

Electronic Supplementary Information

A new approach towards 1-phenyl and 1-benzyl substituted 2-(aminomethyl)cyclopropanecarboxamides as novel derivatives of the antidepressant Milnacipran

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1-(4-Methylbenzyl)-2-(2-cyano-2-phenylethyl)aziridine 3b

Spectral data derived from the mixture of diastereomers

Major isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.56-1.65 (1H, m, (HCH)CHCN); 1.56 (1H, d, $J = 6.3$ Hz, (H_{cis}CH)CHN); 1.70 (1H, d, $J = 3.3$ Hz, (HCH_{trans})CHN); 1.76-1.84 (1H, m, CHN); 2.12 (1H, dxdxd, $J = 13.8, 10.7, 4.1$ Hz, (HCH)CHCN); 2.34 (1H, s, CH_3); 3.27 and 3.51 (2H, 2xd, $J = 12.7$ Hz, N(HCH)C_{arom, quat}); 3.68 (1H, dxd, $J = 10.7, 4.7$ Hz, CHCN); 7.10-7.37 (9H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 21.26, 34.58, 36.19, 36.74, 39.95, 64.59, 120.67, 127.22, 128.14, 128.57, 129.18, 129.38, 135.86, 136.09, 137.15. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2240$. MS (70 eV): m/z (%): 277 ($\text{M}^+ + 1$, 100).

Minor isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.40-1.48 (2H, m, (H_{cis}CH)CHN and CHN); 1.67 (1H, d, $J = 2.8$ Hz, (HCH_{trans})CHN); 2.00-2.04 (2H, m, CH_2CHCN); 2.34 (1H, s, CH_3); 3.31 and 3.37 (2H, 2xd, $J = 12.9$ Hz, N(HCH)C_{arom, quat}); 3.78 (1H, t, $J = 7.4$ Hz, CHCN); 7.10-7.37 (9H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 21.26, 33.95, 35.46, 36.19, 39.08, 64.38, 120.99, 127.68, 128.26, 128.32, 129.18, 129.28, 135.21, 135.83, 139.07. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2240$. MS (70 eV): m/z (%): 277 ($\text{M}^+ + 1$, 100).

Anal. Calcd for $\text{C}_{19}\text{H}_{20}\text{N}_2$: C 82.57; H 7.29; N 10.14. Found: C 82.71; H 7.46; N 10.02.

1-(4-Chlorobenzyl)-2-(2-cyano-2-phenylethyl)aziridine 3c

Spectral data derived from the mixture of diastereomers

Major isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.56 (1H, d, $J = 6.1$ Hz, (H_{cis}CH)CHN); 1.61-1.73 (1H, m, (HCH)CHCN); 1.73 (1H, d, $J = 3.3$ Hz, (HCH_{trans})CHN); 1.77-1.85 (1H, m, CHN); 2.13 (1H, dxdxd, $J = 13.9, 10.6, 4.1$ Hz, (HCH)CHCN); 3.27 and 3.39 (2H, 2xd, $J = 13.5$ Hz, N(HCH)C_{arom, quat}); 3.67 (1H, dxd, $J = 10.6, 4.8$ Hz, CHCN); 7.18-7.38 (9H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 34.65, 36.15, 36.87, 39.71, 64.07, 120.54, 127.21, 128.22, 128.81, 129.21, 129.85, 133.30, 135.59, 137.41. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2240$. MS (70 eV): m/z (%): 297/9 ($M^+ + 1$, 100).

Minor isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.44 (1H, d, $J = 6.3$ Hz, (H_{cis}CH)CHN); 1.45-1.53 (1H, m, CHN); 1.70 (1H, d, $J = 3.3$ Hz, (HCH_{trans})CHN); 2.02-2.07 (2H, m, CH₂CHCN); 3.38 and 3.45 (2H, 2xd, $J = 12.9$ Hz, N(HCH)C_{arom, quat}); 3.84 (1H, t, $J = 7.2$ Hz, CHCN); 7.18-7.38 (9H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 33.97, 35.51, 36.39, 38.88, 63.83, 120.81, 127.54, 128.34, 128.72, 129.21, 129.59, 133.12, 135.06, 137.53. $\nu_{\text{CN}}=2240$. MS (70 eV): m/z (%): 297/9 ($M^+ + 1$, 100).

Anal. Calcd for $C_{18}\text{H}_{17}\text{ClN}_2$: C 72.84; H 5.77; N 9.44. Found: C 72.69; H 5.94; N 9.38.

1-(3-Methoxybenzyl)-2-(2-phenyl-2-cyanoethyl)aziridine 3d

Spectral data derived from the mixture of diastereomers

Major isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.59 (1H, d, $J = 6.6$ Hz, (H_{cis}CH)CHN); 1.60-1.69 (1H, m, (HCH)CHCN); 1.74 (1H, d, $J = 3.3$ Hz, (HCH_{trans})CHN); 1.78-1.85 (1H, m, CHN); 2.13 (1H, dxdxd, $J = 13.8, 10.6, 4.2$ Hz, (HCH)CHCN); 3.35 and 3.52 (2H, 2xd, $J = 12.9$ Hz, N(HCH)C_{arom,quat}); 3.73 (1H, dxd, $J = 10.6, 5.0$ Hz, CHCN); 3.83 (1H, s, CH_3O); 6.83-6.97, 7.12-7.15 and 7.25-7.38 (9H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 34.50, 36.18, 36.70, 39.90, 55.37, 64.17, 113.99, 120.67, 127.21, 128.15, 129.18, 129.72, 135.76, 140.66, 159.87. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2240$. MS (70 eV): m/z (%): 293 ($M^+ + 1$, 100).

