# Asymmetric Sulfur Ylide Mediated Aziridination: Application in the Synthesis of the Side Chain of Taxol

**Varinder K. Aggarwal, Jean-Luc Vasse.**

# Supporting Information

Sulfide **1**,[1] and trimethylsilylethanesulfonamide[2] were prepared as described in the literature.

**1-Benzotriazolyl-*N*-benzoyl-1-phenyl-methylamine.**[3]

A mixture of benzotriazole (11.9 g, 0.1mmol), benzaldehyde (10.6 g, 1 mmol), and benzamide (12.1 g, 0.1 mmol) was refluxed in dry toluene (60 mL) for 24 h using a Dean-Stark apparatus. The reaction mixture was cooled to r.t. The resulting white solid was filtered off washed with $Et_2O$ and recrystallized from toluene to give 1-benzotriazolyl-*N*-benzoyl-1-phenyl-methylamine as a white solid (57%). M.p. 164-166 °C (lit[3] 165-167 °C); $^1$H NMR (400 MHz, DMSO, δ ppm) 7.93-8.14 (10H, m), 8.50 (1H, d, *J* = 7.9 Hz), 8.53 (2H, t, J = 7.0 Hz), 8.65 (1H, d, *J* = 8.2 Hz), 8.77 (1H, d, *J* = 8.2 Hz), 10.8 (1H, d, *J* = 8.3 Hz).

**1-methoxy-*N*-benzoyl-1-phenyl-methylamine**.[4]

1-Benzotriazolyl-N-benzoyl-1-phenyl-methylamine (2.47 g, 7.54 mmol) was added in one portion to a solution of sodium methoxide in methanol (made from 208 mg of Na in 22 mL of MeOH) at r.t. The mixture was stirred at r.t. overnight and poured into water (70 mL). The resulting precipitate was collected by filtration and dried to give pure 1-methoxy-*N*-benzoyl-1-phenyl-methylamine (88%). M.p. 95-97 °C (lit.[4] 98-100 °C); $^1$H NMR (400 MHz, DMSO) 3.98 (3H, s), 6.86 (1H, d, *J* = 8.9 Hz), 7.88-8.18 (8H, m), 8.54 (2H, d, *J* = 7.6 Hz), 9.79 (1H, d, *J* = 9.2 Hz).

***N*-benzoylbenzaldimine 4**[5]

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[1] Aggarwal, V. K.; Alonso, E.; Hynd, G.; Lydon, K. M.; Palmer, M. J.; Porcelloni, M.; Studley, J. R. *Angew. Chem. Int. Ed.* **2001**, *40*, 1430

[2] Weinreb, S.M.; Charles, E.C.; Wipf, P.; Venkatraman, S. *Org. Synthesis*, **1998**, *75*, 161.

[3] A.R. Katritzky, J. Pernak, W.-Q. Fan, F. Saczewski *J. Org. Chem.* **1991**, *56*, 4439-4443.

[4] A.R. Katritzky, W.-Q. Fan, M. Black, J. Pernak *J. Org. Chem.* **1992**, *57*, 547-549.

1-Methoxy-*N*-benzoyl-1-phenyl-methylamine (750 mg, 3.11 mmol) was heated slowly at 120 °C under reduced pressure (0.5 mm Hg) during which time it melted as MeOH evolved and then distilled at 170 °C to give a clear yellow oil of pure *N*-benzoylbenzaldimine **4** (428 mg, 66%). $^1$H NMR (400 MHz, $CDCl_3$) 7.50 -7.47 (4H, 2m), 7.58 (2H, 2m), 7.96 (2H, d, *J* = 7.8 Hz), 8.16 (2H, d, *J* = 8.4 Hz), 8.76 (1H, s).

**3-Furyl-bromomethane.**[6]

To a solution of 3-furyl-methanol (0.99 g, 10.1 mmol) in THF (10 mL) was added dropwise phosphorus tribromide (0.33 ml, 3.5 mmol) at 0 °C and the reaction was stirred for 1 h at 0 °C. Water (5 mL) was added and the mixture was extracted with $Et_2O$ (3 x 10 mL), dried over $MgSO_4$, filtered and concentrated to give a colorless liquid after distillation b.p. 40-50 °C, 0.1 mm Hg. (lit.[7] b.p. 62-64 °C, 0.2 mm Hg); $^1$H NMR (400 MHz, $CDCl_3$) 4.38 (2H, s), 6.45 (1H, m), 7.40 (1H, m), 7.48 (1H, m); $^{13}$C NMR (100 MHz, $CDCl_3$) 23.5, 110.90, 122.6, 140.9, 143.8.

