Electronic Supplementary Information

Reactivity of *N*-(ω-haloalkyl)-β-lactams with regard to lithium aluminium hydride: novel synthesis of 1-(1-aryl-3-hydroxypropyl)aziridines and 3-aryl-3-(*N*-propylamino)propan-1-ols

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(*E*)-*N*-[(4-Methylphenyl)methylidene]-2-chloroethylamine 2c

Light-yellow oil. Yield 91%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.38 (3H, s, CH_3); 3.80-3.84 and 3.88-3.93 (2 x 2H, 2 x m, NCH_2CH_2Cl); 7.18-7.25 (2H, m, $CH_3(HC)_{ortho}$); 7.64 (2H, d, J = 8.3 Hz, $CH_3(HC)_{meta}$); 8.27 (1H, s, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.6 (CH_3); 44.4 (CH_2Cl); 62.8 (NCH_2); 128.4 and 129.5 (4 x HC_{arom}); 133.2 ($\underline{C}_{quat}C$=N); 141.5 ($CH_3\underline{C}_{quat}$); 163.6 (C=N). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1651; ν_{max} = 2849, 1610, 1431, 1307, 1294, 1174, 1048, 814. MS (70eV): m/z (%) 182/4 (M^++1, 100).

(*E*)-*N*-[(4-Methoxyphenyl)methylidene]-2-chloroethylamine 2d

Light-yellow oil. Yield 95%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.79-3.83 and 3.87-3.92 (2 x 2H, 2 x m, NCH_2CH_2Cl); 3.84 (3H, s, OCH_3); 6.91-6.96 and 7.67-7.72 (2 x 2H, 2 x m, CH_{arom}); 8.24 (1H, s, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 44.4 (CH_2Cl); 55.4 (OCH_3); 62.7 (NCH_2); 114.1 (2 x $O(HC)_{ortho}$); 130.0 (2 x $O(HC)_{meta}$); 132.1 ($\underline{C}_{quat}C$=N); 162.0 (OC_{quat}); 163.0 (C=N). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1646; ν_{max} = 2961, 2839, 1607, 1578, 1513, 1308, 1252, 1167, 1031, 832. MS (70eV): m/z (%) 198/200 (M^++1, 100).

(*E*)-*N*-[(3-Methoxyphenyl)methylidene]-2-chloroethylamine 2e

Light-yellow oil. Yield 90%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.79-3.85 and 3.91-3.96 (2 x 2H, 2 x m, NCH_2CH_2Cl); 3.86 (3H, s, OCH_3); 6.98-7.02 and 7.25-7.36 (1H and 3H, 2 x m, CH_{arom}); 8.28 (1H, s, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 44.3 (CH_2Cl); 55.5

(OCH_3); 62.7 (NCH_2); 111.8 and 117.9 (2 x $O(HC)_{ortho}$); 121.8 ($O(HC)_{para}$); 130.0 ($O(HC)_{meta}$); 137.3 ($\underline{C}_{quat}C=N$); 160.0 (OC_{quat}); 163.6 (C=N). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1648; ν_{max} = 2961, 2837, 1599, 1584, 1467, 1433, 1266, 1154, 1041, 788. MS (70eV): m/z (%) 198/200 (M^++1, 100).

(*E*)-*N*-[(4-Methylphenyl)methylidene]-3-bromopropylamine 2h

Light-yellow oil. Yield 73%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.26 (2H, quint, *J* = 6.4 Hz, $C\underline{H}_2CH_2Br$); 2.39 (3H, s, CH_3); 3.49 (2H, t, *J* = 6.4 Hz, CH_2Br); 3.73 (2H, t x d, *J* = 6.4, 1.1 Hz, NCH_2); 7.22 and 7.67 (2 x 2H, 2 x d, *J* = 8.0 Hz, CH_{arom}); 8.30 (1H, s, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.6 (CH_3); 31.9 and 33.4 (CH_2CH_2Br); 59.0 (NCH_2); 128.2 and 129.5 (4 x HC_{arom}); 133.5 and 141.2 (2 x C_{quat}); 162.2 (C=N). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1646; ν_{max} = 2920, 2841, 1610, 1574, 1511, 1447, 1307, 1250, 1174, 1042, 813. MS (70eV): m/z (%) 240/2 (M^++1, 100).

(*E*)-*N*-[(4-Methoxyphenyl)methylidene]-3-bromopropylamine 2i

Light-yellow oil. Yield 77%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.25 (2H, quint, *J* = 6.4 Hz, $C\underline{H}_2CH_2Br$); 3.50 (2H, t, *J* = 6.4 Hz, CH_2Br); 3.71 (2H, t x d, *J* = 6.4, 1.3 Hz, NCH_2); 3.85 (3H, s, OCH_3); 6.90-6.95 (2H, m, 2 x $O(\underline{H}C)_{ortho}$); 7.65-7.70 (2H, m, 2 x $O(\underline{H}C)_{meta}$); 8.26 (1H, s, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 31.9 and 33.6 (CH_2CH_2Br); 55.4 (OCH_3); 58.9 (NCH_2); 114.1 (2 x $O(HC)_{ortho}$); 129.1 ($\underline{C}_{quat}C=N$); 129.7 (2 x $O(HC)_{meta}$); 161.4 (C=N); 161.8 (OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1646; ν_{max} = 2934, 2838, 1606, 1578, 1512, 1308, 1250, 1166, 1032, 832. MS (70eV): m/z (%) 256/8 (M^++1, 100).

(*E*)-*N*-[(3-Methoxyphenyl)methylidene]-3-bromopropylamine 2j

Light-yellow oil. Yield 83%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.27 (2H, quint, *J* = 6.4 Hz, $C\underline{H}_2CH_2Br$); 3.50 (2H, t, *J* = 6.4 Hz, CH_2Br); 3.75 (2H, t x d, *J* = 6.4, 1.4 Hz, NCH_2); 3.85 (3H, s, OCH_3); 6.96-7.00 and 7.24-7.35 (1H and 3H, 2 x m, CH_{arom}); 8.31 (1H, t, *J* = 1.4 Hz, HC=N). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 31.8 and 33.4 (CH_2CH_2Br); 55.5 (OCH_3); 58.9 (NCH_2); 111.7 and 117.5 (2 x $O(HC)_{ortho}$); 121.5 ($O(HC)_{para}$); 129.7 ($O(HC)_{meta}$); 137.6 ($\underline{C}_{quat}C=N$); 160.0 (OC_{quat}); 162.1 (C=N). IR (NaCl, cm^{-1}): $\nu_{C=N}$ = 1646; ν_{max} = 2938, 2837, 1606, 1587, 1488, 1456, 1434, 1319, 1265, 1153, 1040, 786, 690. MS (70eV): m/z (%) 256/8 (M^++1, 100).

