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Spectral characterization of *N*-substituted amino esters. The compound number of the protease inhibitor(s) prepared from each ester is listed at the left.

The following esters were prepared by representative procedure S:

- 11, 12** ***N*-[[*N*-[(6-Methyl-2-pyridinyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 33%; R_f 0.35 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.87 (d, *J* = 7 Hz, 3 H), 0.95 (d, *J* = 7 Hz, 3 H), 2.17 (m, 1 H), 2.53 (s, 3 H), 4.44 (d, *J* = 5 Hz, 2 H), 4.50 (dd, *J* = 9, 5 Hz, 1 H), 5.13 (d, *J* = 13 Hz, 1 H), 5.19 (d, *J* = 13 Hz, 1 H), 5.36 (br d, 1 H), 5.63 (br t, 1 H), 7.04 (d, *J* = 8 Hz, 1 H), 7.06 (d, *J* = 8 Hz, 1 H), 7.34 (m, 5 H), 7.54 (t, *J* = 8 Hz, 1 H); CIMS *m/z* 294 (M + H)⁺.
- 15, 16** ***N*-[[*N*-Methyl-*N*-[(6-ethyl-2-pyridinyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 72%; R_f 0.30 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.95 (d, *J* = 7 Hz, 3 H), 0.98 (d, *J* = 7 Hz, 3 H), 1.29 (t, *J* = 7 Hz, 3 H), 2.16 (m, 1 H), 2.83 (q, *J* = 7 Hz, 2 H), 3.02 (s, 3 H), 3.72 (s, 3 H), 4.38 (dd, *J* = 9, 5 Hz, 1 H), 4.40 (br d, *J* = 16 Hz, 1 H), 4.56 (br d, *J* = 16 Hz, 1 H), 6.38 (br, 1 H), 7.06 (br d, *J* = 8 Hz, 1 H), 7.09 (br d, *J* = 8 Hz, 1 H), 7.60 (br t, *J* = 8 Hz, 1 H); CIMS *m/z* 308 (M + H)⁺.
- 19, 20** ***N*-[[*N*-Methyl-*N*-[(6-isopropyl-2-pyridinyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 90%; R_f 0.30 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.93 (d, *J* = 7 Hz, 3 H), 0.97 (d, *J* = 7 Hz, 3 H), 1.28 (d, *J* = 7 Hz, 3 H), 1.29 (d, *J* = 7 Hz, 3 H), 2.15 (m, 1 H), 3.03 (s, 3 H), 3.08 (m, 1 H), 3.71 (s, 3 H), 4.38 (dd, *J* = 9, 5 Hz, 1 H), 4.41 (br d, *J* = 16 Hz, 1 H), 4.56 (br d, *J* = 16 Hz, 1 H), 6.12 (br, 1 H), 7.05 (br d, *J* = 8 Hz, 1 H), 7.11 (br d, *J* = 8 Hz, 1 H), 7.61 (br t, *J* = 8 Hz, 1 H); CIMS *m/z* 322 (M + H)⁺.

- 23, 24** *N*-[[*N*-Methyl-*N*-[[6-(*t*-butyl)-2-pyridinyl]methyl]amino]carbonyl]-*L*-valine Methyl Ester. 85%; R_f 0.59 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, $J=7$ Hz, 3 H), 0.93 (d, $J=7$ Hz, 3 H), 1.35 (s, 9 H), 2.12 (m, 1H), 3.05 (s, 3 H), 3.71 (s, 3 H), 4.37 (dd, $J=8, 5$ Hz, 1 H), 4.50 (AA', 2 H), 5.55 (br d, 1 H), 7.03 (d, $J=5$ Hz, 1 H), 7.23 (d, $J=5$ Hz, 1 H), 7.59 (t, $J=5$ Hz, 1 H); CIMS m/z 336 (M+H)⁺.
- 27, 28** *N*-[[*N*-Methyl-*N*-[(5-methyl-3-pyridinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester. 85%; R_f 0.35 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, $J=7$ Hz, 3 H), 0.96 (d, $J=7$ Hz, 3 H), 2.16 (m, 1 H), 2.34 (s, 3 H), 2.92 (s, 3 H), 3.75 (s, 3 H), 4.49 (dd, $J=8, 5$ Hz, 1 H), 4.51 (s, 2 H), 4.94 (br d, 1 H), 7.43 (s, 1 H), 8.31 (s, 1 H), 8.36 (s, 1 H); CIMS m/z 294 (M+H)⁺.
- 31, 32** *N*-[[*N*-Methyl-*N*-[(6-methyl-3-pyridinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester. 91%; R_f 0.39 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, $J=7$ Hz, 3 H), 0.96 (d, $J=7$ Hz, 3 H), 2.16 (m, 1 H), 2.61 (s, 3 H), 2.91 (s, 3 H), 3.75 (s, 3 H), 4.48 (dd, $J=8, 5$ Hz, 1 H), 4.51 (s, 2 H), 4.94 (d, $J=8$ Hz, 1 H), 7.20 (d, $J=8$ Hz, 1 H), 7.61 (d, $J=8$ Hz, 1 H), 8.40 (d, $J=2$ Hz, 1 H); CIMS m/z 294 (M+H)⁺.
- 33** *N*-[[*N*-[(6-methyl-3-pyridinyl)methyl]amino]carbonyl]-*L*-valine Benzyl Ester. 80%; R_f 0.30 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.80 (d, $J = 7$ Hz, 3 H), 0.92 (d, $J = 7$ Hz, 3 H), 2.14 (m, 1 H), 2.51 (s, 3 H), 4.28 (dd, $J = 15, 6$ Hz, 1 H), 4.36 (dd, $J = 15, 6$ Hz, 1 H), 4.49 (dd, $J = 9, 5$ Hz, 1 H), 5.08 (d, $J = 11$ Hz, 1 H), 5.14 (t, $J = 6$ Hz, 1 H), 5.17 (d, $J = 11$ Hz, 1 H), 5.20 (d, $J = 9$ Hz, 1 H), 7.08 (d, $J = 8$ Hz, 1 H), 7.34 (m, 5 H), 7.52 (dd, $J = 8, 3$ Hz, 1 H), 8.37 (d, $J = 3$ Hz, 1 H); CIMS m/z 246 (M + H)⁺.
- 36, 37** *N*-[[*N*-Methyl-*N*-[(5-methoxy-2-pyridinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester. 80%; R_f 0.43 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.94 (d, $J=7$ Hz, 3 H), 0.97 (d, $J=7$ Hz, 3 H), 2.17 (m, 1 H), 3.00 (s, 3 H), 3.72 (s, 3 H), 3.86 (s, 3 H), 4.41 (dd, $J=8, 5$ Hz, 1 H), 4.48 (AA', 2 H), 6.19 (br d, 1 H), 7.21 (s, 2 H), 8.24 (s, 1 H); CIMS m/z 310 (M+H)⁺.

- 40, 41 ***N*-[[*N*-Methyl-*N*-[(5-methoxy-3-pyridinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 98%; R_f 0.50 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 2.16 (m, 1 H), 2.93 (s, 3 H), 3.74 (s, 3 H), 3.85 (s, 3 H), 4.48 (dd, J = 9, 5 Hz, 1 H), 4.53 (s, 2 H), 4.94 (br d, J = 9 Hz, 1 H), 7.17 (m, 1 H), 8.11 (d, J = 1.5 Hz, 1 H), 8.23 (d, J = 3 Hz, 1 H); CIMS m/z 310 (M + H)⁺.
- 44, 45 ***N*-[[*N*-Methyl-*N*-[(6-methoxy-3-pyridinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 95%; R_f 0.50 (5% CH₃OH in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 2.15 (m, 1 H), 2.89 (s, 3 H), 3.75 (s, 3 H), 3.94 (s, 3 H), 4.45 (AA', 2 H), 4.48 (dd, J = 9, 5 Hz, 1 H), 4.92 (br d, J = 9 Hz, 1 H), 6.73 (d, J = 8 Hz, 1 H), 7.54 (dd, J = 8, 2 Hz, 1 H), 8.04 (br, 1 H); CIMS m/z 310 (M + H)⁺.
- 48, 49 ***N*-[[*N*-Methyl-*N*-[[5-[[*t*-Butyl]oxy]carbonyl]amino]-2-pyridinyl]methyl]-amino]carbonyl]-*L*-valine Methyl Ester.** 93%; R_f 0.35 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.94 (d, J = 7 Hz, 3 H), 0.97 (d, J = 7 Hz, 3 H), 1.52 (s, 9 H), 2.17 (m, 1 H), 2.99 (s, 3 H), 3.72 (s, 3 H), 4.42 (dd, J = 8, 5 Hz, 1 H), 4.48 (AA', 2 H), 6.16 (br d, 1 H), 6.55 (s, 1 H), 7.22 (d, J = 8 Hz, 1 H), 7.94 (d, J = 8 Hz, 1 H), 8.40 (d, J = 3 Hz, 1 H); CIMS m/z 395 (M+H)⁺.
- 58, 59 ***N*-[[*N*-Methyl-*N*-[(6-methyl-2-pyrazinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 93%; R_f 0.32 (30% EtOAc in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.95 (d, J = 7 Hz, 3 H), 0.99 (d, J = 7 Hz, 3 H), 2.17 (m, 1 H), 2.57 (s, 3 H), 3.02 (s, 3 H), 3.73 (s, 3 H), 4.44 (dd, J = 9, 5 Hz, 1 H), 4.47 (br d, J = 16 Hz, 1 H), 4.63 (br d, J = 16 Hz, 1 H), 5.98 (br, 1 H), 8.37 (s, 1 H), 8.40 (s, 1 H); CIMS m/z 295 (M + H)⁺.
- 64, 65 ***N*-[[*N*-Methyl-*N*-[(5,6-dimethyl-2-pyrazinyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 88%; R_f 0.41 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.96 (d, J = 7 Hz, 3 H), 0.99 (d, J = 7 Hz, 3 H), 2.18 (m, 1 H), 2.55 (s, 6 H), 3.00 (s, 3 H), 3.73 (s, 3 H), 4.43 (m, 1 H), 4.49 (AA', 2 H), 6.20 (br d, 1 H), 8.26 (s, 1 H); CIMS m/z 309 (M+H)⁺.

