(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(benzothiazol-2-yl)-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4a): from **1a**, yield 83%; mp 153-156 °C; IR 3339 (NH), 1688 (C=O) cm^{-1}; ^1H NMR ($CDCl_3$) δ 9.18 (1H, brs, NH), 7.96 (3H, m, H-3', H-5', H-7), 7.78 (1H, d, *J* 8.0 Hz, H-4), 7.65 (2H, d, *J* 8.5 Hz, H-2', H-6'), 7.44 (1H, dt, *J* 1.0, 8.0 Hz, H-5), 7.32 (1H, dt, *J* 1.0, 8.0 Hz, H-6), 5.51 (1H, d, *J* 7.0 Hz, NH), 4.74 (1H, m, CH), 4.32 (1H, m, NH), 3.15 (2H, m, CH_2), 2.05-1.20 (6H, m, 3×CH_2), 1.47 (9H, s, ^{t}Bu), 1.45 (9H, s, ^{t}Bu); MS (CI) *m/z* 555 (M+1); Anal. ($C_{29}H_{38}N_4O_5S$) C, H, N.

(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(benzothiazol-2-yl)-2-methyl-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4b): from **1b**, yield 40%; mp 145-147 °C; IR 3343 (NH), 1688 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 9.44 (1H, brs, NH), 8.16 (1H, d, *J* 7.5 Hz, H-4), 8.06 (1H, d, *J* 7.5 Hz, H-7), 7.98 (1H, d, *J* 1.6 Hz, H-2'), 7.90 (1H, dd, *J* 8.0, 1.6 Hz, H-6'), 7.74 (1H, d, *J* 8.0 Hz, H-5'), 7.54 (2H, m, H-5, H-6), 7.15 (1H, d, *J* 6.8 Hz, NH), 6.84 (1H, t, *J* 6.5 Hz, NH), 4.14 (1H, m, CH), 2.93 (2H, m, CH_2), 2.37 (3H, s, CH_3), 2.08-1.39 (6H, m, 3×CH_2), 1.49 (9H, s, ^{t}Bu), 1.46 (9H, s, ^{t}Bu); MS (CI) *m/z* 569 (M+1); Anal. ($C_{30}H_{40}N_4O_5S$) C, H, N.

(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(benzothiazol-2-yl)-2-chloro-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4c): from **1c**, yield 67%; mp 151 °C; IR 3343 (NH), 1694 (C=O), 1680 (C=O) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.87 (1H, brs, NH), 8.61 (1H, d, *J* 8.8 Hz, H-4), 8.21 (1H, d, *J* 2.0 Hz, H-2'), 8.07 (1H, d, *J* 7.9 Hz, H-7), 7.93 (2H, m, H-6', H-5'), 7.52-7.41 (2H, m, H-5, H-6), 5.44 (1H, brs, NH), 4.65 (1H, m, CH), 4.28 (1H, brs, NH), 3.17 (2H, m, CH_2), 2.13-1.20

(6H, m, 3×CH_2), 1.50 (9H, s, ^{t}Bu), 1.47 (9H, s, ^{t}Bu); MS (AP) *m/z* 589 (M+1); Anal. ($C_{29}H_{37}N_4O_5SCl$) C, H, N.

(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(5-fluoro-benzothiazol-2-yl)-2-methyl-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4d): from **1d**, yield 69%; mp 161-162 °C; IR 3339 (NH), 1691, 1685 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.44 (1H, brs, NH), 8.19 (1H, dd, *J* 5.5, 9.0 Hz, H-7), 7.94 (1H, d, *J* 2.5 Hz, H-2'), 7.92-7.89 (2H, m, H-4, H-6'), 7.75 (1H, d, *J* 8.5 Hz, H-5'), 7.39 (1H, dt, *J* 2.8, 9.0 Hz, H-6), 7.14 (1H, d, *J* 8.0 Hz, NH), 6.83 (1H, t, *J* 5.5 Hz, NH), 4.15 (1H, m, CH), 2.93 (2H, m, CH_2), 2.35 (3H, s, CH_3), 1.65 (2H, m, CH_2), 1.42 (9H, s, ^{t}Bu), 1.38 (4H, m, CH_2CH_2); MS (CI) *m/z* 587.2 (M+1); Anal ($C_{30}H_{39}N_4O_5SF$) C, H, N.

