. Colorless oil; 65.0 mg, 65% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.4$ Hz, 2H), 7.60 (d, $J = 8.3$ Hz, 2H), 7.45–7.32 (m, 6H), 6.99 (d, $J = 6.0$ Hz, 2H), 6.91 (d, $J = 8.2$ Hz, 2H), 5.00 (dd, $J = 9.9, 2.6$ Hz, 1H), 3.90 (br, 1H), 3.15 (dd, $J = 14.6, 2.1$ Hz, 1H), 3.09–2.99 (m, 1H), 2.98–2.88 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.9, 141.4, 138.5, 137.8, 135.6, 130.9, 128.9, 128.8, 128.6, 128.4, 128.3, 127.3, 93.2, 60.8, 59.7, 49.2, 6.7; HRMS (ESI) for $\text{C}_{23}\text{H}_{23}\text{INO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 504.0489, found 504.0508.

methyl 4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)benzoate (4h)



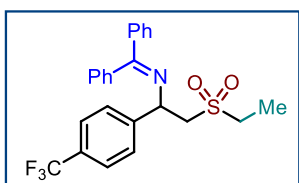
Purification by flash chromatography (PE/EA = 10/1) afforded **4h**. Colorless oil; 48.5 mg, 56% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.94 (d, $J = 8.3$ Hz, 2H), 7.69 (d, $J = 7.3$ Hz, 2H), 7.45–7.32 (m, 6H), 7.23 (d, $J = 8.2$ Hz, 2H), 6.96 (d, $J = 6.6$ Hz, 2H), 5.11 (dd, $J = 10.0, 2.6$ Hz, 1H), 4.02–3.88 (m, 4H), 3.18 (dd, $J = 14.6, 2.0$ Hz, 1H), 3.12–3.01 (m, 1H), 3.00–2.90 (m, 1H), 1.41 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.2, 166.6, 146.7, 138.5, 135.7, 130.9, 130.0, 129.5, 128.9, 128.4, 128.3, 127.2, 126.9, 61.1, 59.7, 52.1, 49.3, 6.7; HRMS (ESI) for $\text{C}_{25}\text{H}_{26}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 436.1577, found 436.1586.

4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)benzonitrile (4i)



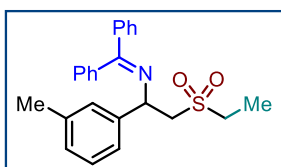
Purification by flash chromatography (PE/EA = 6/1) afforded **4i**. Yellow oil; 57.9 mg, 72% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.3$ Hz, 2H), 7.57 (d, $J = 8.3$ Hz, 2H), 7.48–7.33 (m, 6H), 7.28 (d, $J = 8.2$ Hz, 2H), 6.96 (d, $J = 6.6$ Hz, 2H), 5.11 (dd, $J = 9.9, 2.6$ Hz, 1H), 3.91 (t, $J = 11.7$ Hz, 1H), 3.16 (dd, $J = 14.6, 2.2$ Hz, 1H), 3.12–3.02 (m, 1H), 3.02–2.92 (m, 1H), 1.41 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.7, 146.8, 138.3, 135.5, 132.6, 131.1, 129.0, 128.6, 128.5, 128.3, 127.7, 127.1, 118.4, 111.6, 60.8, 59.4, 49.3, 6.7; HRMS (ESI) for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 403.1475, found 403.1480.

***N*-(2-(ethylsulfonyl)-1-(4-(trifluoromethyl)phenyl)ethyl)-1,1-diphenylmethanimine (4j)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4j**. Yellow oil; 62.4 mg, 70% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.2$ Hz, 2H), 7.53 (d, $J = 8.2$ Hz, 2H), 7.46–7.33 (m, 6H), 7.29 (d, $J = 8.1$ Hz, 2H), 6.98 (d, $J = 6.3$ Hz, 2H), 5.12 (dd, $J = 10.0, 2.6$ Hz, 1H), 3.92 (dd, $J = 14.4, 10.2$ Hz, 1H), 3.17 (dd, $J = 14.6, 2.1$ Hz, 1H), 3.12–3.01 (m, 1H), 3.01–2.91 (m, 1H), 1.41 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.2, 145.7, 138.5, 135.7, 130.9, 129.9 (q, $J = 32.2$ Hz), 128.9, 128.6, 128.5, 128.3, 127.3, 127.2, 125.7 (q, $J = 3.7$ Hz), 123.7 (q, $J = 270.5$ Hz), 60.9, 59.7, 49.3, 6.7; HRMS (ESI) for $\text{C}_{24}\text{H}_{23}\text{F}_3\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 446.1396, found 446.1393.

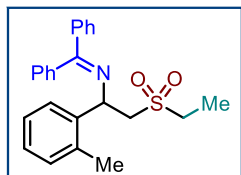
***N*-(2-(ethylsulfonyl)-1-(*m*-tolyl)ethyl)-1,1-diphenylmethanimine (4k)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4k**. White solid; mp 157–159 °C; 50.6 mg, 65% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.2$ Hz, 2H), 7.46–7.30 (m, 6H), 7.14 (t, $J = 7.5$ Hz, 1H), 7.08–6.89 (m, 5H), 5.00 (dd, $J = 10.0, 2.7$ Hz, 1H), 3.92 (t, $J = 11.8$ Hz, 1H), 3.19 (dd, $J = 14.7, 2.2$ Hz, 1H), 3.09–2.97 (m, 1H),

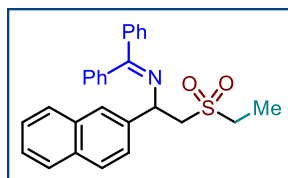
2.95–2.84 (m, 1H), 2.28 (s, 3H), 1.39 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.2, 141.6, 138.9, 138.4, 135.9, 130.6, 128.7, 128.6, 128.4, 128.3, 128.2, 127.5, 127.5, 123.9, 61.3, 60.0, 49.1, 21.4, 6.8; HRMS (ESI) for $\text{C}_{24}\text{H}_{26}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 392.1679, found 392.1685.

N-(2-(ethylsulfonyl)-1-(*o*-tolyl)ethyl)-1,1-diphenylmethanimine (**4l**)



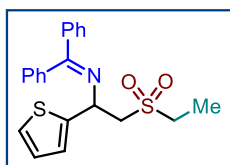
Purification by flash chromatography (PE/EA = 10/1) afforded **4l**. White solid; mp 157–159 °C; 36.9 mg, 47% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.69 (d, $J = 7.5$ Hz, 2H), 7.49–7.34 (m, 7H), 7.17–7.07 (m, 2H), 7.00 (d, $J = 7.1$ Hz, 1H), 6.91 (d, $J = 6.5$ Hz, 2H), 5.22 (d, $J = 9.2$ Hz, 1H), 3.93 (br, 1H), 3.18–2.90 (m, 3H), 1.77 (s, 3H), 1.44 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.4, 140.8, 138.8, 136.4, 134.2, 130.6, 130.4, 130.0, 128.5, 128.4, 128.2, 127.3, 127.2, 127.1, 126.5, 59.1, 57.5, 49.1, 18.6, 6.8; HRMS (ESI) for $\text{C}_{24}\text{H}_{26}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 392.1679, found 392.1687.

N-(2-(ethylsulfonyl)-1-(naphthalen-2-yl)ethyl)-1,1-diphenylmethanimine (**4m**)



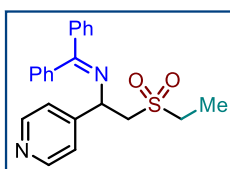
Purification by flash chromatography (PE/EA = 10/1) afforded **4m**. Yellow oil; 74.4 mg, 87% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.84–7.66 (m, 5H), 7.53 (s, 1H), 7.48–7.30 (m, 9H), 6.99 (d, $J = 7.0$ Hz, 2H), 5.21 (dd, $J = 9.9, 2.7$ Hz, 1H), 4.01 (t, $J = 11.9$ Hz, 1H), 3.28 (dd, $J = 14.7, 2.1$ Hz, 1H), 3.12–3.01 (m, 1H), 3.00–2.89 (m, 1H), 1.41 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.7, 139.1, 138.8, 135.8, 133.3, 132.8, 130.7, 128.8, 128.6, 128.4, 128.2, 127.9, 127.6, 127.4, 126.2, 126.1, 125.8, 124.7, 61.5, 60.0, 49.2, 6.8; HRMS (ESI) for $\text{C}_{27}\text{H}_{26}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 428.1679, found 428.1681.

N-(2-(ethylsulfonyl)-1-(thiophen-2-yl)ethyl)-1,1-diphenylmethanimine (**4n**)



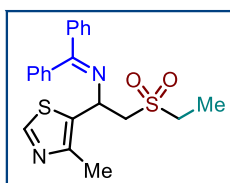
Purification by flash chromatography (PE/EA = 10/1) afforded **4n**. Yellow solid; mp 143–145 °C; 48.3 mg, 63% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.3$ Hz, 2H), 7.47–7.31 (m, 6H), 7.21 (dd, $J = 5.0, 0.5$ Hz, 1H), 7.14–7.06 (m, 2H), 6.89 (dd, $J = 5.0, 3.6$ Hz, 1H), 6.70 (d, $J = 3.2$ Hz, 1H), 5.37 (dd, $J = 9.7, 3.1$ Hz, 1H), 3.90 (dd, $J = 14.7, 9.8$ Hz, 1H), 3.31 (dd, $J = 14.7, 2.8$ Hz, 1H), 3.06–2.96 (m, 1H), 2.95–2.84 (m, 1H), 1.38 (t, $J = 7.5$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.9, 144.1, 138.7, 135.3, 130.8, 128.9, 128.7, 128.4, 128.2, 127.4, 126.5, 125.0, 123.9, 60.3, 57.4, 49.2, 6.7; HRMS (ESI) for $\text{C}_{21}\text{H}_{22}\text{NO}_2\text{S}_2$ $[\text{M}+\text{H}]^+$ calcd. 384.1086, found 384.1095.

N-(2-(ethylsulfonyl)-1-(pyridin-4-yl)ethyl)-1,1-diphenylmethanimine (**4o**)



Purification by flash chromatography (PE/EA = 6/1) afforded **4o**. White solid; mp 137–139 °C; 41.2 mg, 54% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 8.52 (d, $J = 5.8$ Hz, 2H), 7.69 (d, $J = 7.2$ Hz, 2H), 7.48–7.33 (m, 6H), 7.10 (d, $J = 6.0$ Hz, 2H), 6.97 (d, $J = 6.4$ Hz, 2H), 5.05 (dd, $J = 10.1, 2.6$ Hz, 1H), 3.89 (dd, $J = 14.6, 10.1$ Hz, 1H), 3.17 (dd, $J = 14.6, 1.8$ Hz, 1H), 3.13–3.03 (m, 1H), 3.02–2.91 (m, 1H), 1.42 (t, $J = 7.5$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.8, 150.4, 150.2, 138.4, 135.5, 131.0, 128.9, 128.6, 128.5, 128.3, 127.1, 121.8, 60.4, 59.3, 49.4, 6.7; HRMS (ESI) for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 379.1475, found 379.1487.

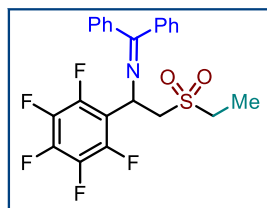
N-(2-(ethylsulfonyl)-1-(4-methylthiazol-5-yl)ethyl)-1,1-diphenylmethanimine (**4p**)



Purification by flash chromatography (PE/EA = 6/1) afforded **4p**. Yellow solid; mp 133–135 °C; 40.0 mg, 50% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 8.65 (s, 1H), 7.66 (dd, $J = 7.2, 1.4$ Hz, 2H), 7.48–7.40 (m, 4H), 7.36 (t, $J = 7.5$ Hz, 2H), 7.01 (t, $J = 3.6$ Hz, 2H), 5.40 (dd, $J = 9.8, 3.0$ Hz, 1H), 3.85 (dd, $J = 14.6, 9.8$ Hz, 1H), 3.14 (dd, $J = 14.7, 2.5$ Hz, 1H), 3.10–3.00 (m, 1H), 2.99–2.90 (m, 1H), 1.93 (s, 3H), 1.41 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 171.5, 151.8, 147.9, 138.3, 135.4, 131.6, 131.0, 128.9, 128.7, 128.6, 128.3, 127.0, 59.5, 54.7, 49.4, 14.8, 6.7; HRMS (ESI) for $\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}_2\text{S}_2\text{Na}$ $[\text{M}+\text{Na}]^+$

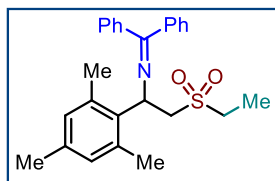
calcd. 421.1015, found 421.1028.

***N*-2-(ethylsulfonyl)-1-(perfluorophenyl)ethyl)-1,1-diphenylmethanimine (4q)**



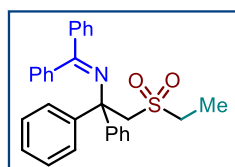
Purification by flash chromatography (PE/EA = 10/1) afforded **4q**. Yellow oil; 57.7 mg, 62% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.63 (d, $J = 7.3$ Hz, 2H), 7.50–7.40 (m, 4H), 7.34 (t, $J = 7.6$ Hz, 2H), 7.02 (d, $J = 2.9$ Hz, 2H), 5.56 (dd, $J = 9.4, 4.2$ Hz, 1H), 4.07 (dd, $J = 14.5, 9.4$ Hz, 1H), 3.24 (dd, $J = 14.6, 3.8$ Hz, 1H), 3.21–3.10 (m, 1H), 3.08–2.97 (m, 1H), 1.43 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 172.9, 145.9, 143.4, 138.7, 138.5, 136.1 (t, $J = 12.1$ Hz), 135.3, 131.2, 129.2, 128.9, 128.6, 128.3, 126.7, 114.0 (t, $J = 16.2$ Hz), 55.9, 51.4, 49.5, 6.8; HRMS (ESI) for $\text{C}_{23}\text{H}_{18}\text{F}_5\text{NO}_2\text{SNa}$ $[\text{M}+\text{Na}]^+$ calcd. 490.0871, found 490.0874.

***N*-2-(ethylsulfonyl)-1-mesitylethyl)-1,1-diphenylmethanimine (4r)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4r**. Yellow solid; mp 173–175 °C; 61.3 mg, 73% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.3$ Hz, 2H), 7.42–7.31 (m, 6H), 6.86 (d, $J = 4.2$ Hz, 2H), 6.76 (s, 1H), 6.57 (s, 1H), 5.44 (dd, $J = 10.6, 2.1$ Hz, 1H), 4.19 (dd, $J = 14.7, 10.8$ Hz, 1H), 3.25–3.14 (m, 1H), 3.07–2.92 (m, 2H), 2.58 (s, 3H), 2.19 (s, 3H), 1.50–1.42 (m, 6H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 169.5, 138.7, 136.7, 136.6, 136.5, 135.8, 135.3, 130.8, 130.5, 128.7, 128.5, 128.3, 128.2, 127.1, 57.9, 56.4, 49.1, 22.4, 20.7, 19.5, 7.0; HRMS (ESI) for $\text{C}_{26}\text{H}_{30}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 420.1992, found 420.2001.

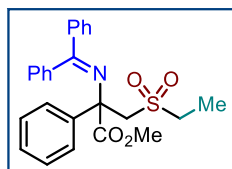
***N*-2-(ethylsulfonyl)-1,1-diphenylethyl)-1,1-diphenylmethanimine (4s)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4s**. White solid; mp 156–158 °C; 54.3 mg, 60% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.71 (dd, $J = 8.5, 1.5$ Hz, 2H), 7.40–7.31 (m, 7H), 7.25–7.15 (m, 7H), 7.09 (t, $J = 8.4$ Hz, 2H), 6.62 (d, $J = 7.2$ Hz, 2H), 3.97 (s, 2H), 2.17 (q, $J = 7.4$ Hz, 2H), 0.99 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ

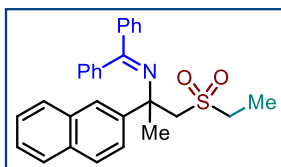
(ppm) 169.3, 147.5, 141.6, 138.1, 130.2, 128.6, 128.2, 128.0, 127.6, 127.5, 127.5, 126.9, 65.8, 61.6, 49.0, 6.1; HRMS (ESI) for $C_{29}H_{27}NO_2SNa$ $[M+Na]^+$ calcd. 476.1655, found 476.1662.

methyl 2-((diphenylmethylene)amino)-3-(ethylsulfonyl)-2-phenylpropanoate (4t)



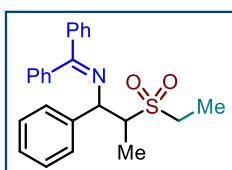
Purification by flash chromatography (PE/EA = 6/1) afforded **4t**. Yellow solid; mp 129–131 °C; 30.5 mg, 35% yield; 1H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.67 (d, J = 7.2 Hz, 2H), 7.54–7.49 (m, 2H), 7.44–7.39 (m, 1H), 7.37–7.24 (m, 8H), 7.07 (d, J = 6.8 Hz, 2H), 4.00 (d, J = 11.8 Hz, 2H), 3.48 (s, 3H), 2.94–2.73 (m, 2H), 1.14 (t, J = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 171.6, 171.5, 141.3, 140.6, 136.9, 130.7, 128.8, 128.6, 128.4, 128.0, 128.0, 127.8, 127.7, 126.4, 68.9, 61.7, 52.7, 49.6, 6.0; HRMS (ESI) for $C_{25}H_{26}NO_4S$ $[M+H]^+$ calcd. 436.1577, found 436.1577.

N-(1-(ethylsulfonyl)-2-(naphthalen-2-yl)propan-2-yl)-1,1-diphenylmethanimine (4u)



Purification by flash chromatography (PE/EA = 10/1) afforded **4u**. White solid; mp 117–119 °C; 32.7 mg, 37% yield; 1H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.86–7.74 (m, 2H), 7.71–7.56 (m, 3H), 7.54–7.28 (m, 7H), 7.10 (t, J = 6.8 Hz, 1H), 6.93 (t, J = 7.3 Hz, 2H), 6.53 (d, J = 6.8 Hz, 2H), 4.07 (d, J = 14.6 Hz, 1H), 3.41 (d, J = 14.8 Hz, 1H), 3.18–3.04 (m, 1H), 3.03–2.87 (m, 1H), 1.78 (s, 3H), 1.39 (t, J = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 168.7, 144.1, 140.8, 137.8, 132.9, 132.3, 130.3, 128.4, 128.3, 128.2, 128.1, 127.8, 127.5, 127.4, 127.3, 126.3, 126.2, 124.6, 124.5, 67.7, 63.4, 49.6, 24.1, 6.8; HRMS (ESI) for $C_{28}H_{28}NO_2S$ $[M+H]^+$ calcd. 442.1835, found 442.1838.

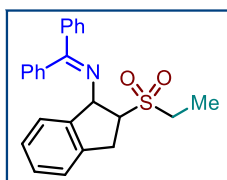
N-(2-(ethylsulfonyl)-1-phenylpropyl)-1,1-diphenylmethanimine (4v)



Purification by flash chromatography (PE/EA = 10/1) afforded **4v**. White solid; mp 91–93 °C; 32.8 mg, 42% yield; 1H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.72 (d, J = 6.9 Hz, 2H), 7.44–7.30 (m, 6H), 7.29–7.16 (m, 5H), 6.91 (d, J = 6.8 Hz, 2H), 5.14 (d, J = 3.4 Hz, 1H), 3.33 (br,

1H), 2.90–2.61 (m, 2H), 1.61 (d, $J = 7.0$ Hz, 3H), 1.26 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.1, 141.8, 139.2, 135.9, 130.6, 128.7, 128.5, 128.2, 127.4, 127.3, 65.2, 63.8, 45.3, 9.2, 5.5; HRMS (ESI) for $\text{C}_{24}\text{H}_{26}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 392.1679, found 392.1691.

***N*-(2-(ethylsulfonyl)-2,3-dihydro-1H-inden-1-yl)-1,1-diphenylmethanimine (4w)**



Purification by flash chromatography (PE/EA = 10/1) afforded **4w**.

Yellow solid; mp 173–175 °C; 33.0 mg, 42% yield; ^1H NMR (400

MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.2$ Hz, 2H), 7.51–7.43 (m, 3H),

7.43–7.39 (m, 3H), 7.35 (t, $J = 7.5$ Hz, 2H), 7.26–7.20 (m, 3H),

7.06 (d, $J = 6.9$ Hz, 1H), 5.58 (d, $J = 7.8$ Hz, 1H), 4.32 (q, $J = 8.1$ Hz, 1H), 3.44 (d, $J =$

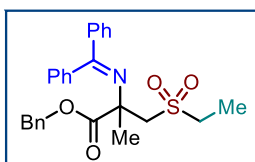
9.3 Hz, 2H), 2.92 (q, $J = 7.5$ Hz, 2H), 1.41 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (100 MHz,

CDCl_3) δ (ppm) 171.0, 141.3, 139.3, 138.6, 135.6, 130.7, 130.0, 129.1, 128.9, 128.7,

128.4, 128.2, 127.9, 127.4, 124.7, 124.0, 67.8, 67.0, 47.1, 31.7, 6.1; HRMS (ESI) for

$\text{C}_{24}\text{H}_{24}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 390.1522, found 390.1532.

benzyl 2-((diphenylmethylene)amino)-3-(ethylsulfonyl)-2-methylpropanoate (4x)



Purification by flash chromatography (PE/EA = 10/1) afforded

4x. Colorless oil; 27.0 mg, 30% yield; ^1H NMR (400 MHz,

CDCl_3) δ (ppm) 7.50 (d, $J = 7.2$ Hz, 2H), 7.41–7.28 (m, 10H),

7.25–7.22 (m, 1H), 7.18–7.12 (m, 2H), 4.84 (d, $J = 12.3$ Hz, 1H), 4.70 (d, $J = 12.3$ Hz,

1H), 3.74 (d, $J = 14.4$ Hz, 1H), 3.58 (d, $J = 14.4$ Hz, 1H), 3.16 (q, $J = 7.4$ Hz, 2H), 1.64

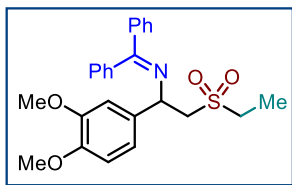
(s, 3H), 1.38 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 171.8, 169.0,

136.6, 135.1, 130.5, 130.0, 128.8, 128.5, 128.5, 128.4, 128.3, 128.2, 128.0, 128.0, 67.4,

65.4, 62.4, 50.2, 24.2, 6.6; HRMS (ESI) for $\text{C}_{26}\text{H}_{28}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 450.1734,

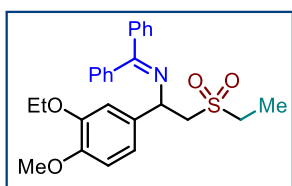
found 457.1740.

***N*-(1-(3,4-dimethoxyphenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4y)**



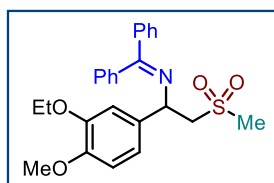
Purification by flash chromatography (PE/EA = 6/1) afforded **4y**. Yellow oil; 54.3 mg, 62% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.4$ Hz, 2H), 7.46–7.33 (m, 6H), 7.01 (d, $J = 5.4$ Hz, 2H), 6.80–6.70 (m, 2H), 6.60 (br, 1H), 4.99 (dd, $J = 9.6, 2.2$ Hz, 1H), 4.01–3.81 (m, 4H), 3.79 (s, 3H), 3.26–3.16 (m, 1H), 3.08–2.97 (m, 1H), 2.96–2.85 (m, 1H), 1.40 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 170.1, 148.9, 148.3, 138.9, 135.9, 134.2, 130.6, 128.7, 128.5, 128.3, 128.2, 127.5, 119.0, 111.2, 110.0, 61.0, 60.1, 55.8, 55.8, 49.1, 6.7; HRMS (ESI) for $\text{C}_{25}\text{H}_{28}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 438.1734, found 438.1746.

N-(1-(3-ethoxy-4-methoxyphenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (**4z**)



Purification by flash chromatography (PE/EA = 6/1) afforded **4z**. Yellow solid; mp 106–108 °C; 72.5 mg, 80% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.70 (d, $J = 4.6$ Hz, 2H), 7.48–7.32 (m, 6H), 7.03 (d, $J = 5.2$ Hz, 2H), 6.86–6.44 (m, 3H), 4.99 (d, $J = 7.1$ Hz, 1H), 4.18–3.67 (m, 6H), 3.21 (dd, $J = 14.7, 2.4$ Hz, 1H), 3.10–2.82 (m, 2H), 1.48–1.35 (m, 6H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ (ppm) 148.6, 148.2, 135.8, 133.9, 130.8, 128.7, 128.3, 128.2, 127.5, 118.9, 111.4, 111.3, 64.2, 60.9, 59.9, 55.8, 14.7, 6.7; HRMS (ESI) for $\text{C}_{26}\text{H}_{30}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 452.1890, found 452.1894.

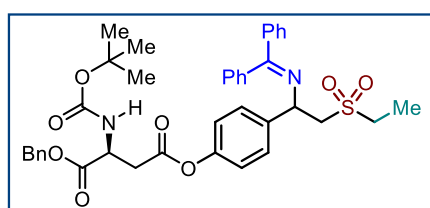
N-(1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)ethyl)-1,1-diphenylmethanimine (**4aa**)



Purification by flash chromatography (PE/EA = 6/1) afforded **4aa**. Yellow solid; mp 138–140 °C; 70.0 mg, 80% yield; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ (ppm) 7.68 (d, $J = 7.2$ Hz, 2H), 7.42–7.32 (m, 6H), 7.06–6.96 (m, 2H), 6.76 (d, $J = 8.3$ Hz, 1H), 6.70 (dd, $J = 8.3, 1.8$ Hz, 1H), 6.59 (s, 1H), 4.95 (dd, $J = 9.9, 3.0$ Hz, 1H),

4.06–3.93 (m, 2H), 3.92–3.81 (m, 4H), 3.28 (dd, $J = 14.6, 2.0$ Hz, 1H), 2.89 (s, 3H), 1.43(t, $J = 7.0$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.1, 148.5, 148.1, 138.8, 135.9, 134.0, 130.6, 128.6, 128.4, 128.3, 128.2, 127.4, 118.9, 111.4, 111.2, 64.1, 63.2, 61.2, 55.8, 43.1, 14.7; HRMS (ESI) for $\text{C}_{25}\text{H}_{28}\text{NO}_4\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 438.1734, found 438.1743.

1-benzyl 4-(4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)phenyl) (tert-butoxycarbonyl)-L-aspartate (4ab)

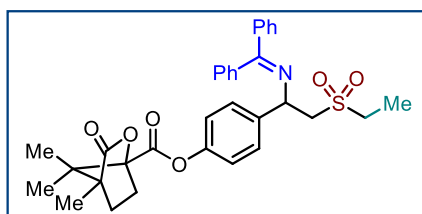


Purification by flash chromatography (PE/EA = 6/1)

afforded **4ab**. Yellow oil; 62.2 mg, 45% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.67 (d, $J = 7.3$ Hz, 2H), 7.45–7.31 (m, 11H), 7.13 (d, $J = 8.5$ Hz,

2H), 6.99 (d, $J = 6.5$ Hz, 2H), 6.89 (d, $J = 7.6$ Hz, 2H), 5.54 (d, $J = 8.2$ Hz, 1H), 5.25–5.20 (m, 1H), 5.19–5.13 (m, 1H), 5.04 (dd, $J = 10.0, 2.4$ Hz, 1H), 4.79–4.68 (m, 1H), 3.87 (dd, $J = 14.6, 10.0$ Hz, 1H), 3.27–2.86 (m, 5H), 1.46–1.36 (m, 12H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 170.5, 169.4, 155.3, 149.5, 139.5, 138.7, 135.8, 130.7, 128.7, 128.5, 128.5, 128.4, 128.4, 128.3, 128.2, 127.8, 127.3, 121.7, 80.3, 67.6, 60.7, 59.9, 50.1, 49.2, 37.0, 28.2, 6.7; HRMS (ESI) for $\text{C}_{39}\text{H}_{43}\text{N}_2\text{O}_8\text{S}$ $[\text{M}+\text{H}]^+$ calcd. 699.2735, found 699.2753.

