Supporting Information

Ritter Type Reactions of *N*-Chlorosaccharin: A Method for the Electrophilic Diamination of Alkenes

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General method for the reaction of N-chlorosaccharin with alkenes

A solution of alkene (2.0 mmol, 1.0 eq) in anhydrous acetonitrile (10 mL) was cooled to -42°C in a dry ice-acetonitrile bath. To the cooled solution was added *N*-chlorosaccharin (2.2 mmol, 1.1 eq, 479 mg) in one portion. The reaction mixture was stirred vigorously under nitrogen at -42°C for two hours. Potassium ethoxide (24 % solution in ethanol, 2.2 mmol, 1.1 eq, 862 µL) was added dropwise, and the reaction mixture was allowed to warm to room temperature over four hours. The reaction was quenched with 2M hydrochloric acid (5 drops), and the solvent was removed *in vacuo*. The viscous residue was partitioned between ethyl acetate (50 mL) and concentrated sodium bicarbonate solution (10 mL). The aqueous layer was washed with ethyl acetate (3 x 20 mL) and the combined organic layers were washed with brine (20 mL). The combined extracts were dried over anhydrous magnesium sulphate and concentrated *in vacuo* to give a viscous residue that was purified by flash chromatography on silica (30-50% EtOAc: *n*-hexane; aziridines eluting first).

2-{{1-(2-Chloro-cyclohexylamino)-ethylidene}sulfinamoyloxy}-benzoic acid ethyl ester (5)

The *title compound* (513 mg, 66 %) was obtained as a pale yellow solid. m/z (ES⁺) 387 (MH⁺) Found M+H 387.1149 C₁₇H₂₃N₂O₄SCl requires $M+I$ 387.1147; $\nu_{\max}$ (film)/cm⁻¹ 1726 (C=O), 1542 (C=N), 1251, 1116, 1063; δ_H (400 MHz; dmso-*d*6) 8.90 (1H, d, J 8, NH), 7.93-7.86 (1H, m, Ar H), 7.69-7.61 (2H, m, Ar H), 7.58-7.50 (1H, m, Ar H), 4.26 (2H, q, J 7, OCH₂), 3.97-3.79 (2H, m, J 4 and 10, NCH and ClCH), 2.24-2.14 (1H, m, CHH), 2.21 (3H, s, CCH₃), 1.97-1.89 (1H, m, CHH), 1.71-1.55 (3H, m, 3 x CHH), 1.35-1.11 (3H, m, 3 x CHH), 1.27 (3H, t, J 7, CH₂CH₃) ; δ_C (100 MHz, dmso-*d*6) 168.6 (C=O), 165.2 (C=N), 141.3 (C), 131.9 (C), 131.9 (CH), 130.7 (CH), 128.6, 127.8 (CH), 61.6 (CH₂), 56.6 (CH), 35.9 (CH₂), 31.6 (CH₂), 25.1 (CH₂), 23.8 (CH₂), 20.7 (CH₃), 14.2 (CH₃)

2-(2-Methyl-4-phenyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester (6)

The *title compound* (447 mg, 60 %) was obtained as a colourless gum. m/z (ES⁺) 373 (MH⁺) Found 373.1216. C₁₉H₂₀N₂O₄S requires $M+I$ 373.1222; $\nu_{\max}$ (film)/cm⁻¹ 1730 (C=O), 1649 (C=N), 1257, 1165, 1112; δ_H (400 MHz; CDCl₃) 7.94-7.88 (1H, m, Ar H), 7.70-7.57 (3H, m, Ar H), 7.34-7.14 (5H, m, Ar H), 5.11-5.02 (1H, m, J 9 and 1, NCH), 4.42 (2H, q, J 7, OCH₂), 4.23 (1H, app t, J 10, NCHH), 3.73 (1H, dd, J 10 and 8, NCHH), 2.39 (1H, d, J 1, CH₃), 1.40 (3H, t, J 7, CH₂CH₃); δ_C (100 MHz, CDCl₃) 167.1 (C=O), 156.2 (C=N), 141.6 (C), 137.1 (C), 133.6 (C), 133.3 (CH), 130.7 (CH), 129.4 (CH), 128.7 (2 x CH), 127.7 (CH), 126.6 (CH), 67.0 (CH), 62.6 (CH₂), 55.4 (CH₂), 17.1 (CH₃), 14.0 (CH₃)

2-(2-Phenyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester (7)

The *title compound* (43 mg, 7 %) was obtained as a colourless gum. (Found: C, 60.25; H, 5.46; N, 4.10. $C_{17}H_{17}NO_4S$ requires C, 61.61; H, 5.17; N, 4.23). m/z (ES⁺) 332 (MH⁺) Found M+H 332.0959. $C_{17}H_{17}NO_4S$ requires $M+1$ 332.0957; $\nu_{\max}$ (film)/cm⁻¹ 1729 (C=O), 1292, 1112, 908; δ_H (400 MHz; CDCl₃) 8.15-8.10 (1H, m, Ar H), 7.69-7.56 (3H, m, Ar H), 7.36-7.23 (5H, m, Ar H), 4.38 (2H, q, J 7, OCH₂), 3.93 (1H, dd, J 7 and 5, NCH), 3.11 (1H, d, J 7, NCHH), 2.50 (1H, d, J 5, NCHH), 1.35 (3H, t, J 7, CH₃); δ_C (100 MHz, CDCl₃) 167.3 (C=O), 135.8 (C), 135.1 (C), 133.8 (C), 133.3 (CH), 130.5 (CH), 129.9 (CH), 128.9 (CH), 128.5 (CH), 128.4 (CH), 126.7 (CH), 62.4 (CH₂), 41.6 (CH), 40.0 (CH₂), 14.0 (CH₃)

2-(2-Methyl-3a,4,5,6,7,7a-hexahydro-benzoimidazole-1-sulfonyl)-benzoic acid ethyl ester (8)

To a stirred solution of **5** (139 mg, 0.40 mmol) in anhydrous DMF (3 ml) was added sodium hydride (60 % in dispersion oil, 19 mg, 0.48 mmol). The reaction was stirred at room temperature for 3h before being cooled to 0°C, and quenched by the dropwise addition of water. The solution was added to water (10ml) and extracted with EtOAc (3 x 10 ml). The combined organic extracts were washed with brine and dried over anhydrous magnesium sulfate. The crude product was purified by flash column chromatography on silica (33 % EtOAc in *n*-hexane) to yield the *title compound* (89 mg, 71 %) as a colourless gum. m/z (ES⁺) 351 (MH⁺) Found M+H 351.1368 $C_{17}H_{22}N_2O_4S$ requires $M+1$ 351.1380; $\nu_{\max}$ (film)/cm⁻¹ 1731 (C=O), 1642 (C=N),

1257, 1165, 1107; δ_{H} (400 MHz; CDCl_3) 7.92-7.87 (1H, m, Ar H), 7.69-7.58 (3H, m, Ar H), 4.42 (2H, q, J 7, OCH_2), 4.12 (1H, ddd, J 6, 6 and 6, NCH), 3.83-3.76 (1H, m, NCH), 2.28 (3H, d, J 1, CCH_3), 2.12-1.99 (2H, m, 2 x CHH), 1.71-1.31 (5H, m, 5 x CHH), 1.40 (3H, t, J 7, CH_2CH_3), 1.22-1.09 (1H, m, CHH) ; δ_{C} (100 MHz, CDCl_3) 167.4 (C=O), 156.3 (C=N), 138.6 (C), 133.7 (C), 133.4 (CH), 131.0 (CH), 129.8 (CH), 128.9 (CH), 63.3 (CH), 62.9 (CH_2), 61.3 (CH), 28.7 (CH_2), 27.4 (CH_2), 20.9 (CH_2), 20.3 (CH_2), 18.0 (CH_3), 14.4 (CH_3)