(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(6-fluoro-benzothiazol-2-yl)-2-methyl-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4e): from **1e**, yield 92%; mp 157-160 °C; IR 3364 (NH), 1687, 1680 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.43 (1H, brs, NH), 8.11-8.04 (2H, m, H-4, H-7), 7.95 (1H, d, *J* 1.8 Hz, H-2'), 7.89 (1H, dd, *J* 1.75, 8.3 Hz, H-6'), 7.73 (1H, d, *J* 8.3 Hz, H-5'), 7.43 (1H, dt, *J* 2.5, 9 Hz, H-5), 7.13 (1H, d, *J* 7.5 Hz, NH), 6.84 (1H, t, *J* 5.8 Hz, NH), 4.06 (1H, m, CH), 2.93 (2H, m, CH_2), 2.34 (3H, s, CH_3), 1.64 (2H, m, CH_2), 1.50-1.31 (22H, m, CH_2CH_2, 2 x ^{t}Bu); MS (CI) *m/z* 587.2 (M+1); Anal ($C_{30}H_{39}N_4O_5SF$) C, H, N.

(S)-{5-*tert*-Butoxycarbonylamino-5-[4-(5,6-difluoro-benzothiazol-2-yl)-2-methyl-phenylcarbamoyl]-pentyl}-carbamic acid *tert*-butyl ester (4f): from **1f**, yield 65%; mp 175-177 °C; IR 3362 (NH), 1687, 1675 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.44 (1H, brs, NH), 8.35 (1H, dd, *J* 8.0, 10.3 Hz, H-7), 8.16 (1H, dd, J 7.25, 11.0 Hz, H-

4), 7.95 (1H, d, *J* 2.5 Hz, H-2'), 7.90 (1H, dd, *J* 2.5, 8.5 Hz, H-6'), 7.75 (1H, d, *J* 8.5 Hz, H-5'), 7.15 (1H, d, *J* 7.3 Hz, NH), 6.82 (1H, t, *J* 5.5 Hz, NH), 4.14 (1H, m, CH), 2.93 (2H, m, CH_2), 2.34 (3H, s, CH_3), 1.67 (2H, m, CH_2), 1.42-1.17 (22H, m, CH_2CH_2, 2 x ^{t}Bu); MS (CI) *m/z* 605.0 (M+1); Anal ($C_{30}H_{38}N_4O_5SF_2$) C, H, N.

(S)-{1-[4-(Benzothiazol-2-yl)-phenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5a): from **1a**, yield 90%; mp 198-199 °C; IR 3345, 3344 (NH), 1674 (C=O), 1672 (C=O), 1591 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ 8.99 (1H, brs, NH), 8.02 (1H, d, *J* 7.8 Hz, H-7), 8.00 (2H, d, *J* 8.8 Hz, H-3', H-5'), 7.83 (1H, d, *J* 7.8 Hz, H-4), 7.65 (2H, d, *J* 8.8 Hz, H-2', H-6'), 7.46 (1H, dt, *J* 1.3, 7.8 Hz, H-5), 7.36 (1H, dt, *J* 1.0, 7.8 Hz, H-6), 5.14 (1H, d, *J* 7.0 Hz, NH), 4.35 (1H, m, CH), 1.50 (9H, s, ^{t}Bu), 1.42 (3H, s, CH_3); MS (CI) *m/z* 398 (M+1); Anal. ($C_{21}H_{23}N_3O_3S$) C, H, N.

(S)-{1-[4-(Benzothiazol-2-yl)-2-methylphenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5b): from **1b**, yield 40%; mp 152-153 °C; IR 3341 (NH), 1678 (C=O), 1584 cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.42 (1H, brs, NH), 8.15 (1H, d, *J* 8.0 Hz, H-4), 8.06 (1H, d, *J* 8.0 Hz, H-7), 7.97 (1H, d, *J* 1.8 Hz, H-2'), 7.91 (2H, dd, *J* 1.8, 8.0 Hz, H-6'), 7.79 (1H, d, *J* 8.0 Hz, H-5'), 7.54 (2H, m, H-5, H-6), 7.25 (1H, d, *J* 7.3 Hz, NH), 4.23 (1H, m, CH), 2.35 (3H, s, CH_3), 1.42 (9H, s, ^{t}Bu), 1.39 (3H, d, *J* 7.0 Hz, CH_3); MS (CI) *m/z* 412 (M+1); Anal. ($C_{22}H_{25}N_3O_3S$) C, H, N.