4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)phenyl (4R)-4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]heptane-1-carboxylate (4ac)



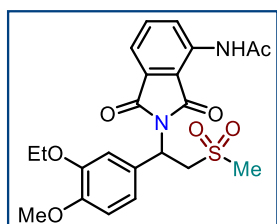
Purification by flash chromatography (PE/EA = 6/1)

afforded **4ac**. Yellow solid; mp 108–110 °C; 45.8 mg, 40% yield; ^1H NMR (400 MHz, CDCl_3) δ (ppm) 7.67 (d, $J = 7.3$ Hz, 2H), 7.38–7.26 (m, 6H),

7.12 (d, $J = 8.5$ Hz, 2H), 7.01–6.86 (m, 4H), 4.99 (dd, $J = 9.9, 2.4$ Hz, 1H), 3.82 (dd, $J = 14.6, 10.1$ Hz, 1H), 3.11 (dd, $J = 14.6, 2.0$ Hz, 1H), 3.02–2.78 (m, 2H), 2.54–2.41 (m, 1H), 2.17–2.06 (m, 1H), 1.97–1.87 (m, 1H), 1.74–1.64 (m, 1H), 1.33 (t, $J = 7.4$ Hz, 3H), 1.12–0.95 (m, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ (ppm) 177.8, 170.7, 166.0,

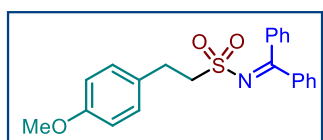
166.0, 149.2, 140.0, 138.7, 135.8, 130.8, 128.8, 128.5, 128.4, 128.2, 128.1, 127.3, 121.6, 90.7, 60.7, 59.9, 54.9, 54.7, 54.7, 49.2, 30.7, 28.9, 16.8, 16.8, 9.7, 6.7; HRMS (ESI) for $C_{33}H_{36}NO_6S$ $[M+H]^+$ calcd. 574.2258, found 574.2271.

***N*-(2-(1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)ethyl)-1,3-dioxoisindolin-4-yl)acetamide (5aa)**



Purification by flash chromatography (PE/EA = 1/1) afforded **5aa**. White solid; mp 112–114 °C; 67% yield; 1H NMR (400 MHz, $CDCl_3$) δ (ppm) 9.46 (s, 1H), 8.75 (d, J = 8.4 Hz, 1H), 7.65 (d, J = 7.9 Hz, 1H), 7.48 (d, J = 7.2 Hz, 1H), 7.13–7.06 (m, 2H), 6.84 (d, J = 8.9 Hz, 1H), 5.87 (dd, J = 10.5, 4.3 Hz, 1H), 4.55 (dd, J = 14.3, 10.6 Hz, 1H), 4.11 (q, J = 7.0 Hz, 2H), 3.85 (s, 3H), 3.73 (dd, J = 14.4, 4.4 Hz, 1H), 2.87 (s, 3H), 2.26 (s, 3H), 1.47 (t, J = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.5, 169.1, 167.4, 149.7, 148.6, 137.6, 136.1, 131.0, 129.2, 124.9, 120.3, 118.2, 115.1, 112.4, 111.4, 64.5, 55.9, 54.4, 48.5, 41.6, 24.9, 14.7; HRMS (ESI) for $C_{22}H_{24}N_2O_7SNa$ $[M+Na]^+$ calcd. 483.1196, found 483.1211.

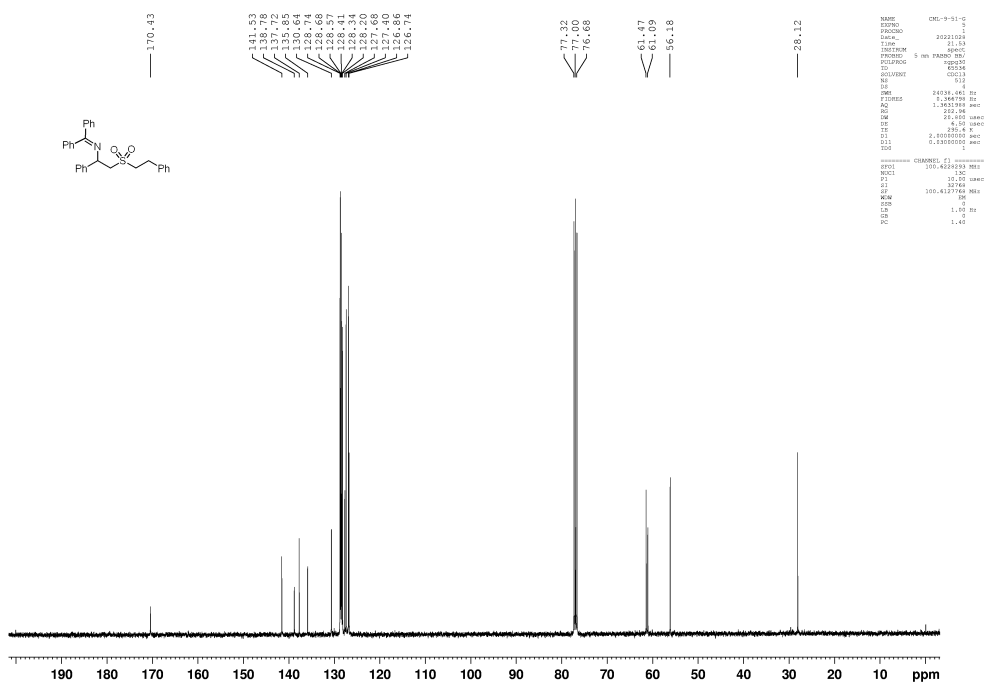
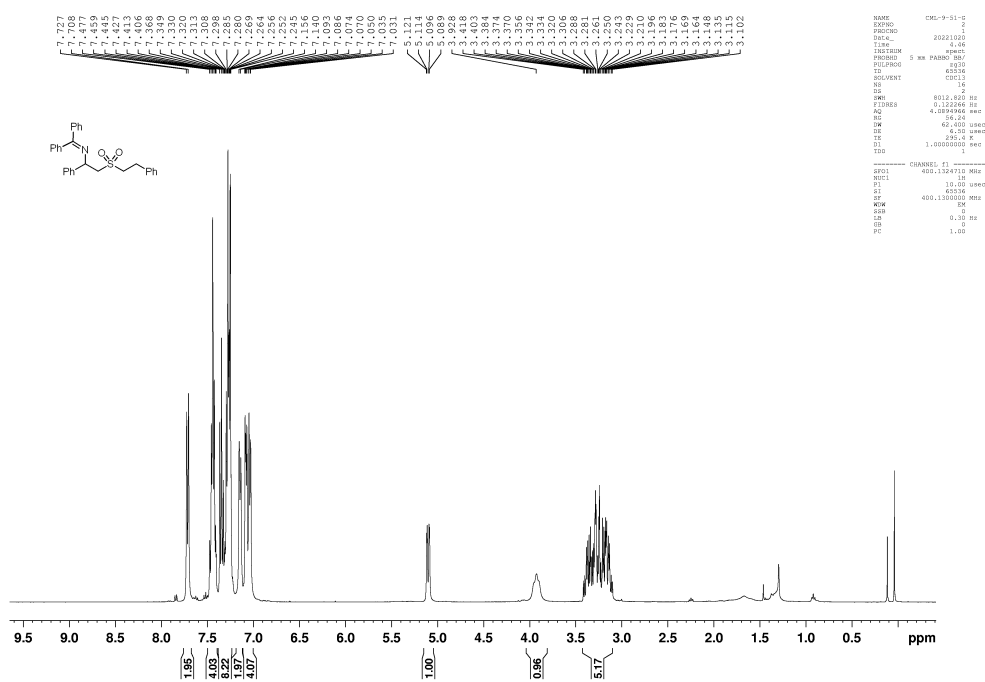
***N*-(diphenylmethylene)-2-(4-methoxyphenyl)ethane-1-sulfonamide (3ak')**



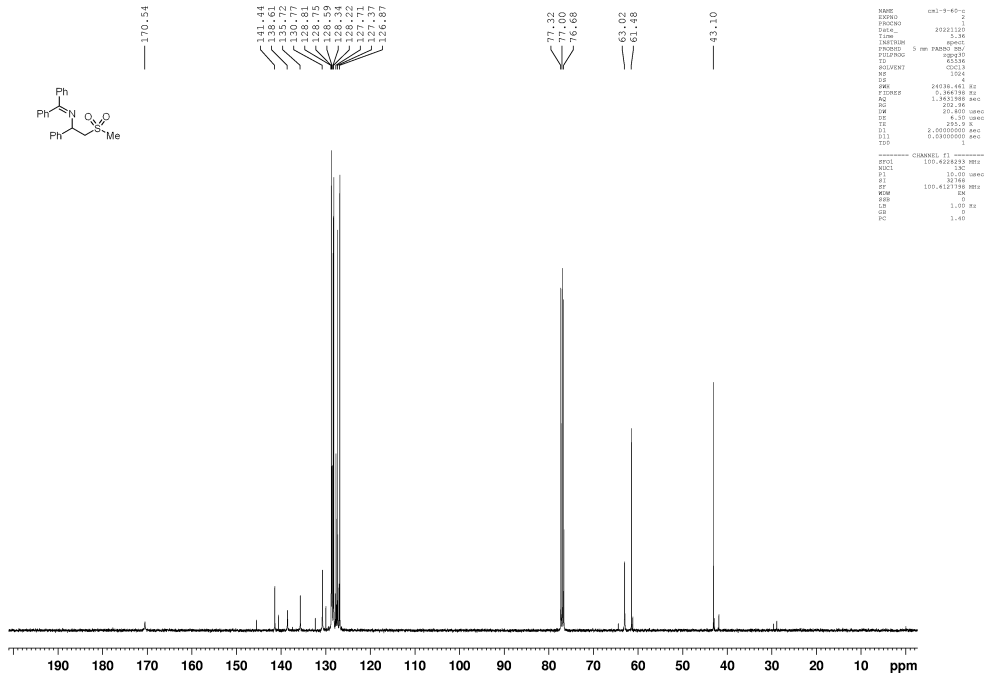
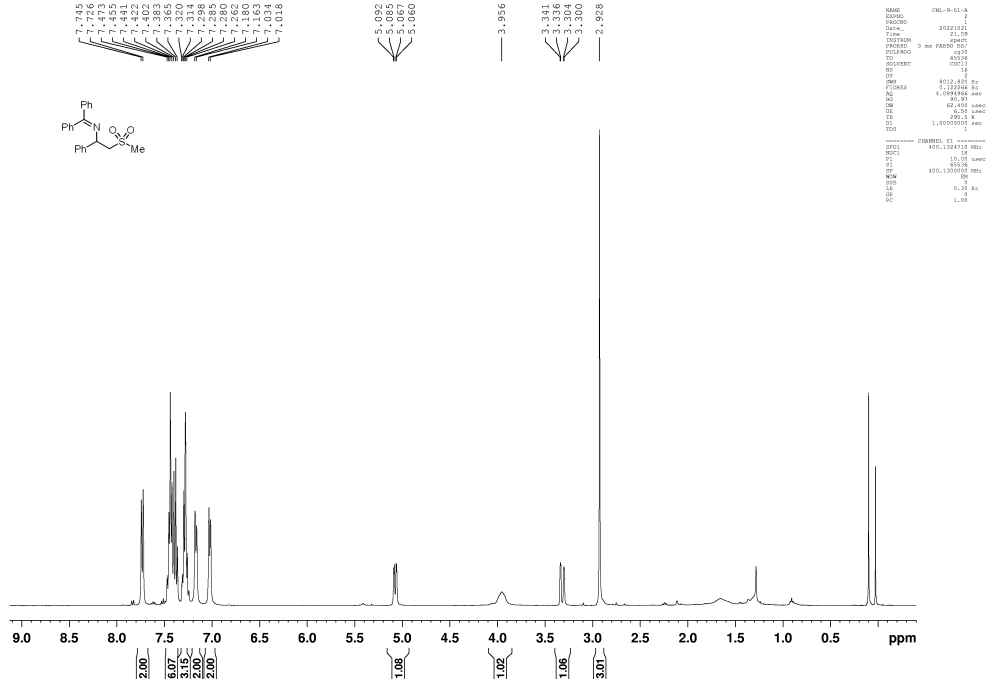
Purification by flash chromatography (PE/EA = 6/1) afforded **3ak'**. 50% yield; 1H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.65–7.40 (m, 10H), 7.19 (d, J = 8.5 Hz, 2H), 6.87 (d, J = 8.5 Hz, 2H), 3.79 (s, 3H), 3.58–3.47 (m, 2H), 3.29–3.17 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 180.0, 158.4, 130.2, 129.5, 129.1, 129.0, 128.3, 128.2, 127.8, 114.2, 56.5, 55.3, 29.0; HRMS (ESI) for $C_{22}H_{21}NO_3SNa$ $[M+Na]^+$ calcd. 402.1134, found 402.1154.

NMR spectra of compounds

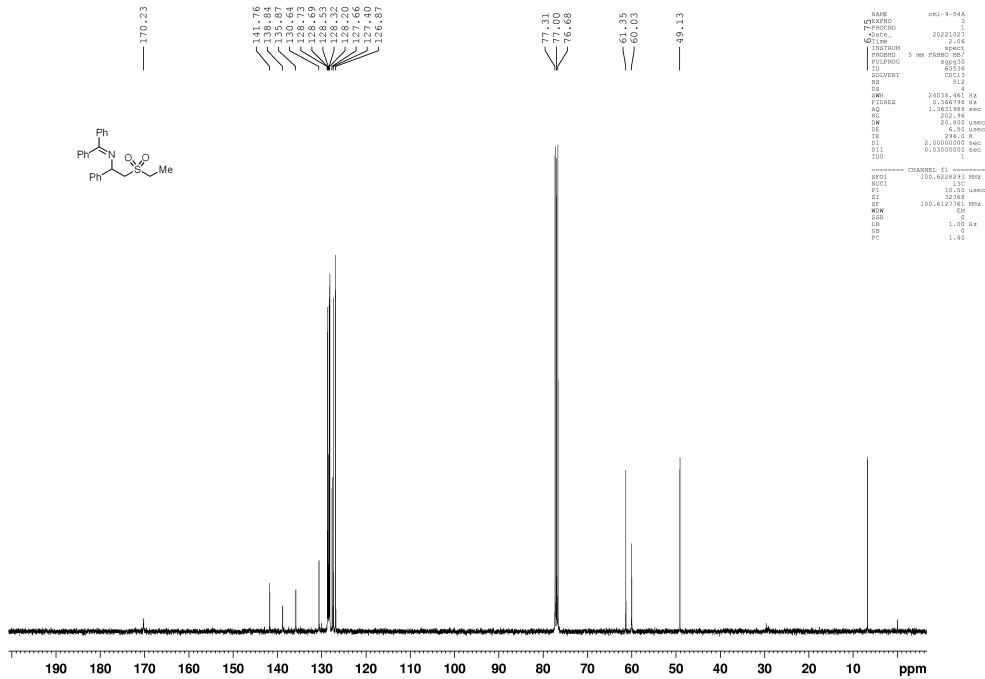
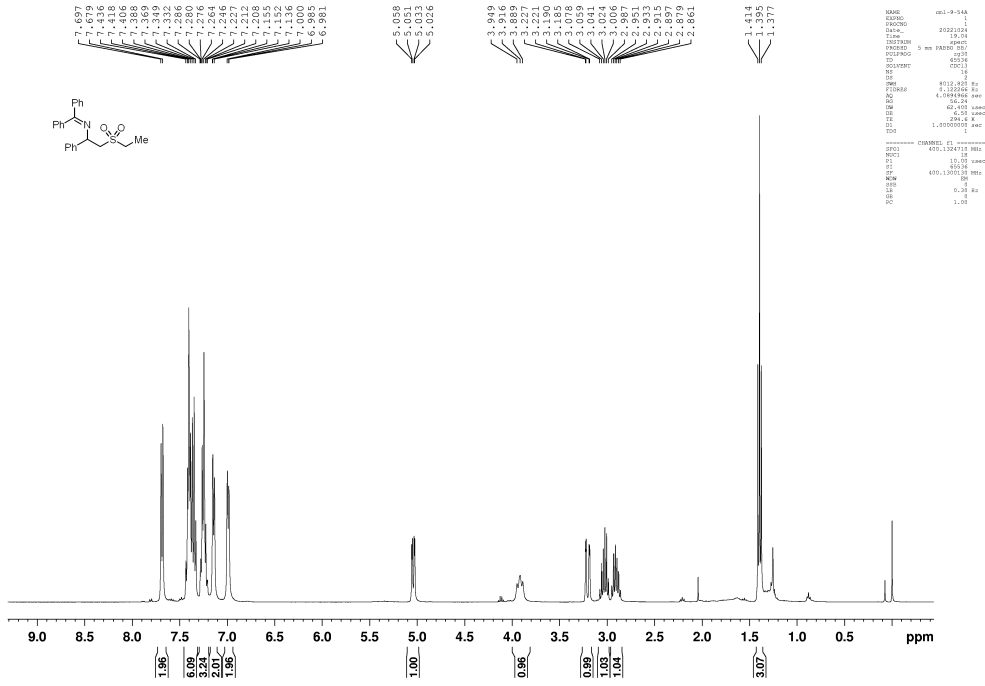
N-(2-(phenethylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3a)



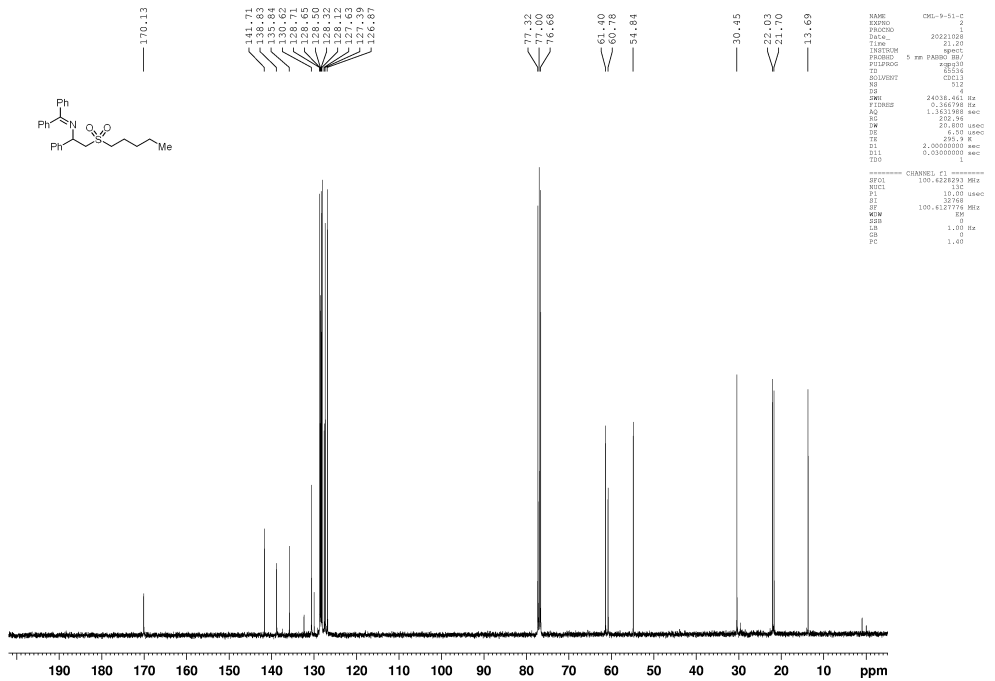
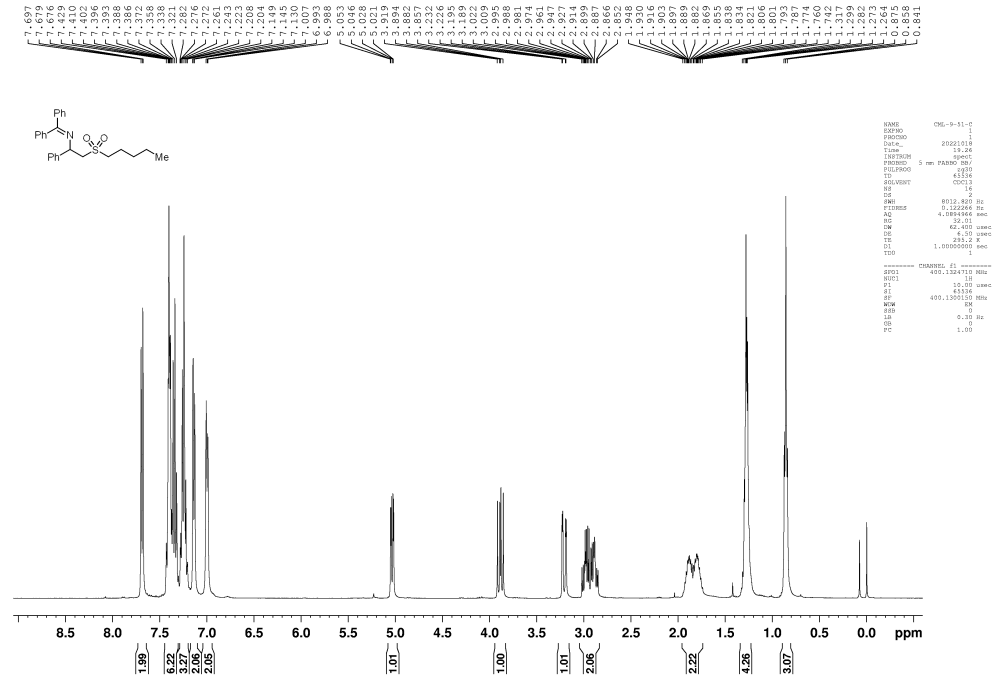
***N*-2-(methylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3b)**



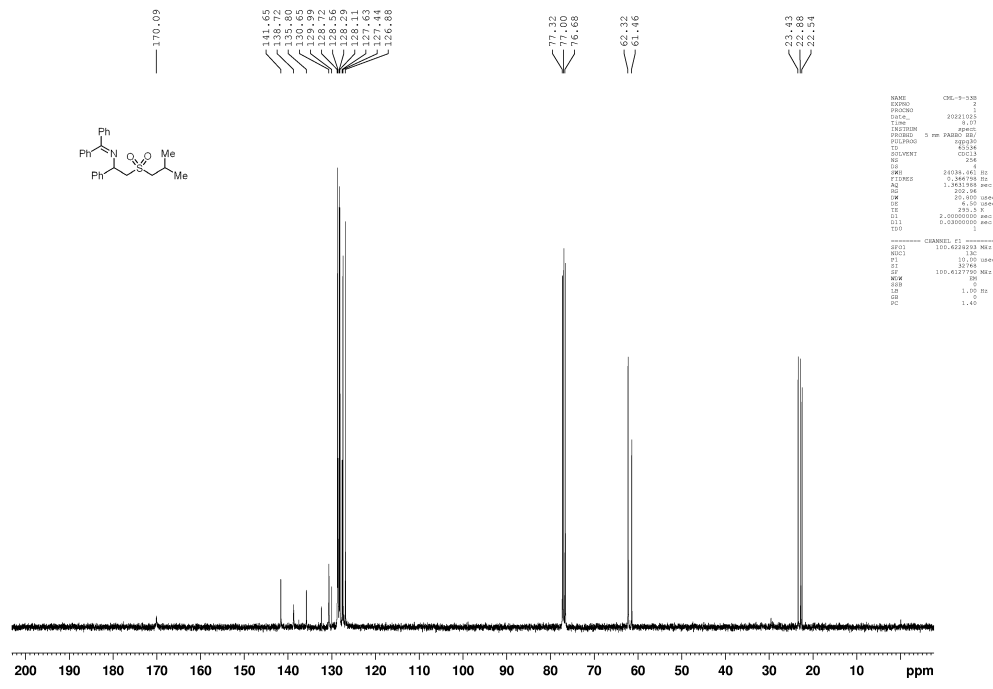
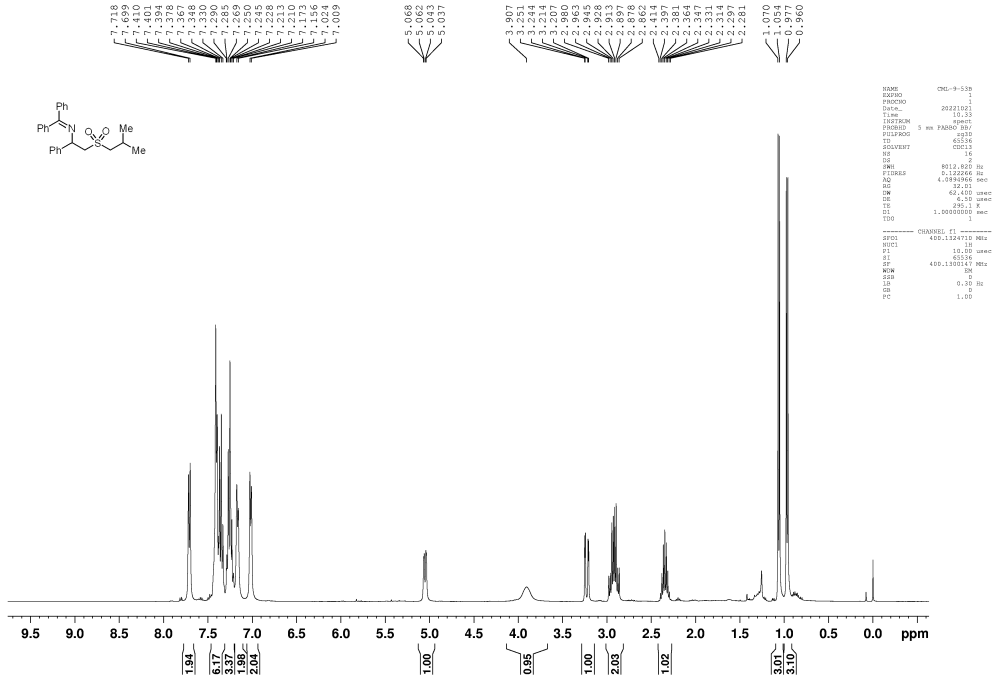
***N*-(2-(ethylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3c)**



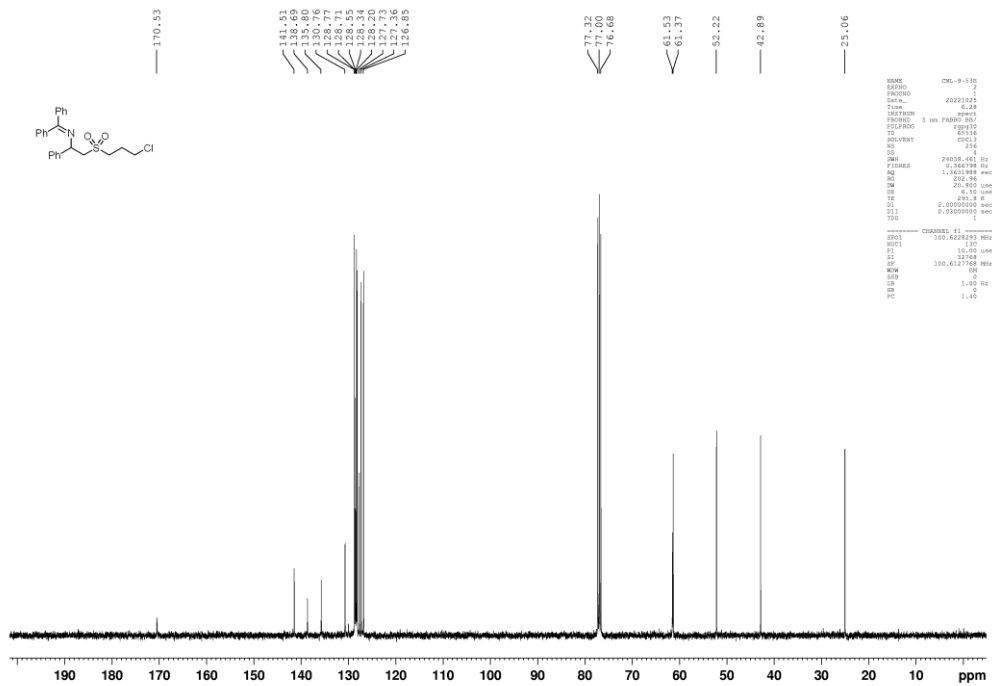
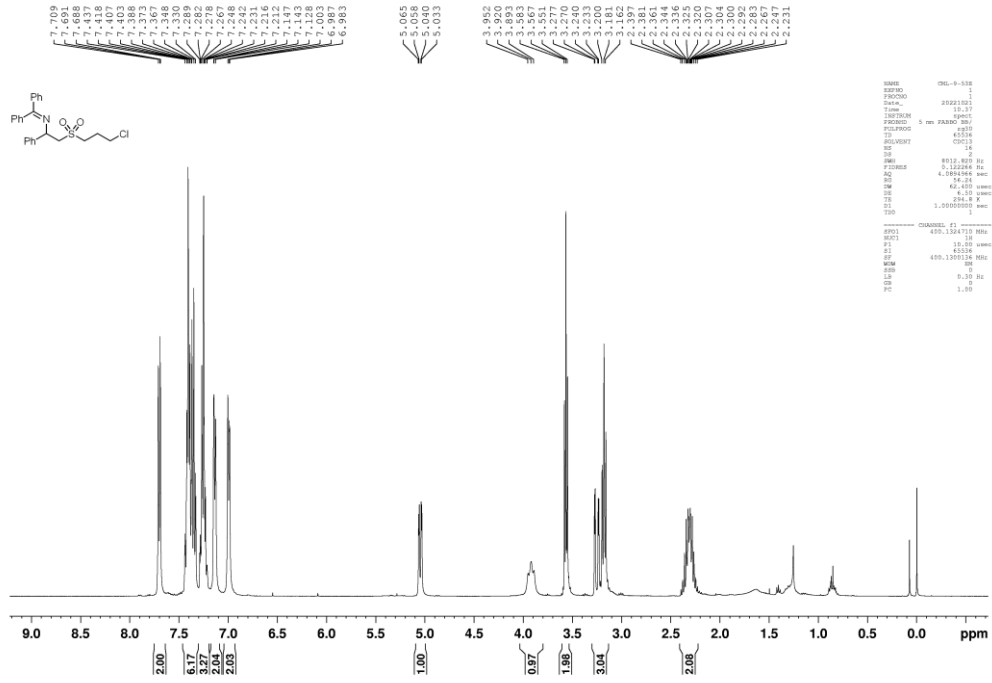
N-(2-(pentylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3d)



