**Reduction of 4-(haloalkyl)azetidin-2-ones with LiAlH₄
as a powerful method for the synthesis of stereodefined
aziridines and azetidines**

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General experimental methods

¹H NMR spectra were recorded at 300 MHz with CDCl₃ as solvent and tetramethylsilane as internal standard. ¹³C NMR spectra were recorded at 75 MHz with CDCl₃ as solvent. Mass spectra were obtained with a mass spectrometer (70 eV) using a GC-MS coupling (20 m glass capillary column, i.d. 0.53 mm, He carrier gas) or were recorded using a direct inlet system (70 eV). Diethyl ether was dried by distillation over sodium benzophenone ketyl. All other solvents were used as received from the supplier.

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Synthesis of 4-(1-haloalkyl)azetidin-2-ones **1** and 4-(2-haloalkyl)azetidin-2-ones **11**

4-(1-Haloalkyl)azetidin-2-ones **1** and 4-(2-haloalkyl)azetidin-2-ones **11** were synthesised by [2+2]-cyclocondensation of the corresponding halogenated imines and ketenes (formed *in situ* from the corresponding acid chlorides by treatment with triethylamine) in benzene. Yields of 4-(1-haloalkyl)azetidin-2-ones **1** ranged from 73 to 85% while yields of 4-(2-haloalkyl)azetidin-2-ones **11** were situated between 69 and 90%.^{28,29} The spectroscopic data of compounds **1b** and **11a** are representative examples of these novel β -lactams.

1-Benzyl-3-benzyloxy-4-(1-chloro-1-methylethyl)azetidin-2-one **1b**

White crystals, 73% yield, TLC R_f 0.35 (petroleum ether/ethyl acetate 3/1), Mp: 37-39°C. ¹H NMR (300 MHz, CDCl₃): δ 1.65 and 1.73 (6H, 2×s), 3.90 (1H, d, J = 5.2 Hz), 4.32 (1H, d, J = 14.6 Hz), 4.58 (1H, d, J = 5.2 Hz), 4.67 (1H, d, J = 11.8 Hz), 4.88 (1H, d, J = 14.6 Hz), 4.91 (1H, d, J = 11.8 Hz), 7.22-7.37 (5H, m). ¹³C NMR (75 MHz, CDCl₃): δ 27.8, 29.2, 44.8, 66.0, 71.6, 73.3, 81.5, 127.7, 128.5, 128.7, 135.6, 136.8, 168.3. IR (NaCl, cm⁻¹): $\nu_{\text{C=O}}$ = 1760; ν_{max} = 2936, 1497, 1455. MS (70 eV) m/z (%): 344/6 (M⁺+1, 100). Anal. Calcd for C₂₀H₂₂ClNO₂: C 69.86; H 6.45; N 4.07. Found: C 70.03; H 6.53; N 3.96.

1-Benzyl-3-methoxy-4-(1-chloro-1-methylethyl)azetidin-2-one **1c**

Colourless oil, 75% yield, TLC R_f 0.25 (petroleum ether/ethyl acetate 3/1). ¹H NMR (300 MHz, CDCl₃): δ 1.61 and 1.67 (6H, 2×s), 3.52 (3H, s), 3.89 (1H, d, J = 5.2 Hz),

4.30 (1H, d, $J = 14.7$ Hz), 4.38 (1H, d, $J = 5.2$ Hz), 4.84 (1H, d, $J = 14.7$ Hz). ^{13}C NMR (75 MHz, CDCl_3): δ 27.7, 29.1, 44.8, 59.6, 66.1, 71.6, 84.0, 127.7, 128.6, 135.6, 168.3. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}$ = 1765; ν_{max} = 2937, 1496, 1456. MS (70 eV) m/z (%): 268/70 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{14}\text{H}_{18}\text{ClNO}_2$: C 62.80; H 6.78; N 5.23. Found: C 62.94; H 6.71; N 5.35.

3-Benzoyloxy-1-*tert*-butyl-4-(1-chloro-1-methylethyl)azetidin-2-one 1g

Colourless oil, 79% yield, TLC Rf 0.35 (petroleum ether/ethyl acetate 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.48 (9H, s), 1.74 and 1.75 (6H, 2 $\times$ s), 4.14 (1H, d, $J = 5.8$ Hz), 4.52 (1H, d, $J = 5.8$ Hz), 4.68 (1H, d, $J = 11.8$ Hz), 4.90 (1H, d, $J = 11.8$ Hz), 7.25-7.38 (5H, m). ^{13}C NMR (75 MHz, CDCl_3): δ 27.3, 29.8, 28.8, 54.8, 71.5, 68.3, 73.2, 79.4, 127.7, 127.9, 128.5, 137.0, 168.7. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}$ = 1737; ν_{max} = 2977, 1645, 1604, 1497, 1455. MS (70 eV) m/z (%): 310/2 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{17}\text{H}_{24}\text{ClNO}_2$: C 65.90; H 7.81; N 4.52. Found: C 65.77; H 7.90; N 4.64.

***Cis*-3-benzyloxy-4-[(2-bromo-1,1-dimethyl)ethyl]-1-*t*-butylazetidin-2-one 11a**

Colourless oil, 90% yield, TLC Rf 0.25 (petroleum ether/ethyl acetate 6/1). ^1H NMR (300 MHz, CDCl_3): δ 1.20 and 1.25 (2 $\times$ 3H, 2 $\times$ s), 1.38 (9H, s), 3.33 (1H, d, $J = 9.9$ Hz), 3.91 (1H, d, $J = 9.9$ Hz), 3.96 (1H, d, $J = 5.8$ Hz), 4.54 (1H, d, $J = 5.8$ Hz), 4.71 (1H, d, $J = 11.8$ Hz), 4.93 (1H, d, $J = 11.8$ Hz), 7.24-7.35 (5H, m). ^{13}C NMR (75 MHz, CDCl_3): δ 22.6, 26.5, 28.9, 37.2, 44.5, 53.9, 65.1, 73.2, 79.7, 127.6, 127.8, 128.4, 137.2, 169.5. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}$ = 1748. MS (70 eV): m/z (%) 370/368 ($M^+ + 1$, 11); 362 (26);

361 (100). Anal. Calcd for $C_{18}H_{26}BrNO_2$: C 58.70; H 7.12; N 3.80. Found: C 58.84; H 7.19; N 3.65.

