Electronic Supplementary Material (ESI) for Organic and Biomolecular Chemistry

Stereoselective Aza-Darzens Reactions of *T*ert-Butanesulfinimines: Convenient Access to Chiral Aziridines

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Supplementary Information

Experimental

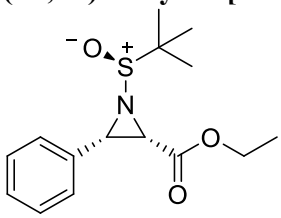
General Information

All reagents were purchased from commercial sources and used without additional purification. Tetrahydrofuran was freshly distilled under nitrogen from the sodium anion of benzophenone. All other anhydrous solvents were purchased or obtained from in house solvent purification towers. All reactions were conducted in flame-dried glassware under an inert atmosphere of nitrogen or argon. All reactions were stirred with a magnetic stirrer bar. Infrared spectral data were recorded using a Perkin-Elmer 1600 FTIR spectrometer: points of maximum absorption (ν_{max}) were recorded in cm^{-1}. ^{1}H and ^{13}C NMR spectral data were recorded using a Bruker DPX300, Bruker DPX400, Bruker AV400 and Bruker AV(III)400 spectrometers. Chemical shifts are quoted with the deuterated solvent as the reference. Data for ^{1}H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (integration, s = singlet, d = doublet, t = triplet, q = quartet, qn = quintet, oct = octet, m = multiplet or unresolved, coupling constant(s) in Hz). Melting points were measured using a Stuart SMP3 apparatus in open capillary tubes and are uncorrected. Mass spectra data were recorded using an open access Bruker MicroTOF spectrometer. Diastereomeric ratios (dr's) were determined by the crude 1H NMR spectra in and confirmed by the purified 1H NMR spectra.

General procedure for the preparation of *N*-[*tert*-butyl-(*S*)-sulfinyl] aziridine 2-carboxylates 2a-j.

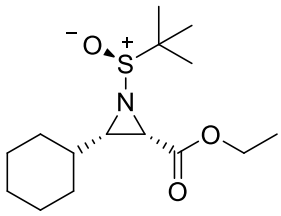
To a solution of ethyl α-bromoacetate (0.42-1.28 mmol) in anhydrous tetrahydrofuran (4.2-12.8 mL) at -78 °C was added lithium bis-(trimethyl-silyl)amide (0.42-1.28 mmol). The mixture was stirred for 30 minutes. At this stage a solution of *N*-*tert*-butyl-(*S*)-sulfinylimine (0.21-0.64 mmol) in anhydrous tetrahydrofuran was added and the reaction was stirred at -78 ºC, unless otherwise stated. Once the reaction was deemed complete by TLC, water (4-10 mL) was added to the mixture. After 10 minutes the product was extracted with ethyl acetate (5-15 mL), washed with brine (5-10 mL) and dried over sodium sulfate. The organic fractions were concentrated *in vacuo* to yield a crude mixture containing the aziridine.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-phenylaziridine 2-carboxylate (2a)



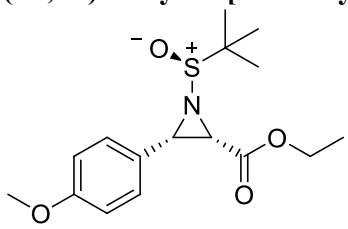
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-benzaldimine (107 mg, 0.51 mmol) and gave the crude product (168 mg, >98% dr, 91:9 cis/trans) as a yellow oil. Purification by column chromatography over silica gel (eluting with 1:1 petroleum ether / dichloromethane) gave the *title compound* **2a** (117 mg, 79%) as a yellow oil. $[\alpha]_D^{25}$ +84 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3005, 2871, 1742, 1589, 1372, 1186, 1073; ^{1}H NMR (300 MHz, $CDCl_3$) 7.43-7.40 (2H, m), 7.36-7.28 (3H, m), 3.99 (2H, q, *J* 7.1), 3.68 (1H, d, *J* 7.3), 3.54 (1H, d, *J* 7.3), 1.36 (9H, s), 1.00 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.1, 133.1, 128.7, 128.1, 128.0, 127.6, 126.4, 61.1, 57.7, 39.8, 36.6, 22.5, 13.8; m/z (ES+) 613 ($[2M+Na]^+$, 100%), 318 ($[M+Na]^+$, 20); HRMS: Found: 318.1132 $C_{15}H_{21}NaNO_3S$ 318.1134.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-cyclohexylaziridine 2-carboxylate (2b)