***cis*-1-(2-Chloroethyl)-4-(4-chlorophenyl)-3-phenoxyazetidin-2-one 3b**

Yellow crystals. Recrystallization from EtOH. Yield 70%. Mp. 86.0 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.26 (1H, d x d x d, *J* = 14.7, 7.4, 4.8 Hz, (HCH)N); 3.55 (1H, d x d x d, *J* = 11.6, 6.4, 4.8 Hz, (HCH)Cl); 3.67 (1H, d x d x d, *J* = 11.6, 7.4, 4.9 Hz, (HCH)Cl); 3.90 (1H, d x d x d, *J* = 14.7, 6.4, 4.9 Hz, (HCH)N); 5.10 (1H, d, *J* = 4.7 Hz, NCH); 5.51 (1H, d, *J* = 4.7 Hz, OCH); 6.73-6.76, 6.88-6.94, 7.12-7.19 and 7.24-7.32 (2H, 1H, 2H and 4H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 41.5 (CH_2Cl); 42.2 (NCH_2); 62.8 (NCH); 82.3 (OCH); 115.6 (2 x $O(HC)_{ortho}$); 122.4 ($O(HC)_{para}$); 128.8, 129.4 and 130.1 (2 x $O(HC)_{meta}$ and 2 x $Cl(HC)_{ortho}(HC)_{meta}$); 131.5 and 135.0 ($NCHC_{quat}$ and ClC_{quat}); 156.8 (OC_{quat}); 166.1 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1749; ν_{max} = 2921, 1599, 1494, 1408, 1236, 1092, 750. MS (70eV): m/z (%) 336/38/40 (M^++1, 100). Anal. Calcd for $C_{17}H_{15}Cl_2NO_2$: C 60.73, H 4.50, N 4.17. Found: C 60.88, H 4.71, N 4.26.

***cis*-1-(2-Chloroethyl)-4-(4-methylphenyl)-3-phenoxyazetidin-2-one 3c**

Yellow crystals. Recrystallization from EtOH. Yield 63%. Mp. 114.3 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.30 (3H, s, CH_3); 3.27 (1H, d x d x d, *J* = 14.6, 7.0, 5.2 Hz, (HCH)N); 3.53 (1H, d x d x d, *J* = 11.8, 6.5, 5.2 Hz, (HCH)Cl); 3.65 (1H, d x d x d, *J* = 11.8, 7.0, 5.1 Hz, (HCH)Cl); 3.88 (1H, d x d x d, *J* = 14.6, 6.5, 5.1 Hz, (HCH)N); 5.07 (1H, d, *J* = 4.5 Hz, NCH); 5.48 (1H, d, *J* = 4.5 Hz, OCH); 6.72-6.77, 6.86-6.92, 7.10-7.17 and 7.22-7.26 (2H, 1H, 4H and 2H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.3 (CH_3); 41.4 (CH_2Cl); 42.1 (NCH_2); 63.2 (NCH); 82.3 (OCH); 115.7 (2 x $O(HC)_{ortho}$); 122.1 ($O(HC)_{para}$); 128.7, 129.2 and 129.7 (2 x $O(HC)_{meta}$ and 2 x $CH_3(HC)_{ortho}(HC)_{meta}$); 129.7 ($NCHC_{quat}$); 138.9 ($CH_3C_{quat}$); 157.1 ($OC_{quat}$); 166.4 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1759; ν_{max} = 3012, 1599, 1495, 1411, 1242, 753. MS (70eV): m/z (%) 316/8 (M^++1, 100). Anal. Calcd for $C_{18}H_{18}ClNO_2$: C 68.46, H 5.75, N 4.44. Found: C 68.44, H 5.72, N 4.65.

***cis*-1-(2-Chloroethyl)-4-(4-methoxyphenyl)-3-phenoxyazetidin-2-one 3d**

Yellow crystals. Recrystallization from EtOH. Yield 67%. Mp. 117.5 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.27 (1H, d x d x d, *J* = 14.6, 7.1, 5.2 Hz, (HCH)N); 3.53 (1H, d x d x d, *J* = 11.5, 6.3, 5.2 Hz, (HCH)Cl); 3.65 (1H, d x d x d, *J* = 11.5, 7.1, 5.1 Hz, (HCH)Cl); 3.77 (3H, s, OCH_3); 3.87 (1H, d x d x d, *J* = 14.6, 6.3, 5.1 Hz, (HCH)N); 5.06 (1H, d, *J* = 4.5 Hz, NCH); 5.47 (1H, d, *J* = 4.5 Hz, OCH); 6.72-6.77, 6.81-6.92, 7.10-7.17 and 7.25-7.30 (2H, 3H, 2H and 2H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 41.5 (CH_2Cl); 42.0 (NCH_2); 55.3 (OCH_3); 62.9 (NCH); 82.3 (OCH); 113.9 and 115.6 (4 x $O(HC)_{ortho}$); 122.1 ($O(HC)_{para}$); 124.6

(NCH<u>C</u>$_{quat}$); 129.3 and 130.1 (4 x O(HC)$_{meta}$); 157.0 (CHO<u>C</u>$_{quat}$); 160.1 (CH_3O<u>C</u>$_{quat}$); 166.4 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1751; ν_{max} = 2931, 1517, 1412, 1255, 1240, 1031, 834, 755. MS (70eV): m/z (%) 332/4 (M^++1, 100). Anal. Calcd for $C_{18}H_{18}ClNO_3$: C 65.16, H 5.47, N 4.22. Found: C 65.41, H 5.66, N 4.29.