Minor isomer:

Yellow oil. $R_f = 0.06$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.40-1.45 (2H, m, (H_{cis}CH)CHN and CHN); 1.71 (1H, d, $J = 2.8$ Hz, (HCH_{trans})CHN); 2.02-2.07 (2H, m, CH₂CHCN); 3.27 and 3.42 (2H, 2xd, $J = 13.2$ Hz, N(HCH)C_{arom,quat}); 3.77-3.82 (1H, m,

CHCN); 3.82 (1H, s, CH₃O); 6.83-6.97, 7.12-7.15 and 7.25-7.38 (9H, m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃); δ 33.87, 35.48, 36.18, 39.00, 55.37, 63.95, 114.08, 120.98, 127.67, 128.28, 129.18, 129.62, 135.12, 140.66, 159.87. IR (NaCl, cm⁻¹): ν_{CN}=2240. MS (70 eV): m/z (%): 293 (M⁺+1, 100).

Anal. Calcd for C₁₉H₂₀N₂O: C 78.05; H 6.89; N 9.58. Found: C 78.27; H 7.04; N 9.66.

1-(4-Methoxybenzyl)-2-(2-phenyl-2-cyanoethyl)aziridine 3e

Spectral data derived from the mixture of diastereomers

Major isomer:

Yellow oil. R_f = 0.07 (Hexane/EtOAc 3/1). ¹H NMR (300 MHz, CDCl₃): δ 1.53-1.62 (1H, m, (HCH)CHCN); 1.57 (1H, d, J= 6.6 Hz, (H_{cis}CH)CHN); 1.69 (1H, d, J= 3.3 Hz, (HCH_{trans})CHN); 1.77-1.84 (1H, m, CHN); 2.12 (1H, dxdxd, J= 13.8, 10.7, 4.1 Hz, (HCH)CHCN); 3.26 and 3.51 (2H, 2xd, J= 12.7 Hz, N(HCH)C_{arom}, quat); 3.66 (1H, dxd, J= 10.7, 4.7 Hz, CHCN); 3.78 (1H, s, CH₃O); 6.87-6.91 and 7.12-7.36 (9H, m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃); δ 34.50, 36.18, 36.70, 39.90, 55.37, 64.17, 113.99, 120.67, 127.21, 128.14, 129.18, 129.89, 131.02, 135.80, 159.09. IR (NaCl, cm⁻¹): ν_{CN}=2241. MS (70 eV): m/z (%): 293 (M⁺+1, 100).

Minor isomer:

Yellow oil. R_f = 0.07 (Hexane/EtOAc 3/1). ¹H NMR (300 MHz, CDCl₃): δ 1.39-1.45 (2H, m, (H_{cis}CH)CHN and CHN); 1.66 (1H, d, J= 2.8 Hz, (HCH_{trans})CHN); 1.99-2.03 (2H, m, CH₂CHCN); 3.26 and 3.33 (2H, 2xd, J= 12.9 Hz, N(HCH)C_{arom}, quat); 3.76-3.81 (1H, m, CHCN); 3.80 (1H, s, CH₃O); 6.87-6.91 and 7.12-7.36 (9H, m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃); δ 33.87, 35.48, 36.18, 39.00, 55.37, 63.95, 114.08, 120.98, 127.65, 128.28, 129.18, 129.57, 131.16, 135.19, 159.04. IR (NaCl, cm⁻¹): ν_{CN}=2241. MS (70 eV): m/z (%): 293 (M⁺+1, 100).

Anal. Calcd for C₁₉H₂₀N₂O: C 78.05; H 6.89; N 9.58. Found: C 77.93; H 7.05; N 9.34.

5-[N-Benzyl-N-(4-methylbenzyl)amino]-4-bromo-2-phenylpentanenitrile 5b

Spectral data derived from the mixture of diastereomers

Yellow-orange oil. ¹H NMR (300 MHz, CDCl₃): δ 1.68 (1H, dxdxd, J= 15.0, 11.4, 3.9, (HCH)CHCN); 2.02 (1H, dxdxd, J= 14.7, 10.9, 4.1 Hz, (HCH)CHCN); 2.51-2.67 (2×1H, 2xm, 2x(HCH)CHCN); 2.30 and 2.33 (2×3H, 2xs, 2xCH₃); 2.72-2.85 (3H, m, N(HCH)CH and NCH₂CH); 2.96 (1H, dxd, J= 13.4, 5.5 Hz, N(HCH)CH); 3.28, 3.31, 3.66 and 3.69 (2×2H, 2×2xd, J= 13.5, 13.2 Hz, 2xN(HCH)C_{arom}); 3.42-3.58 (5×1H, m, CHBr and

2×N(HCH)C_{arom}); 4.04 (1H, d×d, J= 11.2, 4.1 Hz, CHCN); 4.15 (1H, d×d, J= 11.7, 3.9 Hz, CHCN); 4.15-4.24 (1H, m, CHBr); 7.03-7.41 (28H, 2×m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃): δ 21.26, 35.11, 36.42, 41.80, 42.71, 49.22, 50.78, 58.81, 58.97, 59.03, 59.30, 60.52, 60.70, 119.96, 121.07, 127.30, 127.41, 127.93, 128.35, 128.46, 128.78, 129.02, 129.18, 129.22, 129.42, 134.28, 135.28, 135.45, 137.04, 138.54, 138.72. IR (NaCl, cm⁻¹): ν_{CN}=2245. MS (70 eV): m/z (%): 447/9 (M⁺+1, 6), 367 (100). Anal. Calcd for C₂₆H₂₇BrN₂: C 69.80; H 6.08; N 6.26. Found: C 69.87; H 5.97; N 6.38.