**(1*R*, 3*R*, 4*S*)-2-(3-furyl)-[(1*R*, 4*S*)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-3-yl]-2-thioniabicyclo[2.2.1]heptane tetrafluoroborate 5.**

A solution of 3-furylbromomethane (290 mg, 1.8 mmol) (1*R*, 3*R*, 4*S*)-2-(3-furyl)-[(1*R*, 4*S*)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-3-yl]-2-thioniabicyclo[2.2.1]heptane (150 mg, 0.6 mmol) and sodium tetrafluoroborate (66 mg, 0.6 mmol) in acetone (5 mL) was stirred for 48 h at r.t. The resulting sodium bromide is filtered off and the filtrate is concentrated. $CH_2Cl_2$ is added and the excess of sodium tetrafluoroborate is filtered off. The filtrate was concentrated and purified by column chromatography on silica gel using a mixture of $CH_2Cl_2$ then $CH_2Cl_2$ / acetone (80/20) as eluent to give the sulfonium salt **5** as a white solid (130 mg, 52%). M.p. 136 °C $[\alpha]^D_{23}$ = +26.0 (c 1, $CHCl_3$), $^1$H NMR (400 MHz, $CDCl_3$) 1.10 (3H, s), 1.19 (3H, s), 1.20-1.37 (2H, m), 1.54-1.68 (2H, m), 1.85 (1H, m), 1.93 (1H, d, *J* = 18.6 Hz), 2.02 (1H, m), 2.08-2.24 (4H, m), 2.56 (1H, ddd, *J* = 18.6, 7.8, 4.4 Hz), 2.74 (1H, d, *J* = 12.7 Hz), 3.19 (1H, br s), 4.25 (1H, d, *J* = 2.4 Hz), 4.37 (1H, d, *J* = 3.6 Hz), 4.37 (1H, d, *J* = 13.9 Hz), 4.51 (1H, d, *J* = 13.9 Hz), 6.50 (1H, m), 7.44 (1H, m), 7.82 (1H, m); $^{13}$C NMR (100 MHz,

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[5] S.W. Breuer, T. Bernath, D. Ben-Ishai *Tetrahedron*, **1967**, *23*, 2869. U. Chiacchio,; A. Corsaro; A. Compagnini,; G. Purrello, *J.Chem.Soc.Perkin Trans.1,* **1983**, 671-674.

[6] Bernasconi, S.; Colombo, M.; Jommi, G.; Sisti, M. *Gazz.Chim.Ital*. **1986**, *116*, 69-72.

$CDCl_3$) 19.2, 22.0, 24.5, 26.7, 33.5, 39.0, 41.2, 43.5, 44.2, 45.3, 50.0, 58.3, 60.0, 68.9, 110.8, 113.2, 144.4, 144.7, 215.7; m/z (FAB) 331 ($M^+$-$BF_4^-$).

Stoichiometric Ylide Reaction: ***Cis* (2*S*,3*R*)-2-(3-Furyl)-3-phenyl-1-benzoylaziridine 7 and (4*S*, 5*S*)-1,4-diphenyl-5-(3-furyl)-4,5-dihydro-oxazole 8.**

To a rapidly stirred suspension of the sulfonium salt (119 mg, 0.285 mmol) in anhydrous THF (2 mL) under an $N_2$ atmosphere at -78 °C, was added NaHMDS (1 N in THF, 285 μL, 0.285 mmol), at which point the sulfonium salt dissolved. The reaction mixture then was stirred at -78 °C for 15 min before addition of *N*-benzoylbenzaldimine **4** (59 mg, 0.425 mmol). Stirring was continued at -78 °C for 1 h and the reaction was slowly warmed to r.t. and then water (1 mL) was added. The organic phase was separated and the aqueous phase extracted with $CH_2Cl_2$ (3 x 5 mL). The organic phases were combined, dried over $Na_2SO_4$, filtered and concentrated. The residue was purified by column chromatography on silica gel using a mixture of EtOAc/petrol (1/99) as eluent to give the aziridine and oxazoline as white solids.