***cis*-1-(2-Chloroethyl)-4-(3-methoxyphenyl)-3-phenoxyazetidin-2-one 3e**

Yellow crystals. Recrystallization from EtOH. Yield 82%. Mp. 138.7 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 3.31 (1H, d x d x d, *J* = 14.6, 7.1, 5.1 Hz, (<u>H</u>CH)N); 3.56 (1H, d x d x d, *J* = 11.6, 6.4, 5.1 Hz, (<u>H</u>CH)Cl); 3.67 (1H, d x d x d, *J* = 11.6, 7.1, 5.1 Hz, (HC<u>H</u>)Cl); 3.77 (3H, s, OCH_3); 3.90 (1H, d x d x d, *J* = 14.6, 6.4, 5.1 Hz, (HC<u>H</u>)N); 5.07 (1H, d, *J* = 4.4 Hz, NCH); 5.51 (1H, d, *J* = 4.4 Hz, OCH); 6.73-6.94 and 7.11-7.25 (6H and 3H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 41.4 (CH_2Cl); 42.3 (NCH_2); 55.4 (OCH_3); 63.2 (NCH); 82.3 (OCH); 114.1 and 114.7 (2 x CH_3O(H<u>C</u>)$_{ortho}$); 115.7 (2 x CHO(H<u>C</u>)$_{ortho}$); 121.1 and 122.1 (2 x O(HC)$_{para}$); 124.6 (NCH<u>C</u>$_{quat}$); 129.3 and 130.1 (3 x O(HC)$_{meta}$); 156.7 (CHO<u>C</u>$_{quat}$); 160.1 (CH_3O<u>C</u>$_{quat}$); 166.4 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1759; ν_{max} = 2966, 1597, 1492, 1412, 1244, 1054, 755. MS (70eV): m/z (%) 332/4 (M^++1, 100). Anal. Calcd for $C_{18}H_{18}ClNO_3$: C 65.16, H 5.47, N 4.22. Found: C 65.28, H 5.59, N 4.16.

***cis*-1-(3-Bromopropyl)-4-(4-chlorophenyl)-3-phenoxyazetidin-2-one 3g**

Yellow crystals. Recrystallization from EtOH. Yield 89%. Mp. 112.5 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.97-2.19 (2H, m, C<u>H</u>$_2$$CH_2Br$); 3.12-3.21 (1H, m, (<u>H</u>CH)N); 3.40 (2H, t, *J* = 6.5 Hz, CH_2Br); 3.54-3.65 (1H, m, (HC<u>H</u>)N); 4.93 (1H, d, *J* = 4.4 Hz, NCH); 5.44 (1H, d, *J* = 4.4 Hz, OCH); 6.71-6.75, 6.88-6.93, 7.11-7.18 and 7.26-7.39 (2H, 1H, 2H and 4H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.0 (CH_2Br); 30.3 (CH_2<u>C</u>H_2Br); 39.6 (NCH_2); 62.1 (NCH); 81.8 (OCH); 115.4 (2 x O(HC)$_{ortho}$); 122.2 (O(HC)$_{para}$); 128.6, 129.3 and 129.9 (2 x O(HC)$_{meta}$ and 2 x Cl(HC)$_{ortho}$(HC)$_{meta}$); 131.5 (NCH<u>C</u>$_{quat}$); 134.8 (Cl<u>C</u>$_{quat}$); 156.6 (O<u>C</u>$_{quat}$); 165.9 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1745; ν_{max} = 2926, 1598, 1493, 1423, 1407, 1235, 1091, 749. MS (70eV): m/z (%) 394/6/8 (M^++1, 100). Anal. Calcd for $C_{18}H_{17}BrClNO_2$: C 54.78, H 4.34, N 3.55. Found: C 55.02, H 4.50, N 3.76.

***cis*-1-(3-Bromopropyl)-4-(4-methylphenyl)-3-phenoxyazetidin-2-one 3h**

Yellow crystals. Recrystallization from EtOH. Yield 93%. Mp. 119.4 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.93-2.18 (2H, m, C<u>H</u>$_2$$CH_2Br$); 2.31 (3H, s, CH_3); 3.10-3.21 (1H, m, (<u>H</u>CH)N); 3.39 (2H, t, *J* = 6.6 Hz, CH_2Br); 3.47-3.64 (1H, m, (HC<u>H</u>)N); 4.91 (1H, d, *J* = 4.4 Hz, NCH);

5.42 (1H, d, *J* = 4.4 Hz, OCH); 6.72-6.76, 6.86-6.91, 7.07-7.17 and 7.21-7.29 (2H, 1H, 4H and 2H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.3 (CH_3); 30.2 and 30.6 (CH_2CH_2Br); 39.6 (NCH_2); 62.8 (NCH); 82.1 (OCH); 115.7 (2 x $O(HC)_{ortho}$); 122.1 ($O(HC)_{para}$); 128.7, 129.2 and 129.3 (2 x $O(HC)_{meta}$ and 2 x $CH_3(H\underline{C})_{ortho}(H\underline{C})_{meta}$); 129.9 ($NCH\underline{C}_{quat}$); 138.6 ($CH_3\underline{C}_{quat}$); 157.1 ($OC_{quat}$); 166.3 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1746. MS (70eV): m/z (%) 374/6 (M^++1, 100). Anal. Calcd for $C_{19}H_{20}BrNO_2$: C 60.97, H 5.39, N 3.74. Found: C 61.19, H 5.51, N 3.63.

***cis*-1-(3-Bromopropyl)-4-(4-methoxyphenyl)-3-phenoxyazetidin-2-one 3i**

Yellow crystals. Recrystallization from EtOH. Yield 81%. Mp. 92.7 °C. ^1H NMR (300 MHz, $CDCl_3$): δ 1.95-2.15 (2H, m, $C\underline{H}_2CH_2Br$); 3.12-3.21 (1H, m, $(\underline{H}CH)N$); 3.39 (2H, t, *J* = 6.5 Hz, CH_2Br); 3.43-3.62 (1H, m, $(HC\underline{H})N$); 3.77 (3H, s, OCH_3); 4.90 (1H, d, *J* = 4.4 Hz, NCH); 5.41 (1H, d, *J* = 4.4 Hz, OCH); 6.73-6.90, 7.10-7.16 and 7.24-7.34 (5H, 2H and 2H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.3 and 30.4 (CH_2CH_2Br); 39.5 (NCH_2); 55.3 (OCH_3); 62.5 (NCH); 82.0 (OCH); 113.9 and 115.6 (4 x $O(HC)_{ortho}$); 122.1 ($CHO(H\underline{C})_{para}$); 124.7 ($NCH\underline{C}_{quat}$); 129.3 and 130.0 (4 x $O(H\underline{C})_{meta}$); 157.0 and 160.1 (2 x OC_{quat}); 166.3 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1747; ν_{max} = 2913, 1515, 1490, 1411, 1253, 1177, 1037, 756. MS (70eV): m/z (%) 390/2 (M^++1, 100). Anal. Calcd for $C_{19}H_{20}BrNO_3$: C 58.47, H 5.17, N 3.59. Found: C 58.64, H 5.33, N 3.73.