***Cis*-1-benzyl-3-benzyloxy-4-[(2-bromo-1,1-dimethyl)ethyl]azetidin-2-one 11b**

Colourless oil, 69% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 6/1). 1H NMR (300 MHz, $CDCl_3$): δ 1.08 and 1.12 ($2 \times 3H$, $2 \times s$), 3.22 (1H, d, $J = 10.1$ Hz), 3.62 (1H, d, $J = 10.1$ Hz), 3.71 (1H, d, $J = 5.4$ Hz), 4.14 (1H, d, $J = 15.1$ Hz), 4.68 (1H, d, $J = 5.4$ Hz), 4.70 (1H, d, $J = 11.8$ Hz), 4.72 (1H, d, $J = 15.1$ Hz), 4.95 (1H, d, $J = 11.8$ Hz), 7.21-7.35 (10H, m). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 22.9, 24.7, 37.3, 43.8, 46.0, 63.8, 73.5, 82.2, 127.8, 128.1, 128.4, 128.6, 129.0, 135.7, 137.2, 169.3. IR (NaCl, cm^{-1}): $\nu_{C=O} = 1755$. MS (70 eV): m/z (%) 402/2 ($M^+ + 1$, 100); 403/405 (28); 350 (7); 307 (9); 282 (7); 254 (10). Anal. Calcd for $C_{21}H_{24}BrNO_2$: C 62.69; H 6.01; N 3.48. Found: C 62.54; H 6.08; N 3.59.

***Cis*-1-benzyl-4-[(2-bromo-1,1-dimethyl)ethyl]-3-methoxyazetidin-2-one 11c**

White crystals, 85% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 6/1), Mp. $45^\circ C$ 1H NMR (300 MHz, $CDCl_3$): δ 1.05 and 1.08 ($2 \times 3H$, $2 \times s$), 3.20 (1H, d, $J = 10.1$ Hz), 3.56 (1H, d, $J = 10.1$ Hz), 3.56 (3H, s), 3.70 (1H, d, $J = 5.2$ Hz), 4.16 (1H, d, $J = 15.1$ Hz), 4.49 (1H, d, $J = 5.2$ Hz), 4.70 (1H, d, $J = 15.1$ Hz), 7.22-7.35 (5H, m). ^{13}C NMR (75 MHz, $CDCl_3$): δ 22.7, 24.3, 37.1, 43.5, 45.8, 59.5, 63.7, 84.6, 127.8, 128.2, 128.8, 135.6, 169.1. IR (KBr, cm^{-1}): $\nu_{C=O} = 1756$. MS (70 eV): m/z (%) 326/8 ($M^+ + 1$, 100). Anal. Calcd for $C_{15}H_{20}BrNO_2$: C 55.23; H 6.18; N 4.29. Found: C 55.31; H 6.02; N 4.38.

General procedure for the synthesis of aziridines 2 and azetidines 12 from 4-(haloalkyl)azetidin-2-ones 1 and 11

As an example, the synthesis of 2-benzyloxy-2-(1-isopropyl-3,3-dimethylaziridin-2-yl)ethanol **2a** is described. To a mixture of diethyl ether (50 ml) and LiAlH₄ (0.72g, 19.0 mmol) at 0°C, a solution of 3-benzyloxy-4-(1-chloro-1-methylethyl)-1-isopropylazetidin-2-one **1a** (1g, 3.8 mmol) in diethyl ether (20 ml) is added dropwise over a period of 5 minutes. After two hours of stirring at 0°C, little water is added slowly in order to neutralise the residual hydride. The solvent is decanted and the residue is washed three times with 20 ml of diethyl ether. After drying (MgSO₄) of the combined organic fractions and filtration, the solvent is evaporated under reduced pressure. The crude reaction mixture is purified by flash chromatography (CH₂Cl₂/ MeOH 20/1 ratio on silicagel), affording 2-benzyloxy-2-(1-isopropyl-3,3-dimethylaziridin-2-yl)ethanol **2a** in 57% yield and 3-benzyloxy-2-(1-chloro-1-methylethyl)-1-isopropylazetidine **3a** in 3% yield.

2-Benzyloxy-2-(1-isopropyl-3,3-dimethylaziridin-2-yl)ethanol 2a

Colourless oil, 57% yield, TLC R_f 0.3 (CH₂Cl₂/ MeOH 20/1). ¹H NMR (270 MHz, CDCl₃): δ 1.10 and 1.22 (6H, 2×s), 1.19 and 1.24 (6H, 2×s), 1.40 (1H, d, *J* = 8.6 Hz), 2.20 (1H, septet, *J* = 6 Hz), 2.40 (1H, broad s), 3.29-3.35 (1H, m), 3.50-3.66 (2H, m), 4.61 (1H, d, *J* = 11 Hz), 4.89 (1H, d, *J* = 11 Hz), 7.24-7.39 (5H, m). ¹³C NMR (67.5 MHz, CDCl₃): δ 18.3, 23.0, 23.1, 23.3, 39.0, 50.0, 53.1, 63.5, 72.9, 80.8, 127.6, 127.7,

128.4, 138.7. **IR** (NaCl, cm^{-1}): ν_{max} = 2967, 1497, 1454, 1382. **MS** (70 eV) m/z (%): 264 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{16}\text{H}_{25}\text{NO}_2$: C 72.96; H 9.57; N 5.32. Found: C 72.76; H 9.70; N 5.44.

2-(1-Benzyl-3,3-dimethylaziridin-2-yl)-2-benzyloxyethanol 2b

Colourless oil, 62% yield, TLC Rf 0.2 ($\text{CH}_2\text{Cl}_2/\text{MeOH}$ 20/1). **^1H NMR** (300 MHz, CDCl_3): δ 1.21 and 1.22 (6H, 21.53 (1H, d, $J = 8.5$ Hz), 2.43 (1H, broad s), 3.30-3.37 (1H, m), 3.53-3.59 (3H, m), 3.63 (1H, d, $J = 14.2$ Hz), 3.75 (1H, d, $J = 14.2$ Hz), 4.41 (1H, d, $J = 11.7$ Hz), 4.53 (1H, d, $J = 11.7$ Hz), 7.20-7.40 (10H, m). **^{13}C NMR** (75 MHz, CDCl_3): δ 18.4, 23.0, 38.6, 50.7, 56.8, 63.7, 71.6, 80.4, 126.8, 127.5, 127.7, 128.0, 128.3, 128.4, 138.6, 140.1. **IR** (NaCl, cm^{-1}): ν_{max} = 3401, 2925, 2871, 1606, 1496, 1454, 1378. **MS** (70 eV) m/z (%): 312 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{20}\text{H}_{25}\text{NO}_2$: C 77.14; H 8.09; N 4.50. Found: C 77.02; H 7.92; N 4.64.