5-[N-Benzyl-N-(4-chlorobenzyl)amino]-4-bromo-2-phenylpentanenitrile 5c

Spectral data derived from the mixture of diastereomers

Yellow-orange oil. ¹H NMR (300 MHz, CDCl₃): δ 1.74 (1H, d×d×d, J= 15.0, 11.3, 3.9 Hz, (HCH)CHCN); 2.08 (1H, d×d×d, J= 14.7, 10.8, 3.9 Hz, (HCH)CHCN); 2.47-2.61 (2×1H, 2×m, 2×(HCH)CHCN); 2.71-2.87 (3H, m, N(HCH)CH and NCH₂CH); 2.96 (1H, d×d, J= 13.5, 5.8 Hz, N(HCH)CH); 3.33, 3.51, 3.55, 3.57, 3.64 and 3.66 (2×3H, 2×3×d, J= 13.5, 13.2 Hz, 3×N(HCH)C_{arom}); 3.40-3.76 (3×1H, m, CHBr and N(HCH)C_{arom}); 4.05 (1H, d×d, J= 11.4, 3.9 Hz, CHCN); 4.18 (1H, d×d, J= 11.8, 4.1 Hz, CHCN); 4.18-4.27 (1H, m, CHBr); 7.08-7.42 (28H, 2×m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃): δ 35.08, 36.44, 41.87, 42.84, 49.13, 50.47, 58.49, 59.13, 60.72, 119.96, 120.98, 127.25, 127.60, 127.88, 128.05, 128.17, 128.46, 128.57, 128.66, 128.89 128.92, 129.06, 129.16, 129.24, 129.36, 129.50, 130.26, 130.52, 133.12, 134.20, 135.22, 137.07, 137.22, 138.17, 138.32. IR (NaCl, cm⁻¹): ν_{CN}=2242. MS (70 eV): m/z (%): 467/9 (M⁺+1, 6), 387/9 (100). Anal. Calcd for C₂₅H₂₄BrCIN₂: C 64.18; H 5.17; N 5.99. Found: C 64.45; H 5.36; N 5.87.

5-[N,N-Di(4-chlorobenzyl)amino]-4-bromo-2-phenylpentanenitrile 5d

Spectral data derived from the mixture of diastereomers

Yellow-orange oil.¹H NMR (300 MHz, CDCl₃): δ 1.75 (1H, d×d×d, J= 15.0, 11.1, 3.9 Hz, (HCH)CHCN); 2.11 (1H, d×d×d, J= 14.9, 10.7, 4.0 Hz, (HCH)CHCN); 2.41-2.56 (2×1H, 2×m, 2×(HCH)CHCN); 2.68-2.86 (3H, m, N(HCH)CH and NCH₂CH); 2.92 (1H, d×d, J= 13.8, 6.1 Hz, N(HCH)CH); 3.31, 3.44, 3.53 and 3.59 (2×4H, 2×2×d, J= 13.5, 4×N(HCH)C_{arom}); 3.36-3.46 (1H, m, CHBr); 4.05 (1H, d×d, J= 11.3, 4.0 Hz, CHCN); 4.19 (1H, d×d, J= 12.1, 3.9 Hz, CHCN); 4.18-4.28 (1H, m, CHBr); 7.07-7.42 (26H, 2×m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃): δ 35.03, 36.44, 41.90, 42.91, 49.13, 50.27, 58.35, 58.47, 60.64, 60.82, 119.94, 120.90, 127.21, 127.82, 128.52, 128.72, 128.95, 129.10, 129.42, 129.51, 130.26, 130.51 (26×HC_{arom}); 133.24, 134.11, 135.10, 136.80, 136.93. IR (NaCl, cm⁻¹):

$\nu_{\text{CN}}=2241$. MS (70 eV): m/z (%): 501/3/5 (M^++1 , 3), 421/3 (87), 297/9 (100). Anal. Calcd for $C_{25}H_{23}BrCl_2N_2$: C 59.78; H 4.62; N 5.58. Found: C 59.60; H 4.82; N 5.72.

5-[*N*-Benzyl-*N*-(3-methoxybenzyl)amino]-4-bromo-2-phenylpentanenitrile 5e

Spectral data derived from the mixture of diastereomers

Yellow-orange oil. ^1H NMR (300 MHz, CDCl_3): δ 1.74 (1H, $d\times d\times d$, $J= 14.9, 11.6, 4.0$ Hz, $(\text{HCH})\text{CHCN}$); 2.08 (1H, $d\times d\times d$, $J= 14.7, 10.9, 4.2$ Hz, $(\text{HCH})\text{CHCN}$); 2.54-2.66 (2×1H, 2×m, 2× $(\text{HCH})\text{CHCN}$); 2.73-2.88 (3H, m, $N(\underline{\text{HCH}})\text{CH}$ and NCH_2CH); 2.98 (1H, $d\times d$, $J= 13.5, 5.8$ Hz, $N(\underline{\text{HCH}})\text{CH}$); 3.31, 3.34, 3.67 and 3.71 (2×2H, 2×2×d, $J= 13.5, 13.2$ Hz, 2× $N(\text{HCH})\text{C}_{\text{arom}}$); 3.45-3.60 (5×1H, m, CHBr and 2× $N(\text{HCH})\text{C}_{\text{arom}}$); 3.75 and 3.81 (2×3H, 2×s, 2× OCH_3); 4.05 (1H, $d\times d$, $J= 11.6, 4.2$ Hz, CHCN); 4.17 (1H, $d\times d$, $J= 11.8, 4.0$ Hz, CHCN); 4.19-4.28 (1H, m, CHBr); 6.76-6.80, 6.89-6.91 and 7.15-7.42 (28H, 2×m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 35.11, 36.44, 41.83, 42.85, 49.16, 50.61, 55.23, 55.30, 59.04, 59.22, 59.30, 60.61, 60.78, 112.61, 113.01, 114.46, 114.75, 119.91, 120.99, 121.27, 121.47, 127.25, 127.44, 127.88, 128.37, 128.51, 128.80, 129.04, 129.24, 129.30, 129.45, 134.22, 135.35, 138.38, 138.54, 140.12, 140.32, 159.76. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2241$. MS (70 eV): m/z (%): 463/5 (M^++1 , 12), 383 (100). Anal. Calcd for $C_{26}H_{27}BrN_2O$: C 67.39; H 5.87; N 6.05. Found: C 67.59; H 6.02; N 5.88.