***cis*-1-(3-Bromopropyl)-4-(3-methoxyphenyl)-3-phenoxyazetidin-2-one 3j**

Yellow crystals. Recrystallization from EtOH. Yield 74%. Mp. 96.1 °C. ^1H NMR (300 MHz, $CDCl_3$): δ 1.97-2.17 (2H, m, $C\underline{H}_2CH_2Br$); 3.15-3.24 (1H, m, $(\underline{H}CH)N$); 3.40 (2H, t, *J* = 6.5 Hz, CH_2Br); 3.54-3.66 (1H, m, $(HC\underline{H})N$); 3.76 (3H, s, OCH_3); 4.92 (1H, d, *J* = 4.4 Hz, NCH); 5.44 (1H, d, *J* = 4.4 Hz, OCH); 6.72-6.77, 6.80-6.93 and 7.10-7.26 (3H, 4H and 2H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.2 and 30.5 (CH_2CH_2Br); 39.8 (NCH_2); 55.4 (OCH_3); 62.8 (NCH); 82.0 (OCH); 114.1 and 114.6 (2 x $CH_3O(H\underline{C})_{ortho}$); 115.7 (2 x $CHO(H\underline{C})_{ortho}$); 121.1 and 122.1 (2 x $O(HC)_{para}$); 129.3 (2 x $CHO(H\underline{C})_{meta}$); 129.5 ($CH_3O(H\underline{C})_{meta}$); 134.7 ($NCH\underline{C}_{quat}$); 157.0 and 159.7 (2 x OC_{quat}); 166.2 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1755; ν_{max} = 2947, 1597, 1493, 1458, 1408, 1289, 1244, 1054, 758. MS (70eV): m/z (%) 390/2 (M^++1, 100). Anal. Calcd for $C_{19}H_{20}BrNO_3$: C 58.47, H 5.17, N 3.59. Found: C 58.70, H 5.35, N 3.82.

***cis*-1-(3-Chloropropyl)-3-phenoxy-4-phenylazetidin-2-one 3k**

Yellow crystals. Recrystallization from EtOH. Yield 91%. Mp. 103.8 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.92-2.08 (2H, m, C<u>H</u>$_2$CH$_2$Cl); 3.14-3.23 (1H, m, (<u>H</u>CH)N); 3.55 (2H, t, *J* = 6.3 Hz, CH_2Cl); 3.59-3.67 (1H, m, (HC<u>H</u>)N); 4.95 (1H, d, *J* = 4.4 Hz, NCH); 5.45 (1H, d, *J* = 4.4 Hz, OCH); 6.70-6.74, 6.85-6.90, 7.09-7.15 and 7.22-7.36 (2H, 1H, 2H and 5H, 4 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.4 (<u>C</u>H$_2$CH$_2$Cl); 38.6 (CH_2Cl); 42.2 (NCH_2); 62.9 (NCH); 82.0 (OCH); 115.6 (2 x O(HC)$_{ortho}$); 122.1 (O(HC)$_{para}$); 128.4, 128.7, 129.0 and 129.3 (2 x O(HC)$_{meta}$ and 5 x HC$_{arom}$); 133.0 (NCH<u>C</u>$_{quat}$); 156.9 (OC$_{quat}$); 166.3 (C=O). IR (KBr, cm^{-1}): $\nu_{C=O}$ = 1747; ν_{max} = 3039, 2949, 1598, 1495, 1458, 1413, 1243, 749, 689. MS (70eV): m/z (%) 316/8 (M^++1, 100). Anal. Calcd for $C_{18}H_{18}ClNO_2$: C 68.46, H 5.75, N 4.44. Found: C 68.00, H 5.94, N 4.63.

1-[1-(4-Chlorophenyl)-3-hydroxy-2-phenoxypropyl]aziridine 4b

Colorless crystals. R_f = 0.11 (hexane/EtOAc 3/1). Yield 66%. Mp. 78.0 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.08 (1H, d x d, *J* = 7.3, 4.2 Hz, (<u>H</u>CH)N(HCH)); 1.62 (1H, d x d, *J* = 7.3, 4.2 Hz, (HCH)N(<u>H</u>CH)); 1.76 (1H, d x d, *J* = 5.9, 4.2 Hz, (HC<u>H</u>)N(HCH)); 2.03 (1H, d x d, *J* = 5.9, 4.2 Hz, (HCH)N(HC<u>H</u>)); 2.87 (1H, d, *J* = 5.8 Hz, NCH); 3.21 (1H, broad s, OH); 3.49-3.53 (1H, m, (<u>H</u>CH)OH); 3.71 (1H, d x d, *J* = 11.1, 6.2 Hz, (HC<u>H</u>)OH); 4.69-4.75 (1H, m, OCH); 6.88-7.03 and 7.26-7.40 (2H and 7H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 26.3 and 30.2 (2 x NCH_2); 61.7 (CH_2OH); 74.2 (NCH); 79.5 (OCH); 116.0 (2 x O(HC)$_{ortho}$); 121.7 (O(HC)$_{para}$); 128.5, 129.7 and 129.8 (2 x O(HC)$_{meta}$ and 2 x Cl(HC)$_{ortho}$(HC)$_{meta}$); 133.7 and 137.1 (ClC$_{quat}$ and NCH<u>C</u>$_{quat}$); 157.9 (OC$_{quat}$). IR (KBr, cm^{-1}): ν_{OH} = 3174; ν_{max} = 2957, 2847, 1598, 1492, 1250, 1091, 756, 692. MS (70eV): m/z (%) 304/6 (M^++1, 100). Anal. Calcd for $C_{17}H_{18}ClNO_2$: C 67.21, H 5.97, N 4.61. Found: C 67.37, H 6.13, N 4.54.

1-[-3-Hydroxy-1-(4-methylphenyl)-2-phenoxypropyl]aziridine 4c

Colorless crystals. R_f = 0.12 (hexane/EtOAc 3/1). Yield 62%. Mp. 114.0 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.10 (1H, d x d, *J* = 7.1, 4.4 Hz, (<u>H</u>CH)N(HCH)); 1.61 (1H, d x d, *J* = 7.1, 4.2 Hz, (HCH)N(<u>H</u>CH)); 1.74 (1H, d x d, *J* = 5.8, 4.2 Hz, (HC<u>H</u>)N(HCH)); 2.00 (1H, d x d, *J* = 5.8, 4.4 Hz, (HCH)N(HC<u>H</u>)); 2.37 (3H, s, CH_3); 2.83 (1H, d, *J* = 5.8 Hz, NCH); 3.16 (1H, broad s, OH); 3.52 and 3.71 (2H, 2 x d x d, *J* = 11.4, 6.1, 3.9 Hz, (<u>H</u>C<u>H</u>)OH); 4.71-4.77 (1H, m, OCH); 6.88-7.09, 7.14-7.19 and 7.22-7.33 (3H, 2H and 4H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.3 (CH_3); 26.1 and 30.2 (2 x NCH_2); 62.0 (CH_2OH); 74.8 (NCH);

80.0 (OCH); 116.1 (2 x $O(\underline{C}H)_{ortho}$); 121.5 ($O(\underline{C}H)_{para}$); 128.3, 129.1 and 129.8 (2 x $O(\underline{C}H)_{meta}$ and 2 x $CH_3(H\underline{C})_{ortho}(H\underline{C})_{meta}$); 135.5 and 137.6 ($NCH\underline{C}_{quat}$ and $CH_3\underline{C}_{quat}$); 158.2 ($O\underline{C}_{quat}$). IR (KBr, cm^{-1}): ν_{OH} = 3140; ν_{max} = 2952, 2847, 1598, 1495, 1248, 989, 753, 692. MS (70eV): m/z (%) 284 (M^++1, 100). Anal. Calcd for $C_{18}H_{21}NO_2$: C 76.29, H 7.47, N 4.94. Found: C 76.45, H 7.38, N 4.78.