2-(1-Benzyl-3,3-dimethylaziridin-2-yl)-2-methoxyethanol 2c

Colourless oil, 55% yield, TLC Rf 0.15 ($\text{CH}_2\text{Cl}_2/\text{MeOH}$ 20/1). **^1H NMR** (300 MHz, CDCl_3): δ 1.21 (6H, 2 $\times$ s), 1.43 (1H, d, $J = 8.5$ Hz), 2.75 (1H, broad s), 3.08-3.14 (1H, m), 3.32 (3H, s), 3.49-3.62 (3H, m), 3.85 (1H, d, $J = 14.3$ Hz), 7.20-7.38 (5H, m). **^{13}C NMR** (75 MHz, CDCl_3): δ 18.4, 23.0, 38.5, 50.5, 56.7, 57.7, 63.5, 82.2, 126.7, 127.8, 128.3, 140.1. **IR** (NaCl, cm^{-1}): ν_{max} = 3411, 2983, 2929, 2828, 1606, 1496, 1453, 1422, 1378. **MS** (70 eV) m/z (%): 236 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{14}\text{H}_{21}\text{NO}_2$: C 71.46; H 8.99; N 5.95. Found: C 71.32; H 8.90; N 6.14.

2-(1-Allyl-3,3-dimethylaziridin-2-yl)-2-benzyloxyethanol 2d

Colourless oil, 43% yield, TLC Rf 0.2 (CH₂Cl₂/ MeOH 20/1). ¹H NMR (300 MHz, CDCl₃): δ 1.20 and 1.21 (6H, 2×s), 1.40 (1H, d, *J* = 8.5 Hz), 2.10 (1H, broad s), 3.08 (1H, d×d, *J* = 14.6 Hz, *J* = 5.1 Hz), 3.26 (1H, d×d, *J* = 14.6 Hz, *J* = 6.1 Hz), 3.33-3.40 (1H, m), 3.59-3.61 (2H, m), 4.60 (1H, d, *J* = 11.3 Hz), 4.91 (1H, d, *J* = 11.3 Hz), 5.11-5.29 (2H, m), 5.89-6.08 (1H, m), 7.28-7.37 (5H, m). ¹³C NMR (75 MHz, CDCl₃): δ 18.1, 23.0, 38.3, 50.5, 55.7, 63.7, 71.9, 80.7, 116.1, 127.6, 127.8, 128.4, 136.4, 138.6. IR (NaCl, cm⁻¹): ν_{max} = 3409, 3088, 3065, 2984, 2924, 2871, 1644, 1497, 1455, 1418, 1377. MS (70 eV) *m/z* (%): 262 (M⁺+1, 100); 91(12). Anal. Calcd for C₁₆H₂₃NO₂: C 73.53; H 8.87; N 5.36. Found: C 73.41; H 8.99; N 5.44.

2-(1-Allyl-3,3-dimethylaziridin-2-yl)-2-methoxyethanol 2e

Colourless oil, 51% yield, TLC Rf 0.12 (CH₂Cl₂/ MeOH 20/1). ¹H NMR (300 MHz, CDCl₃): δ 1.17 and 1.19 (6H, 2×s), 1.29 (1H, d, *J* = 8.5 Hz), 2.32 (1H, broad s), 3.02 (1H, d×d, *J* = 14.9 Hz, *J* = 5.5 Hz), 3.08-3.18 (1H, m), 3.32 (1H, d×d, *J* = 14.9 Hz, *J* = 5.8 Hz), 3.47-3.64 (2H, m), 3.53 (3H, s), 5.10-5.27 (2H, m), 5.92-6.05 (1H, m). ¹³C NMR (75 MHz, CDCl₃): δ 18.1, 22.9, 38.3, 50.5, 55.6, 57.7, 63.2, 82.3, 115.8, 136.4. IR (NaCl, cm⁻¹): ν_{max} = 3401, 2984, 2928, 1644, 1463, 1418, 1378. MS (70 eV) *m/z* (%): 186 (M⁺+1, 100); 112 (50). Anal. Calcd for C₁₀H₁₉NO₂: C 64.83; H 10.34; N 7.56. Found: C 64.98; H 10.49; N 7.40.

2-(1-Cyclohexyl-3,3-dimethylaziridin-2-yl)-2-methoxyethanol 2f

Colourless oil, 52% yield, TLC Rf 0.1 (CH₂Cl₂/ MeOH 20/1). **¹H NMR** (270 MHz, CDCl₃): δ 1.17 and 1.24 (6H, 2×s), 1.21-1.94 (11H, m), 1.31 (1H, d, *J* = 8.6 Hz), 2.50 (1H, broad s), 3.02-3.09 (1H, m), 3.51 (1H, d×d, *J* = 12 Hz, *J* = 7 Hz), 3.54 (3H, s), 3.62 (1H, d×d, *J* = 12 Hz, *J* = 4 Hz). **¹³C NMR** (67.5 MHz, CDCl₃): δ 18.3, 23.4, 24.8, 25.2, 25.9, 32.9, 33.8, 38.2, 49.2, 58.8, 61.4, 63.2, 82.2. **IR** (NaCl, cm⁻¹): ν_{max} = 2982, 1451, 1379, 1364, 1348. **MS** (70 eV) *m/z* (%): 228 (M⁺+1, 100). Anal. Calcd for C₁₃H₂₅NO₂: C 68.68; H 11.08; N 6.16. Found: C 68.89; H 11.01; N 6.27.