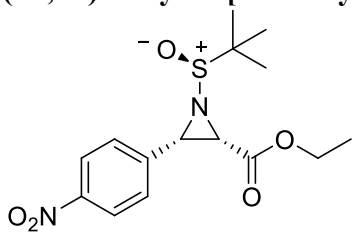
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-cyclohexylaldimine (107 mg, 0.50 mmol) and gave the crude product (179 mg, >98% dr, 92:8 cis/trans) as a colourless oil. Purification by preparative TLC (eluting with 9:1 petroleum ether / ethyl acetate) gave the *title compound* **2b** (38 mg, 81%) as a colourless oil. $[\alpha]_D^{25}$ +37 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3008, 2931, 2854, 1740, 1450, 1192, 1067; ^{1}H NMR (300 MHz, $CDCl_3$) 4.28-4.17 (2H, m), 3.32 (1H, d, *J* 7.2), 2.14 (1H, dd, *J* 9.5, 7.2), 1.92-1.98 (1H, m), 1.71-1.35 (6H, m), 1.27 (3H, t, *J* 7.2), 1.23 (9H, s), 1.17-1.04 (4H, m); ^{13}C NMR (75 MHz, $CDCl_3$) 167.9, 61.3, 57.3, 43.7, 36.1, 33.1, 30.7, 29.9, 26.1, 25.4, 25.3, 22.5, 14.2; m/z (ES+) 324 ($[M+Na]^+$, 100%), 625 ($[2M+Na]^+$, 65); HRMS: Found: 302.1782 $C_{15}H_{28}NO_3S$ 302.1782.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-*p*-methoxyphenylaziridine 2-carboxylate (2c)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-*p*-methoxybenzaldimine (110 mg, 0.46 mmol) but after two hours solution was allowed to reach room temperature to give the crude product (535 mg, >98% dr, 98:2 cis/trans) as a yellow oil. Purification by column chromatography over alumina (eluting with 10:1 petroleum ether / ethyl acetate) gave the *title compound* **2c** (104 mg, 69%) as pale yellow crystals. $[\alpha]_D^{25}$ +71 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3008, 2963, 1742, 1516, 1252, 1076; ^{1}H NMR (300 MHz, $CDCl_3$) 7.26 (2H, d, *J* 8.8), 6.78 (2H, d, *J* 8.8), 3.93 (2H, q, *J* 7.1), 3.72 (3H, s), 3.56 (1H, d, *J* 7.2), 3.41 (1H, d, *J* 7.2), 1.27 (9H, s), 0.97 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.2, 159.5, 128.7, 125.1, 113.5, 61.1, 57.7, 55.2, 39.5, 36.6, 22.5, 13.9; m/z (ES+) 673 ($[2M+Na]^+$, 100%), 348 ($[M+Na]^+$, 12); HRMS: Found: 326.1419 $C_{16}H_{24}NO_4S$ 326.1421.

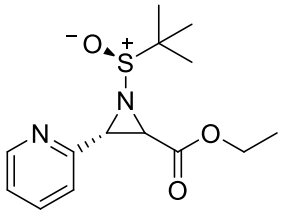
(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-*p*-nitrophenylaziridine 2-carboxylate (2d)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-*p*-nitrobenzaldimine (96 mg, 0.38 mmol) at room temperature gave the crude product (163 mg, >98% dr, 71:29 cis/trans) as a yellow oil. Purification by preparative TLC (eluting with 2:1 petroleum ether / ethyl acetate) gave the *title compound* **2d** (73 mg, 56%) as a pale yellow oil. $[\alpha]_D^{25}$ +67 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3008, 2987, 1744, 1525, 1348, 1192, 1081; ^{1}H NMR (300 MHz,

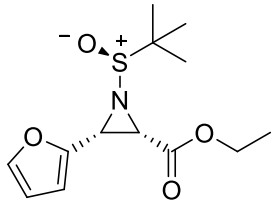
$CDCl_3$) 8.19 (2H, d, *J* 8.8), 7.59 (2H, d, *J* 8.8), 3.99 (2H, q, *J* 7.1), 3.72 (1H, d, *J* 7.3), 3.59 (1H, d, *J* 7.3), 1.35 (9H, s), 1.03 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 165.5, 147.8, 140.5, 128.7, 123.3, 61.6, 58.0, 39.1, 36.5, 22.5, 14.0; m/z (ES+) 723 ($[2M+Na]^+$, 100%), 363 ($[M+Na]^+$, 46); HRMS: Found: 341.1168 $C_{15}H_{21}N_2O_5S$ 341.1168.