- 66, 67 ***N*-[[*N*-Methyl-*N*-[(4-thiazolyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** R_f 0.20 (20% EtOAc in CHCl_3); $^1\text{H NMR}$ (CDCl_3) δ 0.93 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 2.16 (m, 1 H), 3.13 (s, 3 H), 3.73 (s, 3 H), 4.42 (dd, $J = 9, 6$ Hz, 1 H), 4.62 (s, 2 H), 5.65 (br, 1 H), 7.22 (d, $J = 2$ Hz, 1 H), 8.79 (d, $J = 2$ Hz, 1 H).
- 68, 69, 128 ***N*-[[*N*-Methyl-*N*-[(2-methyl-4-thiazolyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 68%; R_f 0.22 (20% EtOAc in CHCl_3); $^1\text{H NMR}$ ($\text{DMSO-}d_6$) δ 0.88 (d, $J = 7$ Hz, 3 H), 0.91 (d, $J = 7$ Hz, 3 H), 2.05 (heptet, $J = 7$ Hz, 1 H), 2.63 (s, 3 H), 2.85 (s, 3 H), 3.61 (s, 3 H), 3.97 (dd, $J = 8, 7$ Hz, 1 H), 4.43 (s, 2 H), 6.58 (d, $J = 8$ Hz, 1 H), 8.31 (s, 1 H).
- 72, 73, 130, 131 ***N*-[[*N*-Methyl-*N*-[(2-ethyl-4-thiazolyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 27%; R_f 0.14 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$); $^1\text{H NMR}$ (CDCl_3) δ 0.95 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 1.38 (t, $J = 8$ Hz, 3 H), 2.17 (m, 1 H), 3.00 (s, 3 H), 3.01 (q, $J = 8$ Hz, 2 H), 3.72 (s, 3 H), 4.40 (dd, $J = 8, 5$ Hz, 1 H), 4.47 (AA', 2 H), 6.06 (br d, 1 H), 6.98 (s, 1 H); CIMS m/z 314 ($M + H$) $^+$.
- 76, 77, 122, 123, 126, 175, 176, 177, 178, 179 ***N*-[[*N*-Methyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** R_f 0.26 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$). $^1\text{H NMR}$ ($\text{DMSO-}d_6$) δ 0.88 (d, $J = 7$ Hz, 3 H), 0.92 (d, $J = 7$ Hz, 3 H), 1.32 (d, $J = 7$ Hz, 6 H), 2.05 (octet, $J = 7$ Hz, 1 H), 2.86 (s, 3 H), 3.25 (heptet, $J = 7$ Hz, 1 H), 3.61 (s, 3 H), 3.96 (dd, $J = 8, 7$ Hz, 1 H), 4.44 (AA', 2 H), 6.58 (d, $J = 8$ Hz, 1 H), 7.24 (s, 1 H); CIMS m/z 328 ($M + H$) $^+$.
- 78, 79 ***N*-[[*N*-Methyl-*N*-[(2-*t*-butyl-4-thiazolyl)methyl]amino]carbonyl]-*L*-valine Methyl Ester.** 33%; R_f 0.48 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$); $^1\text{H NMR}$ (CDCl_3) δ 0.96 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 1.44 (s, 9 H), 2.15 (m, 1 H), 3.00 (s, 3 H), 3.71 (s, 3 H), 4.35 (dd, $J = 8, 5$ Hz, 1 H), 4.36 (d, $J = 15$ Hz, 1 H), 4.57 (d, $J = 15$ Hz, 1 H), 6.00 (br d, 1 H), 6.97 (s, 1 H); CIMS m/z 342 ($M + H$) $^+$.

- 82, 83, 124, 125, 127, 180, 181** ***N*-[[*N*-Methyl-*N*-[(2-isopropyl-4-oxazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 54%; $^1\text{H NMR}$ (CDCl_3) δ 0.97 (dd, $J_1=9$ Hz, $J_2=6.9$ Hz, 6H), 1.33 (d, $J = 6.9$ Hz, 6H), 2.11-2.23 (m, 1H), 2.98 (s, 3H), 3.00-3.13 (m, 1H), 3.77 (s, 3H), 4.23-4.36 (m, 2H), 4.36-4.42 (m, 1H), 5.79-5.86 (br d, 1H), 7.46 (s, 1H); CIMS m/z ($M + H$) $^+ = 312$.
- 107, 108** ***N*-[[*N*-Methyl-*N*-[(5-*t*-Butyl-3-isoxazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 88%; R_f 0.48 (30% EtOAc/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.91 (d, $J=7$ Hz, 3 H), 0.95 (d, $J=7$ Hz, 3 H), 1.33 (s, 9 H), 2.16 (m, 1 H), 2.97 (s, 3 H), 3.74 (s, 3 H), 4.46 (dd, $J=8, 5$ Hz, 1 H), 4.49 (AA', 2 H), 5.03 (d, $J=8$ Hz, 1 H), 5.92 (s, 1 H); CIMS m/z 326 ($M+H$) $^+$.
- 129** ***N*-[[*N*-Methyl-*N*-[(2-methyl-4-oxazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 69%; R_f 0.35 (5% MeOH/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.94 (d, $J=7$ Hz, 3 H), 0.98 (d, $J=7$ Hz, 3 H), 2.16 (m, 1 H), 2.44 (s, 3 H), 2.99 (s, 3 H), 3.73 (s, 3 H), 4.30 (s, 2 H), 4.41 (t, 1 H), 5.64 (br d, 1 H), 7.46 (s, 1 H); CIMS m/z 284 ($M+H$) $^+$.
- 132** ***N*-[[*N*-Methyl-*N*-[(2-ethyl-4-oxazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester.** 76%; R_f 0.33 (4% MeOH/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.95 (d, $J=7$ Hz, 3 H), 0.98 (d, $J=7$ Hz, 3 H), 1.32 (t, $J=7$ Hz, 3 H), 2.16 (m, 1 H), 2.76 (q, $J=7$ Hz, 2 H), 2.98 (s, 3 H), 3.72 (s, 3 H), 4.30 (s, 2 H), 4.40 (dd, $J=8, 5$ Hz, 1 H), 5.75 (br d, $J=8$ Hz, 1 H), 7.46 (s, 1 H); CIMS m/z 298 ($M+H$) $^+$.
- 133** ***N*-[[*N*-Methyl-*N*-[[2-(3-pentyl)-4-thiazolyl]methyl]amino]carbonyl]-L-valine Methyl Ester.** 35%; R_f 0.42 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$); $^1\text{H NMR}$ (CDCl_3) δ 0.88 (t, $J = 7$ Hz, 6 H), 0.95 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 1.76 (m, 4 H), 2.17 (m, 1 H), 2.89 (m, 1 H), 3.01 (s, 3 H), 3.71 (s, 3 H), 4.41 (dd, $J = 8, 5$ Hz, 1 H), 4.50 (AA', 2 H), 5.93 (br d, 1 H), 7.00 (s, 1 H); CIMS m/z 356 ($M + H$) $^+$.

- 134, 135** *N*-[[*N*-Methyl-*N*-[(2-cyclopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester. 48%; R_f 0.28 (5% CH₃OH/CHCl₃); ¹H NMR (DMSO-*d*₆) δ 0.88 (d, *J* = 7 Hz, 3 H), 0.91 (d, *J* = 7 Hz, 3 H), 0.95 (m, 2 H), 1.09 (m, 2 H), 2.03 (m, 1 H), 2.35 (m, 1 H), 2.83 (s, 3 H), 3.61 (s, 3 H), 4.55 (dd, *J* = 9, 7 Hz, 1 H), 4.39 (AA', 2 H), 6.53 (d, *J* = 9 Hz, 1 H), 7.12 (s, 1 H); CIMS *m/z* 326 (M + H)⁺.
- 136, 137** *N*-[[*N*-Methyl-*N*-[(2-cyclobutyl-4-thiazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester. 31%; R_f 0.24 (5% CH₃OH/CHCl₃); ¹H NMR (DMSO-*d*₆) δ 0.89 (d, *J* = 7 Hz, 3 H), 0.92 (d, *J* = 7 Hz, 3 H), 1.85 (m, 1 H), 2.02 (m, 3 H), 2.25 (m, 2 H), 2.38 (m, 2 H), 2.86 (s, 3 H), 3.61 (s, 3 H), 3.96 (dd, *J* = 9, 7 Hz, 1 H), 4.43 (s, 2 H), 6.58 (d, *J* = 9 Hz, 1 H), 7.26 (s, 1 H); CIMS *m/z* 340 (M + H)⁺.
- 142, 143** *N*-[[*N*-Ethyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester. 54%; R_f 0.5 (95:3 CH₂Cl₂:CH₃OH); ¹H NMR (CDCl₃) δ 0.94 (d, *J* = 7 Hz, 3 H), 0.98 (d, *J* = 7 Hz, 3 H), 1.16 (t, *J* = 7 Hz, 3 H), 1.39 (d, *J* = 7 Hz, 6 H), 2.16 (m, 1 H), 3.25 - 3.50 (m, 3 H), 3.71 (s, 3 H), 4.38 (dd, *J* = 8, 6 Hz, 1 H), 4.46 (AA', 2 H), 6.13 (br, 1 H), 7.00 (s, 1 H); CIMS *m/z* 342 (M + H)⁺.
- 144, 145** *N*-[[*N*-Cyclopropyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-valine Methyl Ester. 91%; R_f 0.64 (5% CH₃OH in CHCl₃); ¹H NMR (DMSO-*d*₆) δ 0.73 (m, 2 H), 0.82 (m, 2 H), 0.90 (d, *J* = 7 Hz, 6 H), 1.30 (d, *J* = 7 Hz, 6 H), 2.10 (octet, *J* = 7 Hz, 1 H), 2.62 (m, 1 H), 3.23 (heptet, *J* = 7 Hz, 1 H), 3.64 (s, 3 H), 4.10 (dd, *J* = 9, 6 Hz, 1 H), 4.45 (AA', 2 H), 6.29 (d, *J* = 9 Hz, 1 H), 7.06 (s, 1 H); CIMS *m/z* 354 (M + H)⁺.
- 148, 149** *N*-[[*N*-Methyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 24%; R_f 0.55 (95:5 CH₂Cl₂: CH₃OH); ¹H NMR (CDCl₃) δ 1.39 (d, *J* = 7 Hz, 6 H), 1.43 (d, *J* = 7 Hz, 3 H), 2.98 (s, 3 H), 3.28 (heptet, *J* = 7 Hz, 1 H), 3.74 (s, 3 H), 4.46 (s, 2 H), 4.49 (q, *J* = 7 Hz, 1 H), 6.12 (br, 1 H), 6.98 (s, 1 H); CIMS *m/z* 300 (M + H)⁺.