3-Benzoyloxy-2-(1-chloro-1-methylethyl)-1-isopropylazetidine 3a

Colourless oil, 3% yield, TLC Rf 0.25 (petroleum ether/ethyl acetate 20/1). **¹H NMR** (300 MHz, CDCl₃): δ 0.97 and 1.03 (6H, 2×d, *J* = 6.6 Hz), 1.71 and 1.82 (6H, 2×s), 3.09 (1H, septet, *J* = 6.6 Hz), 3.17 (1H, d×d, *J* = 8.7 Hz, *J* = 6.3 Hz), 3.21 (1H, d×d×d, *J* = 8.7 Hz, *J* = 3.6 Hz, *J* = 1.1 Hz), 3.71 (1H, d×d, *J* = 7.2 Hz, *J* = 1.1 Hz), 4.19 (1H, d×d×d, *J* = 7.2 Hz, *J* = 6.3 Hz, *J* = 3.6 Hz), 4.36 (1H, d, *J* = 12.1 Hz), 4.52 (1H, d, *J* = 12.1 Hz), 7.24-7.36 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 14.7, 20.9, 29.3, 29.5, 49.9, 50.6, 71.1, 72.1, 72.8, 73.0, 127.5, 127.6, 128.3, 138.1. **IR** (NaCl, cm⁻¹): ν_{max} = 2965, 2932, 2868, 1497, 1455. **MS** (70 eV) *m/z* (%): 282/4 (M⁺+1, 100). Anal. Calcd for C₁₆H₂₄ClNO: C 68.19; H 8.58; N 4.97. Found: C 68.30; H 8.69; N 5.13.

1-Benzyl-3-benzyloxy-2-(1-chloro-1-methylethyl)azetidine 3b

Colourless oil, 4% yield, TLC Rf 0.2 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.73 and 1.93 (6H, 2×s), 2.86 (1H, d×d, *J* = 8.8 Hz, *J* = 6.1 Hz), 3.33 (1H, d, *J* = 8.8 Hz), 3.45 (1H, d, *J* = 13.2 Hz), 3.66 (1H, d, *J* = 7.2 Hz), 4.23-4.28 (1H,

m), 4.29 (1H, d, $J = 12.3$ Hz), 4.36 (1H, d, $J = 13.2$ Hz), 4.48 (1H, d, $J = 12.3$ Hz), 7.21-7.36 (5H, m). ^{13}C NMR (75 MHz, CDCl_3): δ 29.3, 29.6, 56.6, 62.1, 70.9, 72.5, 73.2, 76.7, 126.9, 127.4, 127.6, 128.2, 128.3, 128.7, 137.9, 138.4. IR (NaCl, cm^{-1}): $\nu_{\text{max}} = 3029$, 2975, 2932, 2863, 1495, 1454, 1368. MS (70 eV) m/z (%): 332/0 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{20}\text{H}_{24}\text{ClNO}$: C 72.82; H 7.33; N 4.25. Found: C 72.68; H 7.44; N 4.32.

1-Benzyl-2-(1-chloro-1-methylethyl)-3-methoxyazetidine 3c

Colourless oil, 4% yield, TLC Rf 0.2 (petroleum ether/ethyl acetate 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.69 and 1.88 (6H, 2 $\times$ s), 2.81 (1H, d $\times$ d, $J = 8.9$ Hz, $J = 5.9$ Hz), 3.18 (3H, s), 3.29 (1H, d, $J = 8.9$ Hz), 3.42 (1H, d, $J = 13.4$ Hz), 3.61 (1H, d, $J = 6.9$ Hz), 4.01-4.06 (1H, m), 4.36 (1H, d, $J = 13.4$ Hz), 7.21-7.36 (5H, m). ^{13}C NMR (75 MHz, CDCl_3): δ 29.2, 29.5, 56.2, 56.4, 62.2, 73.0, 74.8, 76.8, 126.9, 128.2, 128.7, 138.3. IR (NaCl, cm^{-1}): $\nu_{\text{max}} = 2978$, 2932, 2833, 1495, 1453, 1368. MS (70 eV) m/z (%): 254/6 ($M^+ + 1$, 100). Anal. Calcd for $\text{C}_{14}\text{H}_{20}\text{ClNO}$: C 66.26; H 7.94; N 5.52. Found: C 66.38; H 7.80; N 5.71.

1-Allyl-3-benzyloxy-2-(1-chloro-1-methylethyl)azetidine 3d

Colourless oil, 2% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.69 and 1.85 (6H, 2 $\times$ s), 2.89 (1H, d $\times$ d, $J = 9.1$ Hz, $J = 5.9$ Hz), 2.94 (1H, d $\times$ d, $J = 13.5$ Hz, $J = 7.4$ Hz), 3.42 (1H, d $\times$ d $\times$ d, $J = 9.1$ Hz, $J = 2.2$ Hz, $J = 1.4$ Hz), 3.52 (1H, d $\times$ d, $J = 6.9$ Hz, $J = 1.0$ Hz), 3.72 (1H, d $\times$ d $\times$ t, $J = 13.8$ Hz, $J = 5.0$ Hz, $J = 1.7$ Hz), 4.25 (1H, d $\times$ d $\times$ d, $J = 6.9$ Hz, $J = 6.1$ Hz, $J = 2.5$ Hz), 4.32 (1H, d, $J = 12.0$ Hz), 4.52 (1H, d, $J = 12.0$ Hz), 5.08-5.22 (2H, m), 5.78-5.91 (1H, m), 7.27-7.37 (5H, m). ^{13}C NMR

(67.8 MHz, CDCl₃): δ 29.2, 29.5, 56.3, 60.8, 70.9, 72.5, 72.7, 76.7, 117.1, 127.3, 128.3, 127.5, 134.5, 137.8. **IR** (NaCl, cm⁻¹): $\nu_{\max}$ = 3067, 3031, 2978, 2933, 2861, 2841, 2794, 1643, 1497, 1455, 1383, 1365, 1355. **MS** (70 eV) m/z (%): 280/2 (M^+ +1, 100). Anal. Calcd for C₁₆H₂₂ClNO: C 68.68; H 7.93; N 5.01. Found: C 68.60; H 8.03; N 5.05.