5-[*N*-Benzyl-*N*-(4-methoxybenzyl)amino]-4-bromo-2-phenylpentanenitrile 5f

Spectral data derived from the mixture of diastereomers

Yellow-orange oil. ^1H NMR (300 MHz, CDCl_3): δ 1.68 (1H, $d\times d\times d$, $J= 15.0, 11.3, 3.9$ Hz, $(\text{HCH})\text{CHCN}$); 2.02 (1H, $d\times d\times d$, $J= 14.7, 10.8, 4.1$ Hz, $(\text{HCH})\text{CHCN}$); 2.51-2.65 (2×1H, 2×m, 2× $(\text{HCH})\text{CHCN}$); 2.72-2.85 (3H, m, $N(\underline{\text{HCH}})\text{CH}$ and NCH_2CH); 2.95 (1H, $d\times d$, $J= 13.8, 5.5$ Hz, $N(\underline{\text{HCH}})\text{CH}$); 3.23-3.72 (9H, m, CHBr and 4× $N(\text{HCH})\text{C}_{\text{arom}}$); 3.76 and 3.79 (2×3H, 2×s, 2× OCH_3); 4.03 (1H, $d\times d$, $J= 11.3, 4.1$ Hz, CHCN); 4.08-4.23 (2H, m, CHBr and CHCN); 6.77-6.90 and 7.05-7.39 (28H, 2×m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 35.13, 36.44, 41.84, 42.74, 49.28, 50.81, 55.36, 58.44, 58.64, 59.04, 59.26, 60.49, 60.68, 113.85, 119.99, 121.07, 127.30, 127.42, 127.93, 128.17, 128.49, 128.80, 128.92, 129.04, 129.16, 129.25, 129.30, 129.44, 130.23, 130.41, 130.58, 134.31, 135.39, 138.60, 138.70, 158.97. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2242$. MS (70 eV): m/z (%): 463/5 (M^++1 , 5), 383 (100). Anal. Calcd for $C_{26}H_{27}BrN_2O$: C 67.39; H 5.87; N 6.05. Found: C 67.33; H 5.99; N 5.92.

cis-2-[(N-Benzyl-N-(4-methylbenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile

cis-6b

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.36 (1H, d \times d, $J=7.2, 5.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.54 (1H, d \times d, $J=8.5, 5.4$, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.63-1.72 (1H, m, CH); 2.31 (3H, s, CH_3); 2.81 and 2.92 (2H, 2 \times d \times d, $J=13.3, 6.3, 6.1$ Hz, NCH_2CH); 3.60, 3.63, 3.72 and 3.74 (2 \times 2H, 4 \times d, $J=13.8, 13.6$ Hz, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 7.08-7.39 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.81, 21.25, 23.54, 28.90, 55.45, 58.43, 58.65, 120.70, 125.59, 127.13, 127.60, 128.41, 128.87, 129.01, 129.12, 132.25, 136.31, 136.70, 139.48. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 367 (M^++1 , 100). Anal. Calcd for $\text{C}_{26}\text{H}_{26}\text{N}_2$: C 85.21; H 7.15; N 7.64. Found: C 85.31; H 7.28; N 7.59.

trans-2-[(N-Benzyl-N-(4-methylbenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile

trans-6b

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.38 (1H, d \times d, $J=7.6, 5.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.60-1.71 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.82 (1H, d \times d, $J=13.9, 7.9$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.94-2.04 (1H, m, CH); 2.33 (3H, s, CH_3); 2.40 (2H, d \times d, $J=13.5, 5.5$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.38, 3.41, 3.53 and 3.55 (2 \times 2H, 4 \times d, $J=13.5, 13.4$ Hz, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 7.09-7.39 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 18.32, 21.23, 26.96, 51.86, 58.30, 58.47, 123.54, 125.56, 127.10, 128.09, 128.37, 128.80, 128.92, 129.05, 131.85, 136.02, 136.69, 139.28. . IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 367 (M^++1 , 100).

cis-2-[(N-Benzyl-N-(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile

cis-6c

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.37 (1H, d \times d, $J=7.4, 5.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.56 (1H, d \times d, $J=8.8, 5.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.61-1.70 (1H, m, CH); 2.83 and 2.91 (2H, 2 \times d \times d, $J=13.8, 6.6, 6.1$ Hz, NCH_2CH); 3.60, 3.63, 3.70 and 3.76 (2 \times 2H, 4 \times d, $J=13.8$ Hz, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 7.01-7.04 and 7.18-7.40 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.89, 23.43, 28.90, 55.65, 58.01, 58.82, 120.66, 125.48, 127.28, 127.70, 128.21, 128.48, 128.55, 129.04, 130.06, 132.78, 136.09, 137.97, 139.09. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 387/9 (M^++1 , 100). Anal. Calcd for $\text{C}_{25}\text{H}_{23}\text{ClN}_2$: C 77.61; H 5.99; N 7.24. Found: C 77.47; H 5.92; N 7.35.

***trans*-2-[(*N*-Benzyl-*N*-(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile
trans-6c**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.34-1.39 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.60-1.71 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.81 (1H, dxd, $J = 13.5, 7.7$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.94-2.03 (1H, m, CH); 2.38 (2H, dxd, $J = 13.5, 5.5$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.34, 3.41, 3.49 and 3.55 (2x2H, 4xd, $J = 13.8, 13.6$ Hz, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 7.01-7.04 and 7.18-7.40 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 18.16, 18.50, 26.82, 51.87, 57.86, 58.58, 123.44, 125.50, 127.30, 128.51, 128.54, 128.84, 128.89, 130.09, 131.73, 132.78, 137.76, 138.9. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 387/9 (M^++1 , 100).

***cis*-2-[(*N,N*-Di(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile *cis*-6d**

Yellow oil. $R_f = 0.13$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.38 (1H, dxd, $J = 6.6, 5.0$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.54-1.67 (2H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$ and CH); 2.86 (2H, d, $J = 6.1$ Hz, NCH_2CH); 3.59 and 3.70 (2x2H, 2xd, $J = 13.8$ Hz, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 7.15-7.35 (13H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.95, 23.40, 28.93, 55.83, 58.15, 120.63, 125.36, 127.73, 128.61, 129.04, 130.03, 132.92, 135.94, 137.67. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2232$. MS (70 eV): m/z (%): 387/9 (M^++1 , 100). Anal. Calcd for $\text{C}_{25}\text{H}_{22}\text{Cl}_2\text{N}_2$: C 71.26; H 5.26; N 6.65. Found: C 71.41; H 5.52; N 6.53.