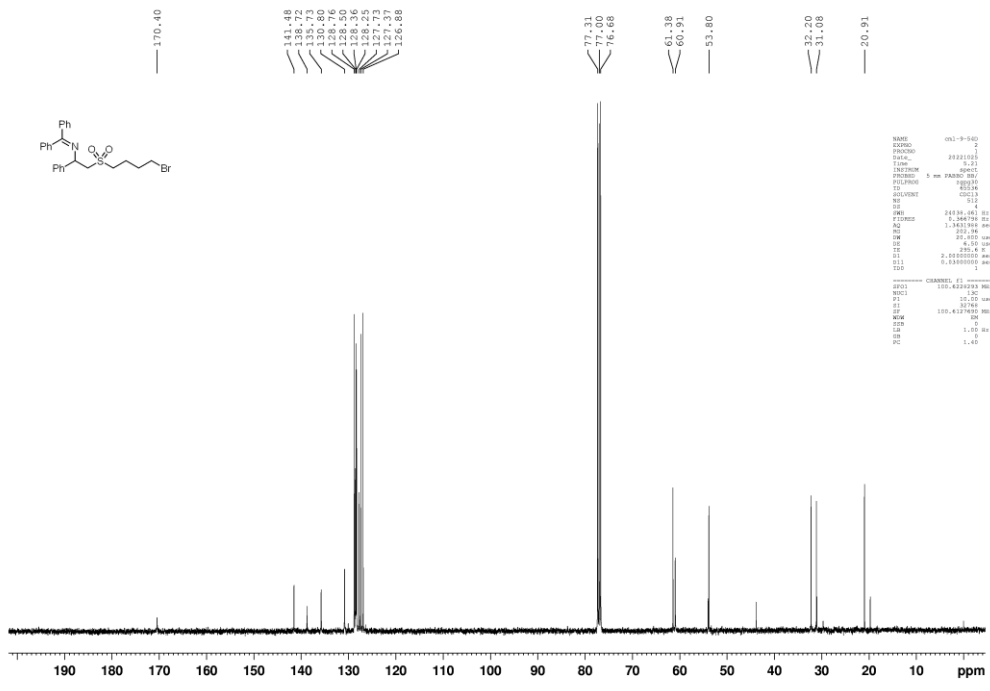
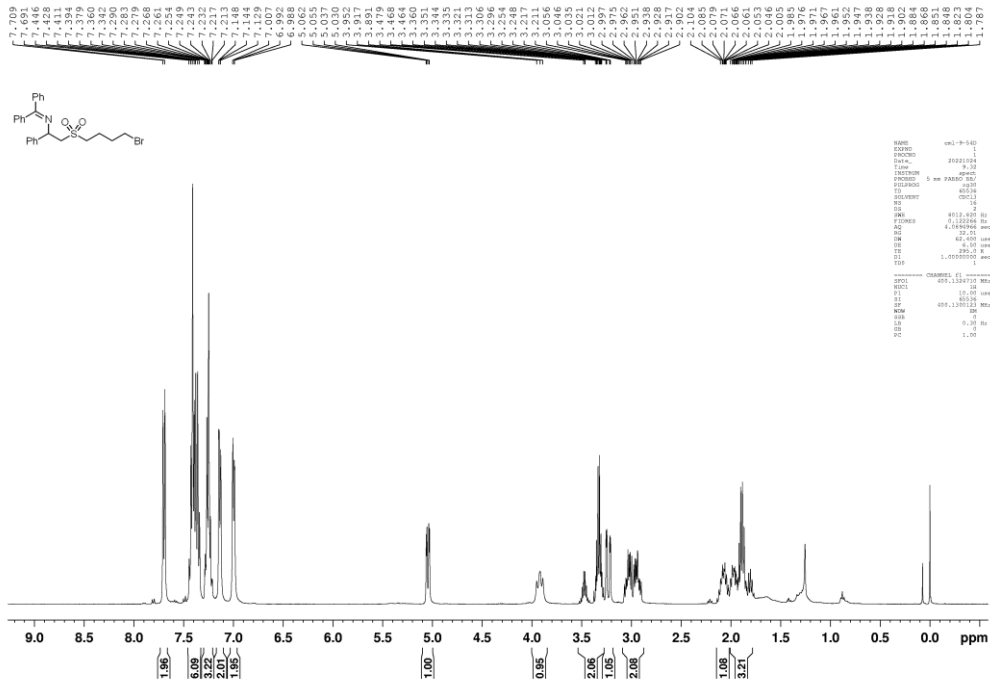
***N*-(2-(isobutylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3e)**



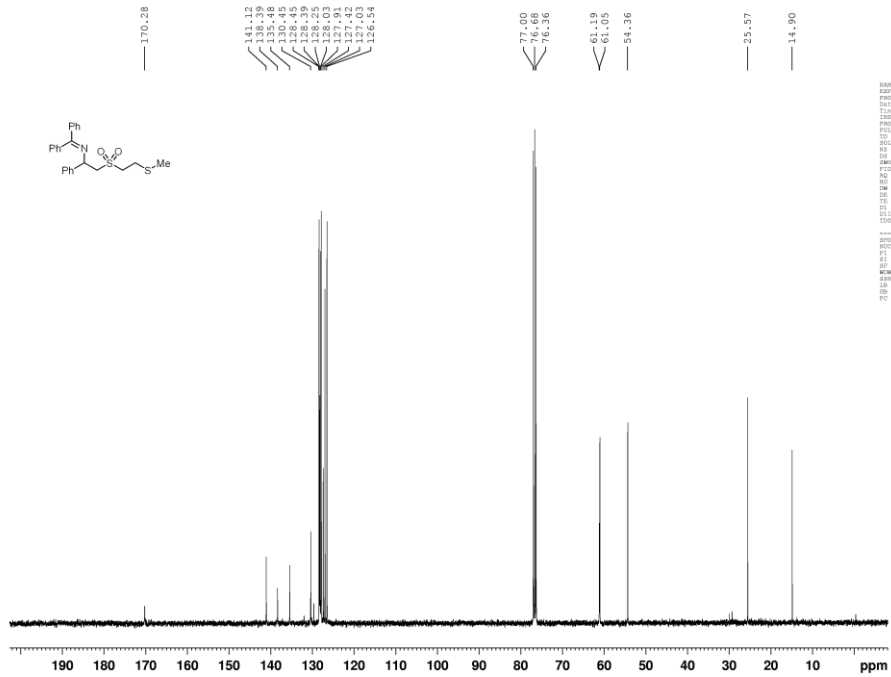
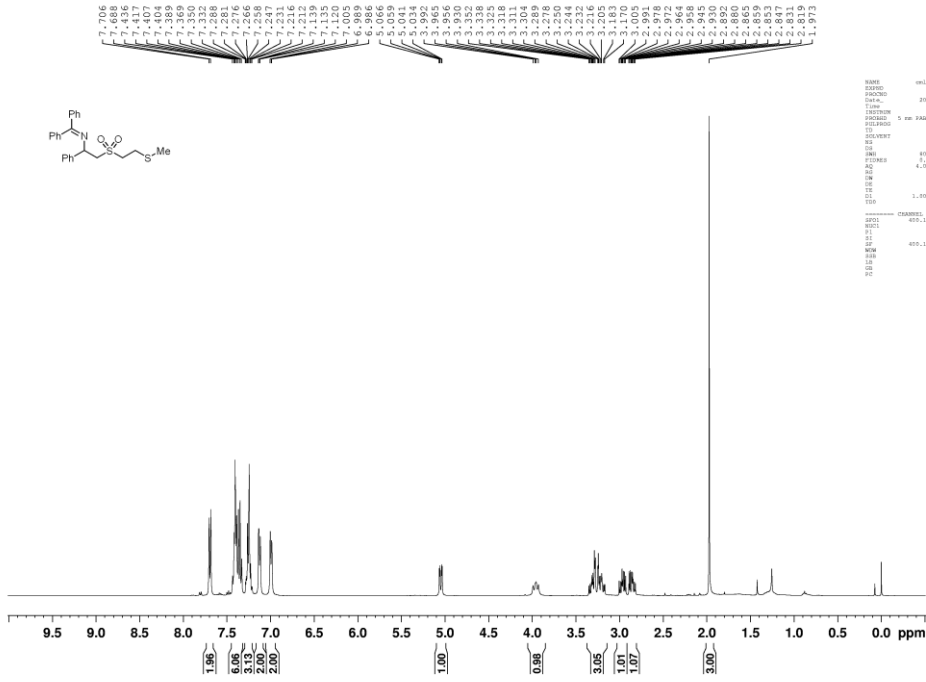
N-(2-((3-chloropropyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3f)



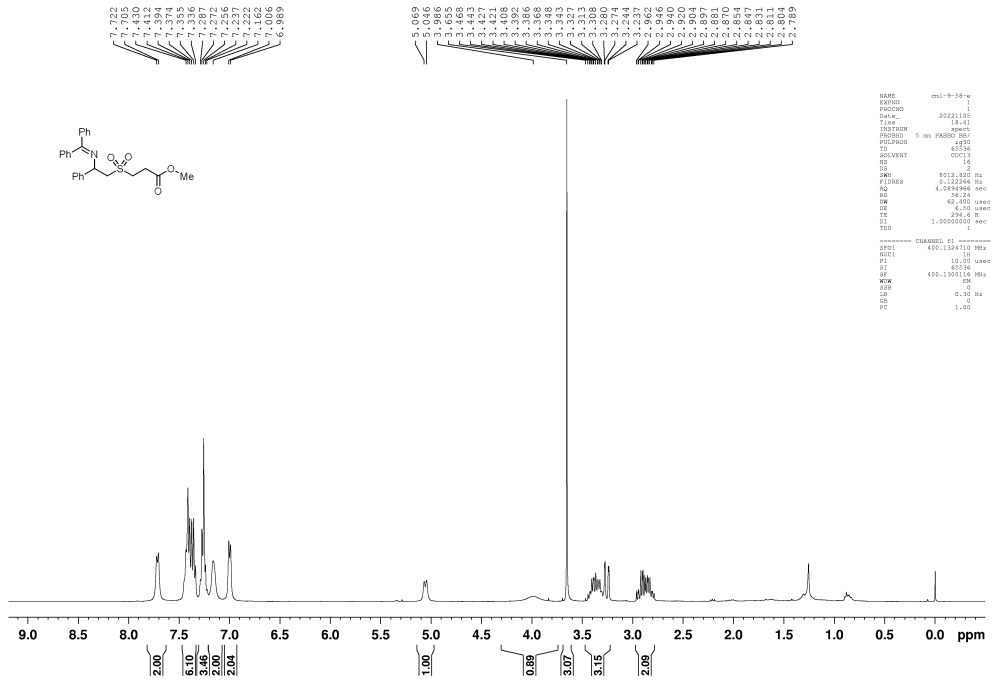
***N*-((4-bromobutyl)sulfonyl)-1,1-diphenylethanamine (3g)**



N-(2-((2-(methylthio)ethyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3h)

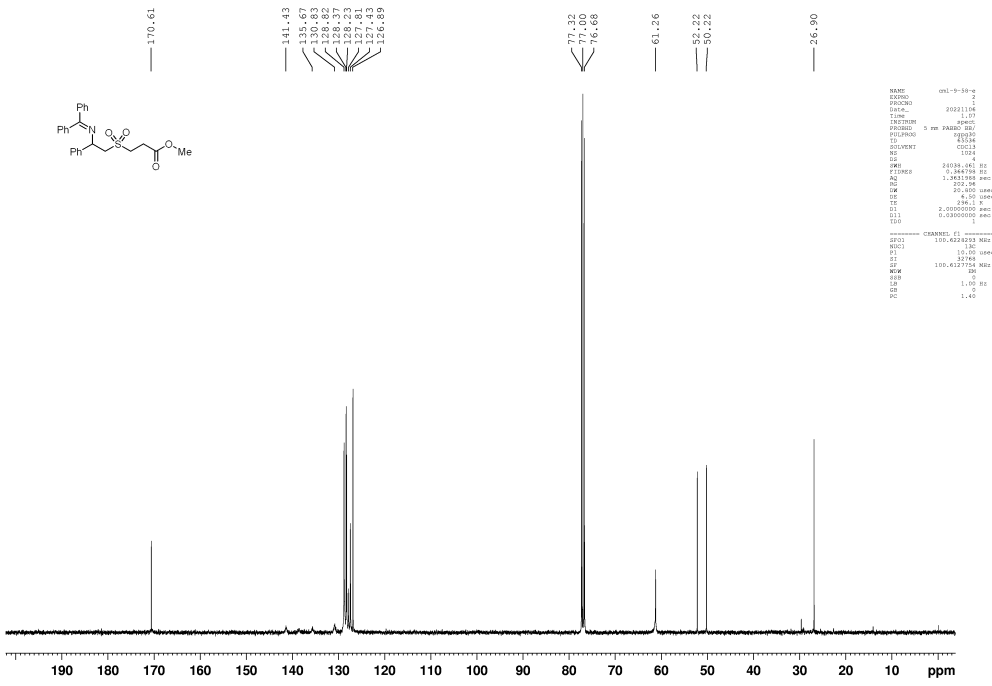


methyl 3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)propanoate (3i)



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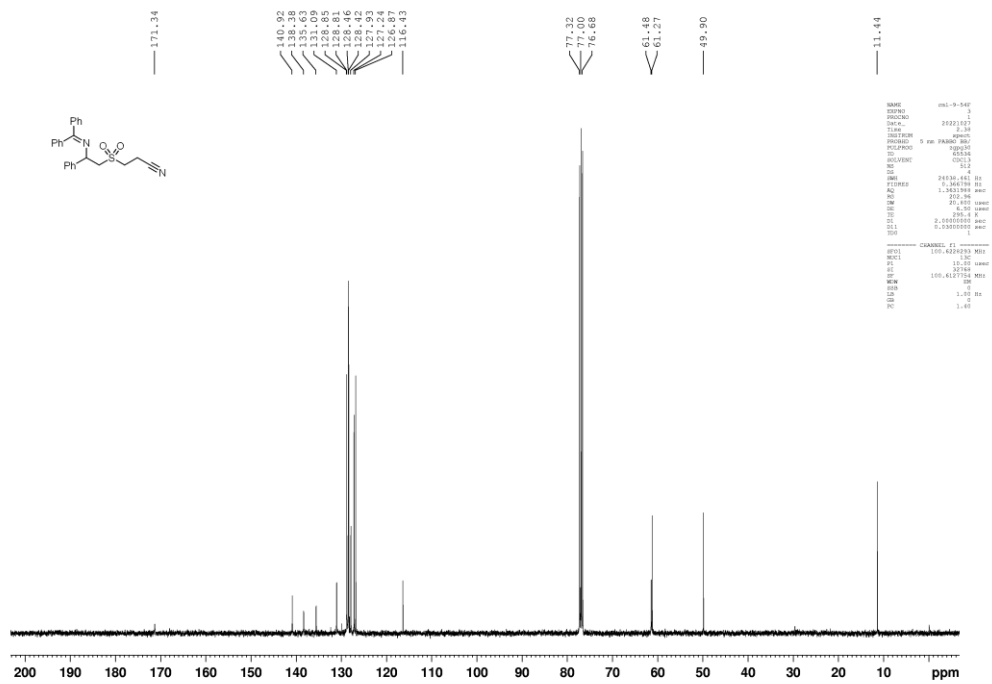
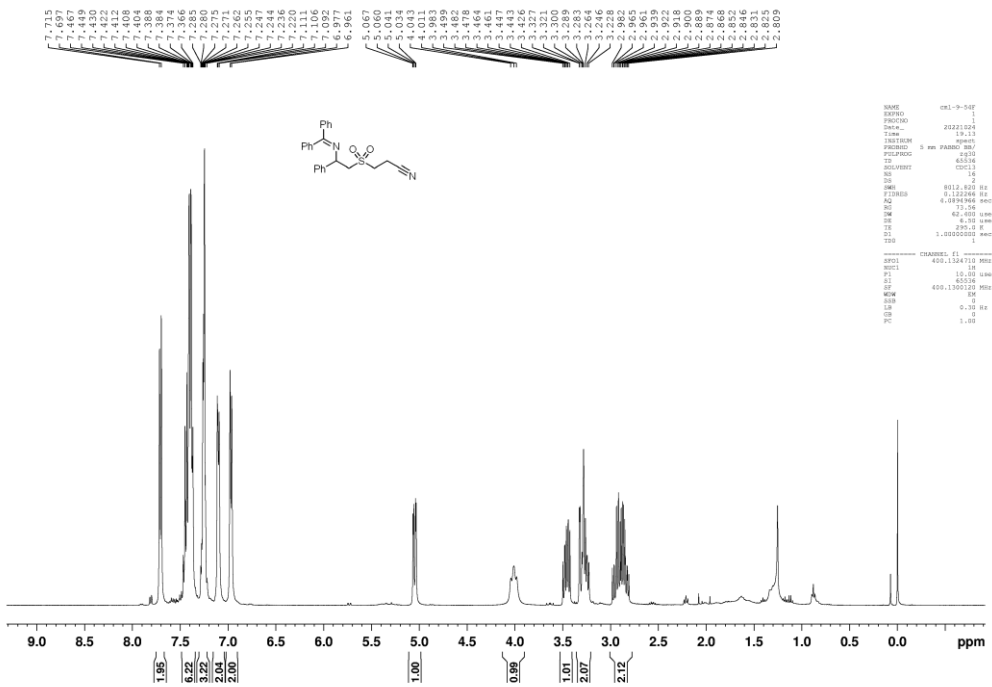
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PROCNO   1
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Time     18.41
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PULPROG  zgpg30
SOLVENT  CDCl3
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RG        652.50000000
SI        1024
SF        400.1361016 MHz
WDW        EM
SSB        0
GB        0
PC        1.00000000 sec
===== CHANNEL f1 =====
NUC1      13C
P1        12.00000000 sec
PL1       0.00000000 dB
RF        100.6261875 MHz
WDW        EM
SSB        0
GB        0
PC        1.40000000 sec
    
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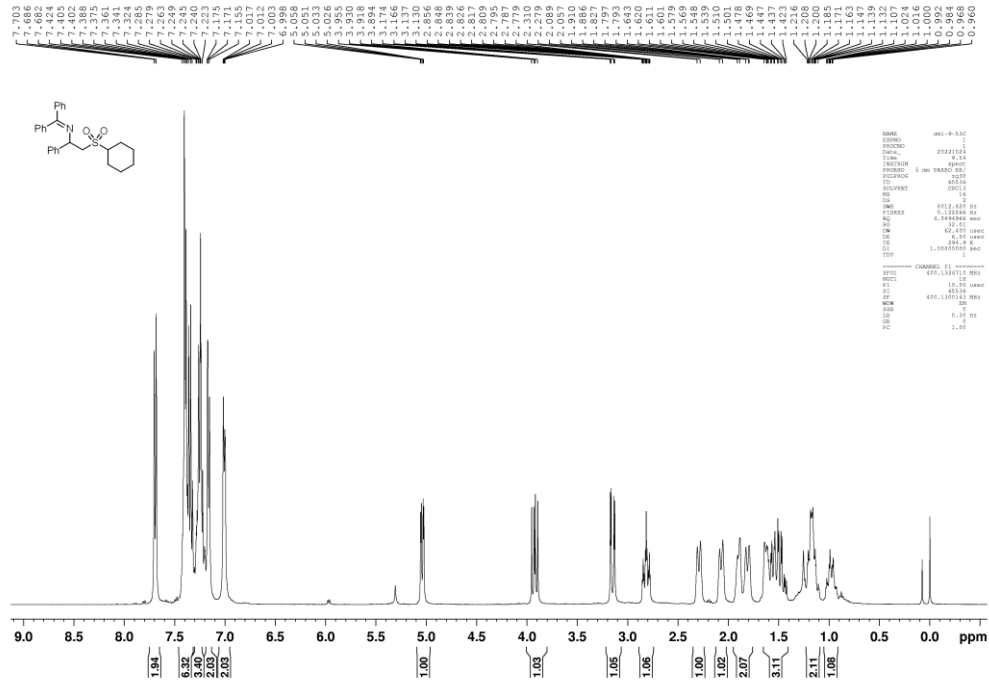
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EXPNO    1
PROCNO   1
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Time     11.07
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PULPROG  zgpg30
SOLVENT  CDCl3
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AQ        1.40000000 sec
RG        652.50000000
SI        1024
SF        100.6261875 MHz
WDW        EM
SSB        0
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PC        1.40000000 sec
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NUC1      13C
P1        12.00000000 sec
PL1       0.00000000 dB
RF        100.6261875 MHz
WDW        EM
SSB        0
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3-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)propanenitrile (3j)

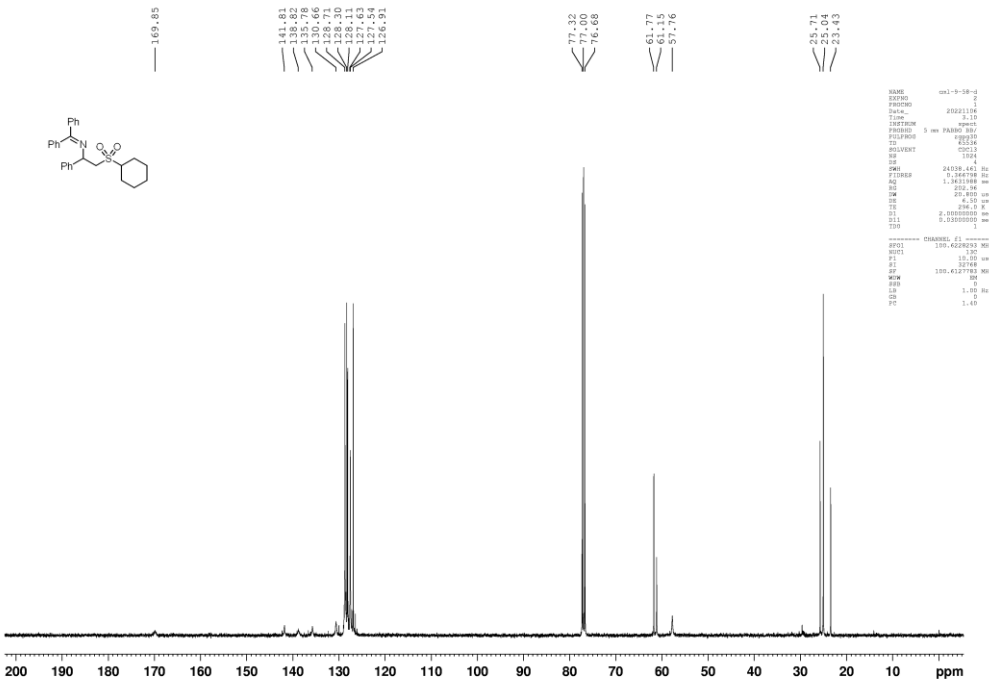


***N*-(2-(cyclohexylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3o)**



NAME: 001-9-51c
 EXPNO: 1
 PROCNO: 2022104
 Date_: 9-14
 TIME: 08:00
 INSTRUM: spect
 PROCPRG: 5 nm F2DQ0 00
 F2 - 204
 ID: 45314
 SOLVENT: CDCl3
 NS: 714
 DS: 2
 SWH: 8512.420 Hz
 FIDRES: 0.1222448 Hz
 AQ: 4.0829000 sec
 RG: 327
 DW: 62.450 usec
 DE: 0.0000000 usec
 TE: 296.2 K
 D1: 1.50000000 sec
 TD: 65536

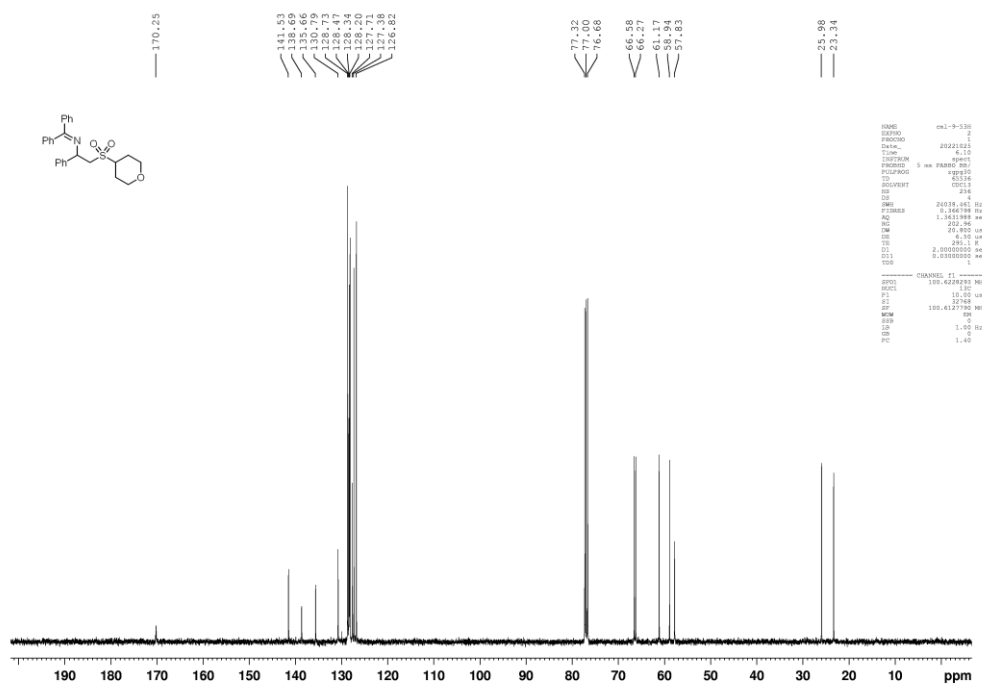
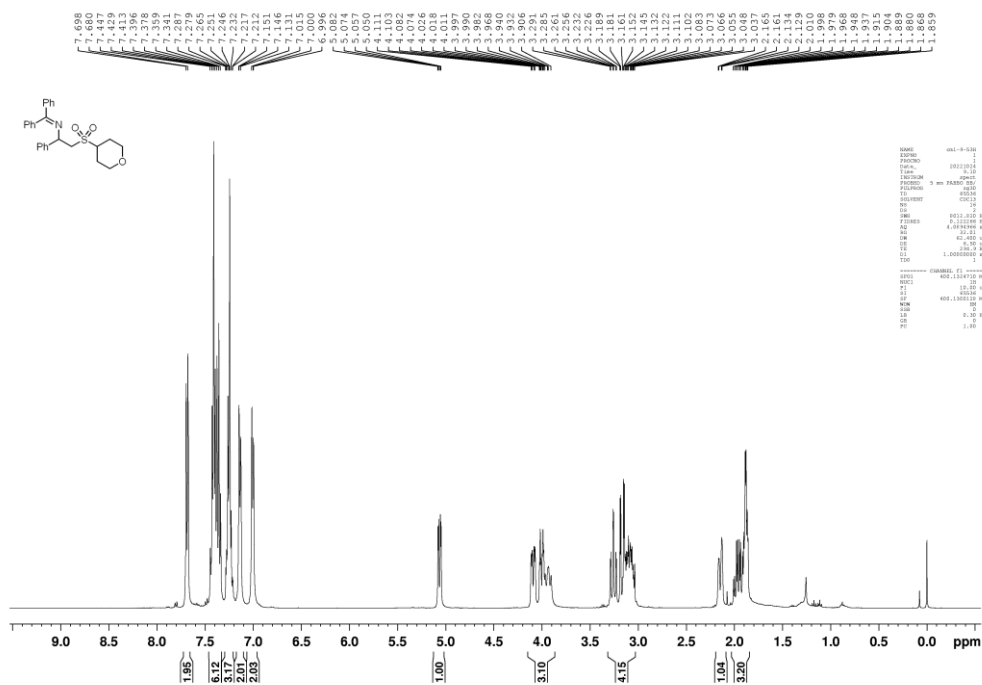
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 PL1: 0.00 dB
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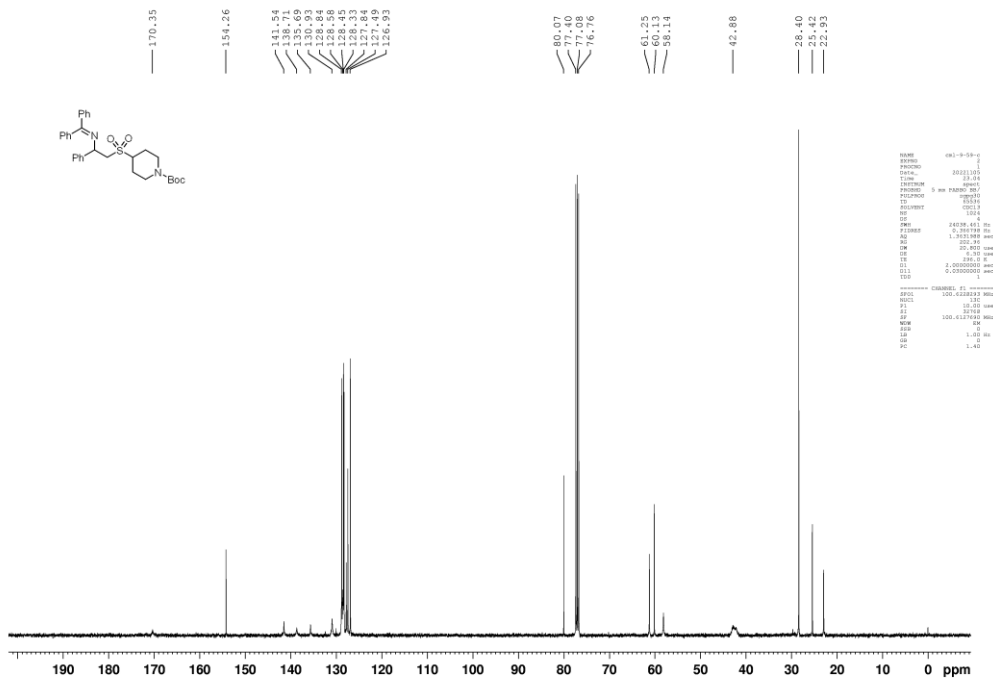
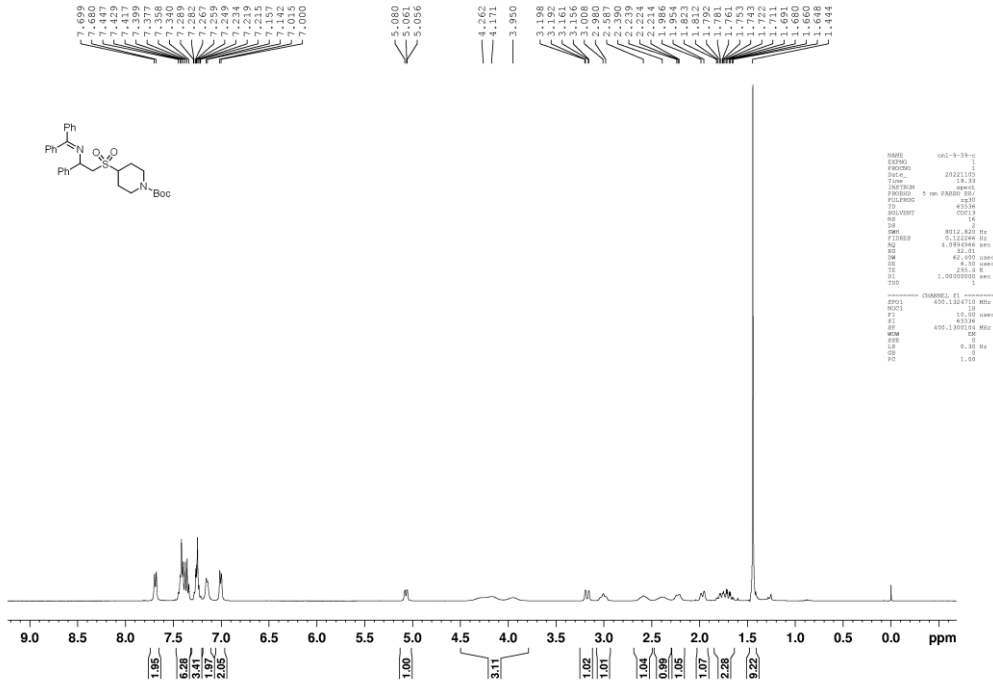
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 PROCNO: 2022104
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 TIME: 08:00
 INSTRUM: spect
 PROCPRG: 5 nm F2DQ0 00
 F2 - 204
 ID: 45314
 SOLVENT: CDCl3
 NS: 1024
 DS: 2
 SWH: 8052.040 Hz
 FIDRES: 0.264778 Hz
 AQ: 1.1617000 sec
 RG: 252.50
 DW: 27.450 usec
 DE: 0.0000000 usec
 TE: 296.2 K
 D1: 2.00000000 sec
 D11: 0.03000000 sec
 TD: 65536