1-Allyl-2-(1-chloro-1-methylethyl)-3-methoxyazetidine 3e

Colourless oil, 3% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.64 and 1.80 (6H, 2 $\times$ s), 2.89 (1H, d $\times$ d, J = 8.9 Hz, J = 5.8 Hz), 2.92 (1H, d $\times$ d, J = 13.5 Hz, J = 7.4 Hz), 3.22 (3H, s), 3.37 (1H, d $\times$ d $\times$ d, J = 8.8 Hz, J = 1.9 Hz, J = 1.4 Hz), 3.49 (1H, d, J = 6.6 Hz), 3.74 (1H, d $\times$ d $\times$ t, J = 13.8 Hz, J = 5.0 Hz, J = 1.5 Hz), 4.03 (1H, d $\times$ d $\times$ d, J = 6.7 Hz, J = 5.8 Hz, J = 2.1 Hz), 5.08-5.23 (2H, m), 5.78-5.91 (1H, m). **¹³C NMR** (67.8 MHz, CDCl₃): δ 29.2, 29.4, 55.9, 56.4, 60.8, 72.5, 74.8, 76.8, 117.3, 134.3. **IR** (NaCl, cm⁻¹): $\nu_{\max}$ = 3079, 2982, 2934, 2834, 1644, 1461, 1383, 1367, 1193. **MS** (70 eV) m/z (%): 204/6 (M^+ +1, 100). Anal. Calcd for C₁₀H₁₈ClNO: C 58.96; H 8.91; N 6.88. Found: C 59.09; H 9.02; N 6.69.

2-(1-Chloro-1-methylethyl)-1-cyclohexyl-3-methoxyazetidine 3f

Colourless oil, 5% yield, TLC Rf 0.15 (petroleum ether/ethyl acetate 20/1). **¹H NMR** (300 MHz, CDCl₃): δ 0.83-1.95 (10H, m), 1.66 and 1.77 (6H, 2 $\times$ s), 3.18 (2H, d, J = 4.7 Hz), 3.23 (3H, s), 3.77 (1H, d, 6.9 Hz), 3.96 (1H, d $\times$ t, J = 6.9 Hz, J = 4.4 Hz). **¹³C NMR** (75 MHz, CDCl₃): δ 25.3, 25.6, 25.8, 26.3, 31.4, 29.3, 29.5, 50.7, 56.6, 72.6, 72.9, 74.5. **IR** (NaCl, cm⁻¹): $\nu_{\max}$ = 2929, 2854, 1450. **MS** (70 eV) m/z (%): 246/8 (M^+ +1, 100). Anal. Calcd for C₁₃H₂₄ClNO: C 63.53; H 9.84; N 5.70. Found: C 63.41; H 9.95; N 5.66.

3-Benzoyloxy-1-*tert*-butyl-2-(1-chloro-1-methylethyl)azetidine 3g

Colourless oil, 1% yield, TLC Rf 0.25 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.06 (9H, s), 1.77 and 1.80 (6H, 2×s), 3.19 (1H, d×d, *J* = 8.9 Hz, *J* = 7.0 Hz), 3.29 (1H, d×d×d, *J* = 8.9 Hz, *J* = 4.7 Hz, *J* = 1.0 Hz), 3.71 (1H, d×d, *J* = 7.4 Hz, *J* = 1.0 Hz), 4.14 (1H, d×t, *J* = 7.2 Hz, *J* = 4.7 Hz), 4.40 (1H, d, *J* = 11.8 Hz), 4.5 (1H, d, *J* = 11.8 Hz), 7.22-7.35 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 26.1, 29.6, 51.6, 52.3, 75.5, 71.1, 71.5, 72.0, 127.5, 128.1, 138.1. **IR** (NaCl, cm⁻¹): ν_{max} = 2970, 2936, 2871, 1497, 1455, 1364. **MS** (70 eV) *m/z* (%): 296/8 (*M*⁺+1, 100). Anal. Calcd for C₁₇H₂₆ClNO₂: C 69.02; H 8.86; N 4.73. Found: C 69.13; H 8.79; N 4.85.

2-Benzoyloxy-2-(1-*t*-butyl-3,3-dimethylazetidin-2-yl)ethanol 12a

Colourless oil, 45% yield, TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 0.95 (9H, s), 1.10 and 1.36 (6H, 2×s), 2.83 (1H, d, *J* = 7.3 Hz), 2.86 (1H, d, *J* = 7.3 Hz), 3.44 (1H, d, *J* = 6.6 Hz), 3.66-3.78 (2H, m), 4.08 (1H, d×d, *J* = 10.5 Hz, *J* = 6.6 Hz), 4.53 (1H, d, *J* = 11.7 Hz), 4.58 (1H, d, *J* = 11.7 Hz), 7.19-7.39 (5H, m). **¹³C NMR** (75 MHz, ref = CDCl₃): δ 24.3, 29.5, 25.5, 32.7, 52.5, 57.8, 63.3, 67.1, 72.3, 78.3, 126.9, 127.7, 127.8, 128.4, 138.8. **IR** (NaCl, cm⁻¹): ν_{OH} = 3401; ν_{max} = 3089, 3065, 3032, 2965, 2871, 1497, 1467, 1455, 1389, 1365, 1242, 1215, 1076, 1028. **MS** (70 eV): *m/z* (%) 292 (*M*⁺+1, 100). Anal. Calcd for C₁₈H₂₉NO₂: C 74.18; H 10.06; N 4.81. Found: C 74.10; H 9.90; N 4.68.

2-(1-Benzyl-3,3-dimethylazetidin-2-yl)-2-benzyloxyethanol 12b

Colourless oil, 52% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.16 and 1.34 (6H, 2xs), 2.63 (1H, d, *J* = 6.6 Hz), 3.09 (1H, d, *J* = 6.6 Hz), 3.16 (1H, d, *J* = 9.6 Hz), 3.46 (1H, d, *J* = 13.2 Hz), 3.48-3.56 (1H, m), 3.71-3.81 (1H, m), 3.90-3.98 (1H, m), 4.35 (1H, d, *J* = 13.2 Hz), 4.86 (1H, d, *J* = 11.3 Hz), 4.92 (1H, d, *J* = 11.3 Hz), 7.28-7.51 (10H, m). **¹³C NMR** (75 MHz, ref = CDCl₃): δ 23.0, 28.5, 34.1, 62.2, 63.7, 65.1, 73.2, 73.3, 82.0, 127.8, 128.1, 128.5, 128.6, 128.7, 128.8, 129.1, 138.6, 139.1. **IR** (NaCl, cm⁻¹): ν_{OH} = 3393; ν_{max} = 3087, 3063, 3029, 2952, 2926, 2868, 1496, 1464, 1454, 1368, 1359, 1097, 1068, 1028. **MS** (70 eV): *m/z* (%) 326 (M⁺+1, 100); 307 (7). Anal. Calcd for C₂₁H₂₇NO₂: C 77.50; H 8.36; N 4.30. Found: C 77.68; H 8.45; N 4.18.