2-[[1-(6-Chloro-cyclohex-3-enylamino)-ethylidene]sulfinamoyloxy]-benzoic acid ethyl ester (9)

The *title compound* (469 mg, 61 %) was obtained as a colourless gum. m/z (CI) 385 (MH^+) Found $M+H$ 385.0983 $\text{C}_{17}\text{H}_{22}\text{N}_2\text{O}_4\text{SCl}$ requires $M+1$ 385.0989; ν_{max} (film)/ cm^{-1} ; 1721 (C=O), 1545, 1276, 1110, 773; δ_{H} (300 MHz; CD_3OD) 7.93-7.87 (1H, m, Ar H), 7.55-7.42 (3H, m, Ar H), 5.54-5.40 (2H, m, $\text{CH}=\text{CH}$), 4.25 (2H, q, J 7.1, OCH_2), 4.18-4.03 (2H, m, CHN and CHCl), 2.64-2.42 (2H, m, 2 x CHH), 2.37-2.23 (1H, m, CHH), 2.22 (3H, s, CH_3), 1.97-1.85 (1H, m, CHH), 1.25 (3H, t, J 7.0, CH_2CH_3); δ_{C} (75 MHz, CD_3OD) 169.5 (C=N), 167.6 (C=O), 142.1 (C), 133.5 (C), 133.0 (CH), 131.6 (CH), 129.9 (CH), 129.0 (CH), 125.4 (CH), 125.1 (CH), 63.2 (CH_2), 57.7 (CH), 53.7 (CH), 35.5 (CH_2), 31.0 (CH_2), 20.9 (CH_3), 14.5 (CH_3)

2-[1-(2-Chloro-1,2,3,4-tetrahydro-naphthalen-1-ylamino)-ethylidenesulfamoyl]-benzoic acid ethyl ester (10)

The *title compound* (487 mg, 56 %) was obtained as a white solid, which was recrystallised from EtOAc-hexane (mp.124-127°C) m/z (CI) 435 (MH^+) Found 435.1143 ($M+H$) $\text{C}_{21}\text{H}_{24}\text{N}_2\text{O}_4\text{SCl}$ requires $M+1$ 435.1145; ν_{max} (film)/ cm^{-1} 1730 (C=O), 1531, 1278, 1113, 748; δ_{H} (400 MHz; $\text{dms}-d_6$) 9.26 (1H, d, J 7.7, NH), 7.96-

7.92 (1H, m, Ar H), 7.68-7.64 (2H, m, Ar H), 7.60-7.55 (1H, m, Ar H), 7.25-7.13 (4H, m, Ar H), 5.18-5.10 (1H, dd, J 7.3 and 5.9, CHN), 4.46 (1H, ddd, J 2.6, 5.1 and 6.2, CHCl), 4.28 (2H, q, J 7.3, OCH₂), 2.97-2.78 (2H, m, CH₂), 2.37-2.20 (4H, m, CHH and CH₃), 2.13-2.02 (1H, m, CHH), 1.26 (3H, t, J 7.4, CH₃); δ_C (100 MHz, dms o - d_6) 168.0 (C), 165.8 (C), 140.8 (C), 136.2 (C), 132.3 (C), 131.7 (C), 130.7 (CH), 129.2 (CH), 128.8 (CH), 128.4 (CH), 128.1 (CH), 127.7 (CH), 127.3 (CH), 127.0 (CH), 62.0 (CH₂), 58.9 (CH), 55.7 (CH), 28.2 (CH₂), 25.6 (CH₂), 20.7 (CH₃), 14.4 (CH₃)

2-[1-(2-Chloro-cyclooctylamino)-ethylidenesulfamoyl]-benzoic acid ethyl ester (11)

The *title compound* (183 mg, 22 %) was obtained as a colourless gum. m/z (ES⁺) 415 (MH⁺) Found $M+H$ 415.1455 C₁₉H₂₇N₂O₄SCl requires $M+I$ 415.1458; $\nu_{\max}$ (film)/cm⁻¹ 1727 (C=O), 1544 (C=N), 1439, 1281, 1116; δ_H (400 MHz; CD₃OD) 9.08-9.01 (1H, m, Ar H), 8.70-8.63 (2H, m, Ar H), 8.62-8.55 (1H, m, Ar H), 5.38 (2H, q, J 8, OCH₂), 5.26 (1H, ddd, J 6, 6 and 6, CHN), 5.05-4.96 (1H, m, CHCl), 3.30 (3H, s, CH₃), 3.15-3.00 (4H, m, 4 x CHH), 2.85-2.64 (6H, m, 6 x CHH), 2.64-2.46 (2H, m, 2 x CHH), 2.39 (3H, t, J 8, CH₃) ; δ_C (100 MHz, CD₃OD) 169.4 (C=O), 157.0 (C=N), 139.0 (C), 135.9 (C), 135.2 (CH), 132.9 (CH), 131.6 (CH), 129.9 (CH), 70.9 (CH), 67.6 (CH), 64.9 (CH₂), 33.6(CH₂), 33.4(CH₂), 32.9(CH₂), 29.2(CH₂), 28.5, (CH₂), 27.7, (CH₂), 19.8 (CH₃), 16.3 (CH₃)

2-(9-Aza-bicyclo[6.1.0]nonane-9-sulfonyl)-benzoic acid ethyl ester (12)

The *title compound* (41 mg, 6%) was obtained as a colourless gum. m/z (ES⁺) 338 (MH⁺) Found $M+H$ 338.1424. C₁₇H₂₃NO₄S requires $M+I$ 338.1426; $\nu_{\max}$ (film)/cm⁻¹ 1731 (C=O), 1291, 1256, 1160, 1114; δ_H (400 MHz; CDCl₃) 8.12-8.07 (1H, m, Ar H),

7.68-7.50 (3H, m, Ar H), 4.49-4.36 (2H, m, OCH₂), 2.94-2.85 (2H, m, NCH), 2.17-2.07 (2H, m, 2 x CHH), 1.66-1.24 (10H, m, 10 x CHH), 1.40 (3H, t, *J* 7, CH₃); δ_{C} (100 MHz, CDCl₃) 167.6 (C=O), 136.6 (C), 133.6 (C), 132.9 (CH), 130.4 (CH), 129.6 (CH), 128.8 (CH), 62.4 (CH₂), 44.9 (CH), 26.5 (CH₂), 26.3 (CH₂), 25.4 (CH), 14.1 (CH₃)

2-[2,4-Dimethyl-4-(4-methyl-5-oxo-cyclohex-3-enyl)-4,5,7-dihydro-imidazole-1-sulfonyl]-benzoic acid ethyl ester (13) (1:1 mixture of diastereoisomers)