===== CHANNEL f1 =====
 NU1: 100.626100 MHz
 DC1: 10
 P1: 10.00 usec
 PL1: 0.00 dB
 PR: 100.626100 MHz
 KW: 0.00
 SFO: 1.00 Hz
 LB: 1.00
 GC: 1.00

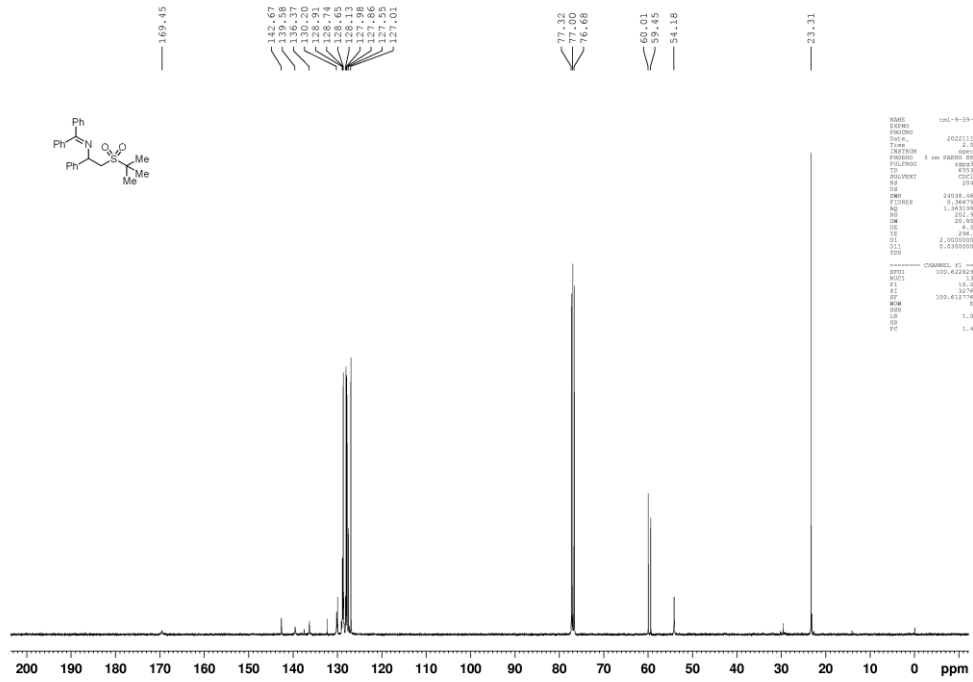
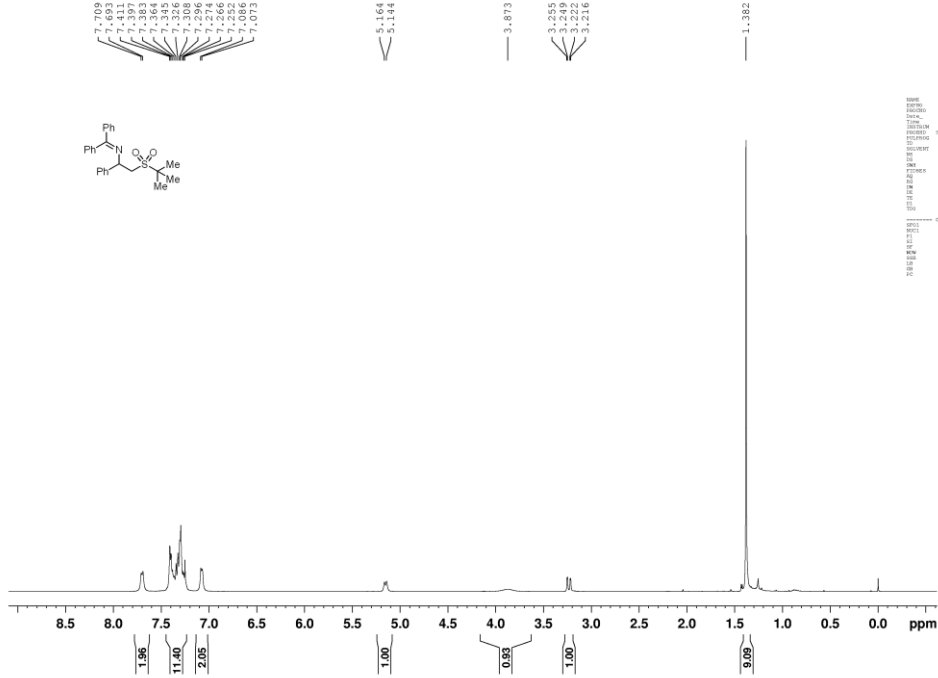
**1,1-diphenyl-N-(1-phenyl-2-((tetrahydro-2H-pyran-4-yl)sulfonyl)ethyl)methanimine
(3p)**



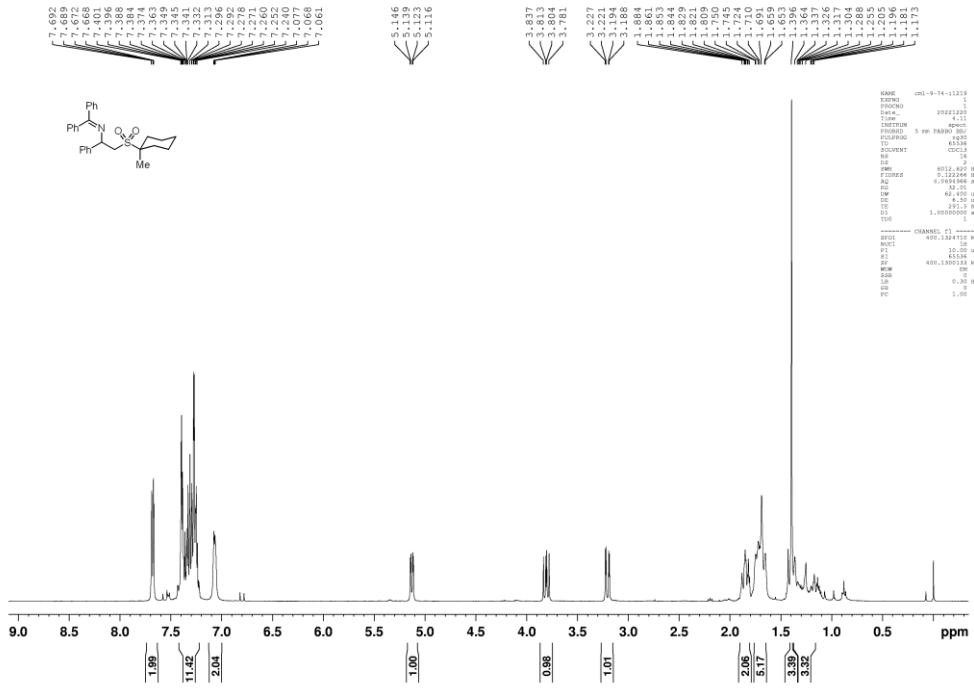
tert-butyl 4-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)piperidine-1-carboxylate (3q)



***N*-(2-(*tert*-butylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3s)**



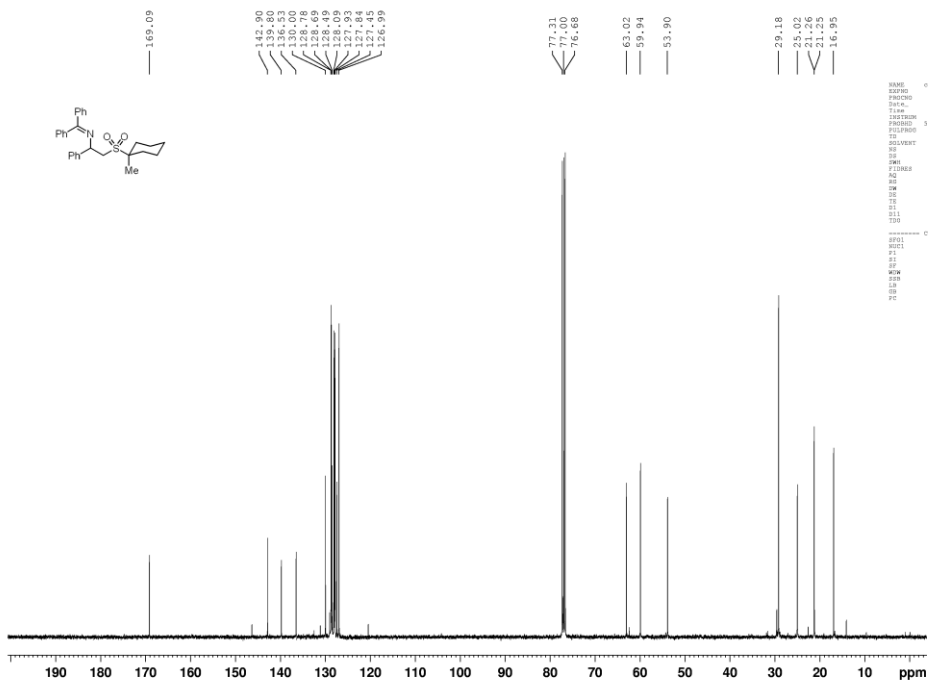
N-(2-((1-methylcyclohexyl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3t)



```

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EXPNO: 1
PROCNO: 1
PROCPS: 2031120
TIME: 4.11
INSTRUM: spect
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PULPROG: zgpg30
TD: 65536
SOLVENT: CDCl3
DE: 10
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AD: 6.5891948 sec
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DE: 4.50 usec
TE: 302.2 K
SI: 1.00000000 sec
DS: 1

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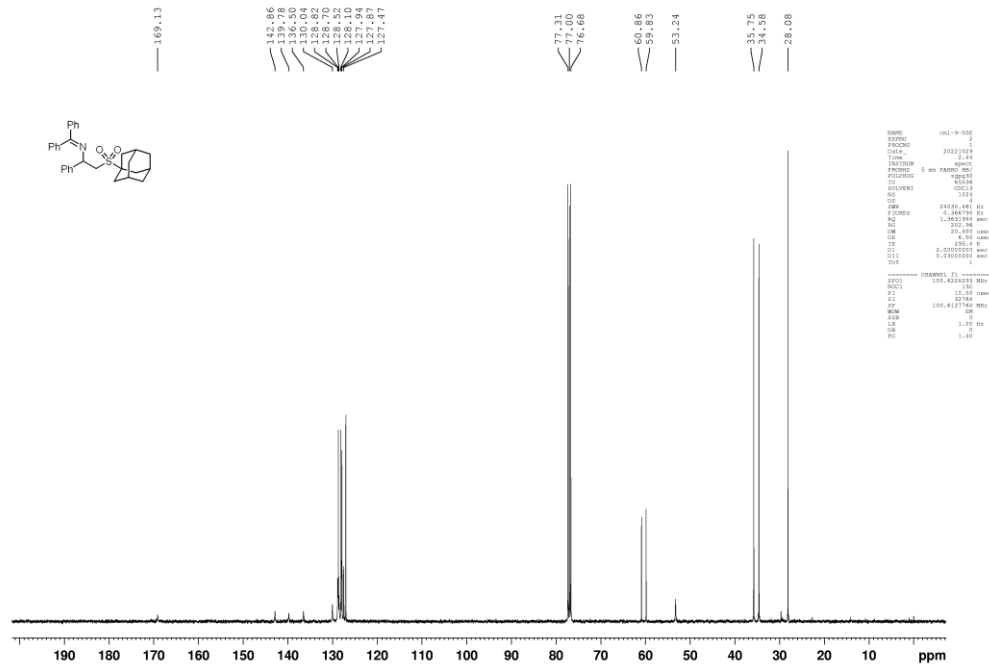
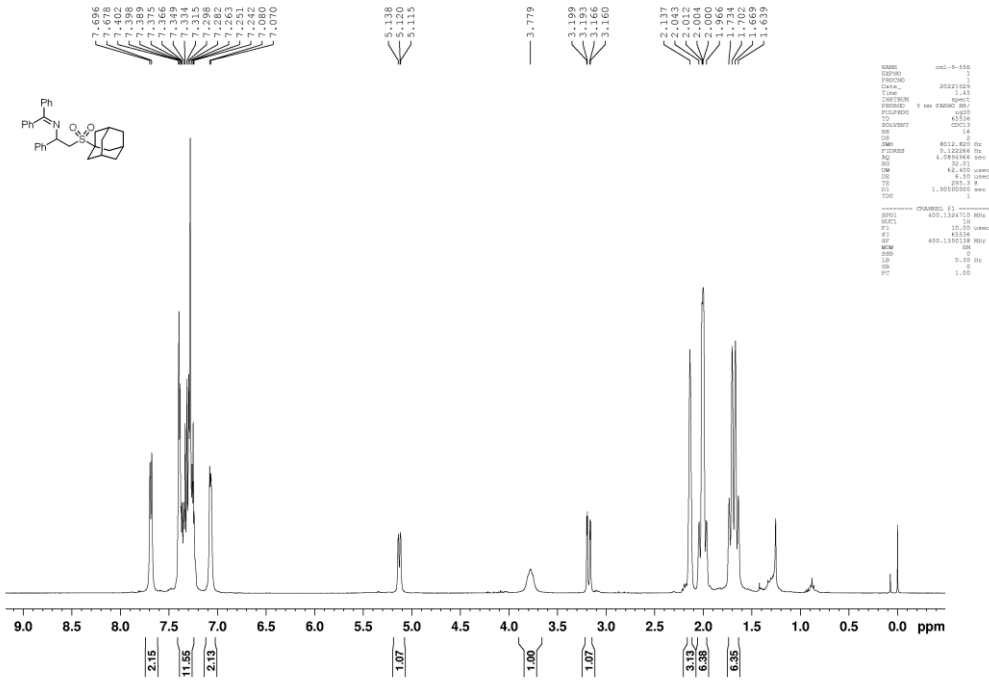


```

NAME: unl-9-74-11219
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PROCPS: 2031120
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TD: 65536
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AQ: 2408.442 Hz
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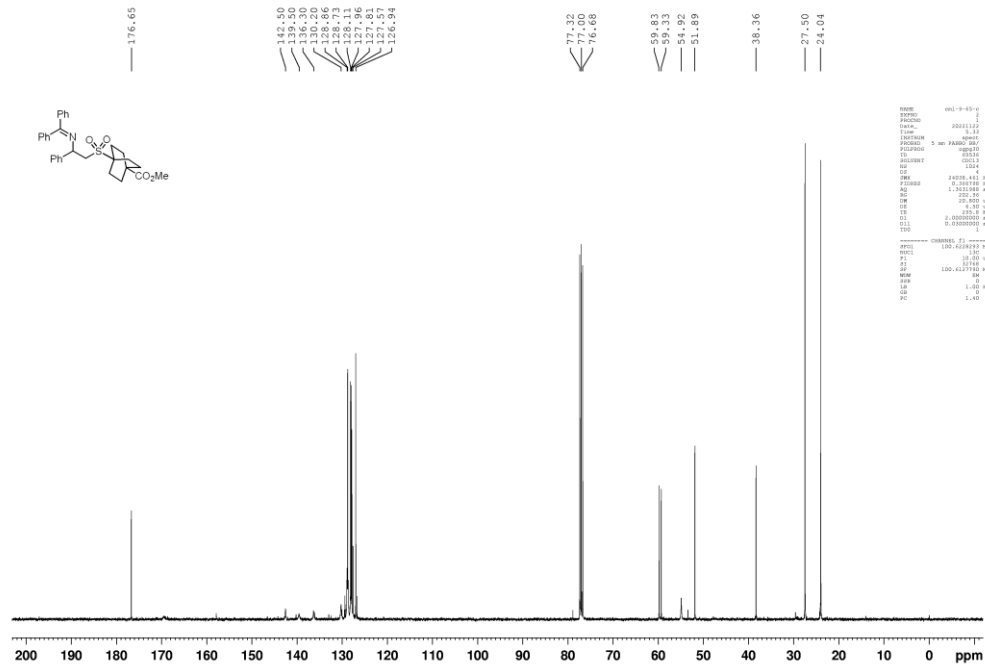
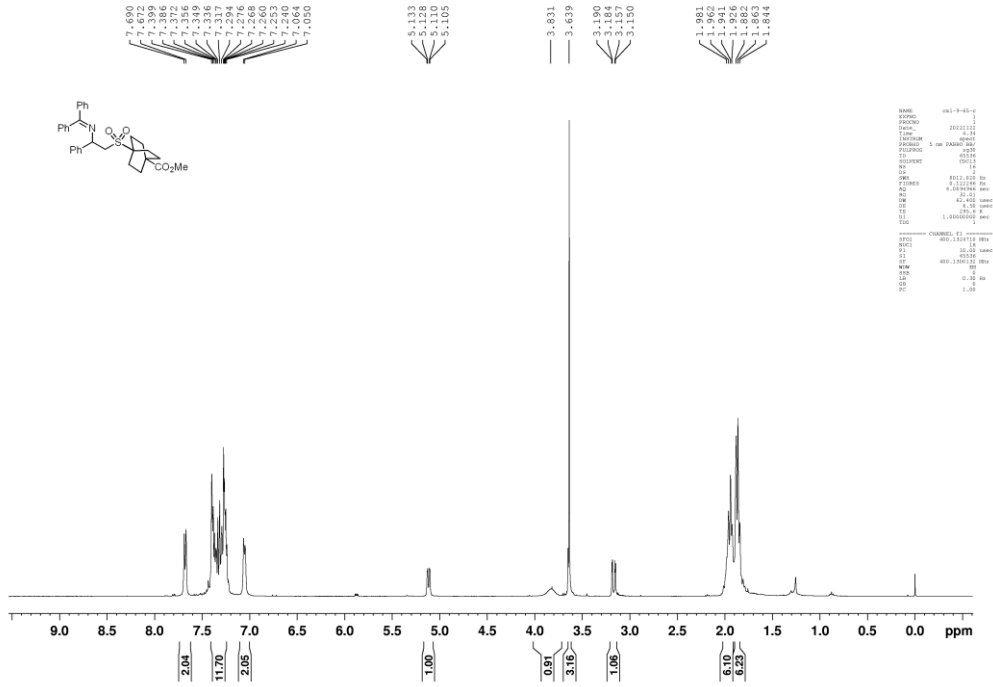
***N*-2-(((3*s*,5*s*,7*s*)-adamantan-1-yl)sulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3u)**



methyl

4-((2-((diphenylmethylene)amino)-2-

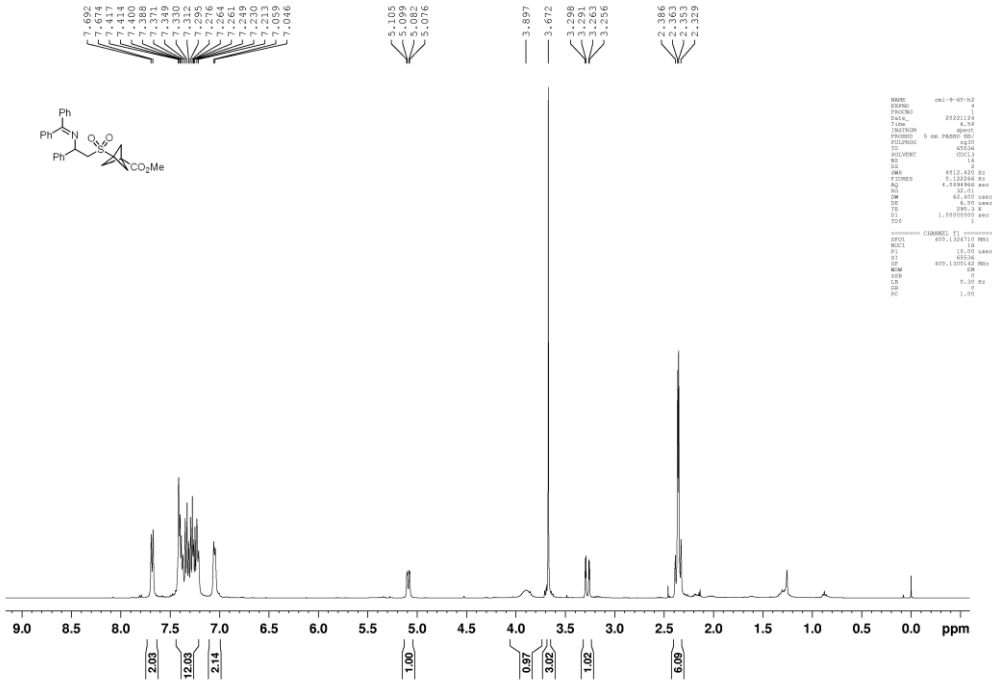
phenylethyl)sulfonyl)bicyclo[2.2.2]octane-1-carboxylate (3v)



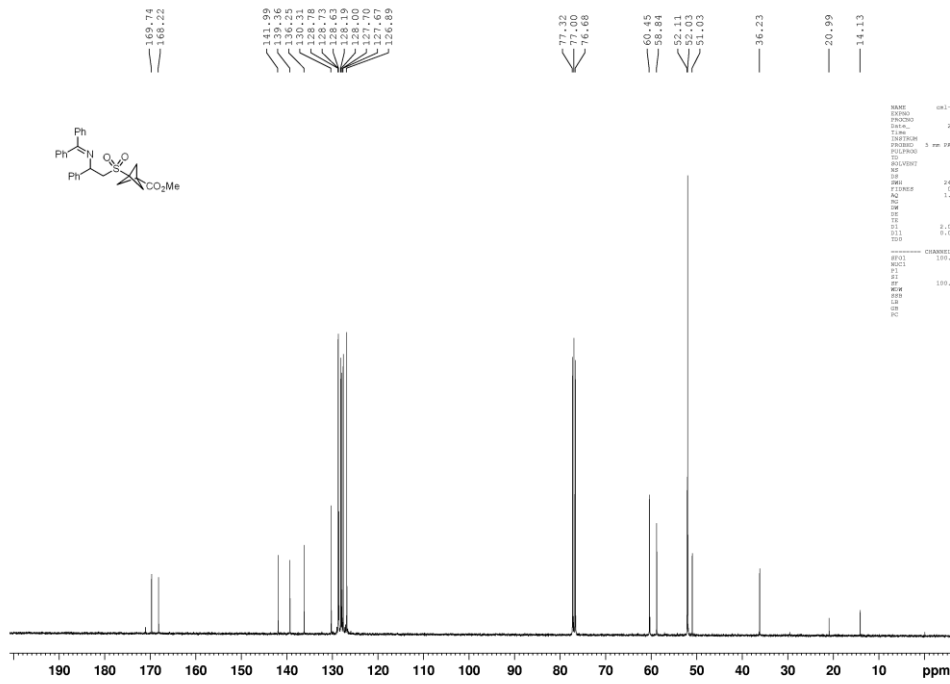
methyl

3-((2-((diphenylmethylene)amino)-2-

phenylethyl)sulfonyl)bicyclo[1.1.1]pentane-1-carboxylate (3w)

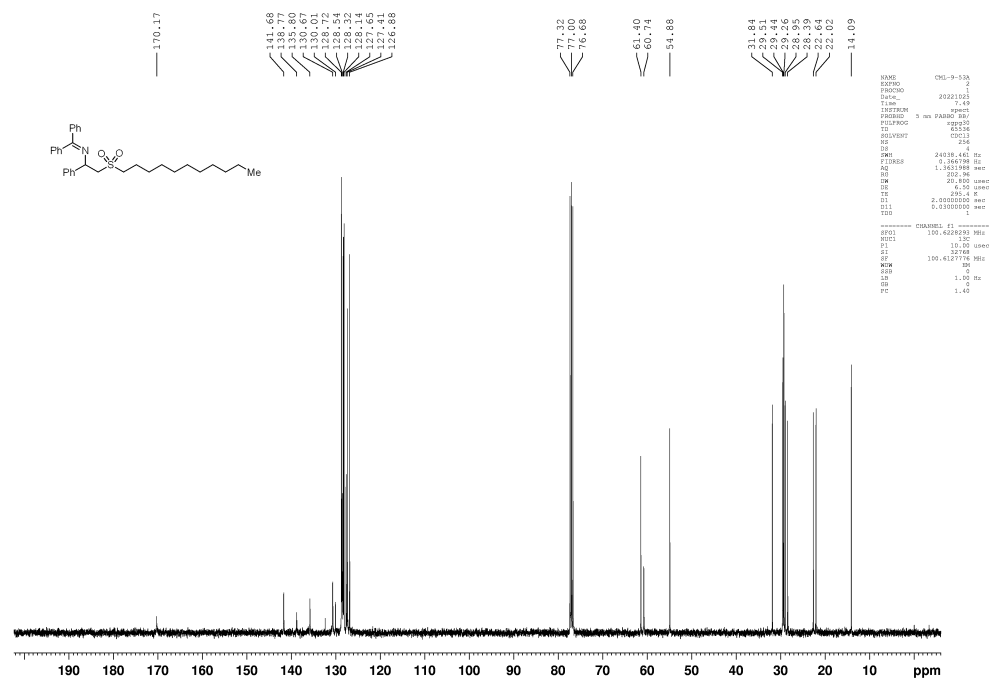
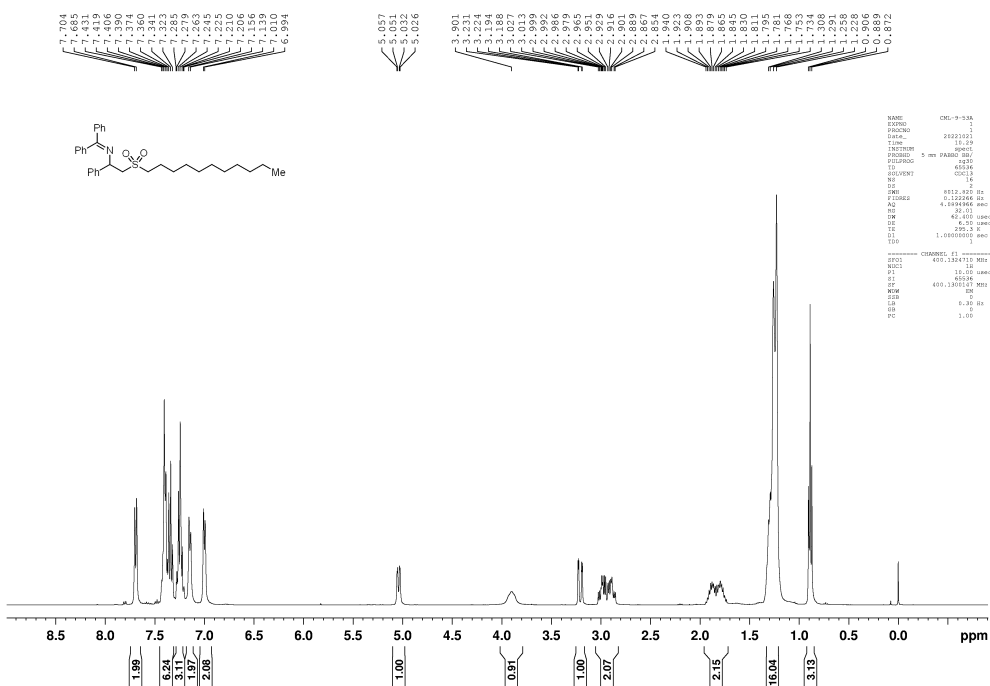


```
NAME: 081-9-40-02
EXPNO: 1
PROCNO: 1
DATE_: 20221122
TIME: 09.58
INSTRUM: spect
PROBHD: 5 mm PABBO 1H/
PULPROG: zgpg30
SOLVENT: CDCl3
NS: 1024
DS: 4
SWH: 612.425 Hz
FIDRES: 0.142264 Hz
AQ: 0.000000000000000000
RG: 482.000000000000000000
AQ: 0.000000000000000000
TE: 300.2 K
SI: 1.000000000000000000
SFO: 400.1344100 MHz
AQ: 0.000000000000000000
SI: 1.000000000000000000
RG: 482.000000000000000000
AQ: 0.000000000000000000
TE: 300.2 K
SI: 1.000000000000000000
```