(S)-{1-[4-(Benzothiazol-2-yl)-2-chlorophenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5c): from **1c**, yield 71%; mp 144-146 °C; IR 3432, 3341 (NH), 1699 (C=O), 1678 (C=O) cm^{-1}; ^{1}H NMR ($CDCl_3$) δ 8.92 (1H, brs, NH), 8.59 (1H, d, *J* 8.8 Hz, H-4), 8.19 (1H, d, *J* 2.0 Hz, H-2'), 8.06 (1H, d, *J* 8.8 Hz, H-7), 7.91 (2H,

m, H-5', H-6'), 7.51 (1H, dt, *J* 1.5, 8.8 Hz, H-5), 7.40 (1H, dt, *J* 1.3, 8.8 Hz, H-6), 5.05 (1H, d, *J* 7.0 Hz, NH), 4.42 (1H, m, CH), 1.53 (3H, s, CH_3), 1.50 (9H, s, ^{t}Bu); MS (AP) *m/z* 432 (M+1); Anal. ($C_{21}H_{22}N_3O_3SCl$) C, H, N.

(S)-{1-[4-(5-Fluoro-benzothiazol-2-yl)-2-methylphenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5d): from **1d**, yield 72%; mp 182-184 °C; IR 3342 (NH), 1678, 1674 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.42 (1H, brs, NH), 8.18 (1H, dd, *J* 5.5, 9.0 Hz, H-7), 7.97 (1H, d, *J* 2.5 Hz, H-2'), 7.89 (2H, m, H-4, H-6'), 7.77 (1H, d, *J* 8.3 Hz, H-5'), 7.37 (1H, dt, *J* 2.5, 9.0 Hz, H-6), 7.25 (1H, d, *J* 7.3 Hz, NH), 4.23 (1H, m, CH), 2.34 (1H, s, CH_3), 1.42 (9H, s, ^{t}Bu), 1.33 (3H, d, *J* 7.0 Hz, CH_3); MS (CI) *m/z* 430.5 (M+1); Anal. ($C_{22}H_{24}N_3O_3SF$) C, H, N.

(S)-{1-[4-(6-Fluoro-benzothiazol-2-yl)-2-methylphenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5e): from **1e**, yield 79%; mp 188-190 °C; IR 3344 (NH), 1688, 1674 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.42 (1H, brs, NH), 8.11 (2H, m, H-4, H-7), 7.96 (1H, d, *J* 2.5 Hz, H-2'), 7.93 (1H, dd, *J* 2.5, 8.5 Hz, H-6'), 7.76 (1H, d, *J* 8.5 Hz, H-5'), 7.45 (1H, dt, 2.8, 8.5 Hz, H-5), 7.32 (1H, d, *J* 5.0 Hz, NH), 4.23 (1H, m, CH), 2.35 (1H, s, CH_3), 1.42 (9H, s, ^{t}Bu), 1.32 (3H, d, *J* 7.25 Hz, CH_3); MS (CI) *m/z* 430.5 (M+1); Anal. ($C_{22}H_{24}N_3O_3SF$) C, H, N.

(S)-{1-[4-(5,6-Difluoro-benzothiazol-2-yl)-2-methylphenylcarbamoyl]-ethyl}-carbamic acid *tert*-butyl ester (5f): from **1f**, yield 61%; mp 190-192°C; IR 3333, 3259 (NH), 1685, 1670 (C=O) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 9.41 (1H, brs, NH), 8.35 (1H, dd, *J* 8.0, 10.5 Hz, H-7), 8.20 (1H, dd, *J* 7.5, 11.3 Hz, H-4), 7.95 (1H, d, *J* 2.0 Hz, H-2'), 7.90 (1H, dd, *J* 2.0, 8.5 Hz, H-6'), 7.77 (1H, d, *J* 8.3 Hz, H-5'), 7.24

(1H, d, *J* 6.8 Hz, NH), 4.24 (1H, m, CH), 2.34 (1H, s, CH_3), 1.42 (9H, s, ^tBu), 1.20 (3H, d, *J* 7.0 Hz, CH_3); MS (CI) *m/z* 447.8 (M+1); Anal. ($C_{22}H_{23}N_3O_3SF_2$) C, H, N.

(S)-2,6-Diaminohexanoic acid [4-(benzothiazol-2-yl)-phenyl]amide (6a): from **4a**, yield 91%; mp 296-298 °C; IR 3428, 3042 (NH), 1686 (C=O), 1603 cm^{-1}; ^1H NMR (DMSO-d_6) δ 11.68 (1H, s, NH), 8.62 (3H, brs, NH_3^+), 8.17-7.92 (9H, m, H-4, H-7, H-2', H-3', H-5', H-6', NH_3^+), 7.56-7.41 (2H, m, H-5, H-6), 4.20 (1H, m, CH), 2.75 (2H, m, CH_2), 1.90 (2H, m, CH_2), 1.63 (2H, m, CH_2), 1.44 (2H, m, CH_2); MS (AP) *m/z* 355 (M+1); Anal. ($C_{19}H_{22}N_4OS.2HCl$) C, H, N.