- 150** *N*-[[*N*-Methyl-*N*-[(2-isopropyl-4-oxazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 70%; R_f 0.30 (50% EtOAc/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.95 (d, $J=7$ Hz, 3 H), 0.98 (d, $J=7$ Hz, 3 H), 1.33 (d, $J=7$ Hz, 6 H), 2.17 (m, 1 H), 2.98 (s, 3 H), 3.06 (heptet, $J=7$ Hz, 1 H), 3.72 (s, 3 H), 4.29 (AA', 2 H), 4.39 (dd, $J=8$, 5 Hz, 1 H), 5.83 (br d, $J=8$ Hz, 1 H), 7.46 (s, 1 H); CIMS m/z 312 (M+H)⁺.
- 151, 152** *N*-[[*N*-Ethyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 24%; R_f 0.44 (5% CH₃OH in CHCl₃); ¹H NMR (DMSO-d₆) δ 1.01 (t, $J = 7$ Hz, 3 H), 1.30 (d, $J = 7$ Hz, 3 H), 1.32 (d, $J = 7$ Hz, 6 H), 3.23 (m, 1 H), 3.43 (m, 2 H), 3.60 (s, 3 H), 4.17 (pentet, $J = 7$ Hz, 1 H), 4.43 (AA', 2 H), 6.83 (d, $J = 7$ Hz, 1 H), 7.23 (s, 1 H); CIMS m/z 314 (M + H)⁺.
- 153** *N*-[[*N*-(1-Propyl)-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 63%; R_f 0.28 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.86 (t, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 3 H), 1.41 (d, $J = 7$ Hz, 6 H), 1.58 (m, 2 H), 3.28 (m, 3 H), 3.71 (s, 3 H), 4.43 (AA', 2 H), 4.46 (m, 1 H), 6.32 (br, 1 H), 6.98 (s, 1 H); CIMS m/z 328 (M + H)⁺.
- 154** *N*-[[*N*-Isobutyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 41%; R_f 0.39 (5% CH₃OH in CHCl₃); ¹H NMR (DMSO-d₆) δ 0.78 (d, $J = 7$ Hz, 3 H), 0.79 (d, $J = 7$ Hz, 3 H), 1.30 (d, $J = 7$ Hz, 3 H), 1.32 (d, $J = 7$ Hz, 3 H), 1.33 (d, $J = 7$ Hz, 3 H), 1.90 (m, 1 H), 3.05 (d, $J = 8$ Hz, 2 H), 3.24 (m, 1 H), 3.58 (s, 3 H), 4.05 (pentet, $J = 7$ Hz, 1 H), 4.44 (AA', 2 H), 6.88 (br d, 1 H), 7.23 (s, 1 H); CIMS m/z 342 (M + H)⁺.
- 155** *N*-[[*N*-(1-Butyl)-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-L-alanine Methyl Ester. 45%; R_f 0.36 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.89 (t, $J = 7$ Hz, 3 H), 1.28 (m, 2 H), 1.39 (d, $J = 7$ Hz, 3 H), 1.42 (d, $J = 7$ Hz, 6 H), 1.53 (m, 2 H), 3.28 (m, 3 H), 3.71 (s, 3 H), 4.44 (AA', 2 H), 4.46 (pentet, $J = 7$ Hz, 1 H), 6.32 (br, 1 H), 6.98 (s, 1 H); CIMS m/z 342 (M + H)⁺.

- 156, 157** *N*-[[*N*-Cyclopropyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-*L*-alanine Methyl Ester. 56%; R_f 0.54 (5% CH₃OH in CHCl₃); ¹H NMR (DMSO-*d*₆) δ 0.70 (m, 2 H), 0.80 (m, 2 H), 1.30 (d, $J = 7$ Hz, 6 H), 1.34 (d, $J = 7$ Hz, 3 H), 2.57 (m, 1 H), 3.22 (heptet, $J = 7$ Hz, 1 H), 3.62 (s, 3 H), 4.23 (pentet, $J = 7$ Hz, 1 H), 4.44 (AA', 2 H), 6.54 (d, $J = 7$ Hz, 1 H), 7.05 (s, 1 H); CIMS m/z 326 (M + H)⁺.
- 158, 159** *N*-[[*N*-Methyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]- β -alanine Ethyl Ester. 79%; R_f 0.60 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 1.25 (t, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 6 H), 2.56 (t, $J = 6$ Hz, 2 H), 2.97 (s, 3 H), 3.29 (heptet, $J = 7$ Hz, 1 H), 3.52 (m, 2 H), 4.14 (q, $J = 7$ Hz, 2 H), 4.45 (s, 2 H), 5.91 (br, 1 H), 6.95 (s, 1 H); CIMS m/z (M + H)⁺ = 314.
- 160, 161** *N*-[[*N*-Cyclopropyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]- β -alanine Ethyl Ester. 58%; R_f 0.6 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.80 (m, 2 H), 0.85 (m, 2 H), 1.27 (t, $J = 7$ Hz, 3 H), 1.37 (d, $J = 7$ Hz, 6 H), 2.56 (t, $J = 7$ Hz, 2 H), 2.57 (m, 1 H), 3.28 (heptet, $J = 7$ Hz, 1 H), 3.55 (q, $J = 6$ Hz, 2 H), 4.16 (q, $J = 7$ Hz, 2 H), 4.61 (s, 2 H), 6.11 (br t, 1 H), 6.87 (s, 1 H); CIMS m/z 340 (M + H)⁺.
- 169** *N*-[[*N*-Methyl-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-*L*-valine Methyl Ester. 35%; R_f 0.66 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.91 (d, $J = 7$ Hz, 3 H), 0.95 (d, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 3 H), 2.12 (m, 1 H), 2.85 (s, 3 H), 2.99 (t, $J = 7$ Hz, 2 H), 3.30 (heptet, $J = 7$ Hz, 1 H), 3.64 (t, $J = 7$ Hz, 2 H), 3.73 (s, 3 H), 4.42 (dd, $J = 9, 6$ Hz, 1 H), 4.93 (br d, $J = 9$ Hz, 1 H), 6.83 (s, 1 H); CIMS m/z 342 (M + H)⁺.
- 170** *N*-[[*N*-Ethyl-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-*L*-valine Methyl Ester. 56%; R_f 0.55 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.93 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 1.12 (t, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 3 H), 2.13 (m, 1 H), 3.01 (t, $J = 7$ Hz, 2 H), 3.23 (q, $J = 7$ Hz, 1 H), 3.24 (q, $J = 7$ Hz, 1 H), 3.29 (heptet, $J = 7$ Hz, 1 H), 3.59 (t, $J = 7$ Hz, 2 H), 3.74 (s, 3 H), 4.45 (dd, $J = 9, 6$ Hz, 1 H), 4.99 (br d, $J = 9$ Hz, 1 H), 6.83 (s, 1 H); CIMS m/z 356 (M + H)⁺.