***Cis* (2*S*,3*R*)-2-(3-Furyl)-3-phenyl-1-benzoylaziridine 7.**

$R_f$ = 0.43 petroleum ether/AcOEt (80/20), m.p. = 108-110 °C; $^1$H NMR (400 MHz, $CDCl_3$) 3.83 (1H, d, *J* = 6.2 Hz), 4.17 (1H, d, *J* = 6.2 Hz), 6.10 (1H, m), 7.22 (1H, t, *J* = 1.6 Hz), 7.28-7.48 (9H, m), 7.54 (1H, t, *J* = 7.7 Hz), 8.07 (2H, d, *J* = 8.0 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 40.1, 45.7, 110.2, 119.3, 127.8, 127.9, 128.2, 128.6, 129.3, 132.6, 133.2, 134.1, 141.6, 143.2, 179.2; IR $\nu_{max}$/ $cm^{-1}$ 1665, 1288, 1066, 790, 736, 699; Anal. Calcd for $C_{12}H_{11}NO$: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.97; H, 5.23; N, 4.80. Chiracel OJ, hexane-*i*-PrOH (98 : 2) 1.0 mL/min, major 20.6 min (2*S*,3*S*), minor 38.9 min (2*R*,3*R*).

**(4*S*, 5*S*)-1,4-diphenyl-5-(3-furyl)-4,5-dihydro-oxazole 8:** $[\alpha]^D_{23}$ = -58.5, (c 1, $CHCl_3$), $R_f$ = 0.42 petroleum ether/AcOEt (80/20), m.p. 56-58 °C, $^1$H NMR (400 MHz, $CDCl_3$) 5.24 (1H, d, *J* = 8.1 Hz), 5.37 (1H, d, *J* = 8.1 Hz), 6.50 (1H, m), 7.29-7.39 (5H, m), 7.43-7.53 (5H, m), 8.08 (2H, d, *J* = 8.4 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 77.1, 82.0, 108.4, 126.7, 127.9, 128.5 (2C), 128.6, 128.7, 128.9, 131.8, 140.2, 141.7, 144.3, 164.0; IR $\nu_{max}$/ $cm^{-1}$ 1646, 1494, 1450, 1063, 1024, 874, 695; MS m/z (CI) 290 ($[M+H]^+$, 80%);. Anal. Calcd for $C_{19}H_{15}NO_2$: C, 78.87; H, 5.23; N, 4.84.

[7] Okabe, M; Tamagawa, H.; Tada, M. *Synth.Commun*. **1983**, *13*, 373-378

Found: C, 78.53; H, 5.48; N, 4.84; Chiracel OJ, hexane-*i*-PrOH (98 : 2) 1.0 mL/min, major 14.3 min (2*S*,2*S*), minor 20.9 min (2*R*,3*R*).

**(1*S*, 2*S*)-*N*-[1-phenyl-2-hydroxy-2-(3-furyl)-ethyl]benzamide.**

To a solution of oxazoline **8** (110 mg, 0.38 mmol) in dioxane (4 mL) was added dropwise an aqueous solution of HCl (0.1 N, 4 mL) and the solution was stirred at 50 °C until the oxazoline was completely consumed according to TLC (c.a. 40 min). The solvent was then removed under vacuum, $CH_2Cl_2$ (10 mL) and $NEt_3$ (0.06 mL, 4.3 mmol) were added to the white resulting solid, and the reaction was stirred overnight. The solvent was removed under vacuum and the white resulting solid was washed with water then dried under high vacuum. $CH_2Cl_2$ (2 mL) was added, followed by $Et_2O$ (8 mL), the white solid was filtered off to give the hydroxy amide (95 mg, 82%) as a white solid. M.p. 192-193 °C, $[\alpha]^D_{23}$ = -33 (c 0.45, MeOH), $^1$H NMR (400 MHz, $CD_3OD$) 5.03 (1H, d, *J* = 6.2 Hz), 5.28 (1H, d, *J* = 6.2 Hz), 6.37 (1H, d, *J* = 1.1 Hz), 7.22 (1H, tm, *J* = 7.1 Hz), 7.29 (2H, t, *J* = 7.5 Hz), 7.37 (4H, m), 7.45 (2H, t, *J* = 7.7 Hz), 7.53 (1H, t, *J* = 7.7 Hz), 7.81 (2H, d, *J* = 7.7 Hz); $^{13}$C NMR (100 MHz, $CD_3OD$) 59.6, 60.4, 108.6, 127.0, 127.3, 127.9, 128.2, 131.3, 139.8, 140.3, 142.9 four C are missing; IR $\nu_{max}$/ cm$^{-1}$ : 3310, 2159, 1635, 1530, 1021, 700; Anal. Calcd for $C_{19}H_{17}NO_3$: C, 74.25; H, 5.58; N, 4.56. Found: C, 72.44; H, 5.71; N, 4.55.