The *title compound* was obtained as a colourless gum (379 mg, 45 %) *m/z* (EI) 418 (MH⁺); ν_{max} (film)/cm⁻¹ 1733 (C=O), 1668, 1169, 907, 725; δ_{H} (300 MHz; CDCl₃) 7.94-7.91 (1H, m, Ar H), 7.72-7.63 (3H, m, Ar H), 6.74-6.62 (1H, m, C=CH), 4.42 (2H, q, *J* 7.1, OCH₂), 3.73 (0.5H, d, *J* 10.1, NCHH), 3.72 (0.5H, d, *J* 9.9, NCHH), 3.44 (0.5H, d, *J* 9.9, NCHH), 3.42 (0.5H, d, *J* 9.9, NCHH), 2.45-2.20 (3H, m, CH and CH₂), 2.30 (3H, s, CH₃), 2.20-1.95 (2H, m, CH₂), 2.09 (3H, s, CH₃), 1.72 (3H, s, CH₃), 1.40 (3H, t, *J* 7.2, CH₂CH₃), 1.19 (1.5H, s, CH₃), 1.18 (1.5H, s, CH₃); δ_{C} (75 MHz, CDCl₃): 199.2 and 199.1 (C=O), 166.9 and 166.8 (C=O), 153.9 and 153.8 (C=N), 144.5 (CH), 136.3 (C), 136.2 (C), 135.3 (C), 133.4 (CH), 130.7 (CH), 129.3 (CH), 128.8 (CH), 62.5 and 62.3 (CH₂), 55.8 and 55.5 (CH₂), 43.9 and 43.7 (CH), 39.1 and 38.9 (CH₂), 26.9 (CH₂), 25.2 and 24.6 (CH₃), 16.9 (CH₃), 15.8 (CH₃), 14.0 (CH₃)

5-(1-Chloromethyl-vinyl)-2-methyl-cyclohex-2-enone (15)

The *title compound* (102 mg, 28 %) was obtained as a colourless viscous oil. (Found: C, 64.79; H, 6.98; Cl, 18.99. $C_{10}H_{13}Cl$ requires C, 65.04; H, 7.10; Cl, 19.20). m/z (CI) 185 (MH^+); ν_{max} (film)/ cm^{-1} 1667 (C=O), 1365, 1107, 901, 749; δ_H (300 MHz; $CDCl_3$) 6.79-6.75 (1H, m, C=CH), 5.27 (1H, br m, C=CHH), 5.07 (1H, d, J 1.2, C=CHH), 4.10 (2H, s, CH_2Cl), 3.05-2.92 (1H, m, CH), 2.72-2.52 (2H, m, CH_2), 2.44-2.26 (2H, m, CH_2), 1.81 (3H, m, J 1.2, CH_3); δ_C (75 MHz, $CDCl_3$): 199.0 (C=O), 146.6 (C), 144.1 (CH), 135.6 (C), 115.2 (CH_2), 46.9 (CH_2), 43.0 (CH_2), 37.8 (CH), 31.3 (CH_2), 15.6 (CH_3)

2-[4-(4-Fluoro-phenyl)-2-methyl-4,5-dihydro-imidazole-1-sulfonyl]-benzoic acid ethyl ester (16)

The *title compound* (352 mg, 45 %) was obtained as a colourless gum. m/z (CI) 391 (MH^+) Found $M+H$ 391.1127 $C_{19}H_{20}N_2O_4FS$ requires $M+I$ 391.1128; ν_{max} (film)/ cm^{-1} 1730 (C=O), 1510, 1357, 1167, 753; δ_H (300 MHz; $CDCl_3$) 7.94-7.91 (1H, m, Ar H), 7.68-7.61 (3H, m, Ar H), 7.19-7.15 (2H, m, Ar H), 7.00-6.95 (2H, m, Ar H), 5.04 (1H, ddd, J 9.2, 9.2 and 1.5, CH) 4.42 (2H, q, J 7.1, OCH_2), 4.22 (1H, app t, J 9.9, NCHH), 3.68 (1H, dd, J 9.7 and 8.1, NCHH), 2.39 (3H, d, J 1.6, CH_3), 1.38 (3H, t, J 7.2, CH_2CH_3); δ_C (75 MHz, $CDCl_3$) 166.9 (C=O), 163.3 and 160.6 (CF), 156.4 (C=N), 137.5 (C), 136.5 (C), 136.4 (C), 133.4 (CH), 130.7 (CH), 129.4 (CH), 128.9 (CH), 128.2 (CH), 128.1 (CH), 115.6 (CH), 115.4 (CH), 66.2 (CH), 62.6 (CH_2), 55.3 (CH_2), 17.0 (CH_3), 14.0 (CH_3).

**2-[2-Acetylamino-2-(4-fluoro-phenyl)-ethylsulfamoyl]-benzoic acid ethyl ester
(17)**

A stirred solution of **16** (261 mg, 0.67 mmol) in a 1:1 mixture of 2M HCl and EtOH (6 ml) was heated to 80 °C for 30 minutes. The solution was allowed to cool to room temperature and neutralised by the portionwise addition of sodium bicarbonate. The solution was diluted with brine (20 ml) and extracted with ethyl acetate (4 x 10 ml). The combined organic extracts were washed with brine (10 ml) and dried over anhydrous magnesium sulfate. The solution was concentrated *in vacuo* to give the *title compound* (259 mg, 95 %) as a colourless gum. *m/z* (CI) 409 (MH⁺) Found M+H 409.1223 C₁₉H₂₂N₂O₅SF requires *M*+1 409.1233; $\nu_{\max}$ (film)/cm⁻¹ 1713 (C=O), 1649, 1510, 1159, 756; δ_{H} (270 MHz; CDCl₃) 8.10-7.97 (1H, m, Ar H), 7.85-7.77 (1H, m, Ar H), 7.70-7.58 (2H, m, Ar H), 7.28-7.13 (2H, m, Ar H), 7.04-6.88 (2H, m, Ar H), 6.60 (1H, d, *J* 7.3, NH), 6.24 (1H, t, *J* 6.3, NH), 5.02 (1H, dt, *J* 5.6 and 7.6), 4.38 (2H, q, *J* 7.2, OCH₂), 3.42-3.17 (2H, m, NCH₂), 1.97 (3H, s, CH₃), 1.37 (3H, t, *J* 6.9, CH₃); δ_{C} (75 MHz, CDCl₃) 170.0 (C=O), 164.4 (C=O), 163.8 and 160.6 (CF), 138.5 (C), 134.7 (C), 134.7 (C), 132.6 (CH), 131.7 (CH), 130.8 (CH), 129.6 (CH), 128.3 (CH), 115.8 and 115.5 (CHCF), 62.7 (CH₂), 52.1 (CH), 47.7 (CH₂), 23.2 (CH₃), 13.9 (CH₃)

2-[4-(2-Chloro-phenyl)-2-methyl-4,5-dihydro-imidazole-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (357 mg, 44 %) was obtained as a colourless gum. *m/z* (CI) 407 (MH⁺) Found M+H 407.0830 C₁₉H₂₀N₂O₄SCl requires *M*+1 407.0832; $\nu_{\max}$ (film)/cm⁻¹ 1729 (C=O), 1647, 1258, 1163, 755; δ_{H} (300 MHz; CDCl₃) 7.89-7.86 (1H, m, Ar H), 7.66-7.58 (3H, m, Ar H), 7.35-7.19 (4H, m, Ar H), 5.41 (1H, ddd, *J* 10.4,

8.2 and 1.6, NCH), 4.41 (2H, q, J 7.1, OCH₂), 4.37 (1H, app t, J 10.9, NCHH), 3.58 (1H, dd, J 9.9 and 8.1, NCHH), 2.42 (3H, d, J 1.7, CH₃), 1.39 (3H, t, J 7.2, CH₂CH₃)
 δ_c (75 MHz, CDCl₃) 166.7 (C=O), 157.4 (C=N), 139.6 (C), 136.6 (C), 133.4 (C), 133.3 (CH), 130.7 (CH), 129.5 (CH), 129.3 (CH), 128.7 (CH), 128.6 (CH) 127.7 (CH), 122.2 (C), 127.1 (CH), 64.0 (CH), 62.6 (CH₂), 54.6 (CH₂), 17.1 (CH₃), 13.9 (CH₃)