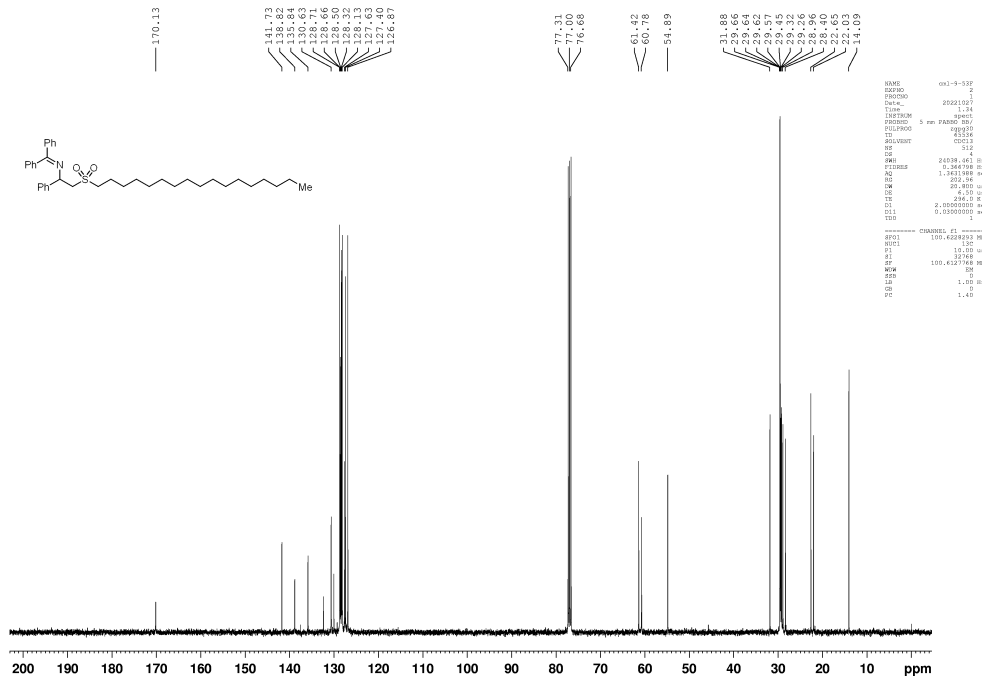
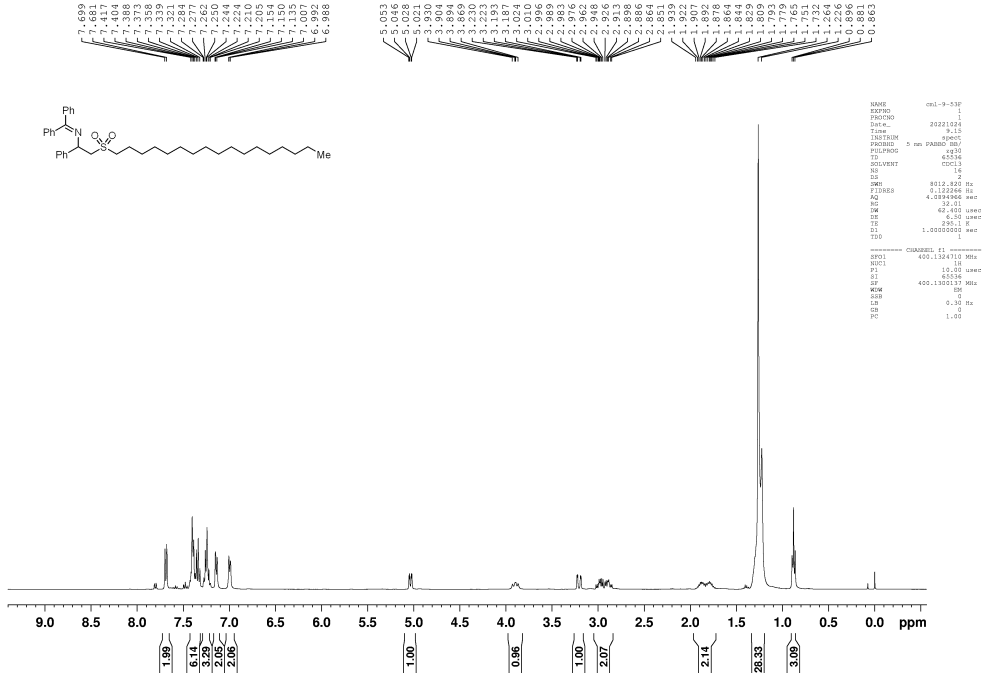


```
NAME: 081-9-40-02
EXPNO: 1
PROCNO: 1
DATE_: 20221122
TIME: 09.58
INSTRUM: spect
PROBHD: 5 mm PABBO 1H/
PULPROG: zgpg30
SOLVENT: CDCl3
NS: 1024
DS: 4
SWH: 24936.441 Hz
FIDRES: 0.1344100 MHz
AQ: 0.000000000000000000
RG: 482.000000000000000000
AQ: 0.000000000000000000
TE: 300.2 K
SI: 2.000000000000000000
SFO: 100.6284933 MHz
AQ: 0.000000000000000000
SI: 1.000000000000000000
RG: 100.6284933 MHz
AQ: 0.000000000000000000
TE: 300.2 K
SI: 1.000000000000000000
```

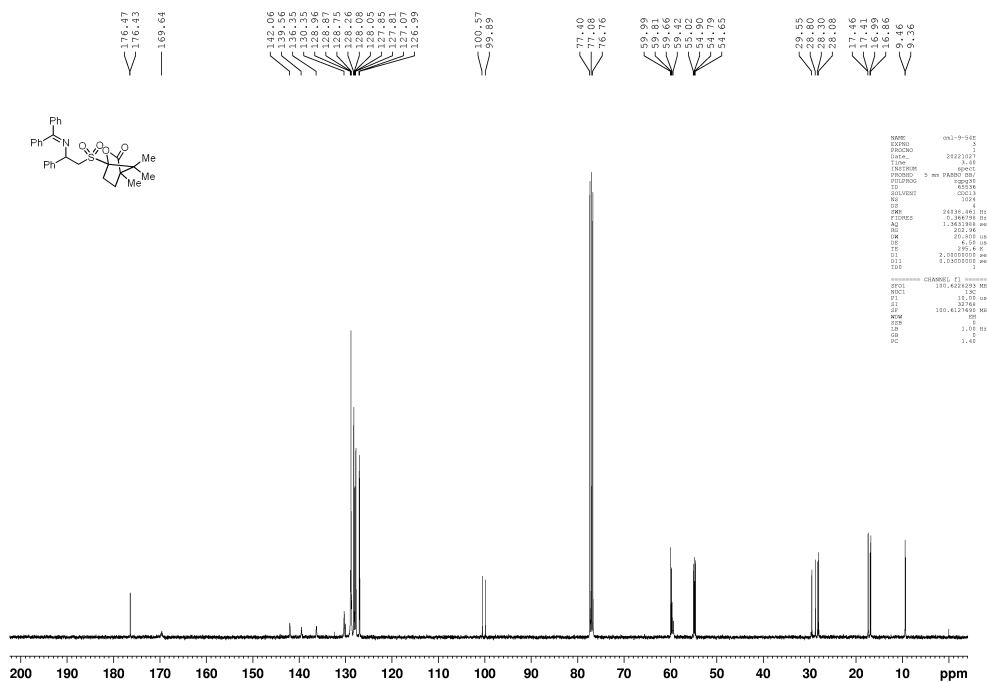
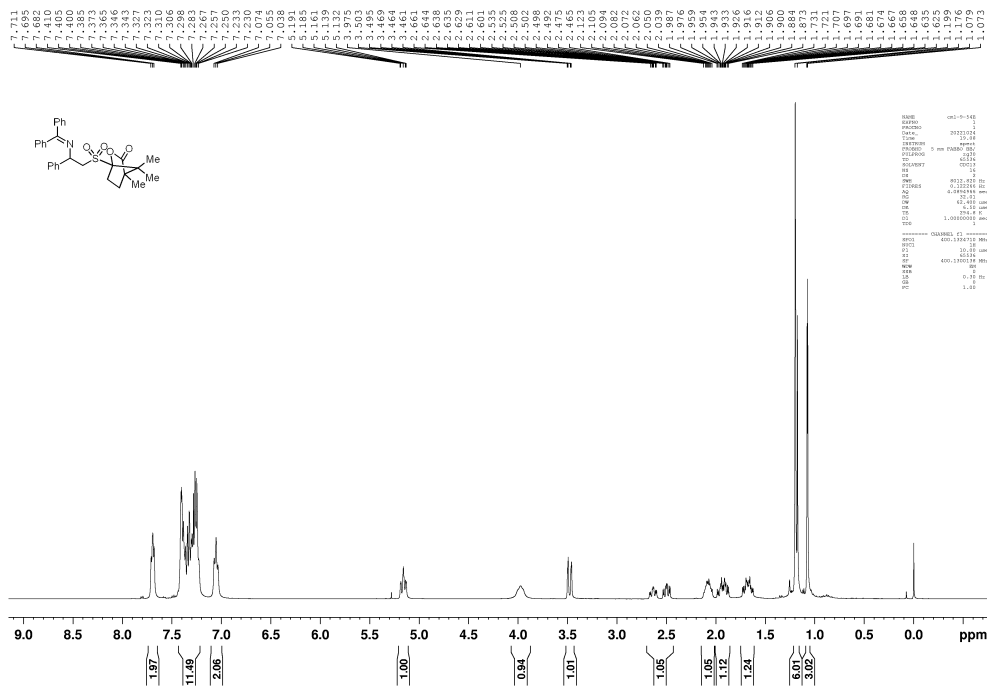

1,1-diphenyl-N-(1-phenyl-2-(undecylsulfonyl)ethyl)methanimine (3y)



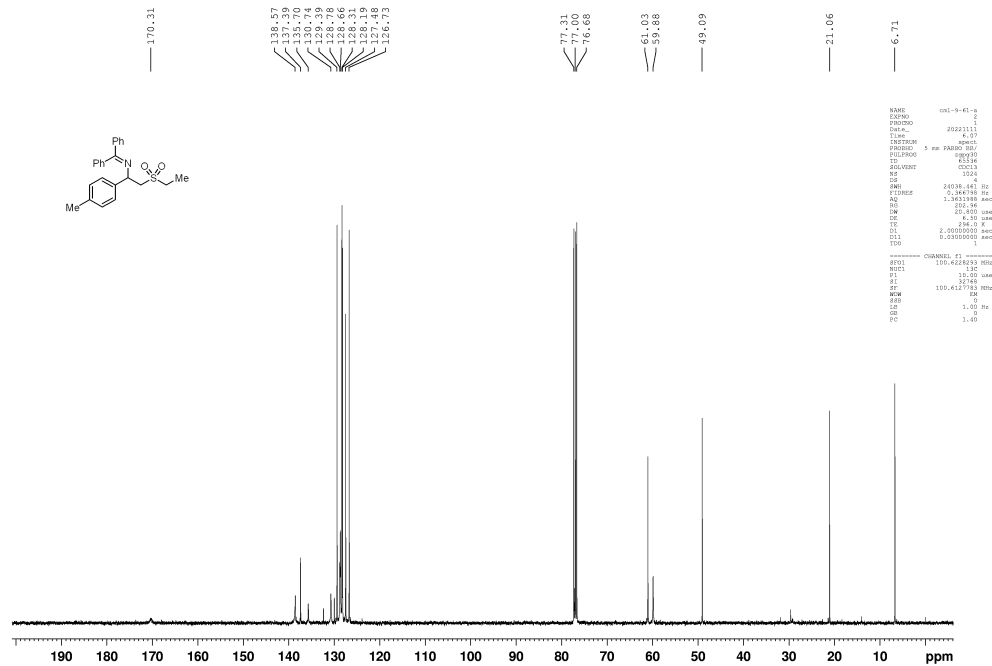
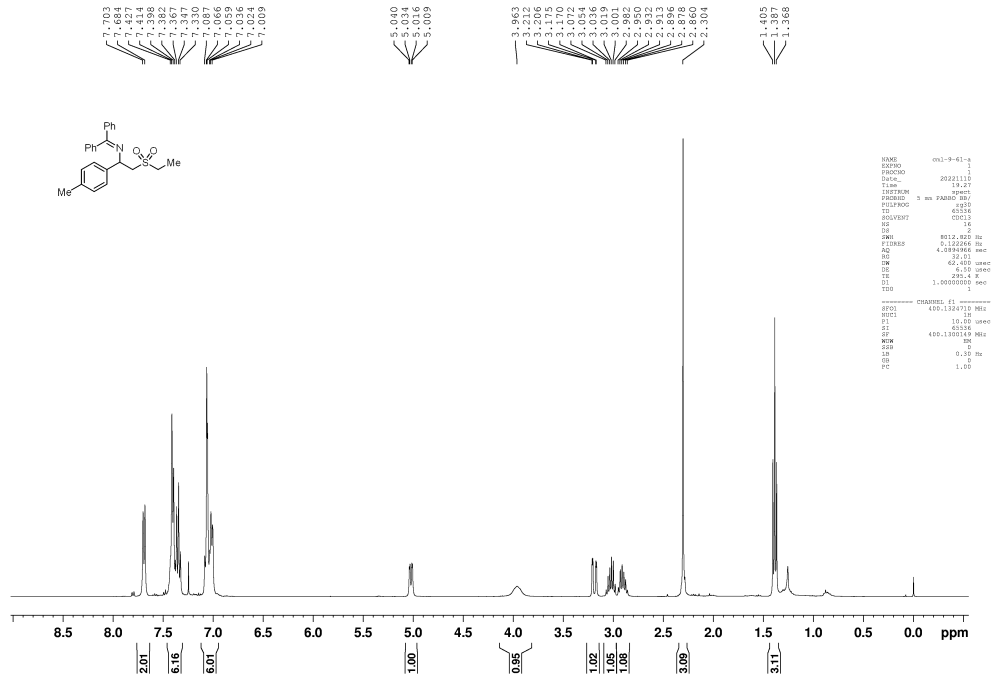
***N*-2-(heptadecylsulfonyl)-1-phenylethyl)-1,1-diphenylmethanimine (3z)**



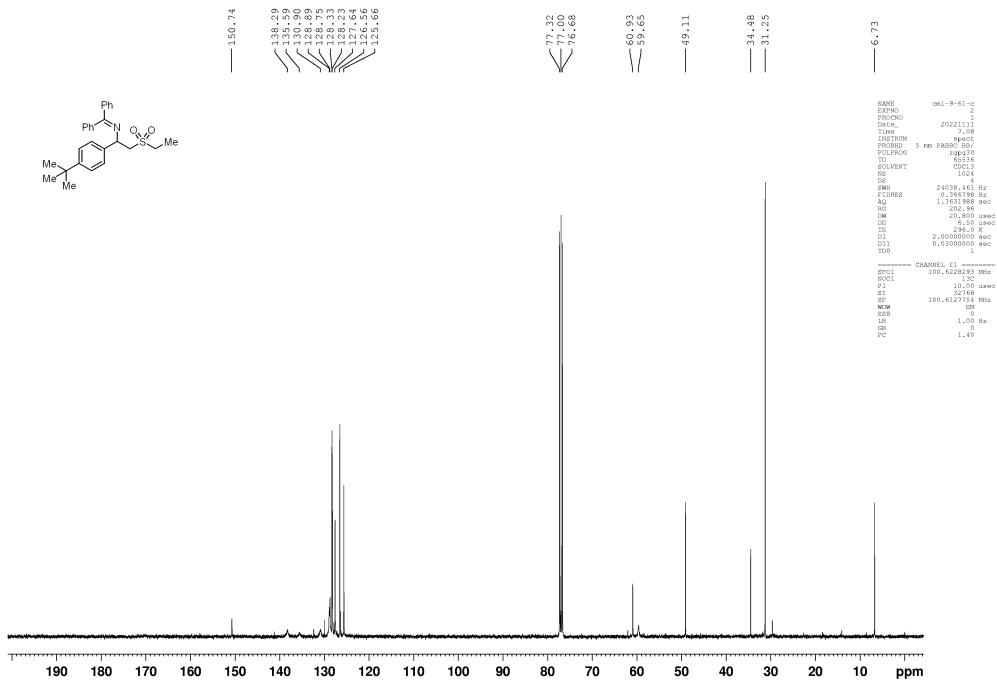
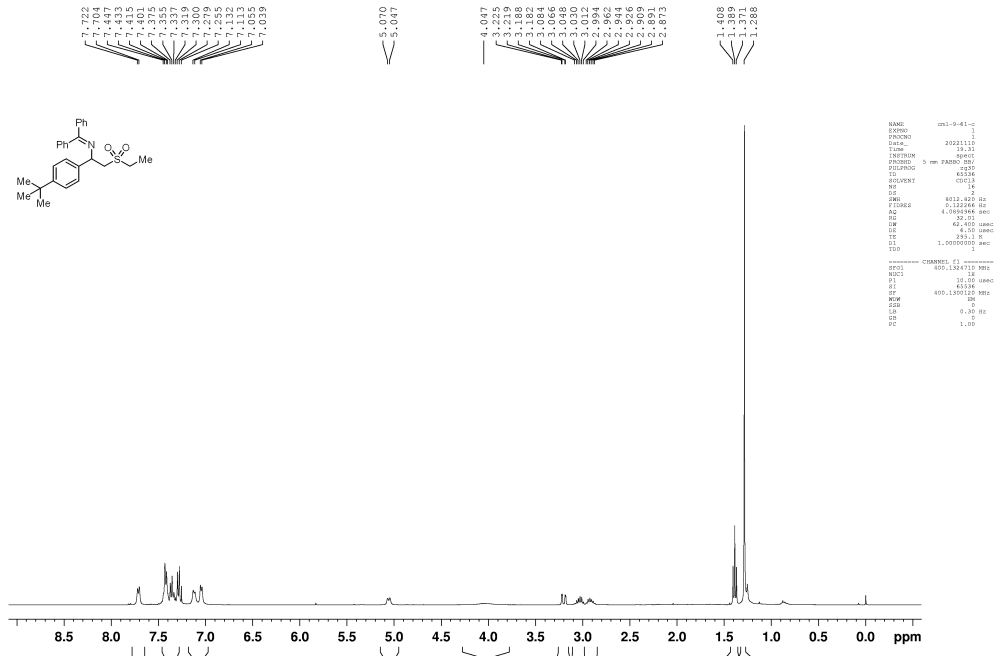
(4S)-1-((2-((diphenylmethylene)amino)-2-phenylethyl)sulfonyl)-4,7,7-trimethyl-2-oxabicyclo[2.2.1]heptan-3-one (3ac)



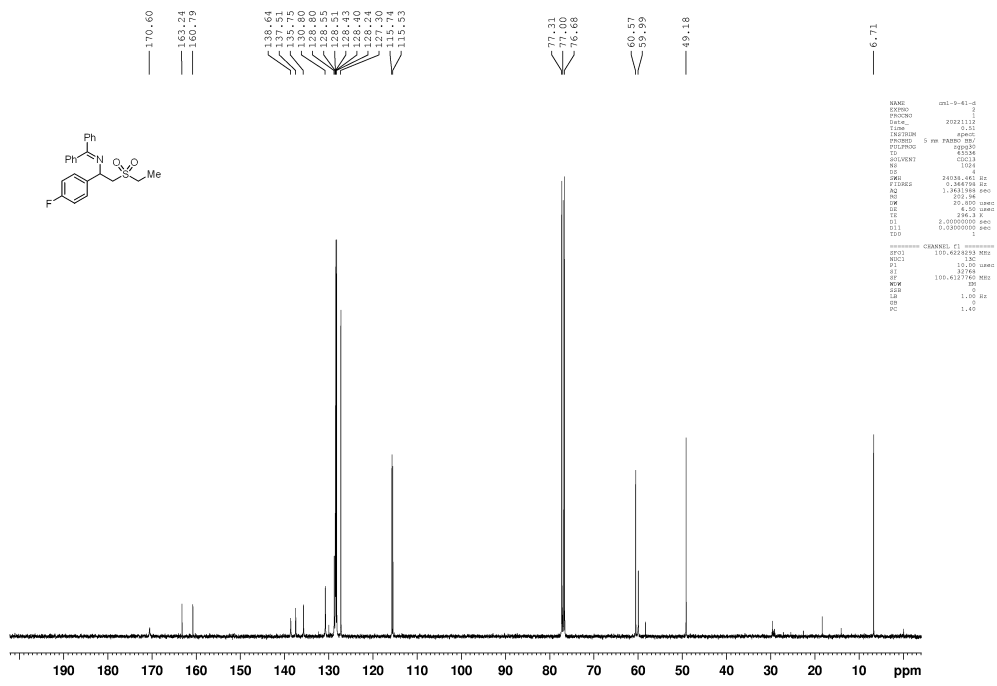
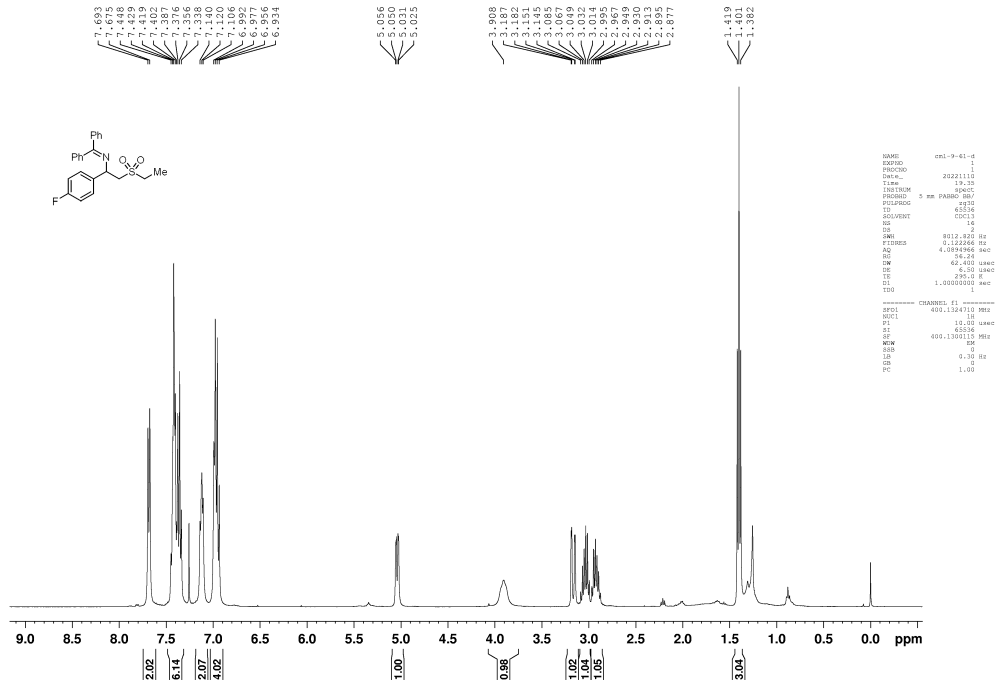
***N*-(2-(ethylsulfonyl)-1-(*p*-tolyl)ethyl)-1,1-diphenylmethanimine (4a)**



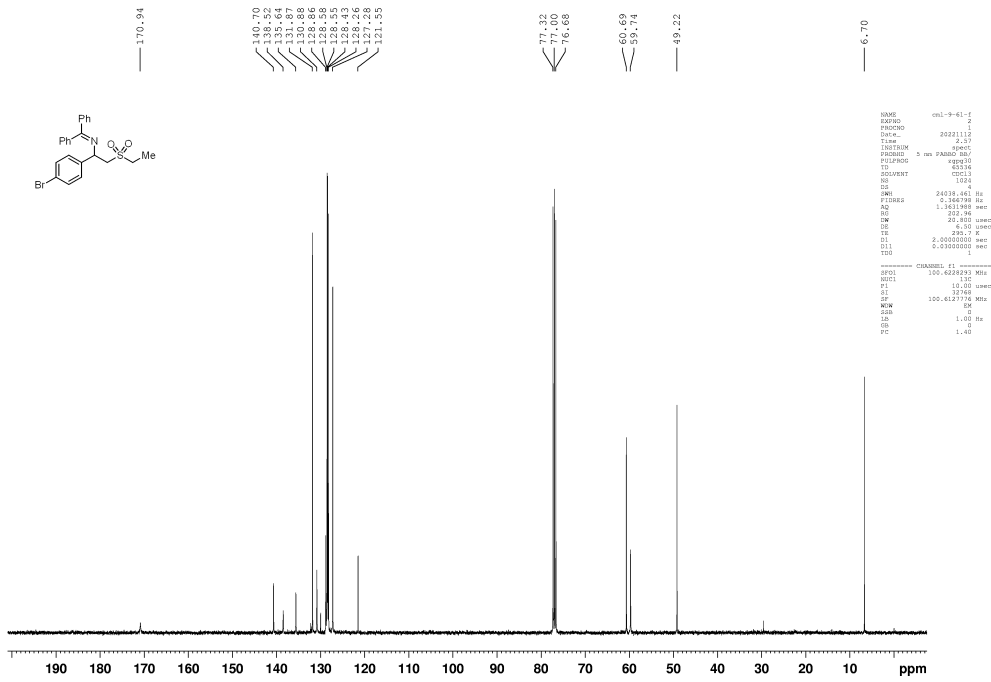
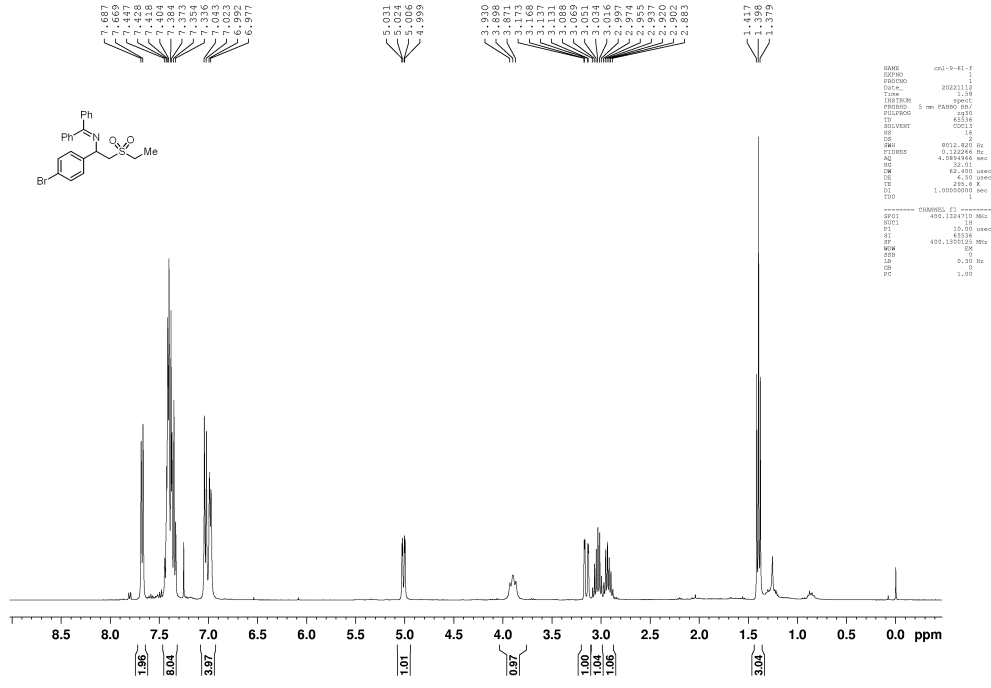
***N*-(1-(4-(*tert*-butyl)phenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (**4b**)**