1-[3-Hydroxy-1-(4-methoxyphenyl)-2-phenoxypropyl]aziridine 4d

Colorless crystals. R_f = 0.08 (hexane/EtOAc 4/1). Yield 85%. Mp. 127-128 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.10 (1H, d x d, *J* = 7.2, 4.3 Hz, ($\underline{H}$CH)N(HCH)); 1.61 (1H, d x d, *J* = 7.2, 4.1 Hz, (HCH)N($\underline{H}$CH)); 1.74 (1H, d x d, *J* = 5.9, 4.1 Hz, (HC$\underline{H}$)N(HCH)); 2.00 (1H, d x d, *J* = 5.9, 4.3 Hz, (HCH)N(HC$\underline{H}$)); 2.82 (1H, d, *J* = 5.8 Hz, NCH); 3.15-3.19 (1H, m, OH); 3.49-3.57 and 3.68-3.74 (2H, 2 x m, ($\underline{H}$C$\underline{H}$)OH); 3.82 (3H, s, OCH_3); 4.70-4.75 (1H, m, OCH); 6.87-7.05 and 7.22-7.37 (5H and 4H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 26.1 and 30.2 (2 x NCH_2); 55.3 (OCH_3); 62.0 (CH_2OH); 74.4 (NCH); 79.9 (OCH); 113.7 and 116.1 (4 x $O(\underline{C}H)_{ortho}$); 121.5 ($O(\underline{C}H)_{para}$); 129.4 and 129.8 (4 x $O(\underline{C}H)_{meta}$); 130.6 ($NCH\underline{C}_{quat}$); 158.1 and 159.3 (2 x $O\underline{C}_{quat}$). IR (KBr, cm^{-1}): ν_{OH} = 3152; ν_{max} = 2930, 2834, 1612, 1596, 1514, 1487, 1252, 1233, 1031, 758, 697. MS (70eV): m/z (%) 300 (M^++1, 100). Anal. Calcd for $C_{18}H_{21}NO_3$: C 72.22, H 7.07, N 4.68. Found: C 72.45, H 7.24, N 4.82.

1-[3-Hydroxy-1-(3-methoxyphenyl)-2-phenoxypropyl]aziridine 4e

Colorless crystals. R_f = 0.10 (hexane/EtOAc 4/1). Yield 81%. Mp. 84 °C. ^{1}H NMR (300 MHz, $CDCl_3$): δ 1.12 (1H, d x d, *J* = 7.1, 4.4 Hz, ($\underline{H}$CH)N(HCH)); 1.62 (1H, d x d, *J* = 7.1, 4.3 Hz, (HCH)N($\underline{H}$CH)); 1.75 (1H, d x d, *J* = 5.8, 4.3 Hz, (HC$\underline{H}$)N(HCH)); 2.00 (1H, d x d, *J* = 5.8, 4.4 Hz, (HCH)N(HC$\underline{H}$)); 2.83 (1H, d, *J* = 6.1 Hz, NCH); 3.01 (1H, broad s, OH); 3.49-3.57 and 3.70-3.79 (2H, 2 x m, ($\underline{H}$C$\underline{H}$)OH); 3.82 (3H, s, OCH_3); 4.72-4.77 (1H, m, OCH); 6.85-7.06 and 7.22-7.33 (6H and 3H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 26.1 and 30.2 (2 x NCH_2); 55.3 (OCH_3); 62.0 (CH_2OH); 74.9 (NCH); 80.3 (OCH); 113.4 and 113.9 (2 x $CH_3O(H\underline{C})_{ortho}$); 116.1 (2 x $O(\underline{C}H)_{ortho}$); 120.8 and 121.5 (2 x $O(\underline{C}H)_{para}$); 129.3 ($CH_3O(H\underline{C})_{meta}$); 129.8 (2 x $O(\underline{C}H)_{meta}$); 140.3 ($NCH\underline{C}_{quat}$); 158.2 and 159.6 (2 x $O\underline{C}_{quat}$). IR (KBr, cm^{-1}): ν_{OH} = 3139; ν_{max} = 2837, 1596, 1495, 1238, 1044, 754, 692. MS (70eV): m/z (%) 300 (M^++1, 100). Anal. Calcd for $C_{18}H_{21}NO_3$: C 72.22, H 7.07, N 4.68. Found: C 72.55, H 7.15, N 4.80.

3-[*N*-Benzyl-*N*-(2-bromoethyl)amino]-3-(4-chlorophenyl)-2-phenoxypropan-1-ol 7b

Orange oil. R_f = 0.21 (hexane/EtOAc 9/1). Yield 87%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.37 (1H, s, OH); 2.66-2.75 (1H, m, N(HCH)CH_2Br); 3.13-3.27 (2H, m, CH_2Br); 3.33-3.41 (1H, m, N(HCH)CH_2Br); 3.41 (1H, d, *J* = 13.6 Hz, N(HCH)Ar); 3.55-3.59 and 3.80-3.88 (2H, 2 x m, (HCH)O); 3.95 (1H, d, *J* = 13.6 Hz, N(HCH)Ar); 4.09 (1H, d, *J* = 6.6 Hz, NCH); 4.71-4.74 (1H, m, OCH); 6.93-7.02 and 7.24-7.41 (3H and 11H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.7 (CH_2Br); 53.8 (NCH_2CH_2Br); 56.7 (NCH_2Ar); 62.2 (CH_2OH); 64.2 (NCH); 79.2 (OCH); 116.2 (2 x $O(HC)_{ortho}$); 121.8 $(O(HC)_{para})$; 128.7, 128.8, 128.9, 129.0, 129.9 and 130.8 (2 x $O(HC)_{meta}$, 2 x $Cl(HC)_{ortho}(HC)_{meta}$ and 5 x HC_{arom}); 133.9, 135.0 and 139.2 (ClC_{quat}, NCHC_{quat} and NCH_2C_{quat}); 158.1 (OC_{quat}). IR (NaCl, cm^{-1}): ν_{OH} = 3342; ν_{max} = 3029, 2934, 2837, 1596, 1490, 1454, 1228, 1090, 907, 752, 693. MS (70eV): m/z (%) 394 (M^+-Br, 100). Anal. Calcd for $C_{24}H_{25}BrClNO_2$: C 60.71, H 5.31, N 2.95. Found: C 60.52, H 5.52, N 2.81.