2-[4-(4-Chloro-phenyl)-2-methyl-4,5-dihydro-imidazole-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (378 mg, 46 %) was obtained as a colourless gum. m/z (EI) 407 (MH⁺); $\nu_{\max}$ (film)/cm⁻¹ 1729 (C=O), 1647, 1258, 1163, 755; δ_H (300 MHz; CDCl₃) 7.94-7.90 (1H, m, Ar H), 7.68-7.58 (3H, m, Ar H), 7.28-7.24 (2H, m, Ar H), 7.16-7.12 (2H, m, Ar H), 5.04 (1H, ddd, J 9.9, 8.5 and 1.5, NCH), 4.40 (2H, q, J 7.2, OCH₂), 4.22 (1H, app t, J 10.1, NCHH), 3.67 (1H, dd, J 9.9 and 8.0, NCHH), 2.39 (3H, d, J 1.7, CH₃), 1.39 (3H, t, J 7.2, CH₂CH₃); δ_c (75 MHz, CDCl₃) 166.8 (C=O), 156.7 (C=N), 140.1 (C), 136.4 (C), 133.5 (C), 133.4 (C), 133.4 (CH), 132.6 (CH), 131.7 (CH), 130.8 (CH), 129.5 (CH), 128.9 (CH), 66.2 (CH), 62.6 (CH₂), 55.2 (CH₂), 17.0 (CH₂), 14.0 (CH₂)

2-[2-(4-Chloro-phenyl)-aziridine-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (63 mg, 9 %) was obtained as a colourless gum. m/z (EI) 365 (MH⁺) $\nu_{\max}$ (film)/cm⁻¹ 1728 (C=O), 1257, 1164, 907, 681; δ_H (300 MHz; CDCl₃) 8.13-8.10 (1H, m, Ar H), 7.69-7.57 (3H, m, Ar H), 7.30-7.19 (4H, m, Ar H), 4.38

(2H, q, J 7.2, OCH₂), 3.90 (1H, dd, J 7.1 and 4.4, NCH), 3.11 (1H, d, J 6.7, NCHH), 2.46 (1H, d, J 4.6, NCHH), 1.35 (3H, t, J 7.1, CH₂CH₃); δ_c (75 MHz, CDCl₃) 167.2 (C=O), 135.6 (C), 134.2 (C), 133.8 (C), 133.7 (C), 133.4 (CH), 130.6 (CH), 129.9 (CH), 128.9 (CH), 128.7 (CH), 128.0 (CH), 62.5 (CH₂), 40.9 (CH), 37.0 (CH₂), 14.0 (CH₃)

2-[4-(2-Bromo-phenyl)-2-methyl-4,5-dihydro-imidazole-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (313 mg, 35 %) was obtained as a colourless gum. m/z (CI) 451 (MH⁺) Found M+H 451.0336 C₂₀H₂₃N₂O₄S requires $M+1$ 451.0327; $\nu_{\max}$ (film)/cm⁻¹ 1731 (C=O), 1651, 1362, 1166, 752; δ_H (300 MHz; CDCl₃) 7.89-7.86 (1H, m, Ar H), 7.66-7.50 (3H, m, Ar H), 7.28-7.11 (4H, m, Ar H), 5.38 (1H, ddd, J 9.7, 9.2 and 1.7, NCH), 4.41 (2H, q, J 7.2, OCH₂), 4.39 (1H, app t, J 10.3, NCHH), 3.56 (1H, dd, J 9.9 and 7.9, NCHH), 2.42 (3H, d, J 1.6, CH₃), 1.39 (3H, t, J 7.1, CH₂CH₃); δ_c (75 MHz, CDCl₃) 166.7 (C=O), 156.4 (C=N), 141.3 (C), 136.5 (C), 133.4 (C), 132.5 (CH), 130.6 (CH), 129.4 (CH), 129.0 (CH), 128.7 (CH), 128.6 (CH), 127.8 (CH), 127.7 (CH), 122.4 (C), 66.0 (CH), 62.5 (CH₂), 54.6 (CH₂), 17.1 (CH₃), 14.0 (CH₃)

2-[2-(4-Fluoro-phenyl)-aziridine-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (90 mg, 13 %) was obtained as a colourless gum. (Found: C, 58.62; H, 4.61; N, 4.00; S, 8.99. C₁₇H₁₆NO₄FS requires C, 58.44; H, 4.62; N, 4.01; S, 9.18). m/z (CI) 349 (MH⁺) Found M+H 349.0777 C₁₇H₁₆NO₄FS requires $M+1$ 349.0784; $\nu_{\max}$ (film)/cm⁻¹ 1728 (C=O), 1513, 1163, 837, 861; δ_H (300 MHz; CDCl₃)

8.13-8.10 (1H, m, Ar H), 7.69-7.57 (3H, m, Ar H), 7.27-7.23 (2H, m, Ar H), 7.02-6.96 (2H, m, Ar H), 4.38 (2H, q, J 7.1, OCH₂), 3.91 (1H, dd, J 7.3 and 4.7, NCH), 3.11 (1H, dd, J 7.2 and 0.4, NCHH), 2.46 (1H, dd, J 4.6 and 0.5, NCHH), 1.35 (3H, t, J 7.2, CH₂CH₃); δ_c (75 MHz, CDCl₃) 167.3 (C=O), 164.3 and 161.1 (CF), 135.6 (C), 133.8 (C), 133.4 (CH), 130.9 (C), 130.6 (CH), 129.9 (CH), 128.9 (CH), 128.4 (CH), 115.7 (CH), 115.4 (CH), 62.4 (CH₂), 40.9 (CH), 37.0 (CH₂), 14.0 (CH₃).

2-(2-Methyl-4-m-tolyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (363 mg, 47 %) was obtained as a colourless gum. m/z (CI) 387 (MH⁺) Found M+H 387.1364 C₂₀H₂₃N₂O₄S requires $M+1$ 387.1379; $\nu_{\max}$ (film)/cm⁻¹ 1732 (C=O), 1647 (C=N), 1258, 1167, 727; δ_H (300 MHz; CDCl₃) 7.92-7.89 (1H, m, Ar H), 7.67-7.58 (3H, m, Ar H), 7.26-6.97 (4H, m, Ar H), 5.03 (1H, ddd, J 9.3, 9.3 and 1.6, NCH), 4.12 (1H, ddd, J 6, 6 and 6, NCH), 4.42 (2H, q, J 7.2, OCH₂), 4.20 (1H, app t, J 10.1, NCHH), 3.71 (1H, dd, J 9.7 and 9.7, NCHH), 2.38 (3H, d, J 1.6, CH₃), 2.30 (3H, s, ArCH₃), 1.39 (3H, t, J 7.1, CH₂CH₃); δ_c (100 MHz, CDCl₃) 166.8 (C=O), 156.1 (C=N), 141.5 (C), 138.5 (C), 136.7 (C), 133.6 (C), 133.3 (CH), 130.7 (CH), 129.4 (CH), 128.9 (CH), 128.7 (CH), 128.6 (CH), 127.2 (CH), 123.6 (CH), 66.9 (CH), 62.5 (CH₂), 55.3 (CH₂), 21.4 (CH₃), 17.0 (CH₃), 14.0 (CH₃)

2-(2-m-Tolyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* was obtained as a colourless gum (68 mg, 10 %) m/z (CI) 345 (MH⁺) Found M+H 345.1043 C₁₈H₁₉NO₄S requires $M+1$ 345.1035; $\nu_{\max}$ (film)/cm⁻¹