**(1*S*, 2*S*)-[2-phenyl-2-benzoylamino-1-(3-furyl)-ethyl]acetate 9.**

To a solution of hydroxy-amide (88 mg, 0.28 mmol), pyridine (0.05 mL), and DMAP (few crystals) in $CH_2Cl_2$ (1 mL) was added dropwise $Ac_2O$ (0.032 mL, 0.315 mmol) at r.t. under an atmosphere of nitrogen. The reaction mixture was stirred for 1 h, then diluted with $CH_2Cl_2$ (4 mL), water (1 mL) was added, the organic layer separated then washed sequentially with HCl (1 N, 1 mL), saturated aqueous $NaHCO_3$ (2 x 1 mL), dried over $Na_2SO_4$, filtered and concentrated to yield pure **9** (93 mg, 95%). $[\alpha]^D_{23}$ = +16 (c 1, $CHCl_3$), m.p. 147 °C, $R_f$ = 0.33 petroleum ether/AcOEt (60/40), $^1$H NMR (400 MHz, $CDCl_3$) 2.06 (3H, s), 5.59 (1H, dd, *J* = 8.7, 7.2 Hz), 6.28 (1H, d, *J* = 7.2 Hz), 6.32 (1H, m), 6.97 (1H, d, *J* = 8.7 Hz), 7.24-7.33 (7H, m), 7.40-7.52 (3H, m), 7.76 (2H, d, *J* = 8.3 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 21.1, 57.4, 70.8, 109.2, 121.9, 127.0, 127.3, 128.1, 128.7, 128.8, 131.8, 134.2, 138.5, 140.9, 143.4, 166.7, 171.1; IR $\nu_{max}$/ cm$^{-1}$: 3295, 1741, 1637, 1534, 1234, 1024, 701; MS m/z (FAB) 350 ([M+H]$^+$,

42%), Anal. Calcd for $C_{21}H_{19}NO_4$: C, 72.19; H, 5.48; N, 4.01. Found: C, 72.06; H, 5.63; N, 3.87.

**Methyl (2*R*, 3*S*)-2-acetoxy-3-phenyl-3-benzoylamino-propanoate .**

To a vigorously stirred solution of **9** (50 mg, 0.143 mmol) in a 2/2/3 mixture of $CH_3CN/CCl_4/H_2O$ (1.75 mL) was added sodium metaperiodate (183 mg, 0.858 mmol) in one portion, followed by $RuCl_3$ (0.9 mg, 4.3 μmol) in one portion and the stirring is maintained for 6 h. $CH_2Cl_2$ (2 mL) and water (1 mL) were added, the layer separated and the aqueous phase extracted with $CH_2Cl_2$ (4 x 1 mL). The organic layers are combined, dried over $Na_2SO_4$, filtered and concentrated. To a solution of the crude acid in a 5/1 mixture $Et_2O$/MeOH (1.2 mL) was added dropwise a solution of trimethylsilyldiazomethane (2 M in hexane, 0.08 mL) at rt. After 30 minutes of stirring, the solvent was removed under vacuum and the residue purified by column chromatography on silica gel using a mixture of petroleum ether/AcOEt (60/40) as eluent to give the amide ester as a white solid (36 mg, 74%). M.p. 128-130 °C $[\alpha]^D_{23}$ = -78.5 (c 1, $CHCl_3$), $R_f$ = 0.29 petroleum ether/AcOEt (60/40), $^1$H NMR (400 MHz, $CDCl_3$) 2.13 (3H, s), 3.77 (3H, s), 5.45 (1H, d, *J* = 2.9 Hz), 5.87 (1H, dd, *J* = 9.1, 2.9 Hz), 6.99 (1H, d, *J* = 9.2 Hz),7.28-7.35 (1H, m) 7.35 (1H, s), 7.36 (1H, s), 7.46 (2H, tt, *J* = 7.3, 1.1 Hz), 7.53 (2H, tt, *J* = 7.4, 1.4 Hz), 7.79 (1H, dd, *J* = 8.4, 1.1 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 20.5, 52.8, 53.5, 74.4, 126.6, 127.1, 128.1, 128.7, 128.8, 131.9, 137.6, 166.9, 168.5, 169.7; IR $\nu_{max}/cm^{-1}$ 3307, 1748, 1644, 15.29, 1226; MS m/z (CI) 342 ($[M+H]^+$, 28%), 105 (100); Anal. Calcd. for $C_{21}H_{19}NO_4$: C, 66.85; H, 5.61; N, 4.10. Found: C, 67.20; H, 5.55; N, 4.07.