(3*R*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-[(2)-pyridyl]aziridine 2-carboxylate (2e)



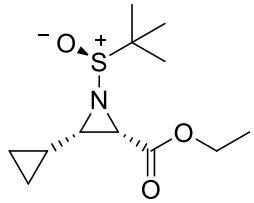
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)(2-pyridyl)aldimine (96 mg, 0.38 mmol) and gave the crude product (254 mg, >98% dr, 83:17 cis/trans) as an orange oil. Purification by column chromatography over alumina (eluting with 10:1 petroleum ether / ethyl acetate) gave the *title compound* **2e** (100 mg, 65% as an inseparable mixture of diastereomers (major diastereomer shown)) as an orange oil. Major diastereomer: IR ($CHCl_3$) 3008, 2987, 1742, 1476, 1192, 1081; ^{1}H NMR (300 MHz, $CDCl_3$) 8.56 (1H, d, *J* 4.9), 7.71-7.61 (1H, m), 7.52 (1H, d, *J* 8.0), 7.25-7.20 (1H, m), 4.16 (1H, d, *J* 7.4), 4.02 (2H, q, *J* 7.1), 3.26 (1H, d, *J* 7.4), 1.26 (9H, s), 1.08 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.2, 150.0, 149.3, 136.2, 123.0, 122.9, 61.4, 57.5, 38.9, 38.3, 22.7, 14.0; m/z (ES+) 615 ($[2M+Na]^+$, 100%), 319 ($[M+Na]^+$, 12); HRMS: Found: 297.1266 $C_{14}H_{21}N_2O_3S$ 297.1267.

(2*S*,3*R*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-[(2)-furfuryl]aziridine 2-carboxylate (2f)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)(2-furfuryl)aldimine (89 mg, 0.45 mmol) and gave the crude product (248 mg, >98% dr, 86:14 cis/trans) as a brown oil. Purification by column chromatography over alumina (eluting with 5:1 petroleum ether / ethyl acetate) gave the *title compound* **2f** (110 mg, 86%) as a brown oil. $[\alpha]_D^{25}$ +12 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2984, 2965, 1728, 1264, 1192, 1020; ^{1}H NMR (300 MHz, $CDCl_3$) 7.29 (1H, dd, *J* 1.5, 0.9), 6.27 (2H, d, *J* 1.4), 4.08 (2H, q, *J* 7.1), 3.58 (1H, d, *J* 7.1), 3.42 (1H, d, *J* 7.1), 1.24 (9H, s), 1.11 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.1, 147.8, 142.8, 110.5, 109.0, 61.5, 57.8, 35.3, 34.0, 22.4, 14.0; m/z (ES+) 593 ($[2M+Na]^+$, 100%), 308 ($[M+Na]^+$, 16); HRMS: Found: 286.1108 $C_{13}H_{20}NO_4S$ 286.1113.

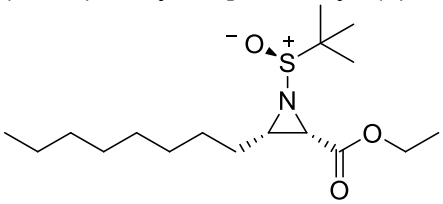
(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-cyclopropylaziridine 2-carboxylate (2g)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-cyclopropaldimine (100 mg, 0.58 mmol) and gave the crude product (148 mg, >98% dr, 90:10 cis/trans) as a colourless oil. Purification by column chromatography over alumina (eluting with 10:1 petroleum ether / ethyl acetate) gave the *title compound* **2g** (84 mg, 56%) as a colourless oil.