1729 (C=O), 1256, 1163, 1291, 683; δ_{H} (300 MHz; CDCl_3) 8.14-8.11 (1H, m, Ar H), 7.68-7.56 (3H, m, Ar H), 7.26-7.06 (4H, m, Ar H), 4.38 (2H, q, J 7.2, OCH_2), 3.89 (1H, dd, J 7.2 and 4.6, NCH), 3.09 (1H, d, J 7.1, NCHH), 2.48 (1H, d, J 4.7, NCHH), 2.31 (3H, s, CH_3), 1.35 (3H, t, J 7.1, CH_2CH_3); δ_{C} (100 MHz, CDCl_3) 167.3 (C=O), 138.2 (C), 135.8 (C), 134.9 (C), 133.8 (C), 133.3 (CH), 130.5 (CH), 129.9 (CH), 129.1 (CH), 128.9 (CH), 128.4 (CH), 127.2 (CH), 123.8 (CH), 62.4 (CH_2), 41.5 (CH), 36.9 (CH_2), 21.3 (CH_3), 13.9 (CH_3)

2-(2-Methyl-4-p-tolyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (156 mg, 24 %) was obtained as a colourless gum. m/z (CI) 387 (MH^+); ν_{max} (film)/ cm^{-1} 1730 (C=O), 1648 (C=N), 1258, 1165, 752; δ_{H} (300 MHz; CDCl_3) 7.91-7.88 (1H, m, Ar H), 7.66-7.57 (3H, m, Ar H), 7.14-7.06 (4H, m, Ar H), 5.03 (1H, ddd, J 10.1, 8.4, 1.6, NCH), 4.41 (2H, q, J 7.2, OCH_2), 4.20 (1H, app t, J 9.9, NCHH), 3.70 (1H, dd, J 9.8 and 8.3, NCHH), 2.38 (3H, d, J 1.6, CH_3), 2.31 (3H, s, CH_3), 1.39 (3H, t, J 7.1, CH_3); δ_{C} (75 MHz, CDCl_3) 166.8 (C=O), 155.8 (C=N), 138.5 (C), 137.2 (C), 136.5 (C), 133.4 (C), 133.2 (CH), 130.6 (CH), 129.3 (CH), 128.6 (CH), 127.5 (CH), 126.9 (CH), 66.7 (CH), 62.5 (CH_2), 55.3 (CH_2), 20.9 (CH_3), 16.9 (CH_3), 13.9 (CH_3)

2-(2-p-Tolyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (156 mg, 23 %) was obtained as a colourless gum. m/z (CI) 346 (MH^+) Found $M+H$ 346.1102 $\text{C}_{18}\text{H}_{20}\text{NO}_4\text{S}$ requires $M+H$ 346.1113; ν_{max} (film)/ cm^{-1} 1729 (C=O), 1291, 1256, 1162, 683; δ_{H} (300 MHz; CDCl_3) 8.13-8.10 (1H, m, Ar H), 7.65-7.55 (3H, m, Ar H), 7.25-7.09 (4H, m, Ar H), 4.38 (2H, q, J 7.1, OCH_2), 3.89 (1H, dd, J 7.4 and 4.8, NCH), 3.09 (1H, d, J 7.0, NCHH), 2.48 (1H, d, J 4.8, NCHH),

2.31 (3H, s, CH₃), 1.28 (3H, t, *J* 7.2, CH₂CH₃); δ_{C} (75 MHz, CDCl₃) 167.3 (C=O), 138.2 (C), 135.9 (C), 133.8 (C), 133.3 (CH), 132.0 (C), 130.5 (CH), 129.8 (CH), 129.2 (CH), 128.8 (CH), 126.6 (CH), 62.4 (CH₂), 41.6 (CH), 36.8 (CH₂), 21.2 (CH₃), 13.9 (CH₃)

2-[2-(2,4,6-Trimethyl-phenyl)-aziridine-1-sulfonyl]-benzoic acid ethyl ester

The *title compound* (367 mg, 49 %) was obtained as a pale yellow gum. *m/z* (CI) 373 (MH⁺) Found M+H 373.1341 C₂₀H₂₃NO₄S requires *M+1* 373.1348; ν_{max} (film)/cm⁻¹ 1721 (C=O), 1282, 1165, 900, 739; δ_{H} (300 MHz; CDCl₃) 8.15-8.12 (1H, m, Ar H), 7.69-7.55 (3H, m, Ar H), 6.78 (1H, s, Ar H), 4.41 (2H, q, *J* 7.3, OCH₂), 3.95 (1H, dd, *J* 7.1 and 5.1, NCH), 3.13 (1H, d, *J* 7.1, NCHH), 2.32 (6H, s, 2 x CH₃), 2.28 (1H, d, *J* 5.1, NCHH), 2.22 (3H, s, CH₃), 1.36 (3H, t, *J* 7.2, CH₂CH₃); δ_{C} (75 MHz, CDCl₃) 167.3 (C=O), 137.4 (CH), 137.3 (C), 135.7 (C), 133.9 (C), 133.3 (CH), 130.4 (CH), 129.9 (C), 129.1 (CH), 128.5 (CH), 128.2 (C), 62.3 (CH₂), 50.0 (CH), 36.4 (CH₂), 20.7 (CH₃), 20.0 (CH₃), 13.9 (CH₃)

2-(2,4-Dimethyl-4-phenyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (154 mg, 20 %) was obtained as a colourless gum. *m/z* (CI) 387 (MH⁺) Found M+H 387.1372 C₂₀H₂₃N₂O₄S requires *M+1* 387.1379; ν_{max} (film)/cm⁻¹ 1732 (C=O), 1649, 1358, 1256, 1167; δ_{H} (300 MHz; CDCl₃) 7.84-7.81 (1H, m, Ar H), 7.63-7.54 (3H, m, Ar H), 7.35-7.20 (5H, m, Ar H), 4.40 (2H, q, *J* 7.1, OCH₂), 4.00-3.84 (2H, m, NCH₂), 2.39 (3H, s, CH₃), 1.50 (3H, s, CH₃), 1.38 (3H, t, *J* 7.2, CH₂CH₃); δ_{C} (75 MHz, CDCl₃) 166.8 (C=O), 154.4 (C=N), 146.2 (C), 136.6 (C),

133.4 (C), 133.3 (CH), 130.7 (CH), 129.4 (CH), 128.6 (CH), 128.4 (CH), 127.5 (CH), 125.1 (CH), 69.9 (C), 62.5 (CH₂), 60.7 (CH₂), 29.6 (CH₃), 17.1 (CH₃), 14.0 (CH₃)

2-(4-Butyl-2-methyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (311 mg, 44 %) was obtained as a colourless gum. *m/z* (ES+) 353 (MH⁺) Found M+H 353.1530. C₁₇H₂₄N₂O₄S requires *M+I* 353.1535; $\nu_{\max}$ (film)/cm⁻¹ 1732 (C=O), 1652 (C=N), 1356, 1257, 1113; δ_{H} (400 MHz; CDCl₃) 7.85 (1H, m, Ar H), 7.65 (3H, m, Ar H), 4.42 (2H, q, *J* 7, OCH₂), 3.90 (2H, m, CHN and CHHN), 3.44 (1H, dd, *J* 9 and 7, NCHH), 2.28 (3H, d, *J* 1, CCH₃), 1.58 (1H, m, CHH), 1.40 (3H, t, *J* 7, OCH₂CH₃), 1.28 (5H, m, CHH), 0.86 (3H, t, *J* 7, CH₃); δ_{C} (100 MHz, CDCl₃) 167.0 (C=O), 154.8 (C=N), 136.7 (C), 133.6 (C), 133.2 (CH), 130.7 (CH), 129.4 (CH), 128.4 (CH), 63.9 (CH), 62.6 (OCH₂), 53.1 (NCH₂), 35.5 (CH₂), 27.8 (CH₂), 22.6 (CH₂), 17.0 (CH₃), 14.0 (CH₃), 13.9 (CH₃)