**(2*R*, 3*S*) *N*-benzoy-3-phenylisoserine methyl ester 2.**[8]

To a solution of the above ester (32 mg, 93 μmol) in MeOH (2.5 mL) was added sodium methoxide (17 mg, 315 μmol)and the solution was stirred for 1 h at r.t. Saturated aqueous ammonium chloride solution (5 mL) and AcOEt (5 mL) were added. The organic layer was separated washed with brine, dried over $MgSO_4$, filtered and concentrated under vacuum to give **2** as a white solid (25 mg, 92%); $[\alpha]^D_{23}$ = -50.1 (c 1, MeOH), [lit.[9] $[\alpha]^D_{23}$ -50.2 (c 1 MeOH)]; $^1$H NMR (400 MHz,

[8] Denis, J.-N.; Greene, A. E.; Serra, A. A.; Luche, M.-J. *J. Org. Chem.* **1986**, **51**, 46-50.
[9] Hamamoto, H.; Mamedov, V. A.; Kitamoto, M.; Hayashi, N.; Tsuboi, S. *Tetrahedron: Asymmetry* **2000**, *11*, 4485-4497.

$CDCl_3$) 3.26 (1H, d, *J* = 3.5 Hz), 3.86 (3H, s), 4.65 (1H, dd, *J* = 3.5, 2.0 Hz), 5.75 (1H, dd, *J* = 9.2, 2.0 Hz), 6.97 (1H, br d, *J* = 9.2 Hz), 7.28-7.55 (8H, m), 7.78 (2H, d, *J* = 7.3 Hz).

***N*-1[(E)-1-(3-furyl)methylidene]-2-(1,1,1-trimethylsilyl)-1-ethanesulfonamide.**

3-Furyl aldehyde and trimethylsilylethanesulfonamide (2.50 g, 13.7 mmol) were brought to reflux in toluene using a Dean-Stark apparatus, before $BF_3.Et_2O$ (0.3 mL, 2 mmol) was added. The reaction mixture was maintained at reflux for 3 h before being allowed to cool down to r.t. Saturated aqueous $NaHCO_3$ solution is added, and the organic layer extracted with toluene. The organic layers were combined, dried over $MgSO_4$, filtered and concentrated. The residue was purified by column chromatography on silica gel using a mixture of petroleum ether/AcOEt (70/30) as eluent to give the **10** (2.45 g, 69%) as a pale brown solid. Rf = 0.40, m.p. 79-81 °C, $^1$H NMR (400 MHz, $CDCl_3$) 0.06 (9H, s), 1.04 (2H, m), 3.09 (2H, m), 6.89 (1H, d, *J* = 1.8 Hz), 7.55 (1H, br s), 8.10 (1H, s), 8.96 (1H, s); $^{13}$C NMR (100 MHz, $CDCl_3$) – 2.1, 9.5, 48.8, 107.9, 123.2, 145.5, 152.1, 163.8; IR $\nu_{max}$/ $cm^{-1}$ 1601, 1308, 1248, 1136; MS m/z (CI with $NH_3$) 260 ($[M+H]^+$, 1), 73 (100); HRMS: found $[M+H]^+$ 260.0785, $C_{10}H_{18}NO_3SSi$ requires 260.0777. Anal. Calcd for $C_{10}H_{17}NO_3SSi$: C, 46.30; H, 6.61; N, 5.40. Found: C, 46.74; H, 6.70; N, 5.26.