***trans*-2-[(Di(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile *trans*-6d**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.13$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.35-1.40 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.70 (1H, dxd, $J = 9.4, 6.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.79 (1H, dxd, $J = 13.8, 7.7$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.93-2.04 (1H, m, CH); 2.35 (2H, dxd, $J = 13.8, 5.5$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.34 and 3.48 (2x2H, 2xd, $J = 13.2$ Hz, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 7.07-7.37 (13H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 18.03, 18.59, 26.70, 51.75, 57.80, 123.33, 128.38, 128.60, 128.63, 128.86, 130.05, 131.59, 132.92, 137.44. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2232$. MS (70 eV): m/z (%): 387/9 (M^++1 , 100).

***cis*-2-[(*N*-Benzyl-*N*-(3-methoxybenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile
cis-6e**

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.38 (1H, dxd, $J = 7.7, 5.5$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.56 (1H, dxd, $J = 8.8, 5.5$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.65-1.74 (1H, m,

CH); 2.84 and 2.93 (2H, 2×d×d, $J= 13.8, 6.6, 6.1$ Hz, NCH_2CH); 3.62, 3.65, 3.74 and 3.76 (2×2H, 4×d, $J= 13.6, 13.5$ Hz, 2× $\text{NCH}_2\text{C}_{\text{arom}}$); 3.78 (OCH_3); 6.74-6.77, 6.95-6.97 and 7.18-7.39 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.83, 23.48, 28.82, 55.26, 55.54, 58.64, 58.76, 112.52, 114.31, 120.69, 121.13, 125.56, 127.18, 127.62, 128.43, 128.86, 129.01, 129.36, 136.23, 139.33, 141.13, 159.77. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 383 (M^++1 , 100). Anal. Calcd for $\text{C}_{26}\text{H}_{26}\text{N}_2\text{O}$: C 84.64; H 6.85; N 7.32. Found: C 84.77; H 6.98; N 7.26.

***trans*-2-[(*N*-Benzyl-*N*-(3-methoxybenzyl)amino)methyl]-1-phenylcyclopropane-carbonitrile *trans*-6e**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.18$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.40 (1H, d×d, $J= 7.2, 5.5$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.65-1.75 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.84 (1H, d×d, $J= 13.5, 8.0$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.95-2.05 (1H, m, CH); 2.42 (2H, d×d, $J= 13.5, 5.2$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.40, 3.41, 3.55 and 3.57 (2×2H, 4×d, $J= 13.8, 13.2$ Hz, 2× $\text{NCH}_2\text{C}_{\text{arom}}$); 3.80 (OCH_3); 6.75-6.86 and 7.13-7.39 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 18.18, 18.26, 26.81, 51.98, 55.26, 57.96, 58.45, 112.44, 114.35, 123.50, 127.16, 128.14, 128.41, 128.77, 128.90, 129.36, 131.83, 139.15, 140.93, 159.73. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 383 (M^++1 , 100).

***cis*-2-[(*N*-Benzyl-*N*-(4-methoxybenzyl)amino)methyl]-1-phenylcyclopropanecarbonitrile *cis*-6f**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.12$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.37 (1H, d×d, $J= 7.0, 5.6$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.56 (1H, d×d, $J= 8.5, 5.6$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.62-1.72 (1H, m, CH); 2.81 and 2.92 (2H, 2×d×d, $J= 13.8, 6.3, 6.1$ Hz, NCH_2CH); 3.33-3.76 (2×2H, m, 2× $\text{NCH}_2\text{C}_{\text{arom}}$); 3.78 (OCH_3); 6.81-6.84 and 7.12-7.42 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.68, 23.42, 28.78, 55.26, 57.82, 58.31, 113.77, 120.70, 125.56, 127.59, 128.40, 128.75, 128.84, 128.97, 131.31, 136.29, 139.50, 158.77. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 383 (M^++1 , 100). Anal. Calcd for $\text{C}_{26}\text{H}_{26}\text{N}_2\text{O}$: C 84.64; H 6.85; N 7.32. Found: C 84.87; H 7.11; N 7.46.

***trans*-2-[(*N*-Benzyl-*N*-(4-methoxybenzyl)amino)methyl]-1-phenylcyclopropane-carbonitrile *trans*-6f**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.12$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 1.37 (1H, dxd, $J=7.3, 5.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.64-1.73 (1H, m, $\text{CH}(\underline{\text{HCH}})\text{CN}$); 1.81 (1H, dxd, $J=13.6, 8.0$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.94-2.03 (1H, m, CH); 2.39 (2H, dxd, $J=13.6, 5.4$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.33-3.76 (2x2H, m, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 3.80 (OCH_3); 6.81-6.84 and 7.12-7.42 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 18.18, 18.26, 26.81, 51.98, 55.26, 57.96, 58.45, 113.74, 123.53, 127.12, 128.11, 128.37, 128.78, 128.92, 129.87, 131.12, 131.86, 139.32, 158.77. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 383 (M^++1 , 100).

***cis*-2-{[(*N*-Benzyl-*N*-(4-methylbenzyl)amino]methyl}-1-phenylcyclopropanecarboxamide *cis*-7b**

Yellow oil. $R_f = 0.22$ (Hexane/EtOAc 2/1). ^1H NMR (300 MHz, CDCl_3): δ 1.15 (1H, dxd, $J=8.8, 4.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.56 (1H, dxd, $J=7.2, 4.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.70-1.80 (1H, m, CH); 2.30 (3H, s, CH_3); 2.82 and 2.86 (2H, 2x dxd , $J=14.0, 6.6$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.64 and 3.69 (2x2H, 2x(2xd), $J=14.0, 13.8$ Hz, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 5.67 and 6.13 (2H, 2xs, NH_2); 7.09-7.39 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.55, 21.14, 26.94, 35.45, 52.12, 58.12, 58.33, 127.04, 127.82, 128.40, 129.12, 130.25, 136.57, 136.60, 139.85, 141.67, 174.57. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1670$, $\nu_{\text{NH}_2}=3478$. MS (70 eV): m/z (%): 385 (M^++1 , 100).