3-[*N*-Benzyl-*N*-(2-bromoethyl)amino]-2-phenoxy-3-(4-methylphenyl)propan-1-ol 7c

Yellow oil. R_f = 0.14 (hexane/EtOAc 9/1). Yield 87%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.36 (3H, s, CH_3); 2.61 (1H, s, OH); 2.66-2.75 (1H, m, N(HCH)CH_2Br); 3.09-3.24 (2H, m, CH_2Br); 3.28-3.37 (1H, m, N(HCH)CH_2Br); 3.43 (1H, d, *J* = 13.7 Hz, N(HCH)Ar); 3.56 and 3.86 (2H, 2 x d x d, *J* = 11.8, 4.4, 4.4 Hz, (HCH)O); 3.93 (1H, d, *J* = 13.7 Hz, N(HCH)Ar); 4.05 (1H, d, *J* = 6.4 Hz, NCH); 4.79 (1H, t x d, *J* = 6.4, 4.4 Hz, OCH); 6.90-7.09 and 7.11-7.41 (3H and 11H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.2 (CH_3); 30.7 (CH_2Br); 53.7 (NCH_2CH_2Br); 56.6 (NCH_2Ar); 62.7 (CH_2OH); 65.0 (NCH); 79.2 (OCH); 116.2 (2 x $O(HC)_{ortho}$); 121.6 $(O(HC)_{para})$; 127.5, 128.6, 129.0, 129.3, 129.4 and 129.8 (2 x $O(HC)_{meta}$, 2 x $CH_3(HC)_{ortho}(HC)_{meta}$ and 5 x HC_{arom}); 133.0, 137.8 and 139.4 (CH_3C_{quat}, NCHC_{quat} and NCH_2C_{quat}); 158.3 (OC_{quat}). IR (NaCl, cm^{-1}): ν_{OH} = 3368; ν_{max} = 3026, 2923, 2852, 1598, 1494, 1454, 1238, 1041, 752, 693. MS (70eV): m/z (%) 374 (M^+-Br, 100). Anal. Calcd for $C_{25}H_{28}BrNO_2$: C 66.08, H 6.21, N 3.08. Found: C 66.27, H 6.41, N 2.95.

3-[*N*-Benzyl-*N*-(2-bromoethyl)amino]-3-(3-methoxyphenyl)-2-phenoxypropan-1-ol 7e

Yellow oil. R_f = 0.10 (hexane/EtOAc 9/1). Yield 91%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.39 (1H, broad s, OH); 2.70-2.79 (1H, m, N(HCH)CH_2Br); 3.09-3.25 (2H, m, CH_2Br); 3.29-3.39 (1H, m, N(HCH)CH_2Br); 3.47 (1H, d, *J* = 13.8 Hz, N(HCH)Ar); 3.58 (1H, d x d, *J* = 11.9, 4.4 Hz, (HCH)O); 3.77 (3H, s, OCH_3); 3.86 (1H, d x d, *J* = 11.9, 4.4 Hz, (HCH)O); 3.94 (1H, d, *J* = 13.8 Hz, N(HCH)Ar); 4.05 (1H, d, *J* = 6.3 Hz, NCH); 4.79 (1H, t x d, *J* = 6.3, 4.4 Hz,

OCH); 6.85-7.03 and 7.15-7.33 (6H and 8H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 30.8 (CH_2Br); 53.8 ($N\underline{C}H_2CH_2Br$); 55.3 (OCH_3); 56.7 (NCH_2Ar); 62.6 (CH_2OH); 65.1 (NCH); 79.1 (OCH); 113.1 and 115.5 (2 x $CH_3O(H\underline{C})_{ortho}$); 116.1 (2 x $CHO(H\underline{C})_{ortho}$); 121.6 and 121.7 (2 x $O(HC)_{para}$); 127.5, 128.6, 129.1, 129.6 and 129.9 (3 x $O(HC)_{meta}$ and 5 x HC_{arom}); 137.9 and 139.4 ($NCH\underline{C}_{quat}$ and $NCH_2\underline{C}_{quat}$); 158.3 and 159.7 (2 x OC_{quat}). IR (NaCl, cm^{-1}): ν_{OH} = 3402; ν_{max} = 3027, 2924, 2852, 1598, 1493, 1455, 1238, 1041, 753, 694. MS (70eV): m/z (%) 390 (M^+-Br, 100). Anal. Calcd for $C_{25}H_{28}BrNO_3$: C 63.83, H 6.00, N 2.98. Found: C 64.11, H 6.22, N 3.12.

3-[(2-Chloroethyl)amino]-3-(4-chlorophenyl)-2-phenoxypropan-1-ol 8b

Light-yellow oil. R_f = 0.21 (hexane/EtOAc 4/1). Yield 32%. ^1H NMR (300 MHz, $CDCl_3$): δ 2.73 (2H, t, *J* = 5.7 Hz, NCH_2); 3.12 (2H, broad s, NH and OH); 3.46-3.61 (3H, m, CH_2Cl and $(\underline{H}CH)OH$); 3.78 (1H, d x d, *J* = 12.1, 3.4 Hz, $(HC\underline{H})OH$); 4.11 (1H, d, *J* = 6.3 Hz, NCH); 4.29 (1H, d x t, *J* = 6.3, 3.4 Hz, OCH); 6.90-6.99 and 7.20-7.38 (3H and 6H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 44.6 (CH_2Cl); 48.3 (NCH_2); 61.7 (CH_2OH); 63.1 (NCH); 82.0 (OCH); 116.8 (2 x $O(HC)_{ortho}$); 122.2 ($O(HC)_{para}$); 129.0, 129.6 and 129.9 (2 x $O(HC)_{meta}$ and 2 x $Cl(HC)_{ortho}(HC)_{meta}$); 133.8 and 137.9 ($NCH\underline{C}_{quat}$ and $Cl\underline{C}_{quat}$); 157.9 (OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3337; ν_{max} = 2926, 2856, 1598, 1492, 1456, 1235, 1090, 1042, 1014, 828, 755, 692. MS (70eV): m/z (%) 340/2/4 (M^++1, 100). Anal. Calcd for $C_{17}H_{19}Cl_2NO_2$: C 60.01, H 5.63, N 4.12. Found: C 60.19, H 5.78, N 4.25.