2-(1-Benzyl-3,3-dimethylazetidin-2-yl)-2-methoxyethanol 12c

Colourless oil, 61% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.05 and 1.23 (6H, 2xs), 2.50 (1H, d, *J* = 6.6 Hz), 2.94 (1H, d, *J* = 9.4 Hz), 2.98 (1H, d, *J* = 6.6 Hz), 3.35 (1H, d, *J* = 13.2 Hz), 3.36 (1H, d, *J* = 11.5 Hz), 3.45-3.50 (1H, m), 3.54 (3H, s), 3.70 (1H, d × d, *J* = 11.5 Hz, *J* = 3.3 Hz), 4.13 (1H, d, *J* = 13.2 Hz), 7.19-7.33 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 22.7, 28.1, 33.8, 59.0, 61.6, 63.4, 64.9, 72.9, 83.3, 126.8, 128.2, 128.5, 128.7, 138.4. **IR** (NaCl, cm⁻¹): ν_{OH} = 3412; ν_{max} = 2952, 2929, 2870, 2822, 1465, 1454, 1367, 1102, 1070, 1029. **MS** (70 eV): *m/z* (%) 250 (M⁺+1, 100). Anal. Calcd for C₁₅H₂₃NO₂: C 72.25; H 9.30; N 5.62. Found: C 72.42; H 9.22; N 5.69.

2-(1-Allyl-3,3-dimethylazetidin-2-yl)-2-benzyloxyethanol 12d

Colourless oil, 49% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). ¹H NMR (300 MHz, CDCl₃): δ 1.05 and 1.23 (6H, 2xs), 2.48 (1H, d, *J* = 6.9 Hz), 2.79 (1H, dxd, *J* = 13.5 Hz, *J* = 7.4 Hz), 2.92 (1H, d, *J* = 9.6 Hz), 3.07 (1H, d, *J* = 6.9 Hz), 3.32-3.38 (1H, m), 3.61-3.77 (3H, m), 4.66 (1H, d, *J* = 11.3 Hz), 4.72 (1H, d, *J* = 11.3 Hz), 5.03-5.18 (2H, m), 5.72-5.85 (1H, m), 7.21-7.36 (5H, m). ¹³C NMR (75 MHz, ref = CDCl₃): δ 22.8, 28.4, 33.9, 61.8, 62.7, 64.8, 73.0, 73.2, 81.8, 117.3, 126.9, 127.3, 127.7, 127.9, 128.4, 128.5, 134.6, 138.8. IR (NaCl, cm⁻¹): ν_{OH} = 3391; ν_{max} = 2954, 2926, 2869, 1465, 1455, 1100, 1062, 1028. MS (70 eV): *m/z* (%) 276 (M⁺+1, 100); 257 (6). Anal. Calcd for C₁₇H₂₅NO₂: C 74.14; H 9.15; N 5.09. Found: C 74.01; H 9.27; N 5.03.

2-Benzyloxy-2-(1-cyclohexyl-3,3-dimethylazetidin-2-yl)ethanol 12e

Colourless oil, 53% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). ¹H NMR (300 MHz, CDCl₃): δ 1.03 and 1.13 (6H, 2xs), 1.57-1.91 (10H, m), 2.12-2.23 (1H, m), 2.67 (1H, d, *J* = 7.0 Hz), 3.09 (1H, d, *J* = 7.0 Hz), 3.32 (1H, d, *J* = 7.2 Hz), 3.58-3.66 (1H, m), 3.73-3.80 (1H, m), 3.97 (1H, dxd, *J* = 11.0 Hz, *J* = 6.6 Hz), 4.58 (1H, d, *J* = 11.8 Hz), 4.65 (1H, d, *J* = 11.8 Hz), 7.26-7.36 (5H, m). ¹³C NMR (75 MHz, CDCl₃): δ 25.1, 25.3, 26.0, 27.7, 28.6, 29.9, 31.5, 33.8, 62.4, 62.9, 65.3, 71.0, 72.6, 78.8, 127.6, 127.6, 127.7, 128.5, 128.6, 138.7. IR (NaCl, cm⁻¹): ν_{OH} = 3392; ν_{max} = 2929, 2854, 1464, 1453, 1369, 1099, 1060, 1028. MS (70 eV): *m/z* (%) 318 (M⁺+1, 100). Anal. Calcd for C₂₀H₃₁NO₂: C 75.67; H 9.84; N 4.41. Found: C 75.83; H 9.77; N 4.31.

Cis-3-benzyloxy-4-[(2-bromo-1,1-dimethyl)ethyl]-1-*t*-butylazetidine 13a

White crystals, 5% yield, TLC R_f 0.3 (petroleum ether/ethyl acetate 9/1), Mp. 63°C **¹H NMR** (300 MHz, CDCl₃): δ 0.97 (9H, s), 1.14 and 1.24 (6H, 2×s), 3.30 (2H, m), 3.45 (1H, m), 3.53 (1H, d, *J* = 9.6 Hz), 3.89 (1H, d, *J* = 9.6 Hz), 4.33 (1H, d, *J* = 12.1 Hz), 4.34 (1H, m), 4.40 (1H, d, *J* = 12.1 Hz), 7.19-7.39 (5H, m). **¹³C NMR** (75 MHz, ref = CDCl₃): δ 23.8, 24.5, 26.7, 38.4, 46.4, 52.5, 53.8, 68.4, 71.1, 71.8, 127.1, 127.4, 127.7, 127.7, 127.9, 128.5, 128.6, 138.4. **IR** (KBr, cm⁻¹): ν_{max} = 2973, 2930, 2874, 2892, 2811, 1454, 1369, 1360, 1226, 1200, 1104, 1011. **MS** (70 eV): *m/z* (%) 356/4 (*M*⁺+1, 100); 275 (7). Anal. Calcd for C₁₈H₂₈BrNO: C 61.02; H 7.97; N 3.95. Found: C 60.79; H 7.80; N 4.14.