- 171** ***N*-[[*N*-(1-Propyl)-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-L-valine Methyl Ester.** 48%; R_f 0.87 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.88 (t, $J = 7$ Hz, 3 H), 0.92 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 6 H), 1.54 (sextet, $J = 7$ Hz, 3 H), 2.13 (m, 1 H), 3.01 (t, $J = 7$ Hz, 2 H), 3.10 (t, $J = 7$ Hz, 1 H), 3.11 (t, $J = 7$ Hz, 1 H), 3.30 (heptet, $J = 7$ Hz, 1 H), 3.59 (t, $J = 7$ Hz, 2 H), 3.74 (s, 3 H), 4.45 (dd, $J = 9, 6$ Hz, 1 H), 5.00 (br d, $J = 9$ Hz, 1 H), 6.83 (s, 1 H); CIMS m/z 370 (M + H)⁺.
- 172** ***N*-[[*N*-Methyl-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-L-alanine Methyl Ester.** 52%; R_f 0.61 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 1.37 (d, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 6 H), 2.84 (s, 3 H), 2.98 (t, $J = 7$ Hz, 2 H), 3.29 (heptet, $J = 7$ Hz, 1 H), 3.63 (t, $J = 7$ Hz, 2 H), 3.74 (s, 3 H), 4.46 (pentet, $J = 7$ Hz, 1 H), 5.02 (br d, $J = 7$ Hz, 1 H), 6.84 (s, 1 H); CIMS m/z 314 (M + H)⁺.
- 173** ***N*-[[*N*-Ethyl-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-L-alanine Methyl Ester.** 82%; R_f 0.70 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 1.11 (t, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 6 H), 3.00 (t, $J = 7$ Hz, 2 H), 3.22 (q, $J = 7$ Hz, 1 H), 3.24 (q, $J = 7$ Hz, 1 H), 3.29 (heptet, $J = 7$ Hz, 1 H), 3.58 (t, $J = 7$ Hz, 2 H), 3.74 (s, 3 H), 4.49 (pentet, $J = 7$ Hz, 1 H), 5.10 (br d, $J = 7$ Hz, 1 H), 6.84 (s, 1 H); CIMS m/z 328 (M + H)⁺.
- 174** ***N*-[[*N*-(1-Propyl)-*N*-[2-(2-isopropyl-4-thiazolyl)ethyl]amino]carbonyl]-L-alanine Methyl Ester.** 47%; R_f 0.66 (10% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.87 (t, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 6 H), 1.54 (sextet, $J = 8$ Hz, 3 H), 3.00 (t, $J = 7$ Hz, 2 H), 3.09 (t, $J = 8$ Hz, 1 H), 3.10 (t, $J = 8$ Hz, 1 H), 3.29 (heptet, $J = 7$ Hz, 1 H), 3.58 (t, $J = 7$ Hz, 2 H), 3.74 (s, 3 H), 4.49 (pentet, $J = 7$ Hz, 1 H), 5.10 (br d, $J = 7$ Hz, 1 H), 6.83 (s, 1 H); CIMS m/z 342 (M + H)⁺.

The following esters were prepared by representative procedure T.

- 13, 14** ***N*-[(6-Ethyl-2-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 71%; R_f 0.45 (30% EtOAc in CH_2Cl_2); 1H NMR ($CDCl_3$) δ 0.91 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 1.30 (t, $J = 7$ Hz, 3 H), 2.17 (m, 1 H), 2.84 (q, $J = 7$ Hz, 2 H), 3.75 (s, 3 H), 4.32 (dd, $J = 9, 5$ Hz, 1 H), 5.23 (s, 1 H), 5.37 (br d, $J = 9$ Hz, 1 H), 7.10 (br d, $J = 8$ Hz, 1 H), 7.18 (br d, $J = 8$ Hz, 1 H), 7.63 (br t, $J = 8$ Hz, 1 H); CIMS m/z 295 ($M + H$) $^+$.
- 17, 18** ***N*-[(6-Isopropyl-2-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 63%; R_f 0.45 (30% EtOAc in CH_2Cl_2); 1H NMR ($CDCl_3$) δ 0.91 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 1.29 (d, $J = 7$ Hz, 6 H), 2.18 (m, 1 H), 3.06 (heptet, $J = 7$ Hz, 1 H), 3.75 (s, 3 H), 4.32 (dd, $J = 9, 5$ Hz, 1 H), 5.21 (br s 2 H), 5.35 (br d, $J = 9$ Hz, 1 H), 7.10 (d, $J = 8$ Hz, 1 H), 7.16 (d, $J = 8$ Hz, 1 H), 7.61 (t, $J = 8$ Hz, 1 H); CIMS m/z 309 ($M + H$) $^+$.
- 21, 22** ***N*-[[6-(*t*-Butyl)-2-pyridinyl]methoxycarbonyl]-L-valine Methyl Ester.** 67%; R_f 0.48 (30% EtOAc/ CH_2Cl_2); 1H NMR ($CDCl_3$) δ 0.91 (d, $J=7$ Hz, 3 H), 0.98 (d, $J=7$ Hz, 3 H), 1.34 (s, 9 H), 2.18 (m, 1 H), 3.75 (s, 3 H), 4.33 (dd, $J=8, 5$ Hz, 1 H), 5.22 (s, 2 H), 5.36 (br d, 1 H), 7.12 (d, $J=8$ Hz, 1 H), 7.23 (d, $J=8$ Hz, 1 H), 7.59 (t, $J=8$ Hz, 1 H); CIMS m/z 323 ($M+H$) $^+$.
- 25, 26** ***N*-[(5-Methyl-3-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 83%; R_f 0.44 (30% EtOAc in CH_2Cl_2); 1H NMR ($CDCl_3$) δ 0.90 (d, $J = 7$ Hz, 3 H), 0.96 (d, $J = 7$ Hz, 3 H), 2.15 (m, 1 H), 2.57 (s, 3 H), 3.73 (s, 3 H), 4.30 (dd, $J = 9, 5$ Hz, 1 H), 5.10 (s, 2 H), 5.26 (br d, $J = 9$ Hz, 1 H), 7.44 (s, 1 H), 8.31 (s, 1 H), 8.37 (s, 1 H). CIMS m/z 197 ($M + H$) $^+$.

- 29, 30** ***N*-[(6-Methyl-3-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 86%; R_f 0.38 (5% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.89 (d, J=7 Hz, 3 H), 0.96 (d, J=7 Hz, 3 H), 2.16 (m, 1 H), 2.56 (s, 3 H), 3.75 (s, 3 H), 4.29 (dd, J=8, 5 Hz, 1 H), 5.10 (s, 2 H), 5.25 (br d, J=8 Hz, 1 H), 7.16 (d, J=8 Hz, 1 H), 7.60 (dd, J=8, 2 Hz, 1 H), 8.49 (d, J=2 Hz, 1 H); CIMS m/z 281 (M+H)⁺.
- 34, 35** ***N*-[(5-Methoxy-2-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 52%; R_f 0.31 (30% EtOAc/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, J=7 Hz, 3 H), 0.97 (d, J=7 Hz, 3 H), 2.16 (m, 1 H), 3.74 (s, 3 H), 3.87 (s, 3 H), 4.30 (dd, J=8, 5 Hz, 1 H), 5.17 (s, 2 H), 5.33 (br d, 1 H), 7.20 (dd, J=8, 3 Hz, 1 H), 7.32 (d, J=8 Hz, 1 H), 8.29 (d, J=3 Hz, 1 H); CIMS m/z 297 (M+H)⁺.
- 38, 39** ***N*-[(5-Methoxy-3-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 98%; R_f 0.52 (50% EtOAc in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 2.17 (m, 1 H), 3.75 (s, 3 H), 3.87 (s, 3 H), 4.30 (dd, J = 9, 5 Hz, 1 H), 5.13 (s, 2 H), 5.28 (br d, J = 9 Hz, 1 H), 7.21 (br, 1 H), 8.23 (br, 1 H), 8.28 (br, 1 H); CIMS m/z 297 (M + H)⁺.
- 42, 43** ***N*-[(6-Methoxy-3-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 51%; R_f 0.45 (30% EtOAc in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.88 (d, J = 7 Hz, 3 H), 0.95 (d, J = 7 Hz, 3 H), 2.15 (m, 1 H), 3.74 (s, 3 H), 3.94 (s, 3 H), 4.28 (dd, J = 9, 5 Hz, 1 H), 5.04 (s, 2 H), 5.21 (br d, J = 9 Hz, 1 H), 6.74 (d, J = 8 Hz, 1 H), 7.61 (dd, J = 8, 2 Hz, 1 H), 8.16 (d, J = 2 Hz, 1 H); CIMS m/z 297 (M + H)⁺.
- 46, 47** ***N*-[[5-[[[(*t*-Butyl)oxy]carbonyl]amino]-2-pyridinyl)methoxycarbonyl]-L-valine Methyl Ester.** 70%; R_f 0.38 (7% MeOH/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.89 (d, J=7 Hz, 3 H), 0.97 (d, J=7 Hz, 3 H), 1.53 (s, 9 H), 2.16 (m, 1 H), 3.74 (s, 3 H), 4.30 (dd, J=8, 5 Hz, 1 H), 5.17 (s, 2 H), 5.34 (br d, 1 H), 6.56 (br s, 1 H), 7.32 (d, J=8 Hz, 1 H), 7.99 (br d, 1 H), 8.40 (d, J=2 Hz, 1 H); CIMS m/z 382 (M+H)⁺.