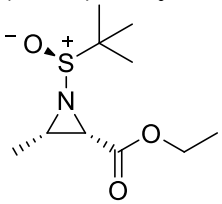
$[\alpha]_D^{25}$ +28 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3087, 3007, 2871, 1741, 1379, 1191, 1073; ^{1}H NMR (300 MHz, $CDCl_3$) 4.22 (2H, q, *J* 7.2), 3.30 (1H, d, *J* 7.1), 2.02 (1H, t, *J* 7.1), 1.26 (3H, t, *J* 7.1) (9H, s), 1.04-0.92 (1H, m), 0.55-0.26 (4H, m); ^{13}C NMR (75 MHz, $CDCl_3$) 167.6, 61.2, 57.4, 41.6, 33.5, 22.4, 22.2, 14.1, 7.9; m/z (ES+) 541 ($[2M+Na]^+$, 100%), 282 ($[M+Na]^+$, 23); HRMS: Found 260.1315 $C_{12}H_{22}NO_3S$ 260.1315.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-octylaziridine 2-carboxylate (2h)



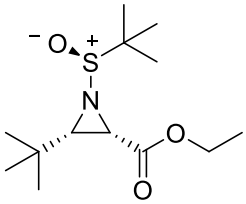
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-nonaldimine (117 mg, 0.45 mmol) and gave the crude product (153 mg, >98% dr, 80:20 cis/trans) as a colourless oil. Purification by column chromatography over alumina (eluting with 20:1 petroleum ether / ethyl acetate) gave the *title compound* **2h** (99 mg, 66%) as a colourless oil. $[\alpha]_D^{25}$ +27 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2929, 2857, 1740, 1461, 1379, 1192, 1074; ^{1}H NMR (400 MHz, $CDCl_3$) 4.20 (2H, q, *J* 7.2), 3.30 (1H, d, *J* 7.1), 2.37 (1H, q, *J* 7.1), 1.64-1.55 (2H, m), 1.46-1.24 (15H, m), 1.22 (9H, s), 0.86 (3H, t, *J* 6.9); ^{13}C NMR (100 MHz, $CDCl_3$) 167.8, 61.2, 57.3, 38.9, 33.0, 31.8, 29.4, 29.1, 29.0, 27.1, 26.9, 22.6, 22.5, 14.2, 14.1; m/z (ES+) 685 ($[M+Na]^+$, 100%), 332 ($[M+H]^+$, 9); HRMS: Found: 332.2254 $C_{17}H_{34}NO_3S$ 332.2254.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-methylaziridine 2-carboxylate (2i)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-acetaldimine (94 mg, 0.64 mmol) and gave the crude product (158 mg, >98% dr, 90:10 cis/trans) as a colourless oil. Purification by column chromatography over alumina (eluting with 20:1 petroleum ether / ethyl acetate) gave the *title compound* **2i** (73 mg, 49%) as a colourless oil. $[\alpha]_D^{25}$ +32 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3007, 1740, 1192, 1076; ^{1}H NMR (400 MHz, $CDCl_3$) 4.20 (2H, q, *J* 7.1), 3.30 (1H, d, *J* 7.2), 2.48 (1H, m), 1.32 (3H, d, *J* 5.7), 1.27 (3H, t, *J* 7.1), 1.22 (9H, s); ^{13}C NMR (100 MHz, $CDCl_3$) 167.7, 61.3, 57.3, 34.2, 33.0, 22.5, 14.2, 12.7; m/z (ES+) 256 ($[M+Na]^+$, 100%), 489 ($[2M+Na]^+$, 70); HRMS: Found: 234.1160 $C_{10}H_{20}NO_3S$ 234.1158.

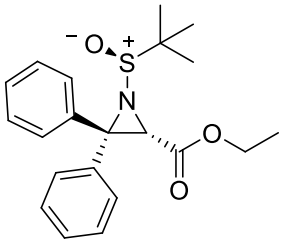
(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-*tert*-butylaziridine 2-carboxylate (2j)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-*tert*-butylaldimine (102 mg, 0.54 mmol) and gave the crude product (191 mg, >98% dr, 95:5 cis/trans) as a colourless oil. Purification by column chromatography over alumina (eluting with 20:1 petroleum ether / ethyl acetate) gave the *title compound* **2j** (115 mg, 77%) as a colourless oil. $[\alpha]_D^{25}$ +29 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2963, 2908, 1743, 1392, 1192, 1072; ^{1}H NMR (400

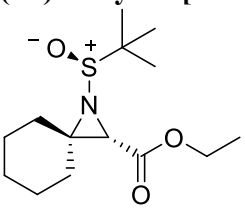
MHz, $CDCl_3$) 4.24 (1H, dq, *J* 10.8, 7.2), 4.15 (1H, dq, *J* 10.8, 7.2), 3.27 (1H, d, *J* 7.6), 2.14 (1H, d, *J* 7.6), 1.29 (12H, m), 0.95 (9H, s); ^{13}C NMR (100 MHz, $CDCl_3$) 168.1, 61.3, 57.2, 33.6, 31.7, 26.7, 22.3, 14.0; m/z (ES+) 573 ($[2M+H]^+$, 100%), 298 ($[M+Na]^+$, 21); HRMS: Found: 276.1625 $C_{13}H_{26}NO_3S$ 276.1628.