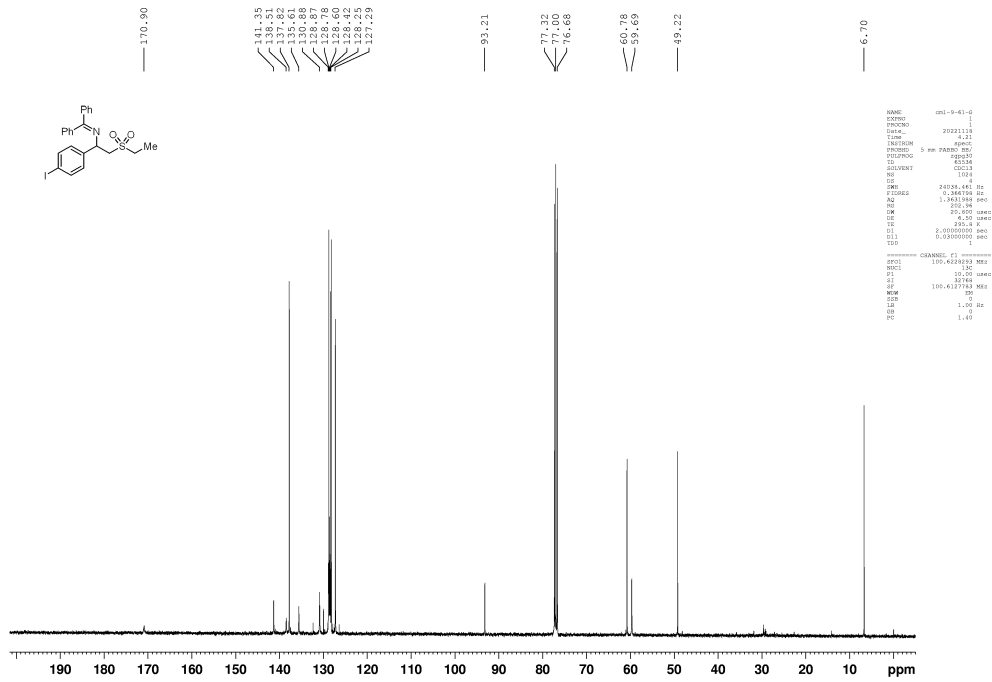
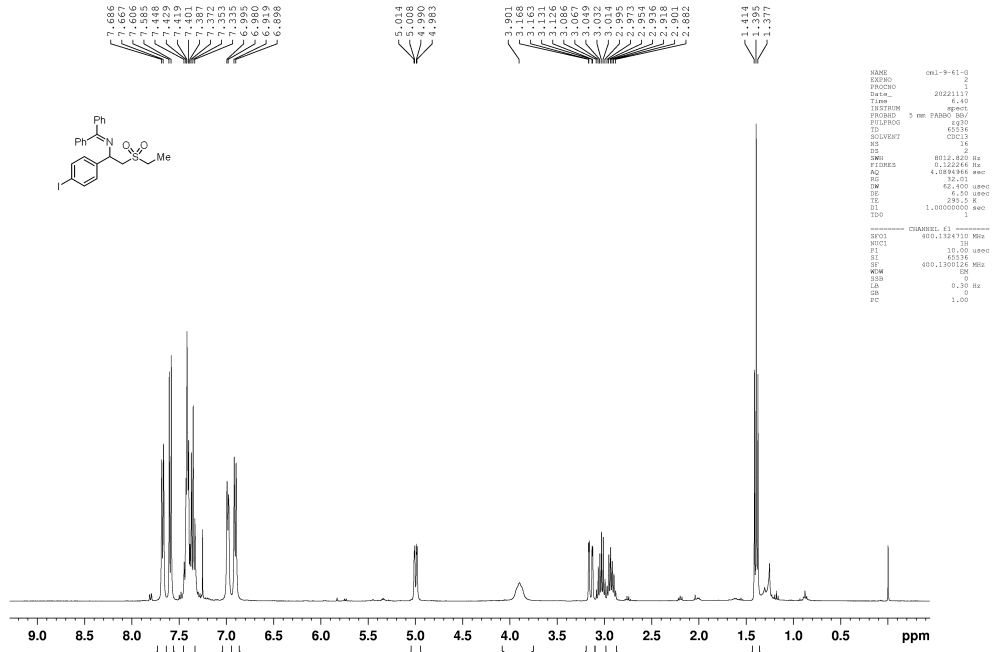
***N*-(2-(ethylsulfonyl)-1-(4-fluorophenyl)ethyl)-1,1-diphenylmethanimine (4d)**



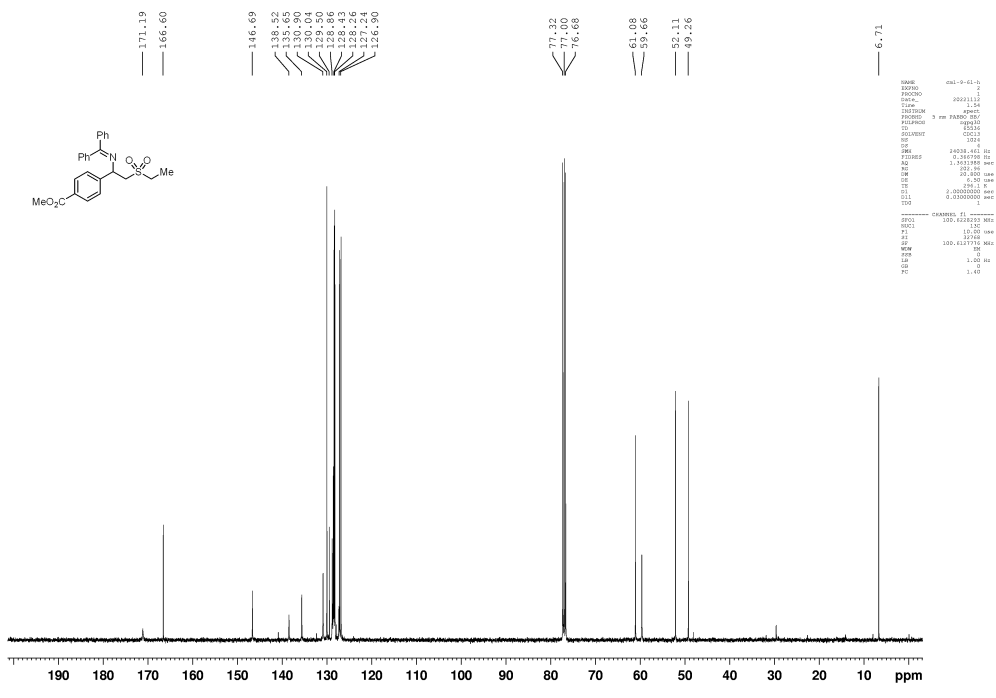
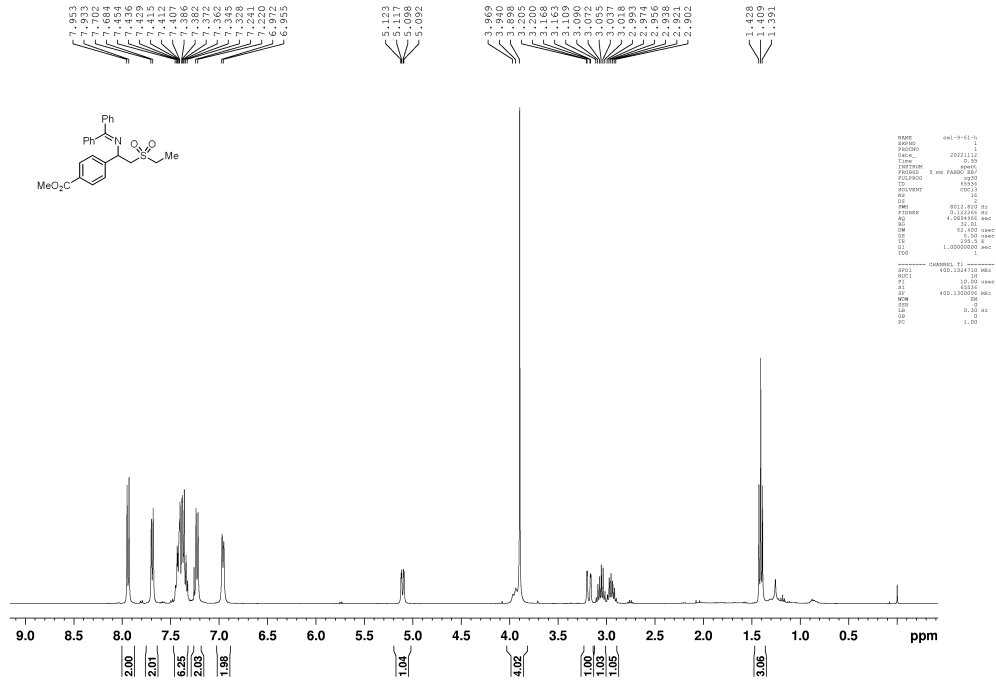
***N*-(1-(4-bromophenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4f)**



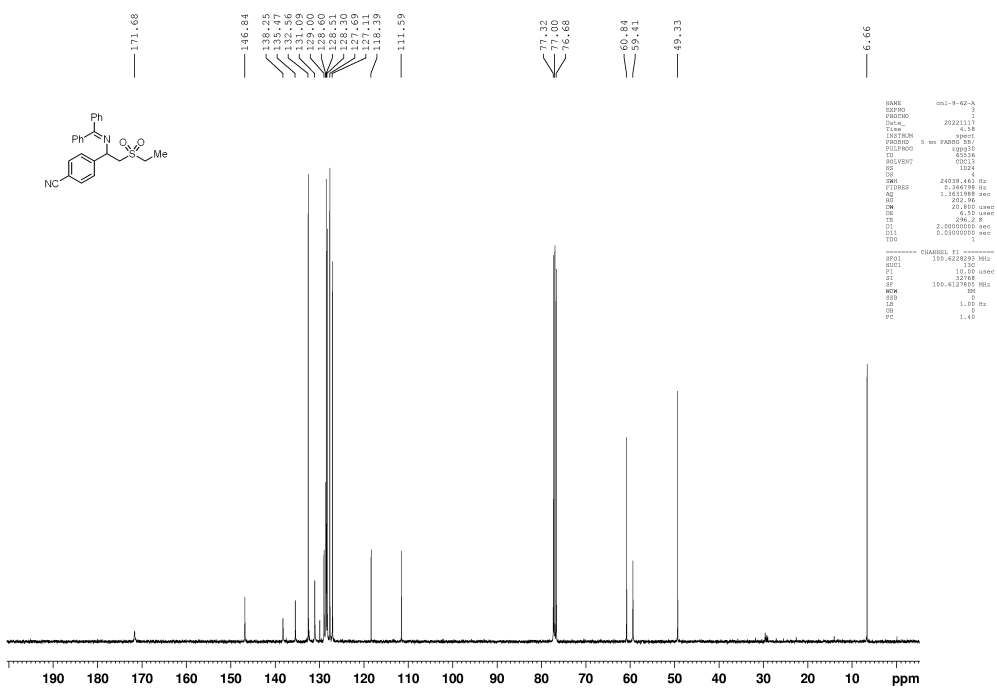
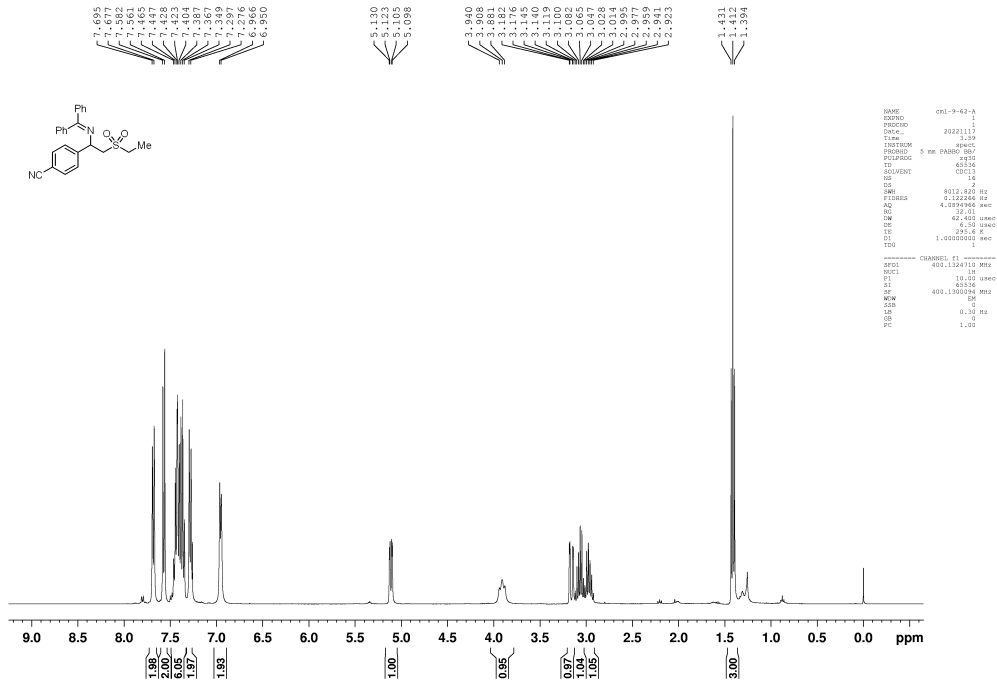
***N*-(2-(ethylsulfonyl)-1-(4-iodophenyl)ethyl)-1,1-diphenylmethanimine (4g)**



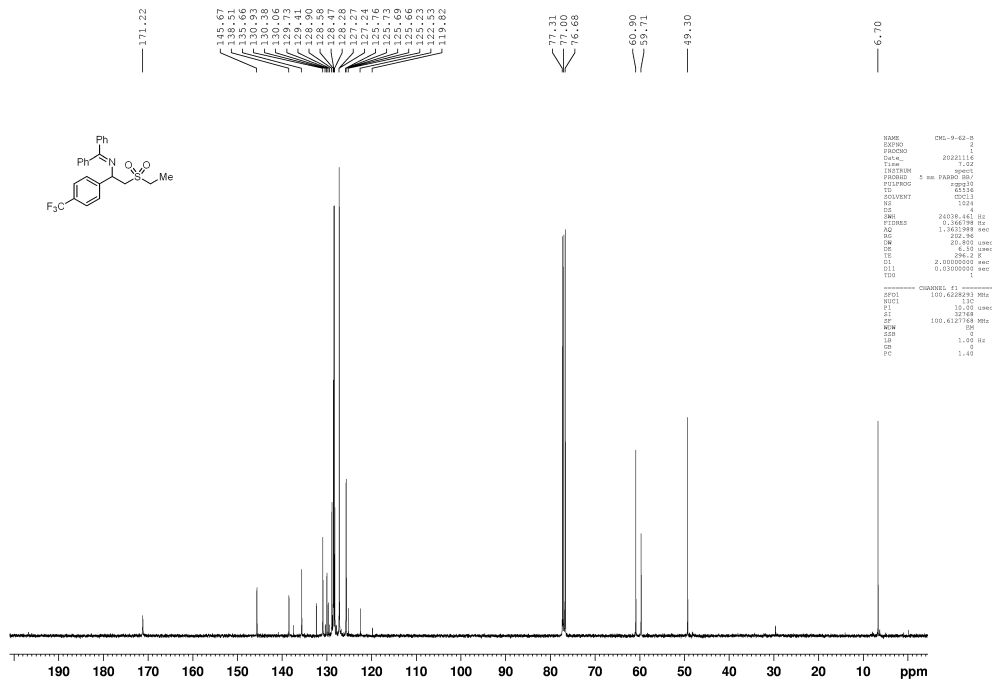
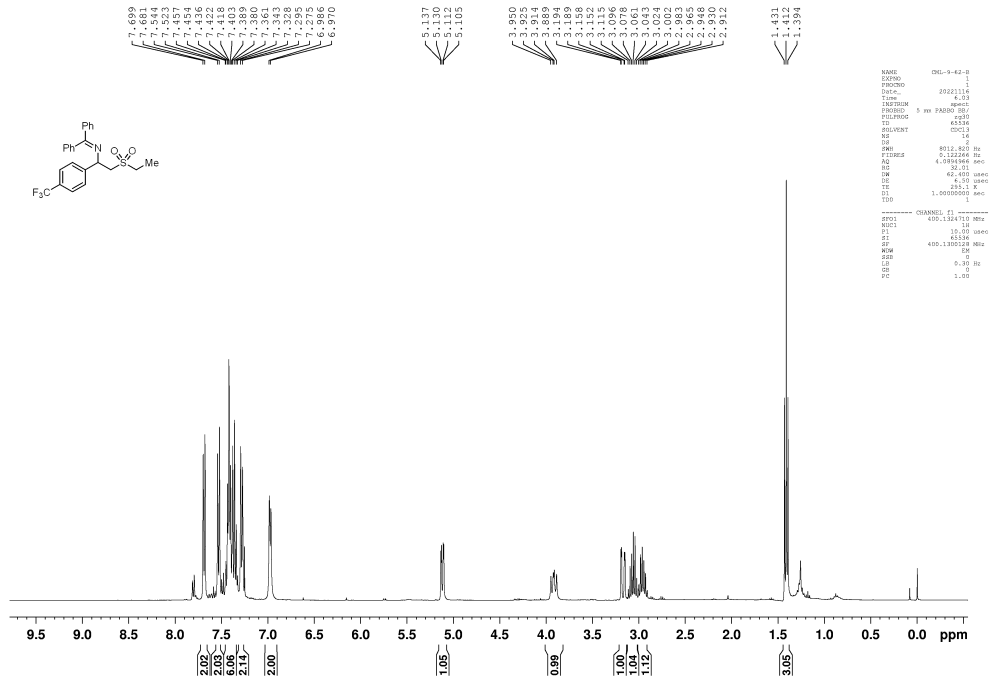
methyl 4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)benzoate (4h)



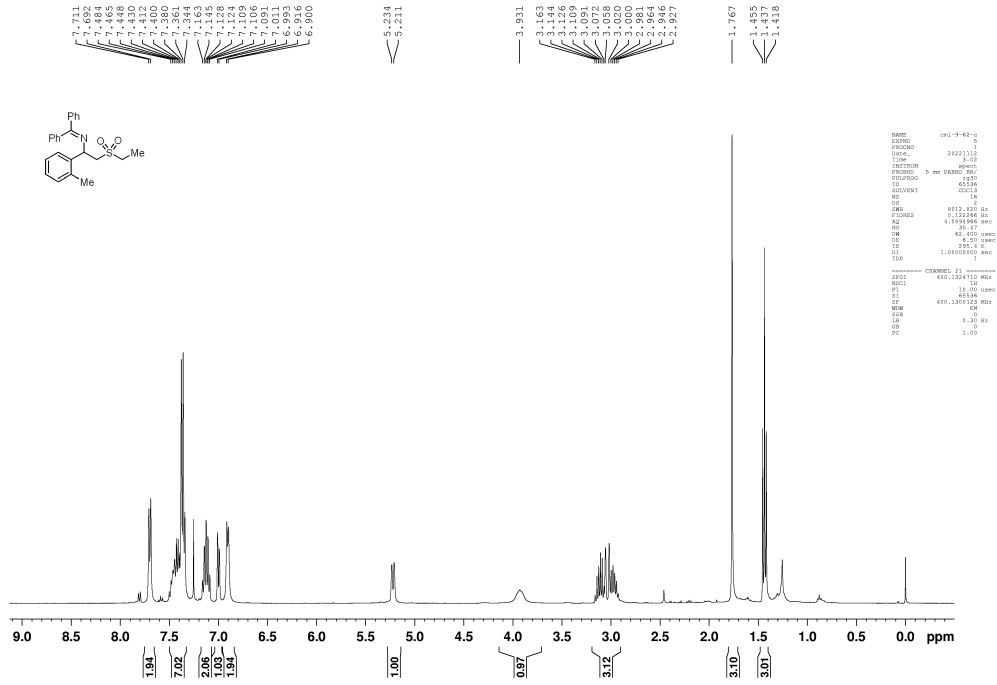
4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)benzonitrile (4i)



***N*-(2-(ethylsulfonyl)-1-(4-(trifluoromethyl)phenyl)ethyl)-1,1-diphenylmethanimine
(4j)**

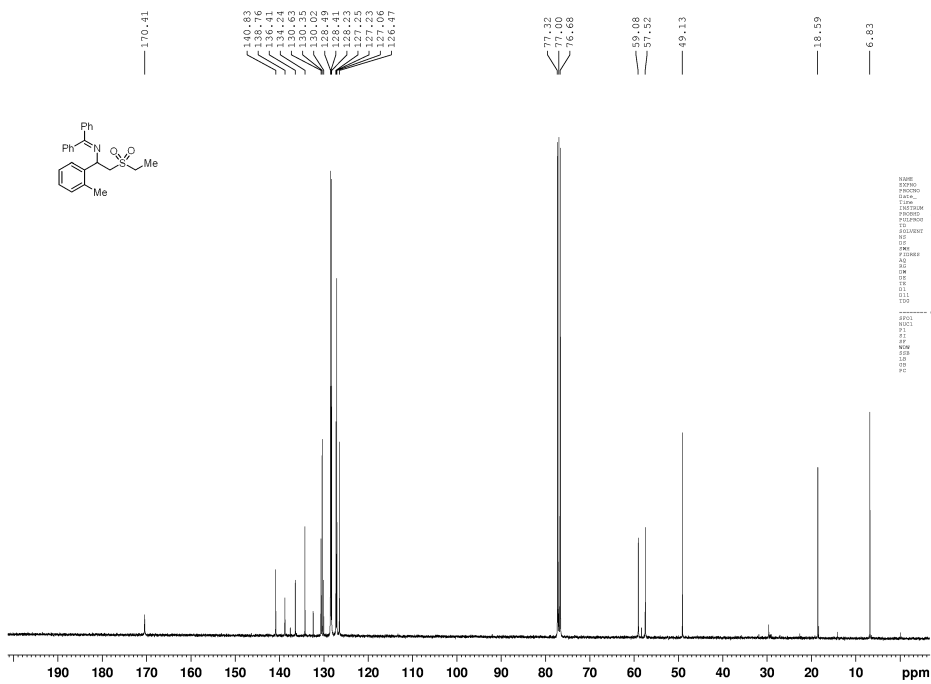


***N*-(2-(ethylsulfonyl)-1-(*o*-tolyl)ethyl)-1,1-diphenylmethanimine (4l)**



```

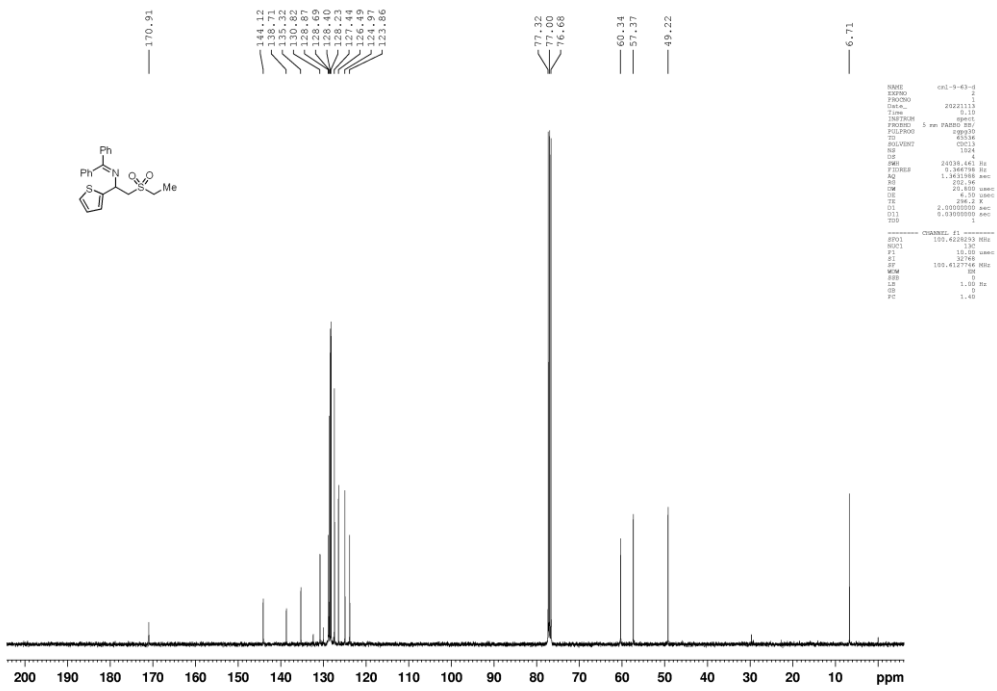
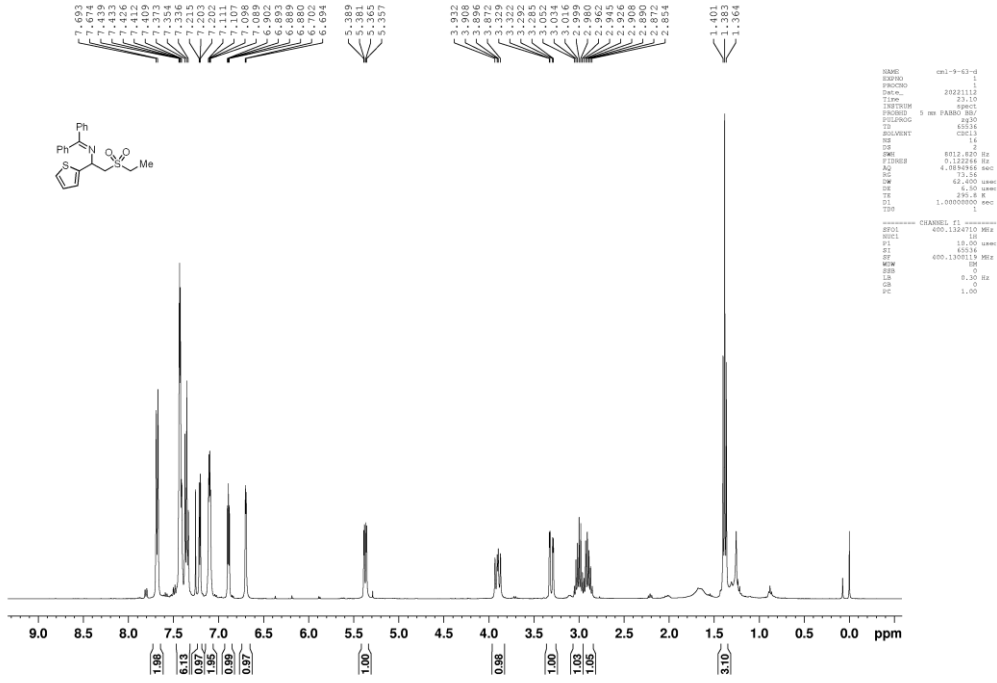
NAME: cm1-9-42-c
EXPNO: 1
PROCNO: 1
DATA_: 20221110
F2: 8.34
PROCPRG: nmr
PULPROG: zgpg
RG: 320
SI: 65536
SF: 400.1363010
AQ: 0.122344
RG2: 6.2
WDW: EM
SSB: 0
LB: 3.00
GB: 0.00
PC: 1.00000000
===== CHANNEL f1 =====
NUC1: 13C
P1: 12.00
PL1: 0.00
NUC2: 13C
PC2: 12.00
=====
  