2-(2-Butyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (45 mg, 7 %) was obtained as a colourless gum. *m/z* (ES+) 312 (MH⁺) Found M+H 312.1276. C₁₅H₂₁NO₄S requires *M+I* 312.1270; $\nu_{\max}$ (film)/cm⁻¹ 1733 (C=O), 1327, 1293, 1119; δ_{H} (400 MHz; CDCl₃) 8.10 (1H, m, Ar H), 7.62 (3H, m, Ar H), 4.42 (2H, q, *J* 7, OCH₂), 2.89 (1H, m, CHN), 2.76 (1H, d, *J* 7, CHHN), 2.16 (1H, d, *J* 7, CHHN), 1.60-1.20 (6H, m, 6 x CHH), 1.40 (3H, t, *J* 7, CH₂CH₃), 0.83 (3H, t, *J* 7, CH₃); δ_{C} (67 MHz, CDCl₃) 168.5 (C=O), 140.0 (C), 137.0 (C), 134.3 (CH), 131.5 (CH), 131.0 (CH), 129.7 (CH), 63.5 (CH₂), 42.1 (CH), 36.0 (CH₂), 32.2 (CH₂), 29.8 (CH₂), 23.2 (CH₂), 15.1 (CH₃), 15.0 (CH₃)

2-(2,4,4,5,5-Pentamethyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (350 mg, 47 %) was obtained as a white solid. m/z (ES⁺) 353 (MH⁺) Found M+H 353.1525. C₁₇H₂₄N₂O₄S requires $M+I$ 353.1535; $\nu_{\max}$ (film)/cm⁻¹ 1727 (C=O), 1533 (C=N), 1288, 1154; δ_{H} (400 MHz; CDCl₃) 8.15-8.09 (1H, m, Ar H), 7.60-7.50 (3H, m, Ar H), 4.37 (2H, q, J 7, OCH₂), 2.41 (1H, s, CH₃), 1.38 (3H, t, J 7, CH₃), 1.34 (12H, s, 4 x CH₃); δ_{C} (100 MHz, CDCl₃) 167.3 (C=O), 168.1 (C=N), 141.5 (C), 132.5 (C), 131.8 (CH), 130.6 (CH), 129.1 (CH), 128.5 (CH), 62.3 (CH₂), 47.4 (C), 47.4 (C), 22.8 (CH₃), 20.3 (4 x CH₃), 14.4 (CH₃)

2-(2-Methyl-4-phenethyl-4,5-dihydro-imidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (170 mg, 21 %) was obtained as a colourless gum. m/z (ES⁺) 401 (MH⁺) Found M+H 401.1540 C₂₁H₂₅N₂O₄S requires $M+I$ 401.1535; $\nu_{\max}$ (film)/cm⁻¹ 1732 (C=O), 1651 (C=N), 1257, 1166, 1114; δ_{H} (400 MHz; CDCl₃) 7.90-7.84 (1H, m, Ar H), 7.70-7.59 (3H, m, Ar H), 7.32-7.12 (5H, m, Ar H), 4.42 (2H, q, J 7, OCH₂), 4.00-3.83 (2H, m, CHN and CHHN), 3.48 (1H, dd, J 9 and 7, CHHN), 2.74-2.60 (2H, m, PhCH₂), 2.30 (3H, s, CCH₃), 1.93-1.82 (1H, m, PhCH₂CHH), 1.76-1.60 (1H, m, PhCH₂CHH), 1.40 (3H, t, J 7, CH₂CH₃); δ_{C} (100 MHz, CDCl₃) 166.8 (C=O), 155.0 (C=N), 141.4 (C), 136.8 (C), 133.5 (C), 133.2 (CH), 130.7 (CH), 129.4 (CH), 128.5 (CH), 128.4 (CH), 128.4 (CH), 126.0 (CH), 63.6 (CH), 62.4 (CH₂), 53.4 (CH₂), 37.9 (CH₂), 32.4 (CH₂), 17.4 (CH₃), 14.4 (CH₃)

2-(2-Phenethyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (19 mg, 3 %) was obtained as a pale yellow solid. m/z (ES⁺) 360 (MH⁺) Found M+H 360.1277 C₁₉H₂₁NO₄S requires $M+1$ 360.1270; $\nu_{\max}$ (film)/cm⁻¹ 1732 (C=O), 1326, 1293, 1164; δ_{H} (400 MHz; CDCl₃) 8.13-8.08 (1H, m, Ar H), 7.70-7.53 (3H, m, Ar H), 7.34-7.06 (5H, m, Ar H), 4.42 (2H, q, J 7, OCH₂), 2.98-2.89 (1H, m, J 8, 7 and 5, NCH), 2.77 (1H, d, J 7, NCHH), 2.67 (2H, t, J 8, PhCH₂), 2.15 (1H, d, J 5, NCHH), 1.94-1.70 (2H, m, J 8, PhCH₂CH₂), 1.40 (3H, t, J 7, CH₃) ; δ_{C} (100 MHz, CDCl₃) 167.8 (C=O), 141.2 (C), 136.3 (C), 134.3 (C), 133.6 (CH), 130.8 (CH), 130.2 (CH), 129.0 (CH), 128.9 (CH), 128.7 (CH), 126.5 (CH), 62.8 (CH₂), 40.8 (CH), 35.4 (CH₂), 33.7 (CH₂), 33.3 (CH₂), 14.4 (CH₃)

2-(4,5-Diethyl-2-methyl-4,5-dihydro-imidazol-1-ylsulfanyl)-benzoic acid ethyl ester

The *title compound* (159 mg, 23 %) was obtained as a colourless gum. $\nu_{\max}$ (film)/cm⁻¹ 1728 (C=O), 1650 (C=N), 1292, 1167, 1115; δ_{H} (400 MHz; CDCl₃) 7.83-7.77 (1H, m, Ar H), 7.70-7.53 (3H, m, Ar H), 4.50-4.36 (3H, m, OCH₂), 3.80-3.71 (1H, m, NCH), 3.51-3.42 (1H, m, NCH), 2.33 (3H, s, CH₃), 1.74 (2H, m, CH₂), 1.40 (3H, t, J 7, CH₃), 1.32-1.19 (2H, m, CH₂), 0.87 (3H, t, J 7, CH₃), 0.72 (3H, t, J 7, CH₃); δ_{C} (100 MHz, CDCl₃) 167.7 (C=O), 155.4 (C=N), 136.7 (C), 134.4 (C), 133.4 (CH₂), 130.7 (CH₂), 129.2 (CH₂), 128.7 (CH₂), 71.6 (CH), 65.2 (CH), 62.9 (CH₂), 29.0 (CH₂), 28.3 (CH₂), 18.0 (CH₃), 14.4 (CH₃), 10.1 (CH₃), 8.3 (CH₃)

2-(2,3-Diethyl-aziridine-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (128 mg, 21 %) was obtained as a colourless gum. m/z (ES⁺) 312 (MH⁺) Found M+H 312.1275. C₁₅H₁₂NO₄S requires $M+1$ 312.1270; $\nu_{\max}$ $\nu_{\max}$ (film)/cm⁻¹ 1732 (C=O), 1322, 1292, 1159, 927; δ_{H} (400 MHz; CDCl₃) 8.14-8.07 (1H, m, Ar H), 7.67-7.51 (3H, m, Ar H), 4.50-4.35 (2H, m, OCH₂), 2.70 (2H, m, NCH), 1.96-1.81 (2H, m, 2 x CHH), 1.73-1.59 (2H, m, 2 x CHH), 1.40 (3H, t, J 7, OCH₂CH₃), 1.02-0.90 (6H, m, 2 x CH₃); δ_{C} (100 MHz, CDCl₃) 167.9 (C=O), 139.0 (C), 133.6 (C), 133.0 (CH), 130.6 (CH), 129.5 (CH), 128.9 (CH), 62.6 (CH₂), 52.1 (CH), 23.8 (CH₂), 14.4 (CH₃), 11.9 (CH₃)