(2*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-di-phenylaziridine 2-carboxylate (4a)



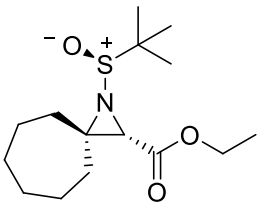
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-di-phenylketimine (60 mg, 0.21 mmol) and gave the *title compound* **4a** (74 mg, 95%, 98:2 cis/trans) as an orange solid. M.p. = 123-126 ºC; $[\alpha]_D^{25}$ +278 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 3007, 1747, 1192, 1074; ^{1}H NMR (300 MHz, $CDCl_3$) 7.32-7.07 (10H, m), 4.15 (1H, s), 3.83 (2H, q, *J* 7.1), 1.22 (9H, s), 0.83 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.6, 138.3, 135.7, 129.8, 128.8, 128.5, 127.9, 127.8, 127.6, 61.1, 57.8, 55.0, 39.8, 22.4, 13.8; m/z (ES+) 765 ($[2M+Na]^+$, 100%), 394 ($[M+Na]^+$, 93); HRMS: Found: 372.1628 $C_{21}H_{26}NO_3S$ 372.1622.

(2*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-1-azaspiro[2.5]octane 2-carboxylate (4b)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-cyclohexylketimine (86 mg, 0.43 mmol) at room temperature and gave the crude product (158 mg, 88:12 cis/trans) as a colourless oil. Purification by column chromatography over neutralised silica gel (eluting with 10:1 petroleum ether / ethyl acetate) gave the *title compound* **4b** (17 mg, 36%) as a colourless oil. $[\alpha]_D^{25}$ +36 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2936, 1739, 1449, 1191, 1069; ^{1}H NMR (300 MHz, $CDCl_3$) 4.20 (2H, q, *J* 7.1), 3.18 (1H, s), 1.85-1.44 (10H, m), 1.27 (3H, t, *J* 7.1), 1.23 (9H, s); ^{13}C NMR (75 MHz, $CDCl_3$) 168.3, 61.1, 57.6, 50.5, 39.1, 31.1, 30.7, 25.6, 25.4, 24.7, 22.3, 14.3; m/z (ES+) 597 ($[2M+Na]^+$, 100%), 288 ($[M+H]^+$, 30); HRMS: Found: 288.1627 $C_{13}H_{24}NO_3S$ 288.1622.

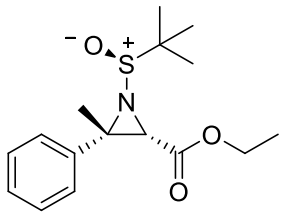
(2*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-1-azaspiro[2.6]nonane 2-carboxylate (4c)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-cycloheptylketimine (99 mg, 0.46 mmol) at room temperature and gave the crude product (315 mg, 81:19 cis/trans) as a colourless oil. Purification by column chromatography over silica gel (eluting with 10:1 petroleum ether / ethyl acetate (5% NEt_3)) gave the *title compound* **4c** (32 mg, 23%) as a colourless oil. $[\alpha]_D^{25}$ +21 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2930, 1739, 1192, 1059; ^{1}H NMR (300 MHz, $CDCl_3$) 4.20 (2H, q, *J* 7.1), 3.16 (1H, s), 1.94-1.48 (12H, m), 1.27 (3H, t, *J*

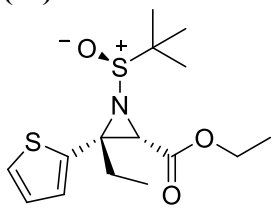
7.1), 1.23 (9H, s); ^{13}C NMR (75 MHz, $CDCl_3$) 168.3, 61.1, 57.6, 52.3, 40.3, 33.3, 33.0, 30.9, 28.5, 28.0, 24.0, 22.3, 14.2; m/z (ES+) 625 ($[2M+Na]^+$, 100%), 302 ($[M+H]^+$, 9); HRMS: Found: 302.1772 $C_{15}H_{28}NO_3S$ 302.1779.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-methyl-3-phenylaziridine 2-carboxylate (4d)