```



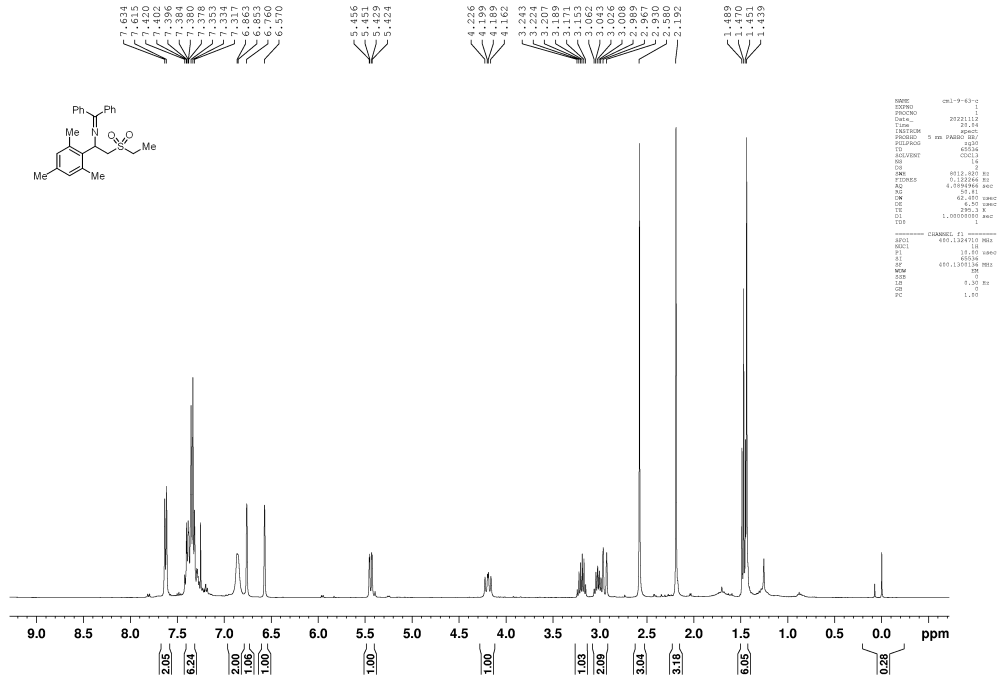
```

NAME: cm1-9-42-c
EXPNO: 1
PROCNO: 1
DATA_: 20221110
F2: 8.34
PROCPRG: nmr
PULPROG: zgpg
RG: 320
SI: 65536
SF: 100.6261810
AQ: 1.221244
RG2: 6.2
WDW: EM
SSB: 0
LB: 3.00
GB: 0.00
PC: 1.00000000
===== CHANNEL f1 =====
NUC1: 13C
P1: 12.00
PL1: 0.00
NUC2: 13C
PC2: 12.00
=====
  
```


***N*-(2-(ethylsulfonyl)-1-(thiophen-2-yl)ethyl)-1,1-diphenylmethanimine (4n)**



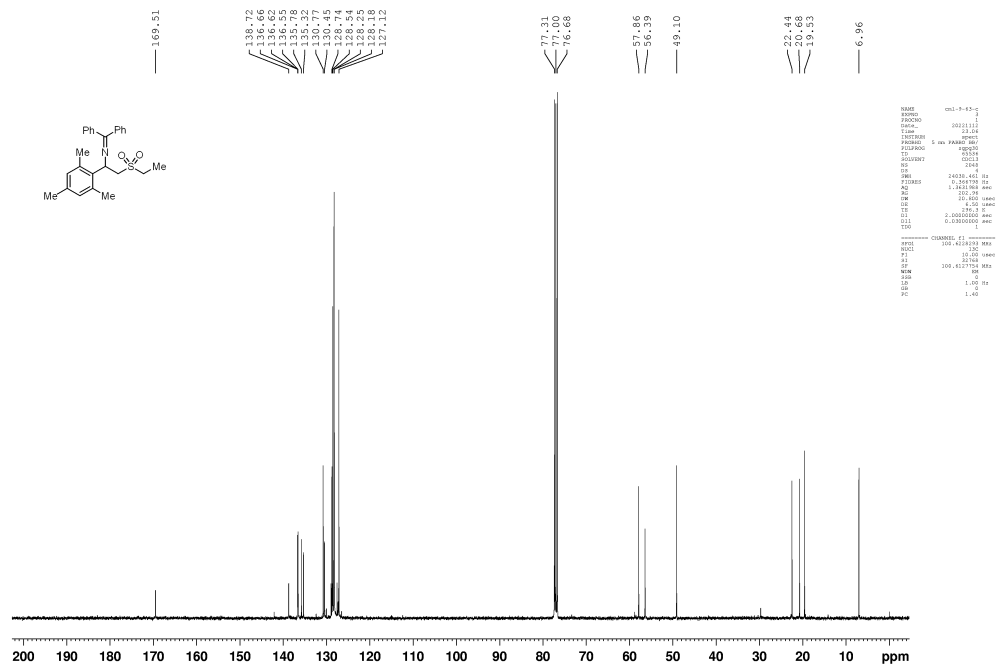
***N*-(2-(ethylsulfonyl)-1-mesitylethyl)-1,1-diphenylmethanimine (4r)**



```

NAME      cml-9-63-2
PROCNO    1
PROBHD    5
PULPROG   zgpg30
DNAME     20211111
TIME      21:44
INSTRUM   spect
PROBHD    5 mm PABBO 500
P2        0.000
PC        0.000
SOLVENT   CDCl3
NS        6554
DS        4
AQ        0.012400 Hz
RG        4.000000 Hz
DE        0.000000 Hz
TE        300.2 K
FIDRES    0.000000 Hz
SFORES    1.000000000 kHz
===== CHANNEL f1 =====
NUC1      13
P1        18.00
NUC2      13
PC        0.00
SFORES    100.6283500 MHz
===== CHANNEL f2 =====
NUC1      1H
P1        1.00
PC        1.00
===== CHANNEL f3 =====
NUC1      13
P1        18.00
PC        1.00
===== CHANNEL f4 =====
NUC1      1H
P1        1.00
PC        1.00

```

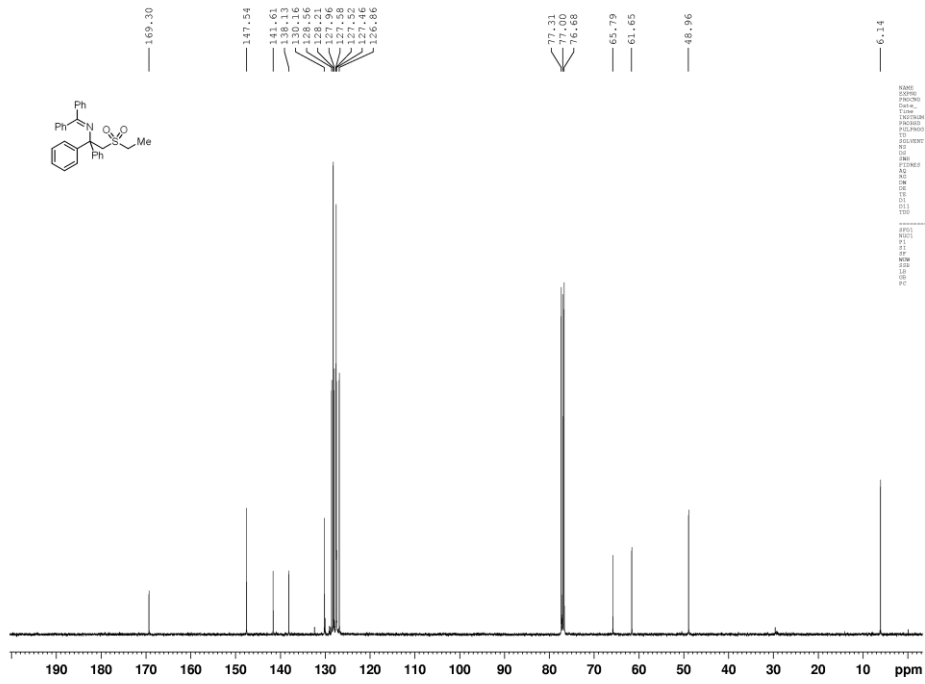
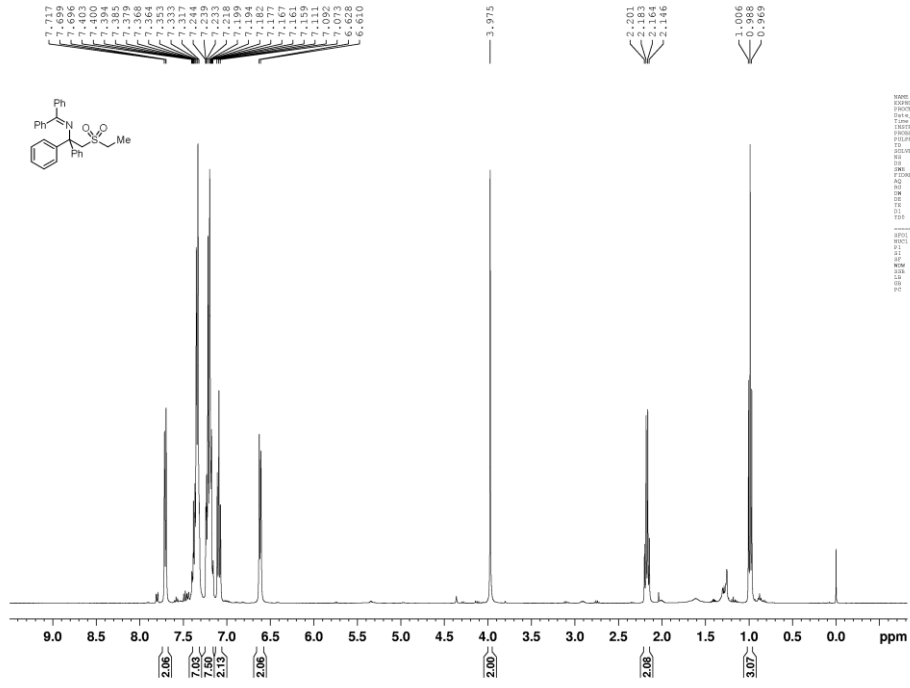


```

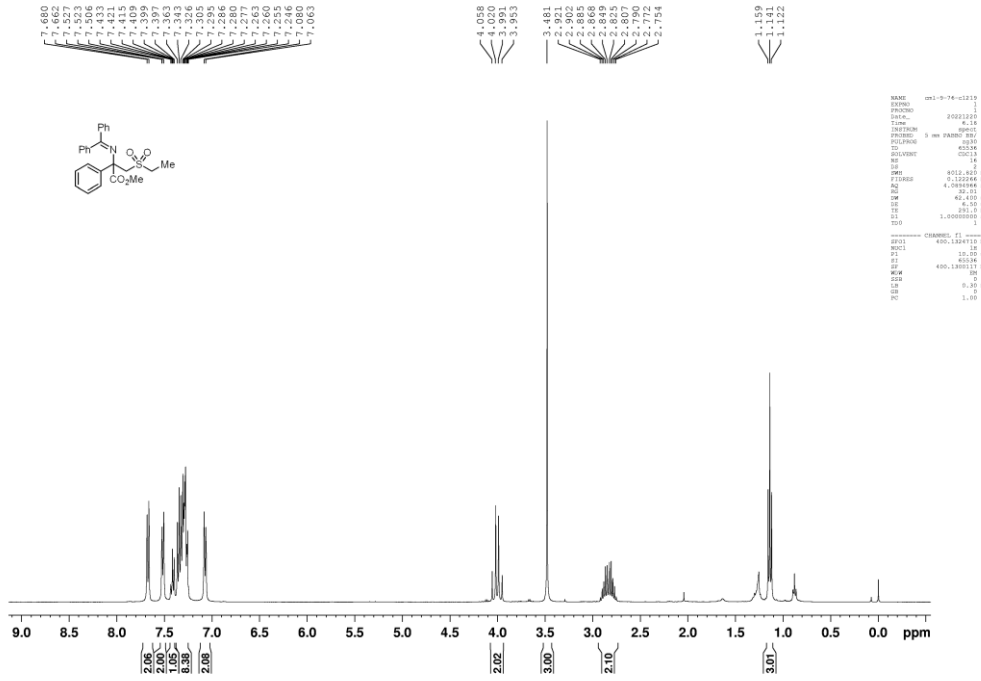
NAME      cml-9-65-1
PROCNO    1
PROBHD    5
PULPROG   zgpg30
DNAME     20211111
TIME      21:44
INSTRUM   spect
PROBHD    5 mm PABBO 500
P2        0.000
PC        0.000
SOLVENT   CDCl3
NS        6554
DS        4
AQ        0.004400 Hz
RG        1.000000 Hz
DE        0.000000 Hz
TE        300.2 K
FIDRES    0.000000 Hz
SFORES    100.6283500 MHz
===== CHANNEL f1 =====
NUC1      13
P1        18.00
NUC2      13
PC        0.00
SFORES    100.6283500 MHz
===== CHANNEL f2 =====
NUC1      1H
P1        1.00
PC        1.00
===== CHANNEL f3 =====
NUC1      13
P1        18.00
PC        1.00
===== CHANNEL f4 =====
NUC1      1H
P1        1.00
PC        1.00

```

N-(2-(ethylsulfonyl)-1,1-diphenylethyl)-1,1-diphenylmethanimine (4s)

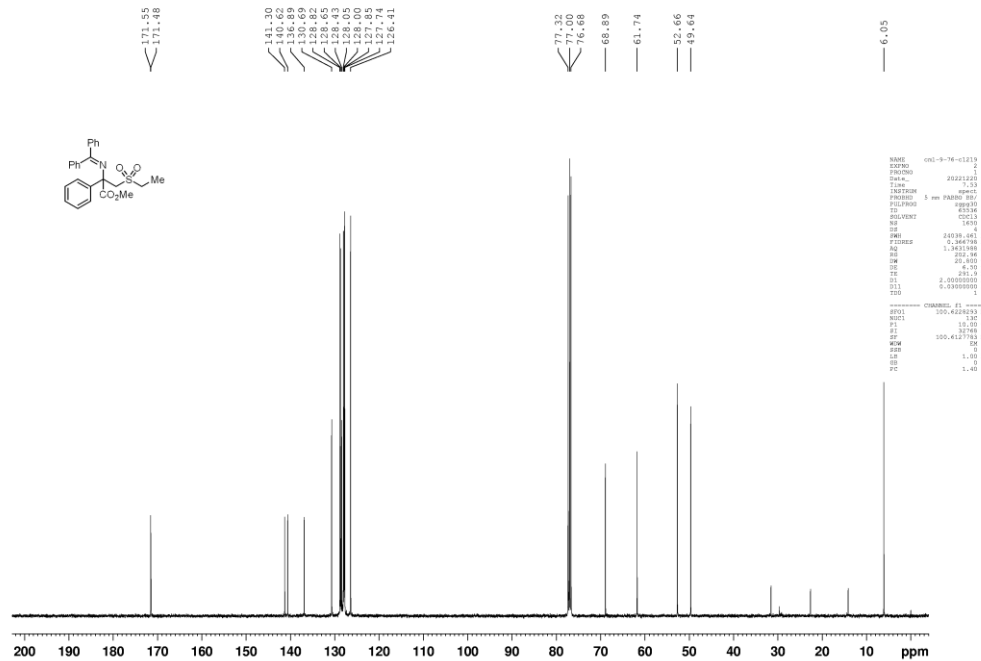


methyl 2-((diphenylmethylene)amino)-3-(ethylsulfonyl)-2-phenylpropanoate (4t)



```

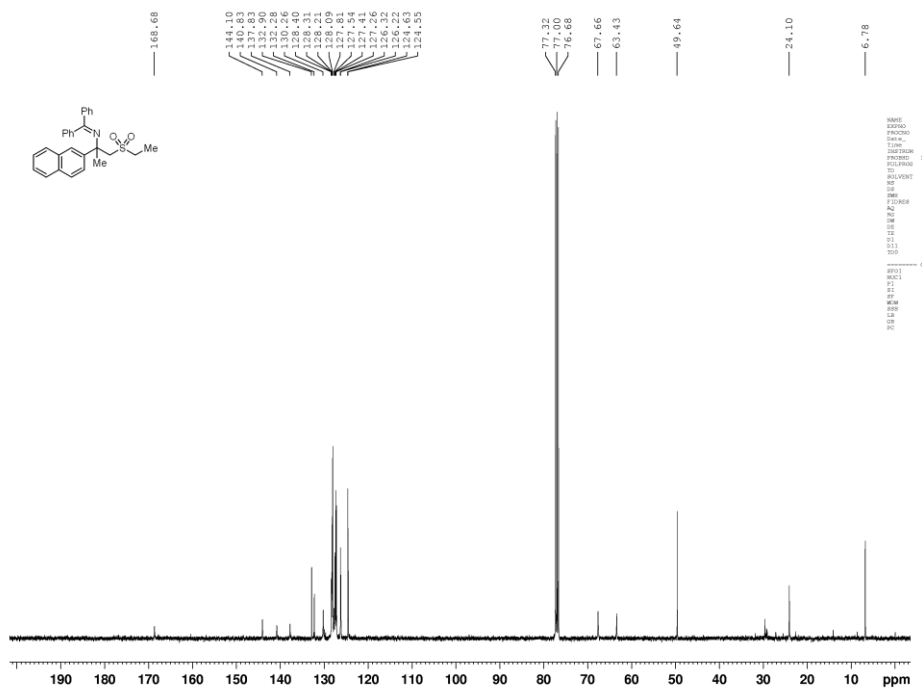
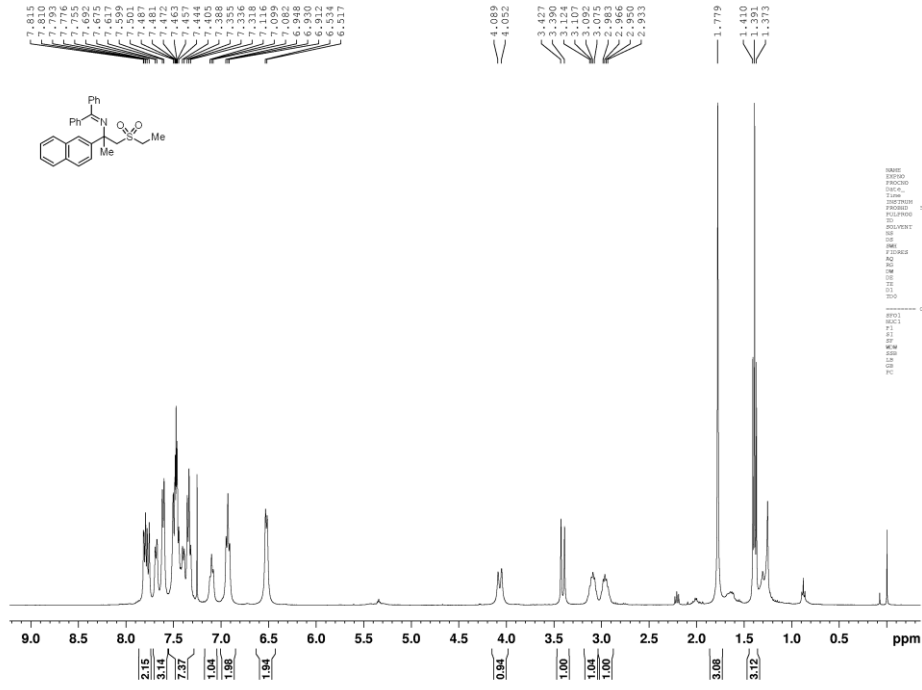
NAME 001-9-74-01213
EXPNO 1
PROCNO 1
Date_ 20221220
Time 6.15
INSTRUM spect
PROBHD 5 mm PABBO 802
PULPROG zgpg30
SOLVENT CDCl3
NS 400
DS 4
SWH 9012.962 Hz
AQ 0.132296 Hz
RG 4.054494 Hz
AQ 0.21
DS 4
SWH 42.400 MHz
AQ 0.20
RG 1.0000000 Hz
TD 1
===== CHANNEL f1 =====
NUC1 13C
P1 19.00
NUC2 1
P2 19.00
SFO 100.628363 MHz
WDW EM
SSB 0
GB 0
PC 1.00
TC 1
  
```



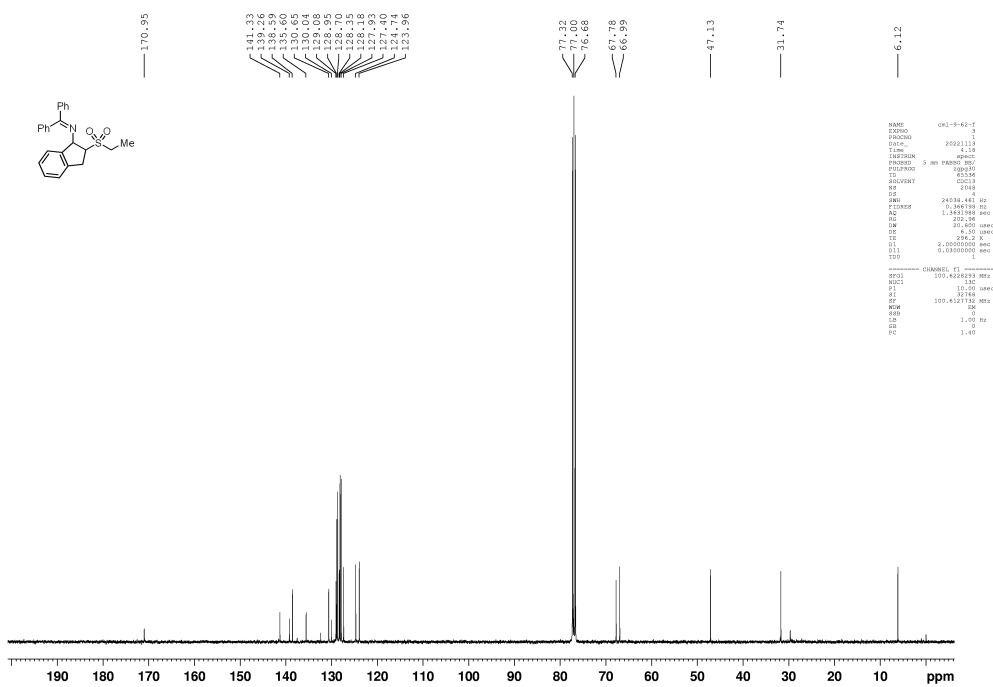
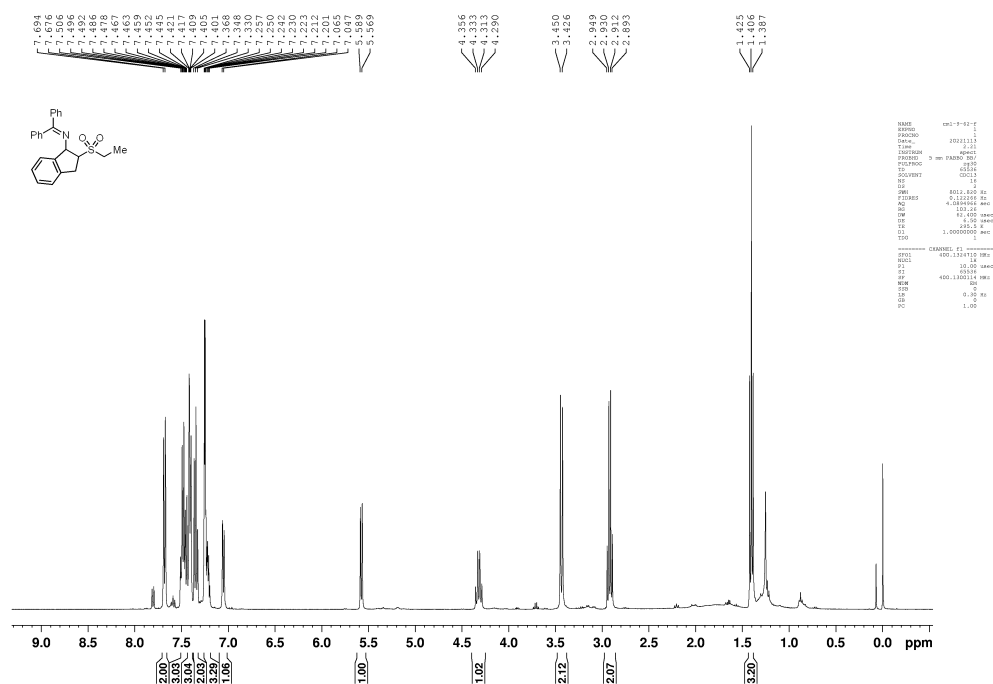
```

NAME 001-9-74-01213
EXPNO 1
PROCNO 1
Date_ 20221220
Time 7.53
INSTRUM spect
PROBHD 5 mm PABBO 802
PULPROG zgpg30
SOLVENT CDCl3
NS 1024
DS 4
SWH 24938.461 Hz
AQ 0.346976 Hz
RG 1.3431388 Hz
AQ 0.25
DS 4
SWH 20.400 MHz
AQ 0.20
RG 1.0000000 Hz
TD 1
===== CHANNEL f1 =====
NUC1 13C
P1 19.00
NUC2 1
P2 19.00
SFO 100.628363 MHz
WDW EM
SSB 0
GB 0
PC 1.00
TC 1
  
```

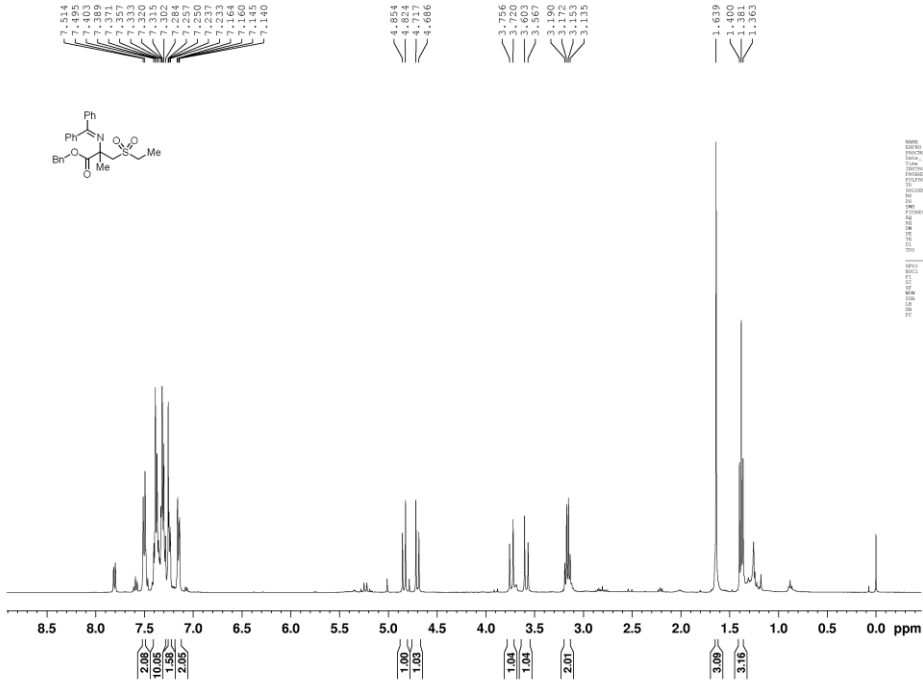
N-(1-(ethylsulfonyl)-2-(naphthalen-2-yl)propan-2-yl)-1,1-diphenylmethanimine (4u)



N-(2-(ethylsulfonyl)-2,3-dihydro-1*H*-inden-1-yl)-1,1-diphenylmethanimine (**4w**)