2-(2-Methyl-4,5,6,6a-tetrahydro-3aH-cyclopentaimidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (216 mg, 32 %) was obtained as a colourless gum; $\nu_{\max}$ (film)/cm⁻¹ 1715 (C=O), 1647 (C=N), 1261, 1114; δ_{H} (400 MHz; CDCl₃) 7.82-7.68 (1H, m, Ar H), 7.68-7.49 (3H, m, Ar H), 4.54-4.38 (4H, m, OCH₂ and 2 x NCH), 2.30 (3H, s, CH₃), 2.15-1.50 (6H, m, 6 x CHH), 1.44 (3H, t, J 7, CH₃); δ_{C} (100 MHz, CDCl₃) 166.9 (C=O), 156.8 (C=N), 137.1 (C), 133.5 (C), 133.3 (CH), 130.9 (CH), 129.7 (CH), 128.2 (CH), 69.8 (CH), 65.1 (CH), 62.6 (CH₂), 35.5 (CH₂), 33.4 (CH₂), 22.4 (CH₂), 17.0 (CH₃), 14.0 (CH₃)

2-(6-Aza-bicyclo[3.1.0]hexane-6-sulfonyl)-benzoic acid ethyl ester

The *title compound* (93 mg, 16 %) was obtained as a colourless gum. $\nu_{\max}$ (film)/ cm^{-1} 1730 (C=O), 1255, 1158, 869; δ_{H} (400 MHz; CDCl_3) 8.10-8.04 (1H, m, Ar H), 7.86-7.54 (3H, m, Ar H), 4.41 (2H, q, J 7, OCH_2), 3.47 (2H, s, NCH), 2.15-1.85 (3H, m, 3 x CHH), 1.80-1.50 (3H, m, 3 x CHH), 1.43 (3H, t, J 7, CH_3); δ_{C} (67 MHz, CDCl_3) 167.5 (C=O), 137.1 (C), 133.5 (C), 132.9 (CH), 130.4 (CH), 129.4 (CH), 128.9 (CH), 62.4 (CH_2), 47.7 (CH), 27.2 (CH_2), 19.5 (CH_2), 14.0 (CH_3)

2-(2,3a-Dimethyl-3a,4,5,6,7,7a-hexahydro-benzoimidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (311 mg, 43 %) was obtained as a colourless gum. m/z (ES+) 365 (MH^+) Found $M+H$ 365.1548 $\text{C}_{18}\text{H}_{24}\text{N}_2\text{O}_4\text{S}$ requires $M+1$ 365.1535; $\nu_{\max}$ (film)/ cm^{-1} 1732 (C=O), 1358, 1257, 1165, 1111; δ_{H} (400 MHz; CDCl_3) 7.93-7.86 (1H, m, Ar H), 7.73-7.51 (3H, m, Ar H), 4.42 (2H, q, J 7, OCH_2), 3.77 (1H, app t, CH), 2.31 (3H, s, CH_3), 2.08-1.76 (2H, m, 2 x CHH), 1.72-1.10 (6H, m, 6 x CHH), 1.39 (3H, t, J 7, CH_2CH_3), 0.82 (3H, s, CH_3); δ_{C} (100 MHz, CDCl_3) 167.1 (C=O), 154.3 (C=N), 137.1 (C), 134.0 (C), 133.0 (CH), 130.4 (CH), 129.0 (CH), 128.7 (CH), 66.7 (C), 65.4 (CH), 62.5 (OCH_2), 33.4 (CH_2), 28.1 (CH_2), 19.7 (CH_2), 19.0 (CH_2), 17.8 (CH_3), 14.0 (CH_3)

2-(2-Methyl-3a,4,7,7a-tetrahydro-benzoimidazole-1-sulfonyl)-benzoic acid ethyl ester

To a stirred solution of **9** (363 mg, 0.94 mmol) in anhydrous DMF (5 ml) was added sodium hydride (60 % in dispersion oil, 45 mg, 1.13 mmol). The reaction was stirred at room temperature for 5h before being cooled to 0°C, and quenched by the dropwise addition of water. The solution was added to water (10ml) and extracted with EtOAc (3 x 10 ml). The combined organic extracts were washed with brine and dried over anhydrous magnesium sulfate. The crude product was purified by flash column chromatography on silica (33 % EtOAc in *n*-hexane) to yield the *title compound* (304 mg, 92 %) as a colourless gum. *m/z* (CI) 349 (MH⁺) Found M+H 349.1223 C₁₇H₂₁N₂O₄S requires *M*+1 349.1222; $\nu_{\max}$ (film)/cm⁻¹ 1714 (C=O), 1656, 1280, 1162, 1113; δ_{H} (300 MHz; CDCl₃) 7.76-7.74 (1H, m, Ar H), 7.67-7.58 (3H, m, Ar H), 5.89 (2H, m, CH=CH), 4.42 (2H, qd, *J* 7.1 and 2.2, OCH₂), 4.32 (2H, app s, NCH), 2.50-2.25 (4H, m, CH₂), 2.22 (3H, d, *J* 0.6, CH₃), 1.40 (3H, t, *J* 7.2, CH₂CH₃); δ_{C} (75 MHz, CDCl₃) 166.9 (C=O), 156.1 (C=N), 137.4 (C), 133.4 (C), 133.0 (CH), 130.7 (CH), 129.4 (CH), 128.4 (CH), 127.6 (CH), 126.9 (CH), 64.2 (CH), 62.5 (CH₂), 60.0 (CH), 28.2 (CH₂), 27.9 (CH₂), 17.1 (CH₃), 13.9 (CH₃)

2-(2-Methyl-3a,4,5,9b-tetrahydro-naphtho[1,2-*d*]imidazole-3-sulfonyl)-benzoic acid ethyl ester

To a stirred solution of **10** (85 mg, 0.195 mmol) in anhydrous DMF (3 ml) was added sodium hydride (60 % in dispersion oil, 8 mg, 0.20 mmol). The reaction was stirred at room temperature for 5h before being cooled to 0 °C, and quenched by the dropwise addition of water. The solution was added to water (10ml) and extracted with EtOAc (3 x 10 ml). The combined organic extracts were washed with brine and dried over

anhydrous magnesium sulfate. The crude product was purified by flash column chromatography on silica (33 % EtOAc in *n*-hexane) to yield the *title compound* (54 mg, 69 %) as a colourless gum. m/z (CI) 399 (MH^+) Found $M+2H$ 400.1437 $C_{21}H_{24}N_2O_4S$ requires $M+2$ 400.1457; ν_{max} (film)/ cm^{-1} 1731 (C=O), 1651, 1355, 1256, 1165; δ_H (300 MHz; $CDCl_3$) 7.93-7.90 (1H, m, Ar H), 7.68-7.63 (3H, m, Ar H), 7.51-7.49 (1H, m, Ar H), 7.27-7.08 (3H, m, Ar H), 4.96 (1H, dd, J 9.3 and 1.8, NCH), 4.55 (1H, ddd, J 9.0, 8.6 and 5.2, NCH), 4.42 (2H, qd, J 7.1 and 1.0, OCH_2), 2.80-2.50 (2H, m, 2 x CHH), 2.29 (3H, d, J 1.8, CH_3), 2.20-2.05 (1H, m, CHH), 2.00-1.85 (1H, m, CHH), 1.42 (3H, t, J 7.2, CH_2CH_3); δ_C (75 MHz, $CDCl_3$) 167.0 (C=O), 155.1 (C=N), 137.6 (C), 137.5 (C), 133.7 (C), 133.5 (C), 133.2 (CH), 130.7 (CH), 129.7 (CH), 129.5 (CH), 128.2 (CH), 128.1 (CH), 127.1 (CH), 126.8 (CH), 65.4 (CH), 62.6 (CH_2), 60.7 (CH), 28.0 (CH_2), 26.1 (CH_2), 17.2 (CH_3), 14.0 (CH_2)