Cis-1-benzyl-3-benzyloxy-4-[(2-bromo-1,1-dimethyl)ethyl]azetidine 13b

Colourless oil, 4% yield, TLC R_f 0.3 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.19 and 1.22 (6H, 2×s), 2.86 (1H, d×d, *J* = 9.2 Hz, *J* = 6.5 Hz), 3.35 (1H, d×d, *J* = 9.2 Hz, *J* = 3.0 Hz), 3.43 (1H, d, *J* = 7.2 Hz), 3.43 (1H, d, *J* = 13.4 Hz), 3.56 (1H, d, *J* = 9.9 Hz), 3.83 (1H, d, *J* = 9.9 Hz), 3.99 (1H, d, *J* = 13.4 Hz), 4.26 (1H, d, *J* = 12.1 Hz), 4.35 (1H, d×d×d, *J* = 7.2 Hz, *J* = 6.5 Hz, *J* = 3.0 Hz), 4.46 (1H, d, *J* = 12.1 Hz), 7.20-7.36 (10H, m). **¹³C NMR** (75 MHz, ref = CDCl₃): δ 24.1, 24.4, 38.3, 45.9, 58.1, 63.6, 70.9, 72.6, 74.7, 127.0, 127.4, 127.6, 128.3, 128.5, 128.8, 138.3, 139.0. **IR** (NaCl, cm⁻¹): ν_{max} = 2962, 2929, 2867, 1495, 1454, 1362, 1166, 1117, 1057, 1028. **MS** (70 eV): *m/z* (%) 388/390 (*M*⁺+1, 100); 341 (6); 328 (18); 327 (84); 311 (24); 310 (28); 309 (88). Anal. Calcd for C₂₁H₂₆BrNO: C 64.95; H 6.75; N 3.61. Found: C 64.79; H 6.76; N 3.75.

Cis-1-benzyl-4-[(2-bromo-1,1-dimethyl)ethyl]-3-methoxyazetidine 13c

Colourless oil, 1% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.14 and 1.18 (6H, 2×s), 2.81 (1H, d×d, *J* = 9.1 Hz, *J* = 6.3 Hz), 3.16 (3H, s), 3.27 (1H, d×d×d, *J* = 9.1 Hz, *J* = 2.5 Hz, *J* = 1.1 Hz), 3.34-3.38 (1H, m), 3.39 (1H, d, *J* = 13.2 Hz), 3.55 (1H, d, *J* = 9.7 Hz), 3.80 (1H, d, *J* = 9.7 Hz), 3.99 (1H, d, *J* = 13.2 Hz), 4.08-4.13 (1H, d×t, *J* = 6.3 Hz, *J* = 2.5 Hz), 7.19-7.33 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 23.9, 24.4, 38.1, 45.8, 56.2, 57.5, 63.6, 74.8, 74.8, 127.0, 128.3, 128.5, 138.7. **IR** (NaCl, cm⁻¹): ν_{max} = 2964, 2930, 2829, 1453, 1382, 1366, 1243, 1192, 1182, 1157, 1117, 1059. **MS** (70 eV): *m/z* (%) 312/4 (*M*⁺+1, 59); 235 (6); 234 (13); 233 (100). Anal. Calcd for C₁₅H₂₂BrNO: C 57.70; H 7.10; N 4.49. Found: C 57.84; H 7.02; N 4.45.

Cis-1-allyl-3-benzyloxy-4-[(2-bromo-1,1-dimethyl)ethyl]azetidine 13d

Colourless oil, 3% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.16 and 1.17 (6H, 2×s), 2.88 (1H, d×d, *J* = 9.1 Hz, *J* = 6.3 Hz), 2.91 (1H, d×d, *J* = 13.5 Hz, *J* = 7.2 Hz), 3.28 (1H, d×d, *J* = 7.2 Hz, *J* = 1.1 Hz), 3.36-3.41 (2H, m), 3.52 (1H, d, *J* = 9.9 Hz), 3.80 (1H, d, *J* = 9.9 Hz), 4.28 (1H, d, *J* = 12.3 Hz), 4.29-4.34 (1H, m), 4.50 (1H, d, *J* = 12.3 Hz), 5.06-5.20 (2H, m), 5.73-5.86 (1H, m), 7.23-7.39 (5H, m). **¹³C NMR** (75 MHz, ref = CDCl₃): δ 24.1, 24.3, 38.1, 45.9, 57.6, 62.1, 70.9, 72.8, 74.4, 117.2, 127.5, 127.6, 128.5, 135.0, 138.2. **IR** (NaCl, cm⁻¹): ν_{max} = 2927, 2867, 1455, 1362, 1187, 1167, 1114, 1049, 1028. **MS** (70 eV): *m/z* (%) 338/340 (*M*⁺+1, 100); 277/279 (8); 260/262 (8); 259/61 (32). Anal. Calcd for C₁₇H₂₄BrNO: C 60.36; H 7.15; N 4.14. Found: C 60.21; H 7.33; N 4.28.

Cis-4-[(2-bromo-1,1-dimethyl)ethyl]-1-cyclohexyl-3-methoxyazetidine 13e

Colourless oil, 5% yield, TLC Rf 0.3 (petroleum ether/ethyl acetate 9/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.16 and 1.22 (6H, 2×s), 1.57-1.88 (10H, m), 2.36-2.42 (1H, m), 3.26-3.37 (2H, m), 3.30 (1H, d, *J* = 7.2 Hz), 3.53 (1H, d, *J* = 9.6 Hz), 3.84 (1H, d, *J* = 9.6 Hz), 4.48 (1H, d, *J* = 11.6 Hz), 4.46-4.52 (1H, m), 4.64 (1H, d, *J* = 11.6 Hz), 7.23-7.42 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 26.0, 26.1, 26.5, 28.5, 29.2, 36.4, 46.0, 53.2, 63.6, 69.1, 70.4, 73.3, 127.7, 127.8, 128.5, 138.3. **IR** (NaCl, cm⁻¹): ν_{max} = 2928, 2854, 1453, 1382, 1364, 1255, 1210, 1172, 1109, 1028. **MS** (70 eV): *m/z* (%) 380/2 (*M*⁺+1, 75). Anal. Calcd for C₂₀H₃₀BrNO: C 63.15; H 7.95; N 3.68. Found: C 63.25; H 7.85; N 3.58.

General procedure for the synthesis of *trans*-4-alkylamino-3-alkoxytetrahydrofurans 9

As an example, the synthesis of *trans*-4-benzylamino-3-benzyloxy-5,5-dimethyloxolane **9a** is described. To a slurry of AlCl₃ (0.21g, 1.61 mmol) and 30 ml of dry diethyl ether was added 2-benzyloxy-2-(1-*tert*-butyl-3,3-dimethylaziridin-2-yl)ethanol **2a** (0.5g, 1.61 mmol) and the resulting reaction mixture was refluxed for 48 hours. Then, 30 ml of water was added and the mixture was extracted three times with 30 ml of diethyl ether. The combined organic fractions were dried (MgSO₄) and after filtration and evaporation of the solvent, the crude reaction mixture was obtained. Further purification was performed by flash chromatography over silica gel.