***trans*-2-{[(*N*-Benzyl-*N*-(4-methylbenzyl)amino]methyl}-1-phenylcyclopropane-carboxamide *trans*-7b**

White crystals. $R_f = 0.11$ (Hexane/EtOAc 2/1). ^1H NMR (300 MHz, CDCl_3): δ 1.07 (1H, dxd, $J=6.6, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.55 (1H, dxd, $J=13.5, 9.1$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.76 (1H, dxd, $J=8.8, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 2.14-2.25 (1H, m, CH); 2.31 (3H, s, CH_3); 2.68 (1H, dxd, $J=13.5, 4.1$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.45, 3.46, 3.64 and 3.65 (2x2H, 2x(2xd), $J=13.8, 13.5$ Hz, 2x $\text{NCH}_2\text{C}_{\text{arom}}$); 5.27 and 5.43 (2H, 2xs, NH_2); 7.05-7.28 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 21.20, 22.41, 24.03, 33.60, 54.30, 57.66, 57.81, 126.77, 127.95, 128.18, 128.81, 128.89, 128.96, 131.36, 136.31, 136.41, 139.62, 176.33. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1672$, $\nu_{\text{NH}}=3479$. MS (70 eV): m/z (%): 385 (M^++1 , 100). Mp. 145.6°C. Anal. Calcd for $\text{C}_{25}\text{H}_{26}\text{N}_2\text{O}$: C 81.21; H 7.29; N 7.34. Found: C 81.08; H 7.38; N 7.40.

cis-2-{[(N-Benzyl-N-(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarboxamide cis-7c}

Yellow oil. $R_f = 0.21$ (Hexane/EtOAc 2/1). ^1H NMR (300 MHz, CDCl_3): δ 1.14 (1H, d \times d, $J=9.1, 4.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.59 (1H, d \times d, $J=7.2, 4.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.68-1.78 (1H, m, CH); 2.80 and 2.89 (2H, 2 \times d \times d, $J=13.6, 7.2, 5.8$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.56 and 3.67 (2 \times 2H, 2 \times (2 \times d), $J=14.3$ Hz, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 5.45 and 6.59 (2H, 2 \times s, NH_2); 7.16-7.37 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.69, 27.06, 35.26, 51.86, 57.72, 58.44, 127.18, 127.96, 128.51, 128.54, 129.04, 129.22, 130.32, 130.38, 132.58, 138.54, 139.68, 141.59, 174.69. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1671$, $\nu_{\text{NH}_2}=3466$. MS (70 eV): m/z (%): 405/7 (M^++1 , 100).

trans-2-{[(N-Benzyl-N-(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropane-carboxamide trans-7c}

White crystals. $R_f = 0.12$ (Hexane/EtOAc 2/1). ^1H NMR (300 MHz, CDCl_3): δ 1.06 (1H, d \times d, $J=6.7, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.53 (1H, d \times d, $J=13.4, 9.2$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.75 (1H, d \times d, $J=8.8$ Hz, 3.9 Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 2.15-2.25 (1H, m, CH); 2.65 (1H, d \times d, $J=13.4, 4.1$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.43, 3.50, 3.58 and 3.65 (2 \times 2H, 2 \times (2 \times d), $J=13.8, 13.5$ Hz, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 5.27 and 5.39 (2H, 2 \times s, NH_2); 7.16-7.29 (14H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 22.24, 23.86, 33.72, 54.23, 57.25, 58.09, 126.96, 128.03, 128.28, 128.32, 128.80, 129.01, 130.06, 132.41, 136.23, 138.19, 139.33, 176.23. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1671$, $\nu_{\text{NH}_2}=3466$. MS (70 eV): m/z (%): 405/7 (M^++1 , 100). Mp. 171.3°C. Anal. Calcd for $\text{C}_{25}\text{H}_{26}\text{ClN}_2\text{O}$: C 74.15 H 7.29; N 6.22. Found: C 74.29 H 7.45; N 6.13.

cis-2-{[(N,N-Di(4-chlorobenzyl)amino)methyl]-1-phenylcyclopropanecarboxamide cis-7d}

Yellow oil. $R_f = 0.12$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.20 (1H, d \times d, $J=8.9, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.64 (1H, d \times d, $J=7.2, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.69-1.79 (1H, m, CH); 2.82 and 2.91 (2H, 2 \times d \times d, $J=13.8, 6.6, 6.1$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.62 (2 \times 2H, 2 \times s, 2 \times $\text{NCH}_2\text{C}_{\text{arom}}$); 5.29 and 5.40 (2H, 2 \times s, NH_2); 7.26-7.34 (13H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 19.74, 27.05, 35.13, 51.66, 57.68, 128.00, 128.55, 129.24, 130.25, 130.38, 132.67, 138.26, 141.42, 174.68. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1671$, $\nu_{\text{NH}_2}=3479$. MS (70 eV): m/z (%): 439/41 (M^++1 , 100).

***trans*-2-{{(N,N-Di(4-chlorobenzyl)amino)methyl}-1-phenylcyclopropanecarboxamide**

***trans*-7d**

White crystals. $R_f = 0.12$ (Hexane/EtOAc 2/1). ^1H NMR (300 MHz, CDCl_3): δ 1.05 (1H, d \times d, $J = 6.6, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 1.50 (1H, d \times d, $J = 13.2, 9.4$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 1.74 (1H, d \times d, $J = 9.4, 3.9$ Hz, $\text{CH}(\underline{\text{HCH}})\text{C}_{\text{quat}}$); 2.13-2.23 (1H, m, CH); 2.65 (1H, d \times d, $J = 13.2, 4.4$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}$); 3.45 and 3.56 ($2\times$ 2H, 2 \times (2 \times d), $J = 13.5$ Hz, $2\times\text{NCH}_2\text{C}_{\text{arom}}$); 5.27 and 5.51 (2H, 2 \times s, NH₂); 7.15-7.33 (13H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 22.12, 23.72, 33.83, 54.06, 57.33, 128.09, 128.40, 129.04, 130.05, 131.24, 132.57, 136.08, 137.90, 176.22. IR (NaCl, cm^{-1}): $\nu_{\text{C=O}}=1670$, $\nu_{\text{NH}_2}=3468$. MS (70 eV): m/z (%): 439/41 (M^++1 , 100). Mp. 111.1°C. Anal. Calcd for $\text{C}_{25}\text{H}_{24}\text{Cl}_2\text{N}_2\text{O}$: C 68.34; H 5.51; N 6.38. Found: C 68.47; H 5.73; N 6.22.