- 50, 51 ***N*-[[5-[[[(*t*-Butyl)oxy]carbonyl]amino]-3-pyridinyl]methoxycarbonyl]-L-valine Methyl Ester.** 96%; R_f 0.35 (5% MeOH in CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.90 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 1.53 (s, 9 H), 2.17 (m, 1 H), 3.75 (s, 3 H), 4.30 (dd, $J = 9, 5$ Hz, 1 H), 5.11 (s, 2 H), 5.30 (br d, $J = 9$ Hz, 1 H), 6.60 (s, 1 H), 8.0 (s, 1 H), 8.28 (d, $J = 2$ Hz, 1 H), 8.40 (d, $J = 2$ Hz, 1 H); CIMS m/z 382 ($\text{M} + \text{H}$) $^+$.
- 52, 53 ***N*-[[6-[[[(*t*-Butyl)oxy]carbonyl]amino]-3-pyridinyl]methoxycarbonyl]-L-valine Methyl Ester.** 80%; R_f 0.48 (20% EtOAc/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.88 (d, $J=7$ Hz, 3 H), 0.96 (d, $J=7$ Hz, 3 H), 1.54 (s, 9 H), 2.15 (m, 1 H), 3.74 (s, 3 H), 4.28 (dd, $J=8, 5$ Hz, 1 H), 5.05 (AA', 2 H), 5.27 (br d, $J=8$ Hz, 1 H), 7.69 (dd, $J=8, 2$ Hz, 1 H), 7.89 (br s, 1 H), 7.95 (d, $J=8$ Hz, 1 H), 8.26 (d, $J=2$ Hz, 1 H); CIMS m/z 382 ($\text{M}+\text{H}$) $^+$.
- 54, 55 ***N*-[(2-Pyrazinyl)methoxycarbonyl]-L-valine Methyl Ester.** 86%; R_f 0.31 (70% EtOAc/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.92 (d, $J=7$ Hz, 3 H), 0.98 (d, $J=7$ Hz, 3 H), 2.19 (m, 1 H), 3.75 (s, 3 H), 4.31 (dd, $J=8, 5$ Hz, 1 H), 5.28 (s, 2 H), 5.41 (br d, $J=8$ Hz, 1 H), 8.52-8.58 (m, 2 H), 8.68 (s, 1 H); CIMS m/z 268 ($\text{M}+\text{H}$) $^+$.
- 56, 57 ***N*-[(6-Methyl-2-pyrazinyl)methoxycarbonyl]-L-valine Methyl Ester.** 68%; R_f 0.50 (50% EtOAc in CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.91 (d, $J = 7$ Hz, 3 H), 0.98 (d, $J = 7$ Hz, 3 H), 2.18 (m, 1 H), 2.57 (s, 3 H), 3.75 (s, 3 H), 4.31 (dd, $J = 9, 5$ Hz, 1 H), 5.23 (s, 2 H), 5.38 (br d, $J = 9$ Hz, 1 H), 8.42 (br s, 1 H), 8.47 (br s, 1 H); CIMS m/z 282 ($\text{M} + \text{H}$) $^+$.
- 60, 61 ***N*-[(5-Methyl-2-pyrazinyl)methoxycarbonyl]-L-valine Methyl Ester.** 83%; R_f 0.23 (40% EtOAc/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.90 (d, $J=7$ Hz, 3 H), 0.97 (d, $J=7$ Hz, 3 H), 2.18 (m, 1 H), 2.58 (s, 3 H), 3.75 (s, 3 H), 4.30 (dd, $J=8, 5$ Hz, 1 H), 5.23 (s, 2 H), 5.37 (br d, $J=8$ Hz, 1 H), 8.44 (s, 1 H), 8.54 (s, 1 H); CIMS m/z 282 ($\text{M}+\text{H}$) $^+$.
- 62, 63 ***N*-[(5,6-Dimethyl-2-pyrazinyl)methoxycarbonyl]-L-valine Methyl Ester.** 83%; R_f 0.32 (50% EtOAc/ CH_2Cl_2); $^1\text{H NMR}$ (CDCl_3) δ 0.90 (d, $J=7$ Hz, 3 H), 0.97 (d, $J=7$ Hz, 3 H), 2.17 (m, 1 H), 2.55 (s, 6 H), 3.75 (s, 3 H), 4.30 (dd, $J=8, 5$ Hz, 1 H), 5.19 (AA', 2 H), 5.36 (br d, $J=8$ Hz, 1 H), 8.35 (s, 1 H); CIMS m/z 296 ($\text{M}+\text{H}$) $^+$.

- 80, 81** ***N*-[(2-Isopropyl-4-oxazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 73%; R_f 0.36 (15% EtOAc/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.88 (d, J=7 Hz, 3 H), 0.96 (d, J=7 Hz, 3 H), 1.36 (d, J=7 Hz, 6 H), 2.15 (m, 1 H), 3.09 (heptet, J=7 Hz, 1 H), 3.73 (s, 3 H), 4.28 (dd, J=8, 5 Hz, 1 H), 5.01 (s, 2 H), 5.29 (br d, J=8 Hz, 1 H), 7.57 (s, 1 H); CIMS m/z 299 (M+H)⁺.
- 99, 100** ***N*-[(5-Oxazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 84%; R_f 0.34 (20% EtOAc/CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.89 (d, J=7 Hz, 3 H), 0.97 (d, J=7 Hz, 3 H), 2.16 (m, 1 H), 3.75 (s, 3 H), 4.29 (dd, J=8, 5 Hz, 1 H), 5.14 (s, 2 H), 5.27 (br d, J=8 Hz, 1 H), 7.15 (s, 1 H), 7.88 (s, 1 H); CIMS m/z 257 (M+H)⁺.
- 105, 106** ***N*-[(5-*t*-Butyl-3-isoxazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 78%; R_f 0.37 (30% EtOAc/Hex); ¹H NMR (CDCl₃) δ 0.90 (d, J=7 Hz, 3 H), 0.97 (d, J=7 Hz, 3 H), 1.34 (s, 9 H), 2.18 (m, 1 H), 3.76 (s, 3 H), 4.31 (dd, J=8, 5 Hz, 1 H), 5.14 (s, 2 H), 5.32 (br d, 1 H), 6.00 (s, 1 H).
- 109, 110** ***N*-[(3-Methoxy-5-isoxazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 65%; R_f 0.40 (10% EtOAc in CH₂Cl₂); ¹H NMR (CDCl₃) δ 0.90 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 2.16 (m, 1 H), 3.75 (s, 3 H), 3.97 (s, 3 H), 4.28 (dd, J = 9, 5 Hz, 1 H), 5.06 (AA', 2 H), 5.32 (br d, J = 9 Hz, 1 H), 5.93 (s, 1 H); CIMS m/z 287 (M + H)⁺.
- 146, 147** ***N*-[(2-Isopropyl-4-thiazolyl)methoxycarbonyl]-L-alanine Methyl Ester.** 94%; ¹H NMR (d₆-DMSO) δ 1.26 (d, J = 7 Hz, 3 H), 1.32 (d, J = 7 Hz, 6 H), 3.26 (heptet, J = 7 Hz, 1 H), 3.63 (s, 3 H), 4.20 (pentet, J = 7 Hz, 1 H), 5.02 (s, 2 H), 7.47 (s, 1 H), 7.81 (d, J = 7 Hz, 1 H); CIMS m/z 287 (M + H)⁺.

The following esters were prepared by representative procedure U:

- 70, 71 ***N*-[(2-Ethyl-4-thiazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 60%; R_f 0.85 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.89 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 6 H), 1.41 (t, J = 7 Hz, 3 H), 2.16 (m, 1 H), 3.08 (q, J = 7 Hz, 2 H), 3.74 (s, 3 H), 4.29 (dd, J = 9, 5 Hz, 1 H), 5.20 (s, 2 H), 5.33 (br d, J = 9 Hz, 1 H), 7.17 (s, 1 H); CIMS m/z 301 (M + H)⁺.
- 86, 87 ***N*-[[2-(Methoxymethyl)-4-thiazolyl]methoxycarbonyl]-L-valine Methyl Ester.** 45%; R_f 0.74 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.89 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 2.15 (m, 1 H), 3.50 (s, 3 H), 3.70 (s, 3 H), 4.29 (dd, J = 9, 5 Hz, 1 H), 4.76 (s, 2 H), 5.21 (s, 2 H), 5.32 (br d, J = 9 Hz, 1 H), 7.31 (s, 1 H); CIMS m/z 317 (M + H)⁺.
- 90 ***N*-[[2-(*N,N*-Dimethylamino)-4-thiazolyl]methoxycarbonyl]-L-valine Methyl Ester.** 95%; R_f 0.42 (4% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.84 (d, J = 7 Hz, 3 H), 0.93 (d, J = 7 Hz, 3 H), 2.12 (m, 1 H), 3.11 (s, 6 H), 3.73 (s, 3 H), 4.24 (dd, J = 8, 4 Hz, 1 H), 4.99 (s, 2 H), 5.26 (br d, 1 H), 6.49 (s, 1 H); CIMS m/z 316 (M + H)⁺.
- 91, 92, 138, 139 ***N*-[[2-(4-Morpholinyl)-4-thiazolyl]methoxycarbonyl]-L-valine Methyl Ester.** 65%; R_f 0.54 (4% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.97 (d, J = 7 Hz, 3 H), 1.00 (d, J = 7 Hz, 3 H), 2.25 (m, 1 H), 3.50 (dd, J = 5, 4 Hz, 2 H), 3.76 (s, 3 H), 3.84 (dd, J = 5, 4 Hz, 2 H), 4.67 (dd, J = 9, 5 Hz, 1 H), 7.63 (br d, 1 H), 8.02 (s, 1 H).
- 95, 96 ***N*-[(2-Ethyl-5-thiazolyl)methoxycarbonyl]-L-valine Methyl Ester.** 19%; R_f 0.83 (5% CH₃OH in CHCl₃); ¹H NMR (CDCl₃) δ 0.88 (d, J = 7 Hz, 3 H), 0.96 (d, J = 7 Hz, 3 H), 1.38 (t, J = 7 Hz, 3 H), 2.16 (m, 1 H), 3.02 (q, J = 7 Hz, 2 H), 3.74 (s, 3 H), 4.29 (dd, J = 9, 5 Hz, 1 H), 5.23 (AA', 2 H), 5.26 (br, 1 H), 7.60 (s, 1 H); CIMS m/z 301 (M + H)⁺.