**(2*S*,3*S*)- and (2*S*,3*R*)-2-(3-Furyl)-3-phenyl-1-[2-(1,1,1-trimethylsilyl)ethyl]sulfonylaziridine** (*cis*- and *trans*-**11**)

A mixture of benzaldehyde tosylhydrazone salt (852 mg, 2.88 mmol), sulfide (72.5 mg, 0.29 mmol), triethylbenzylammonium chloride (33 mg, 0.145 mmol), rhodium acetate dimer (6.4 mg, 0.0145 mmol) and imine (373 mg, 1.44 mmol) in dioxane (4 mL) is stirred for at 40 °C for 48 h. Water and EtOAc were added, the aqueous layer was extracted with EtOAc (2 x 5 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated under vacuum. The residue was purified by column chromatography on silica gel using a mixture of petroleum ether/AcOEt (95/5) as eluent to give **11** (261 mg, 52%) as an 8/1 *trans/cis* mixture.$^1$H NMR (400 MHz, $CDCl_3$) *trans* isomer : 0.05 (9H, s), 0.97-1.16 (2H, m), 3.06 (2H, m), 3.83 (1H, d, *J* = 4.4 Hz), 4.22 (1H, d, *J* = 4.4 Hz), 6.65 (1H, d, *J* = 1.0 Hz), 7.30-7.44 (6H, m), 7.46 (1H, t, *J* = 1.4 Hz), 7.69(1H, s); *cis* isomer : 0.03 (9H, s), 1.19-1.24 (2H, m), 3.22

(2H, m), 4.01 (1H, d, *J* = 7.3 Hz), 4.21 (1H, d, *J* = 7.3 Hz), 6.03 (1H, d, *J* = 1.0 Hz), 7.30-7.48 (7H, m). MS m/z (CI) 350 ($[M+H]^+$, 14), 181 (100); HRMS calcd for $C_{17}H_{25}NO_3SSi^+$ 350.1246, found 350.1255; Chiracel OD, hexane-*i*-PrOH (98 : 2) 1.0 mL/min, major 20.6 min (2*S*,3*S*), minor 38.9 min (2*R*,3*R*).

**(2*S*,3*S*)-2-(3-Furyl)-3-phenylaziridine 12.**

To a DMF/THF (1/1, 2 mL) solution of the above 8/1 *trans/cis* mixture of **11** (257 mg, 0.736 mmol) was added tetrabutylammonium triphenyldifluorosilicate (397 mg, 0.735 mmol) and cesium fluoride (895 mg, 5.89 mmol) and the resulting mixture was stirred for 12 h at 40 °C under an atmosphere of $N_2$. MeOH (1 mL) is added and the mixture was stirred for 15 min at r.t. and filtered. The filtrate is concentrated under vacuum and purified by column chromatography on silica gel using a mixture of petroleum ether/AcOEt (95/5) as eluent. The first fraction gave **12** (102 mg, 75 %) as white crystals in a pure diastereoisomeric form. $[\alpha]^D_{23}$ = -233, (c 1, $CHCl_3$), Rf = 0.19 petroleum ether/AcOEt (80/20), m.p. 55-56 °C, $^1$H NMR (400 MHz, $CDCl_3$) 0.95-1.2 (1H, br m), 2.80-3.40 (2H, br m), 6.31 (1H, br s), 7.24-7.35 (5H, m), 7.39 (1H, s), 7.45 (1H, s); $^{13}$C NMR (100 MHz, $CDCl_3$) 125.1, 127.7, 127.9, 128.6, 129.5, 135.1, 139.5, 139.9, the two aliphatic carbons are missing; IR $\nu_{max}$/ $cm^{-1}$ 3030, 1504, 1159; MS m/z (CI) 290 ($[M+H]^+$, 80%). Anal. Calcd for $C_{12}H_{11}NO$: C, 77.81; H, 5.99; N, 7.56. Found: C, 78.17; H, 6.21; N, 7.66.