1-(4-Chlorobenzyl)-2-(2-cyano-3-phenylpropyl)aziridine 14b

Spectral data derived from the mixture of diastereomers

White-yellow crystals. $R_f = 0.07$ (Hexane/EtOAc 3/1). ^1H NMR (300 MHz, CDCl_3): δ 1.38 (1H, d \times d \times d, $J = 13.8, 7.9, 4.3$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CH}_{\text{minor}}$); 1.48 (1H, d, $J = 5.5$ Hz, $(\underline{\text{H}}_{\text{cis}}\text{CH})\text{CHN}_{\text{major}}$); 1.55 (1H, d, $J = 6.1$ Hz, $(\underline{\text{H}}_{\text{cis}}\text{CH})\text{CHN}_{\text{minor}}$); 1.63-1.77 (6 \times 1H, m, $(\text{HCH}_{\text{trans}})\text{CHN}_{\text{major}}$, $(\text{HCH}_{\text{trans}})\text{CHN}_{\text{minor}}$, $(\underline{\text{HCH}})\text{CHCH}_{\text{major}}$ and $\text{CHN}_{\text{major}}$ and $\text{CHN}_{\text{minor}}$); 1.85 (1H, d \times d \times d, $J = 13.8, 10.3, 3.7$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CH}_{\text{minor}}$); 2.67-2.84 (6 \times 1H, m, $(\text{CH}(\underline{\text{HCH}})\text{C}_{\text{arom,quat}})_{\text{major}}$, $(\text{CH}(\underline{\text{HCH}})\text{C}_{\text{arom,quat}})_{\text{minor}}$, $\text{CHCN}_{\text{minor}}$ and $\text{CHCN}_{\text{major}}$); 3.34 and 3.43 (2H, 2 \times d, $J = 13.2$ Hz, $\text{N}(\text{HCH})\text{C}_{\text{arom,quat}}$); 3.41 (1H, s, $\text{N}(\text{HCH})\text{C}_{\text{arom,quat}}$); 7.09-7.16 and 7.23-7.36 (18H, m, CH_{arom}). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 32.06, 32.44, 33.72, 34.62, 34.90, 35.58, 36.64, 36.97, 37.81, 38.44, 64.06, 121.50, 121.54, 127.38, 128.80, 128.86, 129.02, 129.09, 129.73, 129.85, 133.24, 133.28, 136.63, 136.78, 137.41, 137.47. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2233$. MS (70 eV): m/z (%): 311/3 (M^++1 , 100). Mp. 79.9°C. Anal. Calcd for $\text{C}_{19}\text{H}_{19}\text{ClN}_2$: C 73.42; H 6.16; N 9.01. Found: C 73.26; H 6.34; N 9.18.

2-(2-Cyano-3-phenylpropyl)-1-(3-methoxybenzyl)aziridine 14c

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.16$ (Hexane/EtOAc 1/1). ^1H NMR (300 MHz, CDCl_3): δ 1.40 (1H, d \times d \times d, $J = 13.8, 8.0, 4.1$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CH}_{\text{minor}}$); 1.51 (1H, d, $J = 6.1$ Hz, $(\underline{\text{H}}_{\text{cis}}\text{CH})\text{CHN}_{\text{major}}$); 1.58 (1H, d, $J = 5.5$ Hz, $(\underline{\text{H}}_{\text{cis}}\text{CH})\text{CHN}_{\text{minor}}$); 1.64-1.79 (6 \times 1H, m, $(\underline{\text{H}}_{\text{trans}}\text{CH})\text{CHN}_{\text{major}}$, $(\text{HCH}_{\text{trans}})\text{CHN}_{\text{minor}}$, $(\underline{\text{HCH}})\text{CHCH}_{\text{major}}$, $\text{CHN}_{\text{major}}$ and $\text{CHN}_{\text{minor}}$); 1.86 (1H, d \times d \times d, $J = 13.8, 10.0, 4.0$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CH}_{\text{minor}}$); 2.70-2.84 (6 \times 1H, m, $(\text{CH}(\underline{\text{HCH}})\text{C}_{\text{arom,quat}})_{\text{major}}$,

(CH(HCH)C_{arom,quat})_{minor}, CHCN_{minor} and CHCN_{major}); 3.31 and 3.51 (2H, 2×d, J= 13.2 Hz, (N(HCH)C_{arom,quat})_{minor}); 3.36 and 3.50 (2H, 2×d, J= 13.2 Hz, (N(HCH)C_{arom,quat})_{major}); 3.80 (OCH₃); 6.79-6.85, 6.90-6.93 and 7.11-7.34 (18H, m, CH_{arom}). ¹³C NMR (75 MHz, ref = CDCl₃): δ 32.03, 32.48, 33.86, 34.68, 34.94, 35.74, 36.50, 36.85, 37.65, 38.47, 55.33, 64.82, 112.99, 113.16, 113.88, 113.88, 120.72, 120.84, 121.60 (CN_{minor}); 121.65, 127.33, 128.80, 129.09, 129.13, 129.67, 130.75, 130.83, 140.46, 140.54, 159.88. IR (NaCl, cm⁻¹): ν_{CN}=2238. MS (70 eV): m/z (%): 307 (M⁺+1, 100). Anal. Calcd for C₂₀H₂₂N₂O: C 78.40; H 7.24; N 9.14. Found: C 78.65; H 7.53; N 9.26.