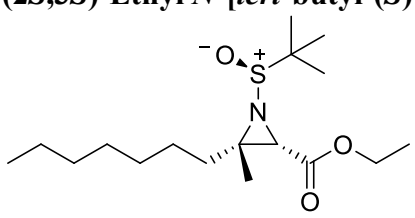
The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-methyl-phenylketimine (77 mg, 0.34 mmol) but after two and a half hours solution was allowed to reach room temperature to give the crude product (193 mg, >98% dr, 85:15 cis/trans) as a yellow oil. Purification by column chromatography over neutralised silica gel (eluting with 15:1 petroleum ether / ethyl acetate) gave the *title compound* **4d** (68 mg, 65%) as a pale yellow oil. $[\alpha]_D^{25}$ +17 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2991, 1745, 1446, 1188, 1067; ^{1}H NMR (300 MHz, $CDCl_3$) 7.29-7.15 (5H, m), 3.79 (2H, q, *J* 7.1), 3.42 (1H, s), 1.76 (3H, s), 1.27 (9H, s), 0.84 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.9, 139.1, 128.0, 127.5, 126.8, 60.9, 58.0, 49.5, 40.9, 22.4, 20.7, 13.8; m/z (ES+) 641 ($[2M+Na]^+$, 100%), 332 ($[M+Na]^+$, 20); HRMS: 310.1466 $C_{16}H_{24}NO_3S$ 310.1466.

(2*S*,3*R*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-ethyl-3-(thiophen-2-yl)aziridine 2-carboxylate (4e)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-ethyl-(2-thienyl)ketimine (93 mg, 0.38 mmol) at room temperature and gave the crude product (211 mg, >98% dr, 93:7 cis/trans) as a yellow oil. Purification by column chromatography over neutralised silica gel (eluting with 20:1 petroleum ether / ethyl acetate) gave the *title compound* **4e** (54 mg, 43%) as a yellow solid. M.p. = 82-86 ºC; $[\alpha]_D^{25}$ +17 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2982, 1745, 1192, 1076; ^{1}H NMR (300 MHz, $CDCl_3$) 7.20 (1H, dd, *J* 4.9, 1.3), 6.98-6.93 (2H, m), 4.02-3.94 (2H, m), 3.53 (1H, s), 2.47-2.35 (1H, m), 2.12-1.99 (1H, m), 1.76 (3H, s), 1.35 (9H, s), 1.09 (3H, t, *J* 7.4), 1.03 (3H, t, *J* 7.1); ^{13}C NMR (75 MHz, $CDCl_3$) 166.4, 140.9, 126.6, 125.7, 124.8, 61.0, 58.4, 50.9, 42.1, 27.9, 22.2, 13.8, 10.7; m/z (ES+) 681 ($[2M+Na]^+$, 100%), 330 ($[M+H]^+$, 20); HRMS: Found: 330.1188 $C_{15}H_{24}NO_3S_2$ 310.1187.

(2*S*,3*S*)-Ethyl *N*-[*tert*-butyl-(*S*)-sulfinyl]-3-heptyl-3-methylaziridine 2-carboxylate (4f)



The general procedure was followed using *N*-[*tert*-Butyl-(*S*)-sulfinyl]-)-heptyl-methylketimine (100 mg, 0.41 mmol) and gave the crude product (217 mg, >98% dr, 71:29

cis/trans) as a colourless oil. Purification by column chromatography over silica gel (eluting with 20:1 petroleum ether / ethyl acetate (1% NEt_3)) gave the *title compound* **4f** (47 mg, 35%) as a colourless oil. $[\alpha]_D^{25}$ +92 (c 0.5, CH_2Cl_2); IR ($CHCl_3$) 2960, 1739, 1192, 1073; ^1H NMR (400 MHz, $CDCl_3$) 4.19 (2H, q, *J* 7.1), 3.13 (1H, s), 1.54 (2H, t, *J* 7.7), 1.46 (3H, s), 1.29-1.22 (13H, m), 1.22 (9H, s), 0.86 (3H, t, *J* 6.7); ^{13}C NMR (100 MHz, $CDCl_3$) 168.3, 61.1, 57.4, 48.2, 39.3, 34.2, 31.7, 29.4, 29.1, 25.3, 22.6, 22.3, 17.4, 14.2, 14.1; m/z (ES+) 354 ($[M+Na]^+$, 100%), 685 ($[2M+Na]^+$, 75); HRMS: Found: 332.2238 $C_{17}H_{34}NO_3S$ 332.2254.