3-[(2-Chloroethyl)amino]-3-(4-methylphenyl)-2-phenoxypropan-1-ol 8c

Light-yellow oil. R_f = 0.17 (hexane/EtOAc 4/1). Yield 46%. ^1H NMR (300 MHz, $CDCl_3$): δ 2.34 (3H, s, CH_3); 2.81 (2H, t, *J* = 5.7 Hz, NCH_2); 2.87 (1H, broad s, NH of OH); 3.50-3.65 (3H, m, CH_2Cl and $(\underline{H}CH)OH$); 3.84 (1H, d x d, *J* = 12.1, 3.5 Hz, $(HC\underline{H})OH$); 4.10 (1H, d, *J* = 6.1 Hz, NCH); 4.35 (1H, d x t, *J* = 6.1, 3.5 Hz, OCH); 6.93-7.00, 7.12-7.18 and 7.22-7.31 (2H, 2H and 5H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 21.2 (CH_3); 44.7 (CH_2Cl); 48.4 (NCH_2); 62.5 (CH_2OH); 63.9 (NCH); 82.2 (OCH); 116.9 (2 x $O(HC)_{ortho}$); 122.0 ($O(HC)_{para}$); 128.0, 129.5 and 129.7 (2 x $O(HC)_{meta}$ and 2 x $CH_3(HC)_{ortho}(HC)_{meta}$); 136.2 and 137.9 ($NCH\underline{C}_{quat}$ and $CH_3\underline{C}_{quat}$); 158.1 (OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3335; ν_{max} = 3026, 2923, 1595, 1513, 1456, 1292, 1231, 1153, 1043, 818, 759, 692. MS (70eV): m/z (%) 320/2 (M^++1, 100). Anal. Calcd for $C_{18}H_{22}ClNO_2$: C 67.60, H 6.93, N 4.38. Found: C 67.79, H 7.18, N 4.16.

3-[(2-Chloroethyl)amino]-3-(4-methoxyphenyl)-2-phenoxypropan-1-ol 8d

Light-yellow oil. R_f = 0.19 (hexane/EtOAc 6/1). Yield 43%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 2.77 (2H, t, *J* = 5.7 Hz, NCH_2); 2.98 (2H, broad s, NH and OH); 3.49-3.63 (3H, m, CH_2Cl and (HCH)OH); 3.78 (3H, s, OCH_3); 3.80 (1H, d x d, J = 12.4, 3.3 Hz, (HCH)OH); 4.07 (1H, d, *J* = 6.3 Hz, NCH); 4.32 (1H, d x t, *J* = 6.3 Hz, 3.3 Hz, OCH); 6.85-6.99 and 7.23-7.34 (5H and 4H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 44.4 (CH_2Cl); 48.2 (NCH_2); 55.4 (OCH_3); 62.2 (CH_2OH); 63.4 (NCH); 82.0 (OCH); 114.3 and 116.9 (4 x $O(HC)_{ortho}$); 122.1 ($O(HC)_{para}$); 129.3 and 129.8 (4 x $O(HC)_{meta}$); 130.6 ($NCHC_{quat}$); 157.9 and 159.6 (2 x OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3335; ν_{max} = 2933, 2837, 1597, 1512, 1493, 1457, 1302, 1242, 1177, 1035, 833, 756, 693, 510. MS (70eV): m/z (%) 336/8 (M^++1, 100). Anal. Calcd for $C_{18}H_{22}ClNO_3$: C 64.38, H 6.60, N 4.17. Found: C 64.54, H 6.79, N 4.30.

3-[(2-Chloroethyl)amino]-3-(3-methoxyphenyl)-2-phenoxypropan-1-ol 8e

Light-yellow oil. R_f = 0.17 (hexane/EtOAc 6/1). Yield 46%. ^{1}H NMR (300 MHz,$CDCl_3$): δ 2.82 (2H, t, *J* = 5.7 Hz, NCH_2); 2.87-2.95 (1H, m, OH of NH); 3.53-3.67 (3H, m, CH_2Cl and (HCH)OH); 3.80 (3H, s, OCH_3); 3.85 (1H, d x d, *J* = 12.1, 3.4 Hz, (HCH)OH); 4.10 (1H, d, *J* = 5.8 Hz, NCH); 4.36 (1H, d x t, *J* = 5.8, 3.4 Hz, OCH); 6.82-6.88, 6.94-7.06 and 7.23-7.30 (1H, 5H and 3H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 44.1 (CH_2Cl); 48.2 (NCH_2); 55.4 (OCH_3); 62.1 (CH_2OH); 64.0 (NCH); 81.5 (OCH); 113.5 and 113.9 (2 x $CH_3O(HC)_{ortho}$); 116.9 (2 x $CHO(HC)_{ortho}$); 120.6 and 122.1 (2 x $O(HC)_{para}$); 129.8 and 129.9 (3 x $O(HC)_{meta}$); 139.9 ($NCHC_{quat}$); 157.8 and 160.1 (2 x OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3337; ν_{max} = 2937; 2837; 1598; 1492; 1456; 1234; 1170; 1042; 755; 693. MS (70eV): m/z (%) 336/8 (M^++1, 100). Anal. Calcd for $C_{18}H_{22}ClNO_3$: C 64.38, H 6.60, N 4.17. Found: C 64.54, H 6.79, N 4.03.

3-(4-Chlorophenyl)-2-phenoxy-3-(*N*-propylamino)propan-1-ol 9b

Light-yellow oil. R_f = 0.13 (hexane/EtOAc 4/1). Yield 45%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.89 (3H, t, *J* = 7.4 Hz, CH_3); 1.42-1.57 (2H, m, CH_2CH_3); 2.41 (2H, t, *J* = 7.2 Hz, NCH_2); 3.70 and 3.89 (2 x 1H, 2 x d x d, *J* = 12.0, 3.6, 2.8 Hz, (HCH)O); 4.09 (1H, d, *J* = 4.7 Hz, NCH); 4.27-4.32 (1H, m, OCH); 6.88-6.99 and 7.23-7.36 (3H and 6H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 11.8 (CH_3); 23.2 (CH_2CH_3); 49.2 (NCH_2); 62.9 (CH_2OH); 64.5 (NCH); 80.9 (OCH); 116.9 (2 x $O(HC)_{ortho}$); 122.0 ($O(HC)_{para}$); 128.8, 129.3 and 129.8 (2 x $O(HC)_{meta}$ and 2 x $Cl(HC)_{ortho}(HC)_{meta}$); 133.5 and 139.4 ($C_{quat}Cl$ and $NCHC_{quat}$); 157.8 (OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3327; ν_{max} = 2959, 2932, 2873, 1598, 1588, 1492, 1238,

1091, 753, 692. MS (70eV): m/z (%) 320/2 (M^++1, 100). Anal. Calcd for $C_{18}H_{22}ClNO_2$: C 67.60, H 6.93, N 4.38. Found: C 67.88, H 7.10, N 4.55.