- 97, 98** ***N*-[(2-Isopropyl-5-thiazolyl)methoxycarbonyl]-L-valine Methyl Ester.**
29%; R_f 0.8, (5% CH_3OH in CHCl_3). $^1\text{H NMR}$ δ 0.89 (d, $J = 7$ Hz, 6 H), 0.95 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 2.14 (m, 1 H), 3.33 (heptet, $J = 7$ Hz, 1 H), 3.74 (s, 3 H), 4.30 (dd, $J = 9, 5$ Hz, 1 H), 5.23 (s, 2 H), 5.25 (br d, 1 H), 7.63 (s, 1 H); CIMS m/z 315 ($M + H$) $^+$.
- 101, 102** ***N*-[[2-(Methoxymethyl)-5-thiazolyl]methoxycarbonyl]-L-valine Methyl Ester.** 43%; R_f 0.70 (5% CH_3OH in CHCl_3); $^1\text{H NMR}$ (CDCl_3) δ 0.89 (d, $J = 7$ Hz, 3 H), 0.96 (d, $J = 7$ Hz, 3 H), 2.17 (m, 1 H), 3.49 (s, 3 H), 3.74 (s, 3 H), 4.29 (dd, $J = 9, 5$ Hz, 1 H), 4.71 (s, 2 H), 5.24 (br, 1 H), 5.27 (AA', 2 H), 7.69 (s, 1 H); CIMS m/z 316 ($M + H$) $^+$.
- 140, 141** ***N*-[(4-Isopropyl-2-thiazolyl)methoxycarbonyl]-L-valine Methyl Ester.**
52%; R_f 0.54 (5% CH_3OH in CH_2Cl_2); $^1\text{H NMR}$ ($\text{DMSO-}d_6$) δ 0.87 (d, $J = 7$ Hz, 3 H), 0.88 (d, $J = 7$ Hz, 3 H), 1.23 (d, $J = 7$ Hz, 6 H), 2.04 (octet, $J = 7$ Hz, 1 H), 3.01 (heptet, $J = 7$ Hz, 1 H), 3.73 (s, 3 H), 3.94 (dd, $J = 8, 6$ Hz, 1 H), 5.26 (AA', 2 H), 7.28 (s, 1 H), 7.92 (d, $J = 8$ Hz, 1 H); CIMS m/z 158 ($M + H$) $^+$.
- 165, 166** ***N*-[2-(2-Isopropyl-4-thiazolyl)ethoxycarbonyl]-L-valine Methyl Ester.**
52%; R_f 0.40 (5% CH_3OH in CHCl_3); $^1\text{H NMR}$ (CDCl_3) δ 0.89 (d, $J = 7$ Hz, 3 H), 0.97 (d, $J = 7$ Hz, 3 H), 1.40 (d, $J = 7$ Hz, 6 H), 2.15 (m, 1 H), 3.15 (t, $J = 7$ Hz, 2 H), 3.33 (heptet, $J = 7$ Hz, 1 H), 3.74 (s, 3 H), 4.27 (dd, $J = 9, 5$ Hz, 1 H), 4.47 (t, $J = 7$ Hz, 2 H), 5.30 (br, 1 H), 6.86 (s, 1 H); CIMS m/z 329 ($M + H$) $^+$.

The following esters were prepared by representative procedure V:

- 163 ***N*-[[*N*-(1-Propyl)-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]-glycine Ethyl Ester.** 41%; R_f 0.32 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$); $^1\text{H NMR}$ (CDCl_3) δ 0.88 (t, $J = 7$ Hz, 3 H), 1.27 (t, $J = 7$ Hz, 3 H), 1.38 (d, $J = 7$ Hz, 6 H), 1.60 (m, 2 H), 3.27 (heptet, $J = 7$ Hz, 1 H), 3.31 (m, 2 H), 4.00 (d, $J = 6$ Hz, 2 H), 4.20 (q, $J = 7$ Hz, 2 H), 4.48 (s, 2 H), 6.15 (br, 1 H), 7.00 (s, 1 H); CIMS m/z 328 ($M + H$) $^+$.
- 164 ***N*-[[*N*-isobutyl-*N*-[(2-isopropyl-4-thiazolyl)methyl]amino]carbonyl]glycine Ethyl Ester.** 41%; R_f 0.35 (5% $\text{CH}_3\text{OH}/\text{CHCl}_3$); $^1\text{H NMR}$ (CDCl_3) δ 0.88 (d, $J = 7$ Hz, 6 H), 1.27 (t, $J = 7$ Hz, 3 H), 1.39 (d, $J = 7$ Hz, 6 H), 1.97 (m, 1 H), 3.18 (d, $J = 7$ Hz, 2 H), 3.27 (heptet, $J = 7$ Hz, 1 H), 3.99 (d, $J = 6$ Hz, 2 H), 4.19 (q, $J = 7$ Hz, 2 H), 4.49 (s, 2 H), 6.26 (br, 1 H), 6.99 (s, 1 H); CIMS m/z 342 ($M + H$) $^+$.

Supplementary Table. Synthetic procedures and physical characterization of HIV protease inhibitors.

No.	Procedures	Yield	m.p.	R _f	Solvent ^a	Formula
7	reference 33	79	175-176	0.36	C	C ₃₈ H ₄₅ N ₅ O ₆
8	reference 33	70	165-166	0.36	C	C ₃₅ H ₄₅ N ₅ O ₆
9	reference 33	91	78-79	0.30	C	C ₃₉ H ₄₈ N ₆ O ₅ ·0.5H ₂ O
10	reference 33	88	70-71	0.30	C	C ₃₉ H ₄₈ N ₆ O ₅ ·0.75H ₂ O
11	A, S, W	56	201-202	0.25	E	C ₃₈ H ₄₆ N ₆ O ₅ ·0.5H ₂ O ^b
12	A, S, W	80	206-207	0.27	E	C ₃₈ H ₄₆ N ₆ O ₅ ·0.25H ₂ O
13	B, T, W	85	169-170	0.40	C	C ₃₉ H ₄₇ N ₅ O ₆
14	B, T, W	88	150-151	0.45	C	C ₃₉ H ₄₇ N ₅ O ₆ ·0.5H ₂ O
15	A, S, W	70	65-66	0.30	C	C ₄₀ H ₅₀ N ₆ O ₅ ·0.5H ₂ O
16	A, S, W	85	60-61	0.33	C	C ₄₀ H ₅₀ N ₆ O ₅ ·0.5H ₂ O
17	B, T, W	80	158-159	0.29	C	C ₄₀ H ₄₉ N ₅ O ₆ ·0.25H ₂ O
18	B, T, W	70	156-157	0.30	C	C ₄₀ H ₄₉ N ₅ O ₆ ·0.25H ₂ O
19	A, S, W	83	80-81	0.30	C	C ₄₁ H ₅₂ N ₆ O ₅ ·0.5H ₂ O
20	A, S, W	89	66-67	0.33	C	C ₄₁ H ₅₂ N ₆ O ₅ ·0.5H ₂ O
21	B, T, W	82	145-146	0.43	F	C ₄₁ H ₅₁ N ₅ O ₆
22	B, T, W	92	140-141	0.47	F	C ₄₁ H ₅₁ N ₅ O ₆
23	A, S, W	87	67-68	0.37	F	C ₄₂ H ₅₄ N ₆ O ₅ ^c
24	A, S, W	93	65-66	0.39	F	C ₄₂ H ₅₄ N ₆ O ₅ ^d
25	B, T, W	82	162-163	0.33	C	C ₃₈ H ₄₅ N ₅ O ₆ ·0.5H ₂ O
26	B, T, W	80	170-171	0.30	C	C ₃₈ H ₄₅ N ₅ O ₆
27	A, S, W	82	65-67	0.32	F	C ₃₉ H ₄₈ N ₆ O ₅ ·0.5H ₂ O
28	A, S, W	80	81-83	0.34	F	C ₃₉ H ₄₈ N ₆ O ₅ ·0.5H ₂ O
29	B, T, W	93	188-190	0.24	C	C ₃₈ H ₄₅ N ₅ O ₆ ·0.25H ₂ O
30	B, T, W	91	170-171	0.25	C	C ₃₈ H ₄₅ N ₅ O ₆ ·0.75H ₂ O
31	A, S, W	81	93-95	0.19	C	C ₃₉ H ₄₈ N ₆ O ₅ ·1.25H ₂ O
32	A, S, W	71	74-76	0.17	C	C ₃₉ H ₄₈ N ₆ O ₅ ·0.5H ₂ O
33	A, S, W	60	205-206	0.30	E	C ₃₈ H ₄₆ N ₆ O ₅ ·0.5H ₂ O
34	B, T, W	72	174	0.46	F	C ₃₈ H ₄₅ N ₅ O ₇ ·0.25H ₂ O
35	B, T, W	77	106-107	0.38	F	C ₃₈ H ₄₅ N ₅ O ₇ ·0.25H ₂ O
36	A, S, W	92	63-64	0.45	F	C ₃₉ H ₄₈ N ₆ O ₆
37	A, S, W	91	65-66	0.36	F	C ₃₉ H ₄₈ N ₆ O ₆
38	B, T, W	89	154-155	0.30	C	C ₃₈ H ₄₅ N ₅ O ₇ ·0.25H ₂ O
39	B, T, W	86	177-178	0.33	C	C ₃₈ H ₄₅ N ₅ O ₇
40	A, S, W	78	88-89	0.35	E	C ₃₉ H ₄₈ N ₆ O ₆ ·0.75H ₂ O
41	A, S, W	75	84-85	0.28	C	C ₃₉ H ₄₈ N ₆ O ₆ ·0.75H ₂ O
42	B, T, W	90	178-179	0.33	C	C ₃₈ H ₄₅ N ₅ O ₇ ·0.25H ₂ O
43	B, T, W	79	163-164	0.31	C	C ₃₈ H ₄₅ N ₅ O ₇ ·0.5H ₂ O
44	A, S, W	83	77-78	0.29	C	C ₃₉ H ₄₈ N ₆ O ₆ ·0.5H ₂ O
45	A, S, W	90	73-74	0.30	C	C ₃₉ H ₄₈ N ₆ O ₆ ·0.5H ₂ O
46	C, T, X	79	135-136	0.32	E	C ₃₇ H ₄₄ N ₆ O ₆ ·0.5H ₂ O
47	C, T, X	87	148-150	0.32	E	C ₃₇ H ₄₄ N ₆ O ₆ ·0.5H ₂ O
48	C, S, X	80	79-80	0.33	E	C ₃₈ H ₄₇ N ₇ O ₅ ·0.5H ₂ O
49	C, S, X	85	77-78	0.37	E	C ₃₈ H ₄₇ N ₇ O ₅ ·0.5H ₂ O ^e
50	C, T, X	86	128-129	0.25	E	C ₃₇ H ₄₄ N ₆ O ₆ ·0.5H ₂ O
51	C, T, X	83	108-109	0.24	E	C ₃₇ H ₄₄ N ₆ O ₆ ·0.75H ₂ O
52	C, T, X	78	nd	0.35	E	C ₃₇ H ₄₄ N ₆ O ₆ ·H ₂ O
53	C, T, X	66	106-108	0.24	E	C ₃₇ H ₄₄ N ₆ O ₆ ·0.75H ₂ O
54	B, T, W	95	161-163	0.30	F	C ₃₆ H ₄₂ N ₆ O ₆ ·0.5H ₂ O
55	B, T, W	65	169-170	0.33	F	C ₃₆ H ₄₂ N ₆ O ₆