***Trans*-4-benzylamino-3-benzyloxy-5,5-dimethyloxolane 9a**

Colourless oil, 55% yield, TLC Rf 0.35 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.18 and 1.34 (6H, 2×s), 3.03 (1H, d, *J* = 4.7 Hz), 3.74-3.78 (1H, m), 3.82 (1H, d, *J* = 13.2 Hz), 3.89 (1H, d, *J* = 13.2 Hz), 3.96-4.05 (2H, m), 4.49 (1H, d, *J* = 11.8 Hz), 4.53 (1H, d, *J* = 11.8 Hz), 7.22-7.38 (10H, m) **¹³C NMR** (75 MHz, CDCl₃): δ 21.8, 27.7, 52.6, 69.0, 71.0, 72.0, 81.8, 85.6, 126.9, 127.1, 127.8, 128.1, 128.4, 128.4, 138.0, 140.3. **IR** (NaCl, cm⁻¹): ν_{max} = 3426, 2972, 2929, 2868, 1496, 1454, 1366. **MS** (70 eV) *m/z* (%): 312 (M⁺+1, 100). Anal. Calcd for C₂₀H₂₅NO₂: C 77.14; H 8.09; N 4.50. Found: C 77.29; H 8.19; N 4.38.

***Trans*-4-benzylamino-3-methoxy-5,5-dimethyloxolane 9b**

Colourless oil, 62% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.20 and 1.31 (6H, 2×s), 2.35 (1H, broad s), 2.93 (1H, d, *J* = 4.7 Hz), 3.31 (3H, s), 3.72 (1H, d×d, *J* = 9.6 Hz, *J* = 4.4 Hz), 3.83 (1H, d, *J* = 13.1 Hz), 3.80-3.85 (1H, m), 3.93 (1H, d, *J* = 13.1 Hz), 4.03 (1H, d×d, *J* = 9.6 Hz, *J* = 6.1 Hz), 7.22-7.39 (5H, m) **¹³C NMR** (67.8 MHz, CDCl₃): δ 21.7, 27.7, 52.5, 57.4, 68.7, 70.7, 81.8, 87.5, 127.1, 128.2, 128.4, 139.8. **IR** (NaCl, cm⁻¹): ν_{max} = 3338, 2973, 2930, 1660, 1604, 1495, 1454, 1367. **MS** (70 eV) *m/z* (%): 236 (M⁺+1, 100). Anal. Calcd for C₁₄H₂₁NO₂: C 71.46; H 8.99; N 5.95. Found: C 71.36; H 9.16; N 5.84.

***Trans*-4-allylamino-3-benzyloxy-5,5-dimethyloxolane 9c**

Colourless oil, 64% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.15 and 1.35 (6H, 2×s), 3.00 (1H, d, *J* = 4.4 Hz), 3.24-3.39 (2H, m), 3.73-3.78

(1H, m), 3.91-4.03 (2H, m), 4.52 (2H, s), 5.08-5.23 (2H, m), 5.84-5.97 (1H, m), 7.27-7.44 (5H, m). **¹³C NMR** (67.8 MHz, CDCl₃): δ 21.7, 27.7, 51.0, 68.9, 70.7, 71.9, 81.6, 85.5, 116.0, 127.7, 127.7, 128.4, 136.8, 138.1. **IR** (NaCl, cm⁻¹): ν_{max} = 3447, 3332, 3065, 3031, 2973, 2929, 2868, 1643, 1497, 1455, 1366. **MS** (70 eV) m/z (%): 262 (M⁺+1, 100). Anal. Calcd for C₁₆H₂₃NO₂: C 73.53; H 8.87; N 5.36. Found: C 73.59; H 8.98; N 5.49.

***Trans*-4-allylamino-3-benzyloxy-5,5-dimethyloxolane 9d**

Colourless oil, 53% yield TLC Rf 0.2 (CH₂Cl₂/ MeOH 33/1). **¹H NMR** (300 MHz, CDCl₃): δ 1.16 and 1.33 (6H, 2×s), 1.95 (1H, broad s), 2.91 (1H, d, *J* = 4.7 Hz), 3.26-3.42 (2H, m), 3.34 (3H, s), 3.70-3.78 (2H, m), 4.00-4.07 (1H, m), 5.10-5.25 (2H, m), 5.86-5.99 (1H, m). **¹³C NMR** (67.8 MHz, ref = CDCl₃): δ 21.7, 27.8, 51.1, 57.6, 68.8, 70.7, 81.8, 87.7, 116.3, 136.7. **IR** (NaCl, cm⁻¹): ν_{max} = 3402, 2972, 2929, 1644, 1461, 1368. **MS** (70 eV) m/z (%): 185 (M⁺, 10); 112 (100); 96 (50). Anal. Calcd for C₁₀H₁₉NO₂: C 64.83; H 10.34; N 7.56. Found: C 64.64; H 10.49; N 7.77.

***Trans*-3-benzyloxy-4-*tert*-butylamino-5,5-dimethyloxolane 9e**

Colourless oil, 56% yield, TLC Rf 0.22 (petroleum ether/ethyl acetate 3/2). **¹H NMR** (300 MHz, CDCl₃): δ 1.08 and 1.29 (6H, 2×s), 1.10 (9H, s), 3.03 (1H, d, *J* = 4.4 Hz), 3.75-3.80 (2H, m), 3.93 (1H, d×d, *J* = 11.0 Hz, *J* = 6.9 Hz), 4.43 (1H, d, *J* = 11.4 Hz), 4.48 (1H, d, *J* = 11.4 Hz), 7.24-7.39 (5H, m). **¹³C NMR** (75 MHz, CDCl₃): δ 21.5, 27.1, 30.3, 50.7, 66.2, 68.7, 72.3, 81.8, 88.3, 127.6, 127.8, 128.3, 138.1. **IR** (NaCl, cm⁻¹): ν_{max} = 3430, 2968, 2931, 2868, 1715, 1497, 1455, 1389, 1364. **MS** (70 eV) m/z (%): 278

($M^+ + 1$, 100). Anal. Calcd for $C_{17}H_{27}NO_2$: C 73.61; H 9.81; N 5.05. Found: C 73.65; H 9.66; N 4.89.