(S)-2,6-Diaminohexanoic acid [4-(benzothiazol-2-yl)-2-methylphenyl]amide (6b): from **4b**, yield 98%; mp 290-293 °C; IR 3337 (NH), 1701 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.59 (1H, s, NH), 8.61 (3H, brs, NH_3^+), 8.20-7.90 (5H, m, H-4, H-7, NH_3^+), 7.97 (1H, d, *J* 2.0 Hz, H-2'), 7.93 (1H, dd, *J* 2.0, 7.5 Hz, H-6'), 7.68 (1H, d, *J* 7.5 Hz, H-5'), 7.57-7.40 (2H, m, H-5, H-6), 4.29 (1H, m, CH), 2.79 (2H, m, CH_2), 2.41 (3H, s, CH_3), 1.93 (2H, m, CH_2), 1.67 (2H, m, CH_2), 1.53 (2H, m, CH_2); MS (AP) *m/z* 369 (M+1); Anal. ($C_{20}H_{24}N_4OS.2HCl$) C, H, N.

(S)-2,6-Diamino-hexanoic acid [4-(benzothiazol-2-yl)-2-chlorophenyl]-amide (6c): from **4c**, yield 95%; mp 278-279 °C; IR 3432 (NH), 1709 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.65 (1H, s, NH), 8.53 (3H, brs, NH_3^+), 8.22-8.07 (7H, m, H-4, H-7, H-6', H-2', NH_3^+), 7.92 (1H, d, *J* 8.5 Hz, H-5'), 7.58 (1H, dt, *J* 1.5, 7.6 Hz, H-5), 7.49 (1H, dt, *J* 1.3, 7.6 Hz, H-6), 4.27 (1H, m, CH), 2.84 (2H, m, CH_2), 1.95 (2H, m, CH_2), 1.66 (2H, m, CH_2), 1.53 (2H, m, CH_2); MS (AP) *m/z* 389 (M+1); Anal. ($C_{19}H_{21}N_4OSCl.2HCl$) C, H, N.

(S)-2,6-Diaminohexanoic acid [4-(6-fluoro-benzothiazol-2-yl)-2-methylphenyl]amide (6e): from **4e**, yield 97%; mp 298-303 °C; IR 3423 (NH), 1699 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.40 (1H, brs, NH), 8.59 (3H, brs, NH_3^+), 8.30-8.05 (5H, m, H-4, H-7, NH_3^+), 7.98 (1H, d, *J* 2.5 Hz, H-2'), 7.91 (1H, dd, *J* 2.5, 8.5 Hz, H-6'), 7.70 (1H, d, *J* 8.5 Hz, H-5'), 7.44 (1H, dt, *J* 2.5, 8.0 Hz, H-5), 4.29 (1H, m, CH), 2.80 (2H, m, CH_2), 2.38 (3H, s, CH_3), 2.10-1.32 (6H, m, $CH_2CH_2CH_2$); MS (CI) *m/z* 387.2 (M+1); Anal. ($C_{20}H_{23}N_4OSF.2HCl$) C, H, N.

(S)-2,6-Diaminohexanoic acid [4-(5,6-difluoro-benzothiazol-2-yl)-2-methylphenyl]-amide (6f): from **4f**, yield 74%; mp 278-281 °C; IR 3423 (NH), 1699 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 9.82 (1H, brs, NH), 8.38 (1H, dd, J 8.0, 10.0 Hz, H-7), 8.23 (1H, dd, J 7.5, 10.0 Hz, H-4), 8.21 (6H, brs, 2 x NH_3^+), 8.01 (1H, d, *J* 2.0 Hz, H-2'), 7.97 (1H, dd, *J* 2.0, 8.3 Hz, H-6'), 7.25 (1H, d, *J* 8.3 Hz, H-5'), 4.23 (1H, m, CH), 2.80 (2H, m, CH_2), 2.38 (3H, s, CH_3), 1.90 (2H, m, CH_2), 1.65-1.38 (4H, m, CH_2CH_2); MS (CI) *m/z* 404.7 (M+1); Anal. ($C_{20}H_{22}N_4OSF_2.2HCl$) C, H, N.