Supplementary Table, continued. Synthetic procedures and physical characterization of HIV protease inhibitors.

No.	Procedures	Yield	m.p.	R _f	Solvent ^a	Formula
56	B, T, W	80	172-173	0.33	C	C ₃₇ H ₄₄ N ₆ O ₆
57	B, T, W	80	167-168	0.35	C	C ₃₇ H ₄₄ N ₆ O ₆
58	A, S, W	85	76-77	0.25	E	C ₃₈ H ₄₇ N ₇ O ₅ ·0.5H ₂ O
59	A, S, W	82	70-71	0.30	E	C ₃₈ H ₄₇ N ₇ O ₅ ·0.5H ₂ O
60	B, T, W	80	173-174	0.33	F	C ₃₇ H ₄₄ N ₆ O ₆
61	B, T, W	80	167-168	0.35	C	C ₃₇ H ₄₄ N ₆ O ₆
62	B, T, W	68	177-179	0.51	F	C ₃₈ H ₄₆ N ₆ O ₆ ·0.25H ₂ O
63	B, T, W	65	156-157	0.41	F	C ₃₈ H ₄₆ N ₆ O ₆ ·0.5H ₂ O
64	A, S, W	82	67-68	0.32	F	C ₃₉ H ₄₉ N ₇ O ₅ ·0.5H ₂ O
65	A, S, W	87	69-70	0.48	F	C ₃₉ H ₄₉ N ₇ O ₅ ·0.5H ₂ O
66	D, S, Y	49	71-74	0.21	A	C ₃₆ H ₄₄ N ₆ O ₅ S·0.15CHCl ₃
67	D, S, Y	43	69-73	0.23	A	C ₃₆ H ₄₄ N ₆ O ₅ S·0.2CHCl ₃
68	D, S, Y	72	66-69	0.28	A	C ₃₇ H ₄₆ N ₆ O ₅ S·1.25H ₂ O
69	D, S, Y	82	69-72	0.30	A	C ₃₇ H ₄₆ N ₆ O ₅ S·H ₂ O
70	E, U, W	34	174-176	0.48	B	C ₃₇ H ₄₅ N ₅ O ₆ S
71	E, U, W	34	122-124	0.48	B	C ₃₇ H ₄₅ N ₅ O ₆ S
72	D, S, W	81	51-53	0.64	A	C ₃₈ H ₄₈ N ₆ O ₅ S
73	D, S, W	28	53-55	0.72	A	C ₃₈ H ₄₈ N ₆ O ₅ S
74	E, U, W	60	162-164	0.51	A	C ₃₈ H ₄₇ N ₅ O ₆ S
75	E, U, W	70	126-127	0.16	D	C ₃₈ H ₄₇ N ₅ O ₆ S
76	D, S, W	63	51-53	0.50	A	C ₃₉ H ₅₀ N ₆ O ₅ S·0.25H ₂ O
77	D, S, W	49	55-57	0.50	B	C ₃₉ H ₅₀ N ₆ O ₅ S
78	D, S, W	25	53-55	0.50	B	C ₄₀ H ₅₂ N ₆ O ₅ S
79	D, S, W	59	56-68	0.39	B	C ₄₀ H ₅₂ N ₆ O ₅ S
80	F, T, W	66	186-187	0.29	C	C ₃₈ H ₄₇ N ₅ O ₇ ·0.5H ₂ O
81	F, T, W	85	153-154	0.27	F	C ₃₈ H ₄₇ N ₅ O ₇
82	G, S, W	63	55-57	0.26	C	C ₃₉ H ₅₀ N ₆ O ₆ ·0.75H ₂ O
83	G, S, W	75	55-57	0.34	I	C ₃₉ H ₅₀ N ₆ O ₆ ·0.5H ₂ O
84	H, Z	46	137-138	0.12	D	C ₃₉ H ₄₉ N ₅ O ₅ S·1.5H ₂ O
85	H, Z	49	136-137	0.10	H	C ₃₉ H ₄₉ N ₅ O ₅ S·1.25H ₂ O
86	E, U, W	54	103-105	0.50	B	C ₃₇ H ₄₅ N ₅ O ₇ S
87	E, U, W	31	118-120	0.47	B	nd
88	D, S, W	21	58-60	0.44	B	C ₃₈ H ₄₈ N ₆ O ₆ S·0.5H ₂ O
89	D, S, W	21	50-52	0.50	B	C ₃₈ H ₄₈ N ₆ O ₆ S
90	I, U, W	nd	128-129	nd	nd	C ₃₇ H ₄₆ N ₆ O ₆ S·0.5H ₂ O
91	I, U, W	52	160	0.64	A	C ₃₉ H ₄₈ N ₆ O ₇ S·0.5H ₂ O
92	I, U, W	68	101-103	0.23	G	C ₃₉ H ₄₈ N ₆ O ₇ S·0.75H ₂ O
93	ref, U, Y	90	71-74	0.36	A	C ₃₅ H ₄₁ N ₅ O ₆ S
94	ref, U, Y	86	69-73	0.36	A	C ₃₅ H ₄₁ N ₅ O ₆ S
95	K, U, W	48	171-173	0.46	B	C ₃₇ H ₄₅ N ₅ O ₆ S
96	K, U, W	73	178-180	0.42	B	C ₃₇ H ₄₅ N ₅ O ₆ S
97	K, U, W	36	140-142	0.46	B	C ₃₈ H ₄₇ N ₅ O ₆ S
98	K, U, W	50	116-117	0.42	B	C ₃₈ H ₄₇ N ₅ O ₆ S
99	ref, T, W	81	169-171	0.42	F	C ₃₅ H ₄₁ N ₅ O ₇ ·0.25H ₂ O
100	ref, T, W	85	177-178	0.36	F	C ₃₅ H ₄₁ N ₅ O ₇
101	K, U, W	40	168-170	0.46	B	C ₃₇ H ₄₅ N ₅ O ₇ S
102	K, U, W	78	168-170	0.42	B	C ₃₇ H ₄₅ N ₅ O ₇ S
103	U, W	57	162-165	0.30	D	C ₃₅ H ₄₃ N ₅ O ₆ S ₂

Supplementary Table, continued. Synthetic procedures and physical characterization of HIV protease inhibitors.