1-Benzyl-2-{{[N-benzyl-N-(4-chlorobenzyl)amino]methyl}cyclopropanecarbonitrile 15b}

Spectral data derived from the mixture of diastereomers

Yellow oil. R_f = 0.15 (Hexane/EtOAc 9/1). ¹H NMR (300 MHz, CDCl₃): δ 0.72 (1H, d×d, J= 7.2, 5.5 Hz, CH(HCH)CN_{trans}); 0.91 and 1.07 (2×1H, 2×d×d, J= 8.3, 6.6, 5.5 Hz, CHCH₂CN_{cis}); 1.23-1.36 (1H, m, CH_{cis}); 1.46 (1H, d×d, J= 9.4, 5.5 Hz, CH(HCH)CN_{trans}); 1.74-1.81 (1H, m, CH_{trans}); 2.46 (1H, d×d, J= 13.8, 7.2 Hz, N(HCH)CH_{trans}); 2.35 and 2.79 (2H, 2×d, J= 14.8 Hz, (C_{quat}(HCH)C_{arom,quat})_{trans}, (C_{quat}(HCH)C_{arom,quat})_{trans}); 2.32-2.90 (5×1H, m, N(HCH)CH_{trans}, (C_{quat}(HCH)C_{arom,quat})_{cis}, (C_{quat}(HCH)C_{arom,quat})_{cis} and NCH₂CH_{cis}); 3.46, 3.50, 3.56, 3.57, 3.58, 3.61, 3.65 and 3.66 (8H, 8×d, J= 13.8, 13.5 Hz, 2×(NCH₂C_{arom})_{cis} and 2×(NCH₂C_{arom})_{trans}); 7.12-7.34 (2×14H, m, (CH_{arom})_{cis} and (CH_{arom})_{trans}). ¹³C NMR (75 MHz, ref = CDCl₃): δ 14.99, 17.51, 19.26, 19.54, 23.81, 24.00, 35.10, 40.87, 51.75, 55.13, 57.78, 57.89, 58.46, 58.61, 121.76, 123.91, 127.24, 127.27, 127.45, 127.53, 128.49, 128.55, 128.63, 128.70, 128.75, 128.87, 129.04, 130.19, 130.32, 132.70, 133.02, 136.86, 137.42, 137.79, 138.19, 138.90, 139.30. IR (NaCl, cm⁻¹): ν_{CN}=2236. MS (70 eV): m/z (%): 401/3 (M⁺+1, 100). Anal. Calcd for C₂₆H₂₅ClN₂: C 77.89; H 6.28; N 6.99. Found: C 78.06; H 6.49; N 6.84.

cis-1-Benzyl-2-{{[N-benzyl-N-(3-methoxybenzyl)amino]methyl}cyclopropanecarbonitrile cis-15c}

Spectral data derived from the mixture of diastereomers

Yellow oil. R_f = 0.15 (Hexane/EtOAc 9/1). ¹H NMR (300 MHz, CDCl₃): δ 0.92 and 1.06 (2×1H, 2×d×d, J= 8.5, 6.6, 5.5 Hz, CHCH₂CN); 1.25-1.38 (1H, m, CH); 2.75-2.90 (4×1H, m, C_{quat}(HCH)C_{arom,quat}, C_{quat}(HCH)C_{arom,quat} and NCH₂CH); 3.51, 3.53, 3.62 and 3.65 (4H, 4×d, J= 13.8 Hz, 2×NCH₂C_{arom}); 3.81 (3H, s, CH_{3,trans}); 6.76-6.82, 6.92-6.96 and 7.14-7.38 (2×14H, m, CH_{arom}). (75 MHz, ref = CDCl₃): δ 17.41, 19.26, 23.91, 40.82, 55.25, 55.34, 58.50, 58.61, 112.52, 114.38, 121.18, 121.34, 127.19, 127.41, 128.46, 128.81, 128.86, 128.90,

129.07, 129.41, 136.92, 139.56, 141.38, 159.85. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 397 (M^++1 , 100). Anal. Calcd for $C_{27}\text{H}_{28}\text{N}_2\text{O}$: C 81.78; H 7.12; N 7.06. Found: C 81.97; H 7.32; N 7.15.

***trans*-1-Benzyl-2-*{[N*-benzyl-*N*-(3-methoxybenzyl)amino]methyl}cyclopropanecarbonitrile *trans*-15c**

Spectral data derived from the mixture of diastereomers

Yellow oil. $R_f = 0.15$ (Hexane/EtOAc 9/1). ^1H NMR (300 MHz, CDCl_3): δ 0.74 (1H, d \times d, $J=6.9, 5.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}_{\text{trans}}$); 1.44 (1H, d \times d, $J=9.4, 5.4$ Hz, $\text{CH}(\underline{\text{HCH}})\text{CN}_{\text{trans}}$); 1.74-1.80 (1H, m, CH_{trans}); 2.49 (1H, d \times d, $J=13.5, 6.9$ Hz, $\text{N}(\underline{\text{HCH}})\text{CH}_{\text{trans}}$); 2.33 and 2.81 (2H, 2 \times d, $J=15.4$ Hz, $(\text{C}_{\text{quat}}(\underline{\text{HCH}})\text{C}_{\text{arom,quat}})_{\text{trans}}$, $(\text{C}_{\text{quat}}(\underline{\text{HCH}})\text{C}_{\text{arom,quat}})_{\text{trans}}$); 2.30-2.90 (1H, m, $\text{N}(\underline{\text{HCH}})\text{CH}_{\text{trans}}$); 3.47-3.75 (4H, m, 2 \times ($\text{NCH}_2\text{C}_{\text{arom}}$) $_{\text{trans}}$); 3.80 (3H, s, $\text{CH}_{3,\text{trans}}$); 6.76-6.82, 6.92-6.96 and 7.14-7.38 (14H, m, $(\text{CH}_{\text{arom}})_{\text{trans}}$). ^{13}C NMR (75 MHz, ref = CDCl_3): δ 15.08, 19.51, 24.10, 35.07, 51.75, 55.34, 58.61, 58.72, 112.60, 114.72, 121.74, 123.85, (CN_{trans});, 128.46, 128.58, 128.81, 128.86, 128.90, 129.07, 137.59, 139.16, 140.93, 159.91. IR (NaCl, cm^{-1}): $\nu_{\text{CN}}=2231$. MS (70 eV): m/z (%): 397 (M^++1 , 100).