3-(4-Methylphenyl)-2-phenoxy-3-(*N*-propylamino)propan-1-ol 9c

Light-yellow oil. R_f = 0.11 (hexane/EtOAc 4/1). Yield 39%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.89 (3H, t, *J* = 7.6 Hz, $CH_2C\underline{H}_3$); 1.43-1.58 (2H, m, $C\underline{H}_2CH_3$); 2.34 (3H, s, CH_3C_{quat}); 2.40-2.49 (2H, m, NCH_2); 3.76 and 3.91 (2 x 1H, 2 x d x d, *J* = 11.9, 3.8, 2.8 Hz, (HCH)O); 4.06 (1H, d, *J* = 4.1 Hz, NCH); 4.31-4.35 (1H, m, OCH); 6.88-6.97, 7.08-7.19 and 7.21-7.37 (3H, 2H and 4H, 3 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 11.8 ($CH_2\underline{C}H_3$); 21.1 ($CH_3\underline{C}_{quat}$); 23.2 ($\underline{C}H_2CH_3$); 49.1 (NCH_2); 63.4 (CH_2OH); 65.2 (NCH); 81.0 (OCH); 117.0 (2 x $O(\underline{H}\underline{C})_{ortho}$); 121.8 ($O(\underline{H}\underline{C})_{para}$); 127.8, 129.4 and 129.6 (2 x $O(\underline{H}\underline{C})_{meta}$ and 2 x $CH_3(\underline{H}\underline{C})_{ortho}(\underline{H}\underline{C})_{meta}$); 136.8 and 137.5 ($CH_3\underline{C}_{quat}$ and $NCH\underline{C}_{quat}$); 158.0 ($O\underline{C}_{quat}$). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3323; ν_{max} = 2958, 2931, 2873, 1598, 1587, 1493, 1457, 1239, 1040, 753, 692. MS (70eV): m/z (%) 300 (M^++1, 100). Anal. Calcd for $C_{19}H_{25}NO_2$: C 76.22, H 8.42, N 4.68. Found: C 76.39, H 8.35, N 4.79.

3-(4-Methoxyphenyl)-2-phenoxy-3-(*N*-propylamino)propan-1-ol 9d

Light-yellow oil. R_f = 0.08 (hexane/EtOAc 4/1). Yield 42%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.89 (3H, t, *J* = 7.4 Hz, $CH_2C\underline{H}_3$); 1.45-1.55 (2H, m, $C\underline{H}_2CH_3$); 2.41-2.47 (2H, m, NCH_2); 3.74 and 3.90 (2 x 1H, 2 x d x d, *J* = 11.9, 3.8, 2.8 Hz, (HCH)O); 3.80 (3H, s, OCH_3); 4.05 (1H, d, *J* = 4.4 Hz, NCH); 4.30-4.34 (1H, m, OCH); 6.87-6.99 and 7.22-7.33 (5H and 4H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 11.9 ($CH_2\underline{C}H_3$); 23.2 ($\underline{C}H_2CH_3$); 49.1 (NCH_2); 55.3 (OCH_3); 63.3 (CH_2OH); 64.7 (NCH); 81.1 (OCH); 114.0 and 117.0 (4 x $O(\underline{H}\underline{C})_{ortho}$); 121.8 ($O(\underline{H}\underline{C})_{para}$); 128.9 and 129.7 (4 x $O(\underline{H}\underline{C})_{meta}$); 132.0 ($NCH\underline{C}_{quat}$); 158.0 and 159.2 (2 x $O\underline{C}_{quat}$). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3323; ν_{max} = 2957, 2933, 2873, 2835, 1599, 1587, 1512, 1494, 1243, 1035, 754, 692. MS (70eV): m/z (%) 316 (M^++1, 100). Anal. Calcd for $C_{19}H_{25}NO_3$: C 72.35, H 7.99, N 4.44. Found: C 72.13, H 8.21, N 4.65.

3-(3-Methoxyphenyl)-2-phenoxy-3-(*N*-propylamino)propan-1-ol 9e

Light-yellow oil. R_f = 0.08 (hexane/EtOAc 4/1). Yield 33%. ^{1}H NMR (300 MHz, $CDCl_3$): δ 0.90 (3H, t, *J* = 7.4 Hz, $CH_2C\underline{H}_3$); 1.44-1.54 (2H, m, $C\underline{H}_2CH_3$); 2.43-2.49 (2H, m, NCH_2); 3.77 and 3.92 (2 x 1H, 2 x d x d, *J* = 12.0, 3.6, 2.8 Hz, (HCH)O); 3.79 (3H, s, OCH_3); 4.07 (1H, d, *J* = 4.4 Hz, NCH); 4.33-4.37 (1H, m, OCH); 6.81-6.98 and 7.22-7.29 (6H and 3H, 2 x m, CH_{arom}). ^{13}C NMR (75 MHz, ref = $CDCl_3$): δ 11.8 ($CH_2\underline{C}H_3$); 23.2 ($\underline{C}H_2CH_3$); 49.1

(NCH_2); 55.3 (OCH_3); 63.4 (CH_2OH); 65.4 (NCH); 80.9 (OCH); 113.2 and 113.4 (2 x $CH_3O(H\underline{C})_{ortho}$); 116.9 (2 x $CHO(H\underline{C})_{ortho}$); 120.3 and 121.8 (2 x $O(HC)_{para}$); 129.7 (3 x $O(HC)_{meta}$); 157.9 and 159.9 (2 x OC_{quat}). IR (NaCl, cm^{-1}): $\nu_{OH,\ NH}$ = 3324; ν_{max} = 2957, 2933, 2874, 2835, 1599, 1587, 1493, 1241, 1044, 754, 692. MS (70eV): m/z (%) 316 (M^++1, 100). Anal. Calcd for $C_{19}H_{25}NO_3$: C 72.35, H 7.99, N 4.44. Found: C 72.57, H 8.16, N 4.36.