(S)-2-Amino-*N*-[4-(benzothiazol-2-yl)-phenyl]-propionamide (7a): from **5a**, yield 95%; mp 258-259 °C; IR 3374 (NH), 1698 (C=O), 1603 cm^{-1}; ^1H NMR (DMSO-d_6) δ 11.56 (1H, s, NH), 8.56 (3H, brs, NH_3^+), 8.01 (6H, m, H-4, H-7, H-2', H-3', H-5', H-6'), 7.52 (1H, dt, *J* 1.3, 7.7 Hz, H-5), 7.42 (1H, dt, *J* 1.3, 7.7 Hz, H-6), 4.21 (1H, m, CH), 1.52 (3H, d, *J* 7.5 Hz, CH_3); MS (AP) *m/z* 298 (M+1); Anal. ($C_{16}H_{15}N_3OS.HCl$) C, H, N.

(S)-2-Amino-*N*-[4-(benzothiazol-2-yl)-2-methylphenyl]-propionamide (7b): from **5b**, yield 87%; mp 272-274 °C; IR 3424 (NH), 1701 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.39 (1H, s, NH), 8.49 (3H, brs, NH_3^+), 8.16 (1H, d, *J* 7.5 Hz, H-4), 8.01 (1H, d, *J* 7.5 Hz, H-7), 8.05 (1H, d, *J* 1.5 Hz, H-2'), 8.01 (1H, dd, *J* 1.5, 7.5 Hz, H-6'), 7.68 (1H, d, *J* 7.6 Hz, H-5'), 7.56 (1H, dt, *J* 2.5, 7.5 Hz, H-5), 7.47 (1H, dt, *J* 2.5, 7.5 Hz, H-6), 4.29 (1H, m, CH), 2.39 (3H, s, CH_3), 1.55 (3H, d, *J* 7.5 Hz, CH_3); MS (AP) *m/z* 312 (M+1); Anal. ($C_{17}H_{17}N_3OS.HCl$) C, H, N.

(S)-2-Amino-*N*-[4-(benzothiazol-2-yl)-2-chlorophenyl]-propionamide (7c): from **5c**, yield 92%; mp 240-243 °C; IR 3418 (NH), 1701 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.56 (1H, s, NH), 8.53 (3H, brs, NH_3^+), 8.13 (4H, m, H-4, H-7, H-2', H-6'), 7.91 (1H, d, *J* 8.5 Hz, H-5'), 7.53 (2H, m, H-5, H-6), 4.32 (1H, m, CH), 1.54 (3H, d, *J* 7.5 Hz, CH_3); MS (AP) *m/z* 332 (M+1); Anal. ($C_{16}H_{14}N_3OSCl.HCl$) C, H, N.

(S)-2-Amino-*N*-[4-(6-fluoro-benzothiazol-2-yl)-2-methylphenyl]-propionamide (7e): from **5e**, yield 96%; mp 282-285 °C; IR 3410 (NH), 1701 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.40 (1H, brs, NH), 8.48 (3H, brs, NH_3^+), 8.12-8.05 (2H, m, H-4, H-7), 7.98 (1H, d, *J* 2.0 Hz, H-2'), 7.93 (1H, dd, *J* 2.0, 8.5 Hz, H-6'), 7.68 (1H, d, *J* 8.5 Hz, H-5'), 7.43 (1H, dt, *J* 2.5, 9.0 Hz, H-5), 4.29 (1H, q, *J* 6.8 Hz, CH), 2.39 (1H, s, CH_3), 1.54 (3H, d, *J* 7.0 Hz, CH_3). MS (CI) *m/z* 330.3 (M+1); Anal. ($C_{17}H_{16}N_3OSF.HCl$) C, H, N.

(S)-2-Amino-*N*-[4-(5,6-difluoro-benzothiazol-2-yl)-2-methylphenyl]-propionamide (7f): from **5f**, yield 96%; mp 268-270 °C; IR 3405 (NH), 1791 (C=O) cm^{-1}; ^1H NMR (DMSO-d_6) δ 10.31 (1H, brs, NH), 8.42 (3H, brs, NH_3^+), 8.36 (1H, dd, *J* 7.5,

10.0 Hz, H-7), 8.24 (1H, dd, *J* 7.5, 10.0 Hz, H-4), 7.99 (1H, d, *J* 2.5 Hz, H-2'), 7.96 (1H, dd, *J* 2.5, 7.5 Hz, H-6'), 7.70 (1H, d, *J* 7.5 Hz, H-5'), 4.30 (1H, m, CH), 2.36 (3H, s, CH_3), 1.54 (3H, d, *J* 7.5 Hz, CH_3); MS (CI) *m/z* 348.0 (M+1); Anal. ($C_{17}H_{15}N_3OSF_2$.HCl) C, H, N.