2-(2-Methyl-3a-phenyl-3a,4,5,6,7,7a-hexahydro-benzoimidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (316 mg, 37 %) was obtained as a colourless gum. m/z (CI) 427 (MH^+) Found $M+H$ 427.1682 $C_{23}H_{27}N_2O_4S$ requires $M+1$ 427.1692; ν_{max} (film)/ cm^{-1} 1732 (C=O), 1656, 1359, 1167, 730; δ_H (300 MHz; $CDCl_3$) 7.38-7.36 (1H, m, Ar H), 7.20-7.01 (8H, m, Ar H), 4.40 (1H, app t, J 6.2, NCH), 4.37 (2H, q, J 7.2, OCH_2), 2.40 (3H, s, CH_3), 2.25-2.00 (3H, m, 3 x CHH), 1.85-1.40 (5H, m, 5 x CHH), 1.38 (3H, t, J 7.1, CH_2CH_3); δ_C (75 MHz, $CDCl_3$) 167.0 (C=O), 155.9 (C=N), 148.2 (C), 136.8 (C), 132.9 (C), 132.6 (CH), 130.2 (CH), 128.7 (CH), 128.0 (CH), 127.4 (CH), 126.6 (CH), 125.2 (CH), 72.2 (C), 66.0 (CH), 62.4 (CH_2), 35.2 (CH_2), 28.5 (CH_2), 19.2 (CH_2), 17.8 (CH_2), 17.7 (CH_3), 13.9 (CH_3)

2-(2-Methyl-1,3-diaza-spiro[4.5]dec-1-ene-3-sulfonyl)-benzoic acid ethyl ester

The *title compound* (202 mg, 28 %) was obtained as a colourless gum. m/z (ES⁺) 365 (MH⁺) Found M+H 365.1538 C₁₈H₂₄N₂O₄S requires $M+1$ 365.1535; $\nu_{\max}$ (film)/cm⁻¹ 1731 (C=O), 1654 (C=N), 1290, 1164, 1114; δ_{H} (400 MHz; CDCl₃) 7.88-7.81 (1H, m, Ar H), 7.70-7.59 (3H, m, Ar H), 4.43 (2H, q, J 7, OCH₂), 3.55 (2H, s, NCH₂), 2.26 (3H, s, CH₃), 1.74-1.64 (2H, m, 2 x CHH), 1.62-1.46 (3H, m, 3 x CHH), 1.46-1.35 (2H, m, 2 x CHH), 1.41 (3H, t, J 7, CH₂CH₃), 1.32-1.17 (3H, m, 3 x CHH); δ_{C} (100 MHz, CDCl₃) 167.3 (C=O), 153.2 (C=N), 137.1 (C), 134.0 (C), 133.5 (CH), 131.0 (CH), 129.8 (CH), 128.7 (CH), 68.7 (C), 62.9 (CH₂), 59.9 (CH₂), 37.8 (CH₂), 25.4 (CH₂), 22.9 (CH₂), 17.5 (CH₃), 14.4 (CH₃)

2-(1-Aza-spiro[2.5]octane-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (9 mg, 1 %) was obtained as a colourless gum. m/z (ES⁺) 324 (MH⁺) Found M+H 324.1282. C₁₆H₂₁NO₄S requires $M+1$ 324.1270; $\nu_{\max}$ (film)/cm⁻¹ 1731 (C=O), 1254, 1160, 1112; δ_{H} (400 MHz; CDCl₃) 8.13-8.07 (1H, m, Ar H), 7.66-7.52 (3H, m, Ar H), 4.42 (2H, q, J 7, OCH₂), 2.53 (2H, NCH₂), 2.07-1.95 (2H, m, 2 x CHH), 1.92-1.81 (2H, m, 2 x CHH), 1.78-1.68 (2H, m, 2 x CHH), 1.65-1.44 (4H, m, 4 x CHH), 1.41 (3H, t, J 7, CH₃); δ_{C} (100 MHz, CDCl₃) 168.2 (C=O), 139.5 (C), 133.7

(C), 133.1 (CH), 131.0 (CH), 129.8 (CH), 129.2 (CH), 62.8 (CH₂), 55.9 (C), 43.3 (CH₂), 33.9 (CH₂), 25.9 (CH₂), 25.6 (CH₂), 14.5 (CH₃)

2-(2-Methyl-4,5,6,7,8,8a-hexahydro-3aH-cycloheptaimidazole-1-sulfonyl)-benzoic acid ethyl ester

The *title compound* (151 mg, 21 %) was obtained as a colourless gum. *m/z* (ES⁺) 365 (MH⁺) Found M+H 365.1519 C₁₈H₂₄N₂O₄S requires *M+1* 365.1536; $\nu_{\max}$ (film)/cm⁻¹ 1718 (C=O), 1647 (C=N), 1279, 1165, 1115; δ_{H} (400 MHz; CDCl₃) 7.80-7.72 (1H, m, Ar H), 7.70-7.56 (3H, m, Ar H), 4.43 (2H, m, OCH₂), 4.23-4.16 (1H, m, NCH), 4.15-4.06 (1H, m, NCH), 2.26 (3H, s, CH₃), 2.13-2.00 (1H, m, CHH), 1.95-1.83 (2H, m, 2 x CHH), 1.79-1.51 (4H, m, 4 x CHH), 1.45-1.16 (3H, m, 3 x CHH), 1.40 (3H, t, *J* 7, CH₂CH₃); δ_{C} (100 MHz, CDCl₃) 167.6 (C=O), 155.2 (C=N), 138.1 (C), 134.1 (C), 133.3 (CH), 131.0 (CH), 129.7 (CH), 128.0 (CH), 69.1 (CH), 65.8 (CH), 63.0 (CH₂), 31.7 (CH₂), 31.5 (CH₂), 31.1 (CH₂), 26.6 (CH₂), 25.8 (CH₂), 17.9 (CH₃), 14.4 (CH₃)

2-(8-Aza-bicyclo[5.1.0]octane-8-sulfonyl)-benzoic acid ethyl ester

The *title compound* (163 mg, 25 %) was obtained as a colourless gum. *m/z* (ES⁺) 324 (MH⁺) Found M+H 324.1276. C₁₆H₂₁NO₄S requires *M+1* 324.1270; $\nu_{\max}$ (film)/cm⁻¹ 1730 (C=O), 1324, 1291, 1256, 1114; δ_{H} (400 MHz; CDCl₃) 8.13-8.08 (1H, m, Ar H), 7.68-7.55 (3H, m, Ar H), 4.42 (2H, q, *J* 7, OCH₂), 3.10-3.03 (2H, m, NCH), 1.96-1.82 (4H, m, 4 x CHH), 1.63-1.43 (5H, m, 5 x CHH), 1.40 (3H, t, *J* 7, CH₃), 1.28-1.17 (1H, m, CHH); δ_{C} (100 MHz, CDCl₃) 166.3 (C=O), 135.3 (C), 132.0 (C), 131.3 (CH), 129.3 (CH), 128.0 (CH), 127.3 (CH), 61.0 (CH₂), 44.0 (CH), 30.0 (CH₂), 26.8 (CH₂), 23.9 (CH₂), 12.7 (CH₃)