**(2*S*,3*S*)-2-(3-Furyl)-3-phenyl-1-benzoylaziridine.**

To a solution of **12** (101 mg, 0.55 mmol) and triethylamine (0.076 mL, 0.55 mmol) in $CH_2Cl_2$ (1 mL) was added dropwise a solution of benzoyl chloride (0.064 mL, 0.55 mmol) in $CH_2Cl_2$ (1 mL) at r.t. The reaction mixture was stirred for 1 h, then the solvent was removed under vacuum. The resulting white solid was washed with $Et_2O$ (5 mL) and the filtrate was concentrated under vacuum to yield the benzoylaziridine (157 mg), as an oil, which was used in the next step without purification. $^1$H NMR (400 MHz, $CDCl_3$) 3.79 (1H, d, *J* = 2.9 Hz), 3.97 (1H, d, *J* = 3.0 Hz), 6.09 (1H, m), 7.22 (1H, t, *J* = 1.6 Hz), 7.28-7.48 (9H, m), 7.94 (2H, d, *J* = 7.9 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 43.7, 45.9, 108.2, 120.8, 126.4, 128.1, 128.2, 129.0, 132.6, 133.9, 136.5, 141.7, 143.6, 176.6; IR $\nu_{max}$/ $cm^{-1}$ 1667, 1320, 1024, 696. MS m/z (CI) 290 ($[M+H]^+$, 48), 105 (100).

***Cis* (2*S*,3*R*)-2-(3-Furyl)-3-phenyl-1-benzoylaziridine.**

M.p. = 108-110 °C; $^{1}$H NMR (400 MHz, $CDCl_3$) 3.83 (1H, d, *J* = 6.2 Hz), 4.17 (1H, d, *J* = 6.2 Hz), 6.10 (1H, m), 7.22 (1H, t, *J* = 1.6 Hz), 7.28-7.48 (9H, m),7.54 (1H, t, *J* = 7.7 Hz), 8.07 (2H, d, *J* = 8.0 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 40.1, 45.7, 110.2, 119.3, 127.8, 127.9, 128.2, 128.6, 129.3, 132.6, 133.2, 134.1, 141.6, 143.2, 179.2; IR $\nu_{max}$/ $cm^{-1}$ 1665, 1288, 1066, 790, 736, 699; Anal. Calcd for $C_{12}H_{11}NO$: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.97; H, 5.23; N, 4.80. Chiracel OJ, hexane-*i*-PrOH (98 : 2) 1.0 mL/min, major 20.6 min (2*S*,3*S*), minor 38.9 min (2*R*,3*R*).

**(4*S*, 5*S*)-1,4-diphenyl-5-(3-furyl)-4,5-dihydro-oxazole 8.**

To a solution of the crude benzoyl aziridine (156 mg, 0.54 mmol) in dry $CH_2Cl_2$ (15 mL) was added dropwise $BF_3.OEt_2$ (0.15 mL, 1.08 mmol) at 0 °C under an atmosphere of nitrogen and the solution was stirred for 1 h a r.t. The reaction was diluted with $CH_2Cl_2$ (15 mL) and washed with water (2 x 5 mL), dried over $MgSO_4$, filtered and concentrated to give an orange oil. The residue was purified by column chromatography on silica gel using a mixture of petroleum ether/AcOEt (80/20) as eluent to give **8** (126 mg, 81%) as a pale yellow solid. $[\alpha]^{D}_{23}$ = -58.5, (c 1, $CHCl_3$), Rf = 0.42 petroleum ether/AcOEt (80/20), m.p. 56-58 °C, $^{1}$H NMR (400 MHz, $CDCl_3$) 5.24 (1H, d, *J* = 8.1 Hz), 5.37 (1H, d, *J* = 8.1 Hz), 6.50 (1H, m), 7.29-7.39 (5H, m), 7.43-7.53 (5H, m), 8.08 (2H, d, *J* = 8.4 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) 77.1, 82.0, 108.4, 126.7, 127.9, 128.5 (2C), 128.6, 128.7, 128.9, 131.8, 140.2, 141.7, 144.3, 164.0; IR $\nu_{max}$/ $cm^{-1}$ 1646, 1494, 1450, 1063, 1024, 874, 695; MS m/z (CI) 290 ($[M+H]^+$, 80%);. Anal. Calcd. for $C_{19}H_{15}NO_2$: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.53; H, 5.48; N, 4.84; Chiracel OJ, hexane-*i*-PrOH (98 : 2) 1.0 mL/min, major 14.3 min (2*S*,2*S*), minor 20.9 min (2*R*,3*R*).