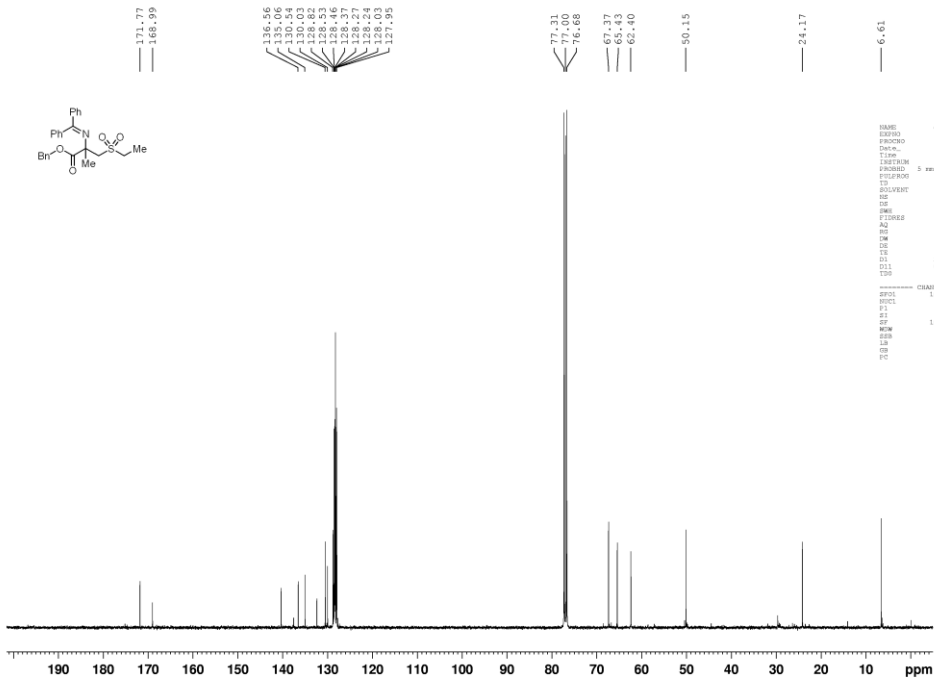


benzyl 2-((diphenylmethylene)amino)-3-(ethylsulfonyl)-2-methylpropanoate (4x)



```

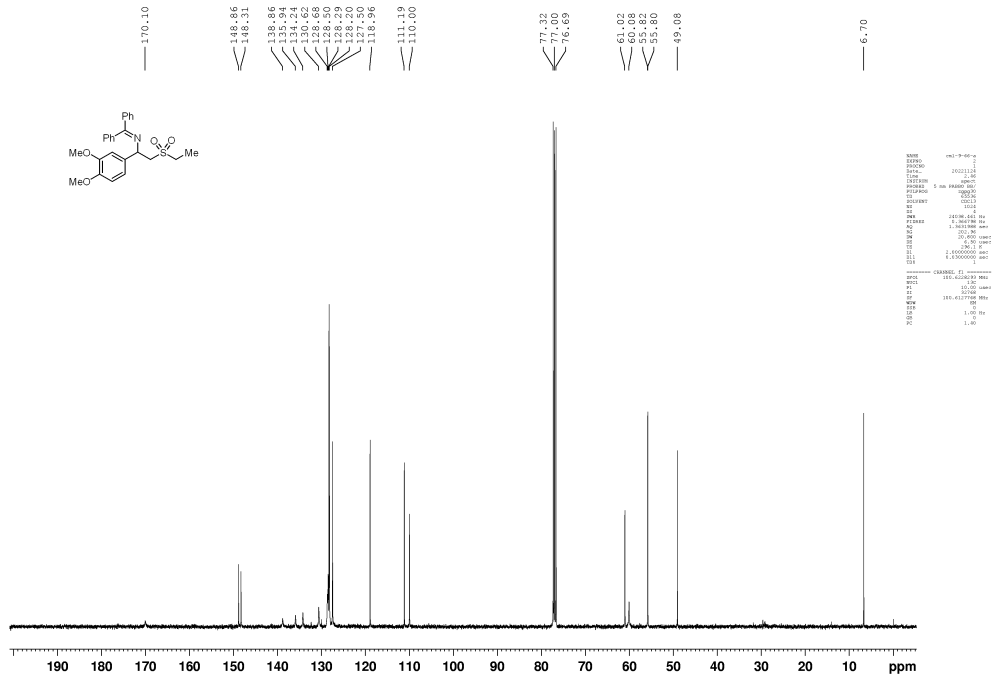
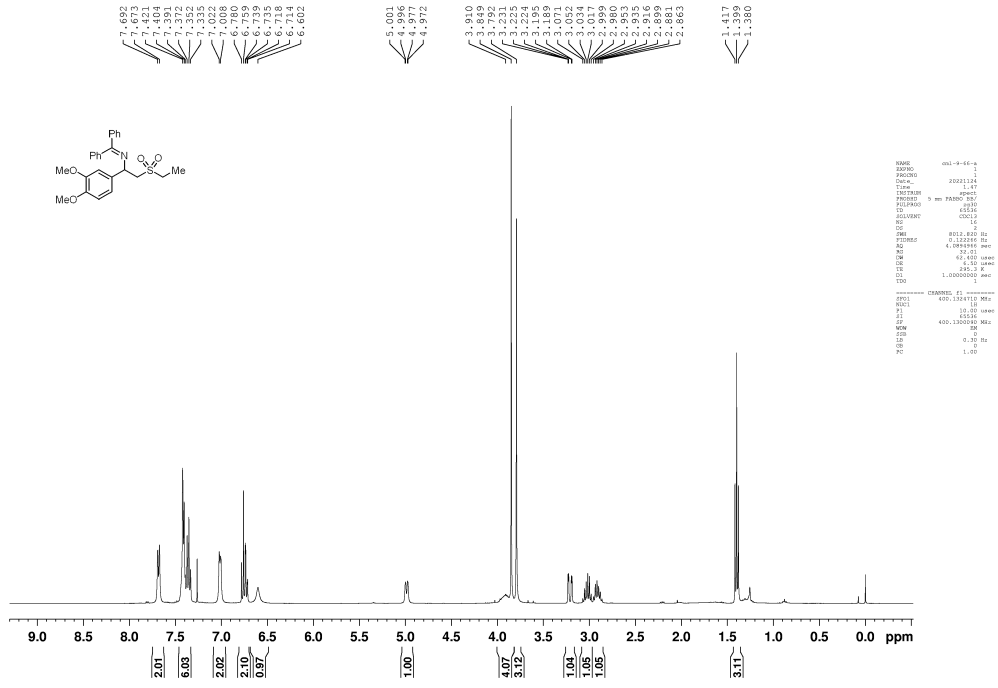
NAME          CR1-9-66-4
EXPNO        2
PROCNO       1
PROCPS       3222112
DATE_        0-31
TIME         8:25
INSTRUM      spect
PROBHD       5 mm PABBO BBO
PULPROG      zgpg30
SOLVENT      CDCl3
SOLVENT      CDCl3
NS           65528
DS           4
SWH           24038.461 Hz
FIDRES       0.344198 Hz
AQ           1.1821368 sec
RG           202.88
WDW           EM
SSB           0
LB           20.800 Hz
GB           0
PC           94.13
SFO          100.628113 MHz
D1           2.00000000 sec
D11          0.03000000 sec
D12          0
D13          1.40
  
```



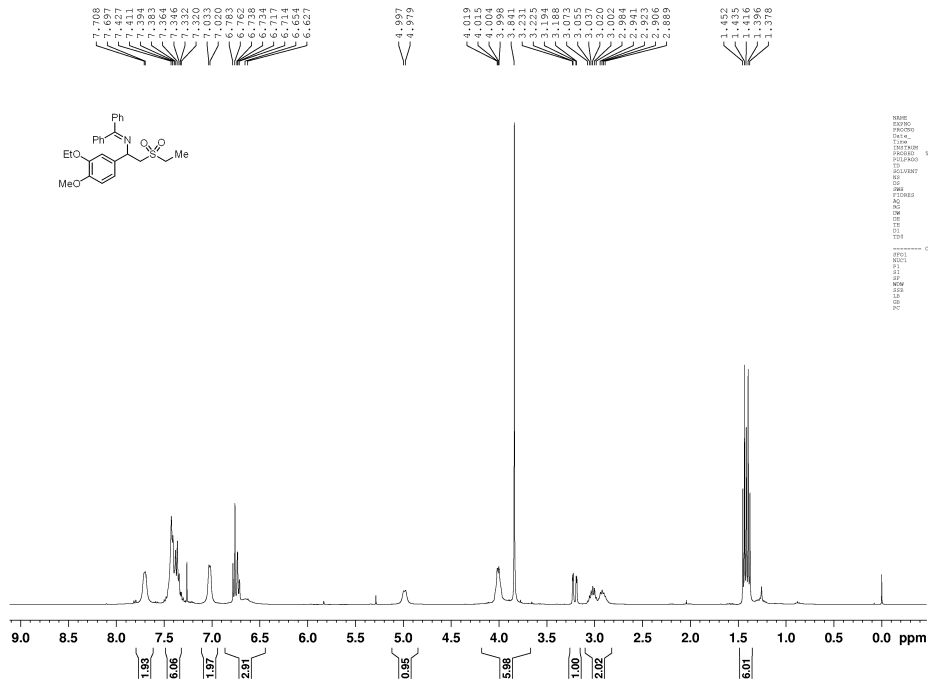
```

NAME          CR1-9-66-4
EXPNO        2
PROCNO       1
PROCPS       3222112
DATE_        0-31
TIME         8:25
INSTRUM      spect
PROBHD       5 mm PABBO BBO
PULPROG      zgpg30
SOLVENT      CDCl3
SOLVENT      CDCl3
NS           65528
DS           4
SWH           24038.461 Hz
FIDRES       0.344198 Hz
AQ           1.1821368 sec
RG           202.88
WDW           EM
SSB           0
LB           20.800 Hz
GB           0
PC           94.13
SFO          100.628113 MHz
D1           2.00000000 sec
D11          0.03000000 sec
D12          0
D13          1.40
  
```

***N*-(1-(3,4-dimethoxyphenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine (4y)**



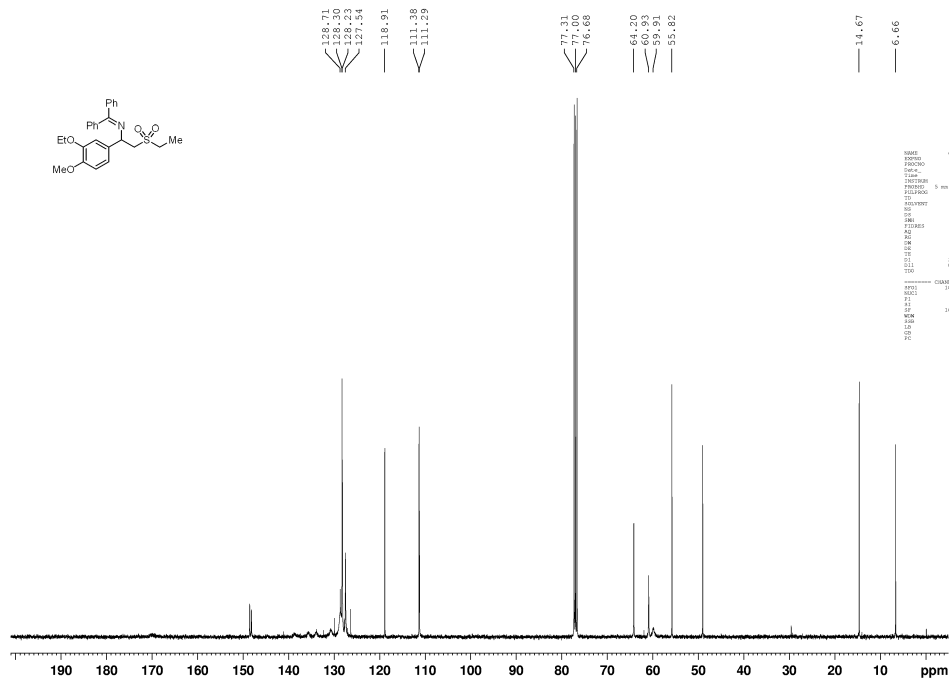
***N*-(1-(3-ethoxy-4-methoxyphenyl)-2-(ethylsulfonyl)ethyl)-1,1-diphenylmethanimine**
(4z)



```

NAME    ca1-9-76-4
EXPNO   1
PROCNO   2021204
DATE_    1-11
INSTRUM  spect
PROBHD   5 mm PABBO 501
PULPROG  zgpg30
SOLVENT  CDCl3
NS       16
DS       4
SWH       80314.612 Hz
FIDRES   0.122846 Hz
AQ       0.00000000 sec
RG        655
DM        62.102 mm
DE        2.00000000 sec
TE        300.2 K
D1        1.00000000 sec
DELTA    0.00000000 sec
===== CHANNEL f1 =====
NUC1     13C
P1        12.00
PL1       0.00 dB
SFO1     101.625319 MHz
AQ1       0.00000000 sec
RG1       655
DM1       62.102 mm
DE1       2.00000000 sec
TE1       300.2 K
D11       1.00000000 sec
DELTA1    0.00000000 sec
===== CHANNEL f2 =====
NUC2     1H
P2        12.00
PL2       0.00 dB
SFO2     400.1462034 MHz
AQ2       0.00000000 sec
RG2       655
DM2       62.102 mm
DE2       2.00000000 sec
TE2       300.2 K
D12       1.00000000 sec
DELTA2    0.00000000 sec

```

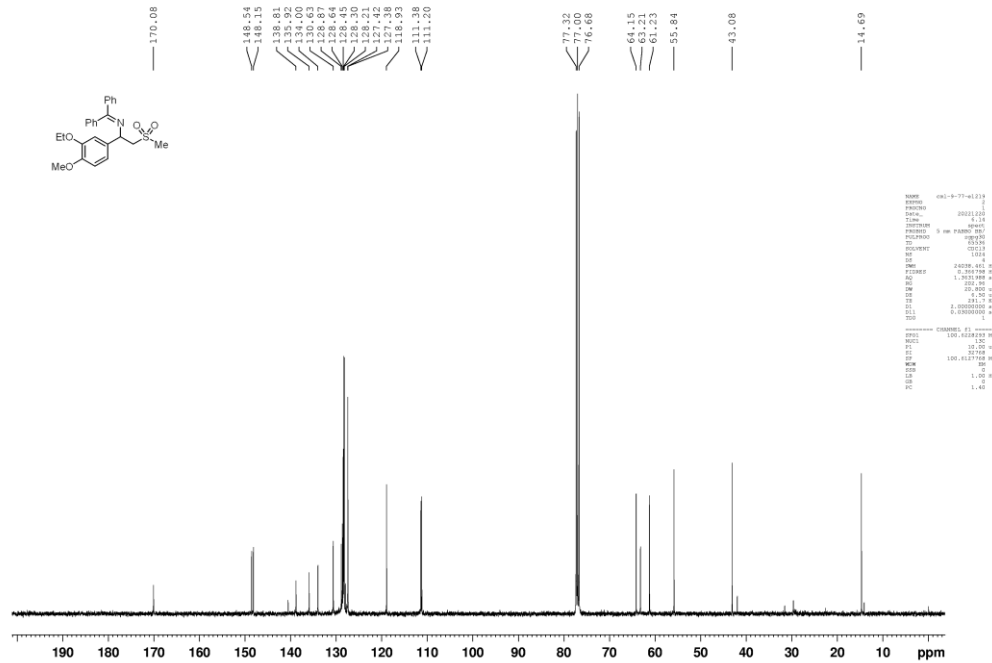
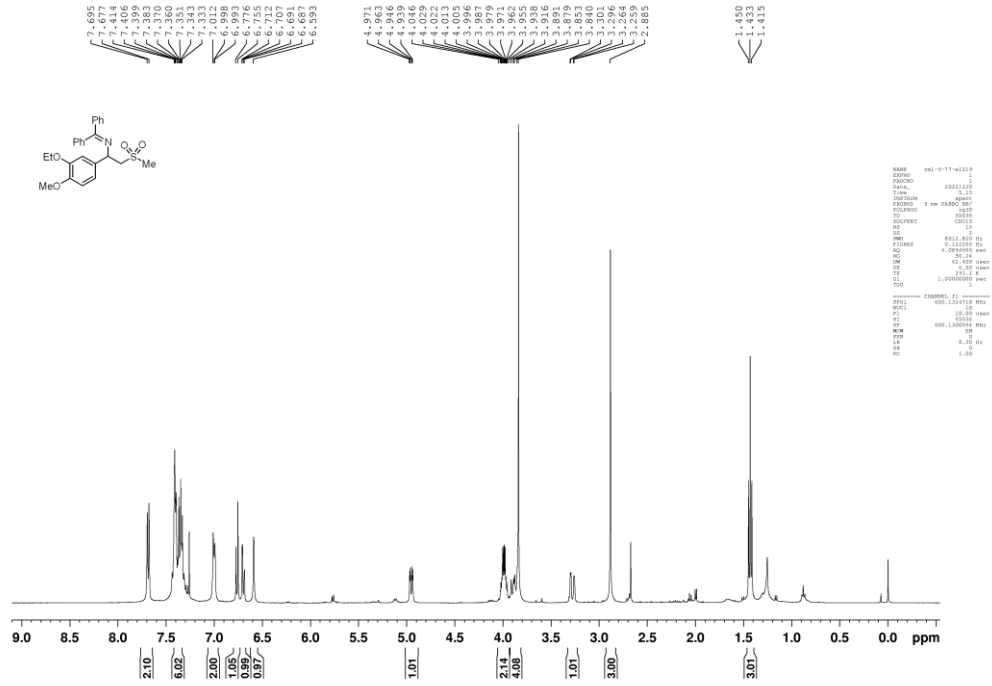


```

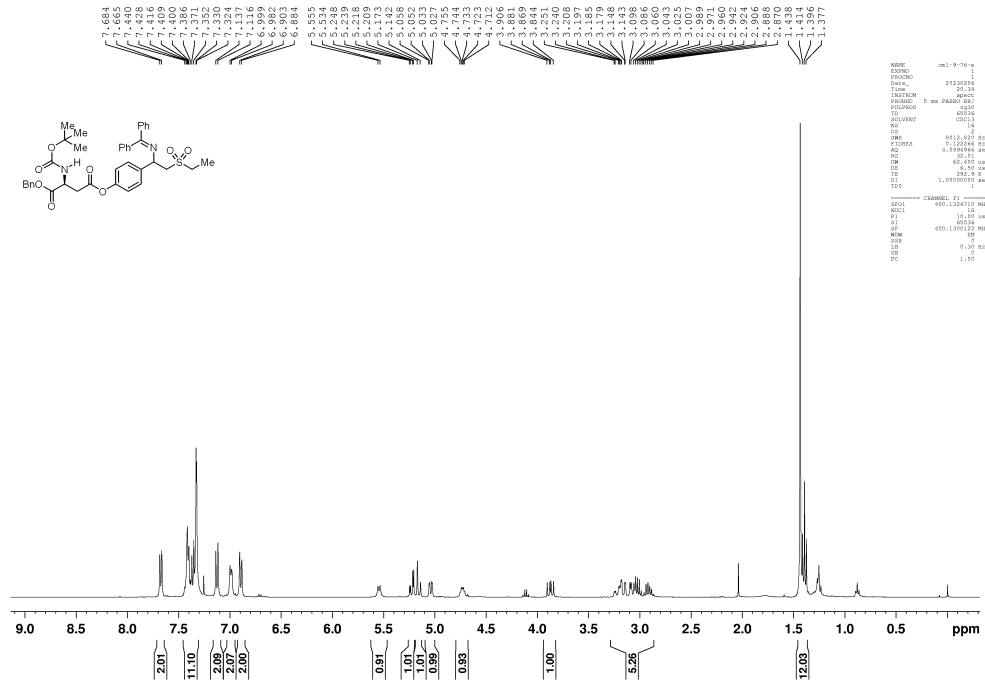
NAME    ca1-9-76-4
EXPNO   1
PROCNO   2021204
DATE_    1-11
INSTRUM  spect
PROBHD   5 mm PABBO 501
PULPROG  zgpg30
SOLVENT  CDCl3
NS       16
DS       4
SWH       14630.412 Hz
FIDRES   0.00000000 Hz
AQ       0.00000000 sec
RG        655
DM        62.102 mm
DE        2.00000000 sec
TE        300.2 K
D1        1.00000000 sec
DELTA    0.00000000 sec
===== CHANNEL f1 =====
NUC1     13C
P1        12.00
PL1       0.00 dB
SFO1     101.625319 MHz
AQ1       0.00000000 sec
RG1       655
DM1       62.102 mm
DE1       2.00000000 sec
TE1       300.2 K
D11       1.00000000 sec
DELTA1    0.00000000 sec
===== CHANNEL f2 =====
NUC2     1H
P2        12.00
PL2       0.00 dB
SFO2     400.1462034 MHz
AQ2       0.00000000 sec
RG2       655
DM2       62.102 mm
DE2       2.00000000 sec
TE2       300.2 K
D12       1.00000000 sec
DELTA2    0.00000000 sec

```

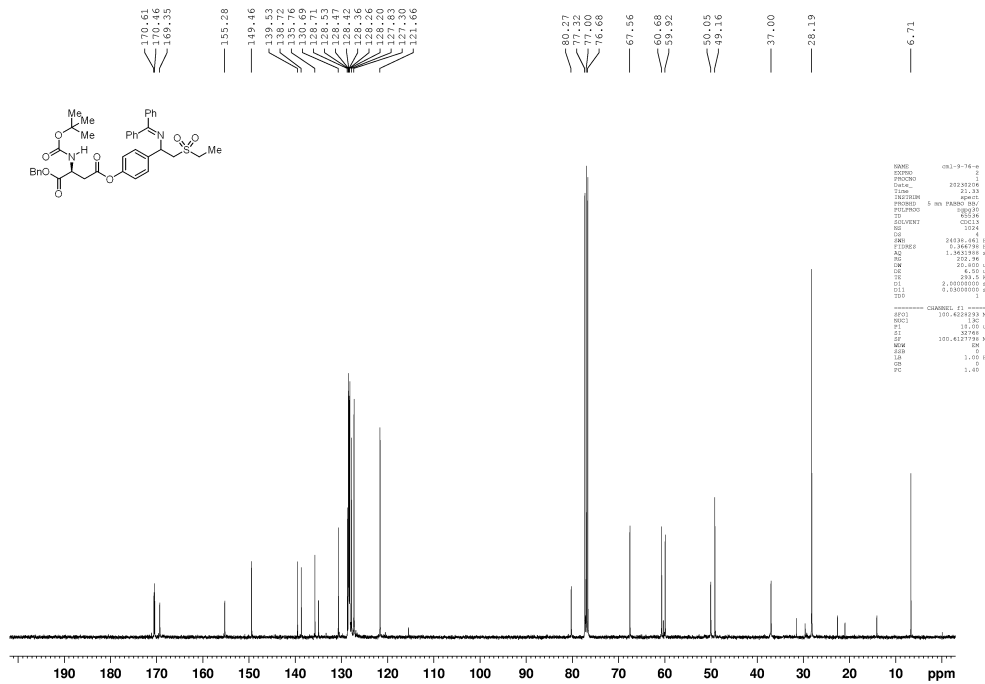
***N*-(1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)ethyl)-1,1-diphenylmethanimine (4aa)**



1-benzyl 4-(4-(1-((diphenylmethylene)amino)-2-(ethylsulfonyl)ethyl)phenyl)phenyl) (tert-butoxycarbonyl)-L-aspartate (4ab)

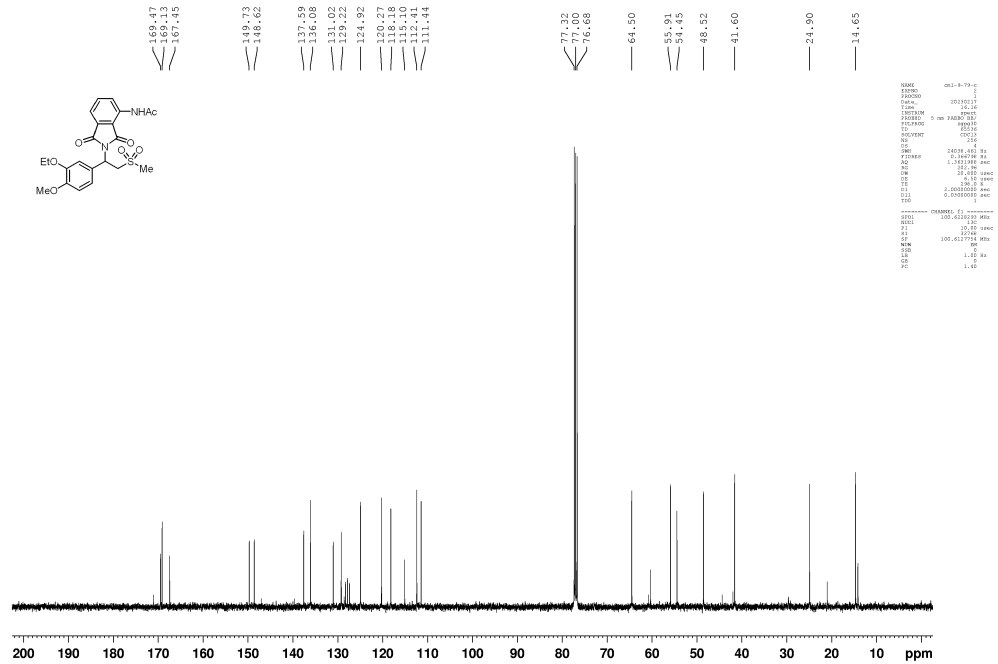
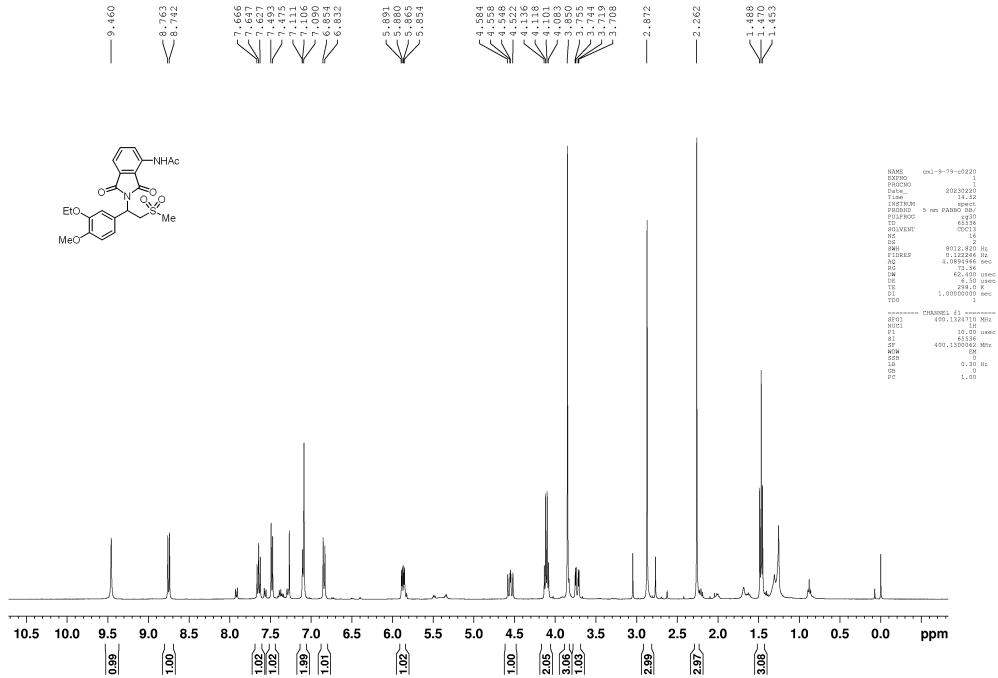


```
NAME: 081-9-76-e
EXPNO: 2
PROCNO: 1
PROCPS: 3220204
TIME: 21.24
INSTRUM: spect
PROBHD: 5 mm QNP5MM
PULPROG: zgpg30
SOLVENT: CDCl3
NS: 12
DS: 4813.628 Hz
F2: 101.254 MHz
AQ: 0.1897664 sec
RG: 327.11
DM: 62.100 uvez
DE: 6.200 uvez
TE: 300.2 K
TD: 1,000,000.000
SI: 1
===== CHANNEL f1 =====
NUC1: 13C
P1: 0.100 usec
PL1: 0.00 dB
NUC2: 1H
P2: 13.000 usec
PL2: 0.00 dB
PC: 1.00
```

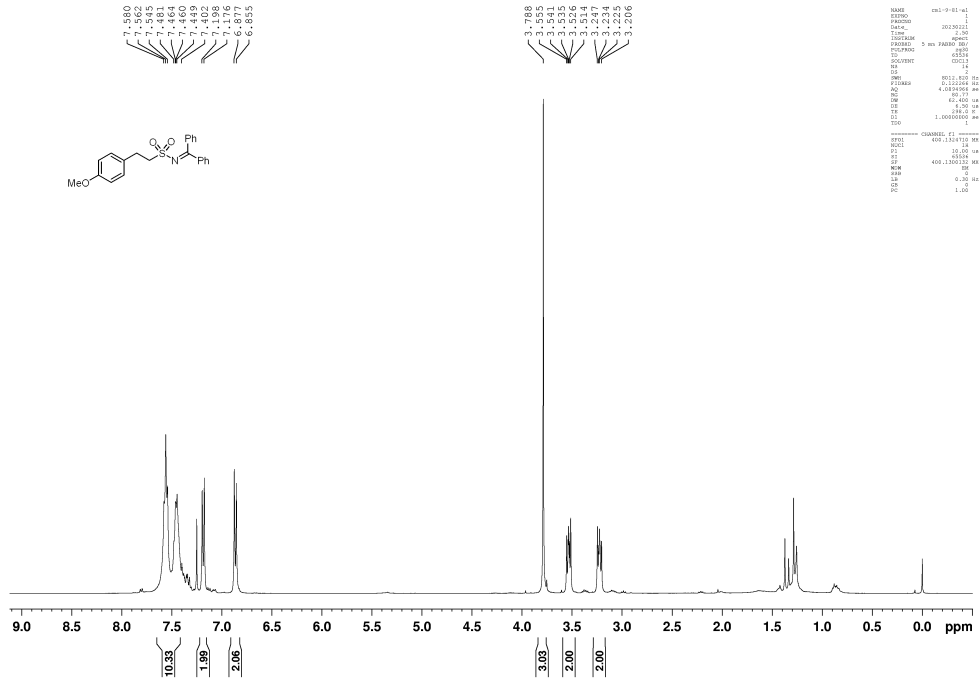


```
NAME: 081-9-76-e
EXPNO: 2
PROCNO: 1
PROCPS: 3220204
TIME: 21.43
INSTRUM: spect
PROBHD: 5 mm QNP5MM
PULPROG: zgpg30
SOLVENT: CDCl3
NS: 12
DS: 4813.628 Hz
F2: 101.254 MHz
AQ: 0.1897664 sec
RG: 327.11
DM: 62.100 uvez
DE: 6.200 uvez
TE: 300.2 K
TD: 1,000,000.000
SI: 1
===== CHANNEL f1 =====
NUC1: 13C
P1: 0.100 usec
PL1: 0.00 dB
NUC2: 1H
P2: 13.000 usec
PL2: 0.00 dB
PC: 1.00
```


***N*-(2-(1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)ethyl)-1,3-dioxoisindolin-4-yl)acetamide (5aa)**



N-(diphenylmethylene)-2-(4-methoxyphenyl)ethane-1-sulfonamide (3ak')



Supplementary References

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2. (a) M. Yang, W. Wang, Y. Liu, L. Feng and X. Ju, *Chin. J. Chem.*, 2014, **32**, 833–837;
(b) H.-W. Man, P. Schafer, L. M. Wong, R. T. Patterson, L. G. Corral, H. Raymon, K. Blease, J. Leisten, M. A. Shirley, Y. Tang, D. M. Babusis, R. Chen, D. Stirling and G. W. Mulle, *J. Med. Chem.*, 2009, **52**, 1522–1524.