No.	Procedures	Yield	m.p.	R _f	Solvent ^a	Formula
104	U, W	56	170-172	0.30	D	C ₃₅ H ₄₃ N ₅ O ₆ S ₂
105	L, T, W	84	154-155	0.50	F	C ₃₉ H ₄₉ N ₅ O ₇ ·0.25H ₂ O
106	L, T, W	82	119-120	0.32	H	C ₃₉ H ₄₉ N ₅ O ₇ ·0.25H ₂ O
107	M, S, W	82	74-75	0.46	F	C ₄₀ H ₅₂ N ₆ O ₆ ·0.5H ₂ O
108	M, S, W	87	70-72	nd	nd	C ₄₀ H ₅₂ N ₆ O ₆ ^f
109	N, T, W	75	170-171	0.30	C	C ₃₆ H ₄₃ N ₅ O ₈
110	N, T, W	76	177-178	0.31	C	C ₃₆ H ₄₃ N ₅ O ₈
111	ref, Y	63	122-124	0.43	E	C ₃₆ H ₄₂ N ₆ O ₆ ·0.25H ₂ O
112	ref, Y	80	77-79	0.48	E	C ₃₇ H ₄₅ N ₇ O ₅ ·0.5H ₂ O
113	ref, Y	76	67-70	0.37	E	C ₃₇ H ₄₅ N ₇ O ₅ ·0.5H ₂ O
114	ref, Y	70	162-163	0.39	C	C ₃₆ H ₄₂ N ₄ O ₇ ·1.75H ₂ O
115	ref, Y	90	nd	0.13	C	nd
116	ref, W	94	149-151	0.48	C	C ₃₇ H ₄₄ N ₄ O ₇ ·0.5H ₂ O
117	ref, W	96	168-170	0.34	C	C ₃₇ H ₄₄ N ₄ O ₇ ·0.5H ₂ O
118	ref, Y	72	176-177	0.50	A	C ₃₅ H ₄₁ N ₅ O ₆ S·1.5H ₂ O ^g
119	ref, Y	62	166-168	0.47	A	nd
120	E, U, W	55	172-174	0.33	A	nd
121	E, U, W	58	142-145	0.44	A	C ₃₆ H ₄₅ N ₅ O ₆ S ₂
122	D, S, W	74	56-58	0.40	C	C ₃₇ H ₄₈ N ₆ O ₅ S ₂ ·0.5H ₂ O
123	D, S, W	74	61-63	0.40	C	C ₃₇ H ₄₈ N ₆ O ₅ S ₂ ·0.5H ₂ O
124	G, S, W	42	nd	0.46	F	C ₃₇ H ₄₈ N ₆ O ₆ S·0.5H ₂ O
125	G, S, W	91	58-60	0.46	F	C ₃₇ H ₄₈ N ₆ O ₆ S·0.6H ₂ O
126	D, S, W	55	59-61	0.40	B	C ₃₇ H ₄₈ N ₆ O ₆ S·0.5H ₂ O
127	G, S, W	80	65-67	0.43	F	C ₃₇ H ₄₈ N ₆ O ₇ ·0.75 H ₂ O
128	D, S, W	26	61-63	nd	nd	nd
129	G, S, W	79	64-65	0.38	H	C ₃₅ H ₄₄ N ₆ O ₅ S·0.5H ₂ O
130	D, S, W	63	71-73	0.12	B	C ₃₆ H ₄₆ N ₆ O ₅ S ₂
131	D, S, W	40	70-71	0.14	C	C ₃₆ H ₄₆ N ₆ O ₅ S ₂ ·H ₂ O
132	G, S, W	86	nd	0.39	H	C ₃₆ H ₄₆ N ₆ O ₆ S·0.25H ₂ O
133	D, S, W	41	64-66	0.30	B	C ₃₉ H ₅₂ N ₆ O ₅ S ₂
134	D, S, W	42	61-63	0.17	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂ ·0.5H ₂ O
135	D, S, W	54	70-71	0.20	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂
136	D, S, W	47	119-120	0.14	B	C ₃₈ H ₄₈ N ₆ O ₅ S ₂
137	D, S, W	64	74-76	0.17	C	C ₃₈ H ₄₈ N ₆ O ₅ S ₂
138	I, U, W	90	146-148	0.19	D	C ₃₇ H ₄₆ N ₆ O ₇ S ₂ ·0.5H ₂ O
139	I, U, W	92	169-170	0.19	D	C ₃₇ H ₄₆ N ₆ O ₇ S ₂
140	J, U, W	20	52-55	0.42	B	nd
141	J, U, W	61	62-64	0.40	B	C ₃₆ H ₄₅ N ₅ O ₆ S ₂ ·0.5H ₂ O ^h
142	D, S, W	35	65-67	0.45	C	C ₃₈ H ₅₀ N ₆ O ₅ S ₂ ·0.5H ₂ O
143	D, S, W	35	58-60	0.40	C	C ₃₈ H ₅₀ N ₆ O ₅ S ₂
144	O, S, W	48	65-66	0.30	B	C ₃₉ H ₅₀ N ₆ O ₅ S ₂
145	O, S, W	37	50-52	0.30	B	C ₃₉ H ₅₀ N ₆ O ₅ S ₂
146	E, T, W	56	59-61	0.40	C	C ₃₄ H ₄₁ N ₅ O ₆ S ₂ ·0.5H ₂ O
147	E, T, W	69	59-61	0.40	C	C ₃₄ H ₄₁ N ₅ O ₆ S ₂ ·0.5H ₂ O
148	D, S, W	35	56-58	0.36	C	C ₃₅ H ₄₄ N ₆ O ₅ S ₂ ·0.5H ₂ O
149	D, S, W	35	56-58	0.36	C	C ₃₅ H ₄₄ N ₆ O ₅ S ₂ ·0.5H ₂ O
150	G, S, W	75	55-57	0.49	F	C ₃₅ H ₄₄ N ₆ O ₆ S
151	D, S, W	40	160-161	0.43	B	C ₃₆ H ₄₆ N ₆ O ₅ S ₂ ⁱ
152	D, S, W	60	160-162	0.40	B	C ₃₆ H ₄₆ N ₆ O ₅ S ₂ ^j

Supplementary Table, continued. Synthetic procedures and physical characterization of HIV protease inhibitors.

No.	Procedures	Yield	m.p.	R _f	Solvent ^a	Formula
153	O, S, W	44	62-64	0.30	B	C ₃₇ H ₄₈ N ₆ O ₅ S ₂ ·0.5H ₂ O
154	O, S, W	50	60-61	0.30	B	C ₃₈ H ₅₀ N ₆ O ₅ S ₂
155	O, S, W	36	nd	0.36	B	C ₃₈ H ₅₀ N ₆ O ₅ S ₂ ·0.1CHCl ₃
156	O, S, W	19	62-64	0.25	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂ ·0.5H ₂ O
157	O, S, W	40	65-67	0.25	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂ ·0.5H ₂ O
158	D, S, W	37	59-60	0.30	B	C ₃₅ H ₄₄ N ₆ O ₅ S ₂ ·0.5H ₂ O
159	D, S, W	43	55-57	0.30	B	C ₃₅ H ₄₄ N ₆ O ₅ S ₂ ·0.75H ₂ O
160	O, S, W	34	59-61	0.25	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂ ·0.5H ₂ O
161	O, S, W	44	57-58	0.25	B	C ₃₇ H ₄₆ N ₆ O ₅ S ₂ ·0.1CHCl ₃
162	D, V, W	34	59-61	0.20	B	C ₃₅ H ₄₄ N ₆ O ₅ S ₂
163	D, V, W	42	57-59	0.29	B	C ₃₆ H ₄₆ N ₆ O ₅ S ₂ ·0.5H ₂ O
164	O, V, W	55	73-75	0.12	B	C ₃₇ H ₄₈ N ₆ O ₅ S ₂ ·0.5H ₂ O
165	P, U, W	30	159-160	0.30	B	C ₃₇ H ₄₇ N ₅ O ₆ S ₂ ^k
166	P, U, W	47	146-147	0.30	B	C ₃₇ H ₄₇ N ₅ O ₆ S ₂
167	Q, Z	34	140-141	0.50	B	C ₃₆ H ₄₅ N ₅ O ₅ S ₂ ·0.5H ₂ O
168	Q, Z	80	153-155	0.60	B	C ₃₆ H ₄₅ N ₅ O ₅ S ₂ ·0.5H ₂ O
169	R, S, W	54	62-63	0.44	A	C ₃₈ H ₅₀ N ₆ O ₅ S ₂
170	R, S, W	33	61-63	0.46	A	C ₃₉ H ₅₂ N ₆ O ₅ S ₂
171	R, S, W	31	58-60	0.29	B	C ₄₀ H ₅₄ N ₆ O ₅ S ₂ ·0.5H ₂ O
172	R, S, W	33	56-58	0.21	B	C ₃₆ H ₄₇ N ₆ O ₅ S ₂ ·0.5H ₂ O
173	R, S, W	34	59-61	0.40	A	C ₃₇ H ₄₈ N ₆ O ₅ S ₂
174	R, S, W	32	ns	0.26	B	C ₃₈ H ₅₀ N ₆ O ₅ S ₂ ·0.5H ₂ O
175	D, S, W	80	171-172	0.23	D	C ₃₈ H ₅₀ N ₆ O ₅ S ₂ ·0.4CHCl ₃
176	D, S, W	84	63-66	0.18	D	C ₃₈ H ₅₀ N ₆ O ₅ S ₂ ·0.4CHCl ₃
177	D, S, W	67	59-61	0.25	B	C ₄₀ H ₅₄ N ₆ O ₅ S ₂
178	D, S, W	61	64-66	0.28	B	C ₄₀ H ₅₄ N ₆ O ₅ S ₂
179	D, S, W	70	60-62	0.30	B	C ₃₇ H ₄₈ N ₆ O ₆ S
180	G, S, W	80	48-50	0.46	H	C ₃₇ H ₄₈ N ₆ O ₇
181	G, S, W	64	37-39	0.48	F	C ₃₅ H ₄₄ N ₆ O ₇

^aTLC solvent: A--10% methanol in chloroform, B--5% methanol in chloroform, C--5% methanol in dichloromethane, D--4% methanol in chloroform, E--10% methanol in dichloromethane, F--8% methanol in dichloromethane, G--6% methanol in chloroform, H--2% methanol in chloroform. ^bCalcd for N: 12.44, found: 13.40. ^cCalcd for N: 11.63, found: 11.19. ^dCalcd for N: 11.63, found: 11.21. ^eCalcd for N: 14.19, found: 13.38. ^fCalcd for N: 11.79, found: 11.19. ^gCalcd for H: 6.46, found: 6.00. ^hCalcd for N: 9.77, found, 11.63. ⁱCalcd for N: 11.89, found 9.82. ^jCalcd for N: 11.89, found: 9.77. ^kHRMS: calcd for C₃₇H₄₇N₅O₆S₂: 722.3046; found: 722.3036.