a Varian T-60 or Bruker CXP-300 spectrometer, as dilute solutions in deuteriochloroform with tetramethylsilane as an internal standard, unless otherwise indicated. Mass spectra were recorded on an AEI MS-3010 spectrometer, using an ionizing voltage of 70 eV, unless otherwise indicated. Chromatography was carried out on a Chromatotron 7924T (Harrison Research, Palo Alto/TC Research, Norwich) with Merck silica gel 60 PF_{254}, and elution with a gradient of petroleum ether/dichloromethane/ethyl acetate. Petroleum ether refers to the fraction with bp 60–80 °C. Microanalyses were performed by the Canadian Microanalytical Service Ltd., Vancouver.

Allyltributylstannane (**3b**) was purchased from Aldrich Chemical Company, Inc. Tributyl(2-methylallyl)stannane (**4b**),[15] tributyl(3-methylallyl)stannane (**5b**),[8] a mixture (ca. 6:4) of **5b** and tributyl(1-methylallyl)stannane (**6b**),[8] tributyl(3,3-dimethylallyl)stannane (**7b**),[16] tributyl(cyclopent-2-enyl)stannane (**9b**),[17] and *N*-benzoylglycine methyl ester (**2**)[18] were prepared and purified by using standard literature procedures. They were characterized by ^1H NMR and IR spectroscopy and had physical constants in agreement with those previously reported.

***N*-Benzoyl-2-bromoglycine Methyl Ester (1).** A mixture of the glycine derivative **2** (0.46 g, 2.4 mmol) and *N*-bromosuccinimide (0.43 g, 2.4 mmol) in carbon tetrachloride (10 mL) was heated at reflux under nitrogen while irradiated with a 250-W mercury lamp for 0.5 h. The mixture was cooled in ice, filtered under nitrogen, and concentrated under a stream of dry nitrogen to give crude **1** as pale yellow crystals, which were used without further purification: ^1H NMR δ 3.93 (s, 3 H), 6.65 (d, J = 10 Hz, 1 H), 7.30–7.90 (m, 6 H).

Methyl 2-Benzamidopent-4-enoate (3a). A mixture of crude **1** [prepared from the glycine derivative **2** (0.46 g, 2.4 mmol)], allyltributylstannane (**3b**) (1.6 g, 4.8 mmol), and azobisisobutyronitrile (ca. 20 mg) in benzene (20 mL) was heated at reflux under nitrogen for 5 h. The cooled solution was concentrated under reduced pressure, and the residue was chromatographed on silica to give **3a** (0.35 g, 63% yield based on **2**): mp 78–79 °C; ^1H NMR (CCl_4) δ 2.66 (m, 2 H), 3.76 (s, 3 H), 4.88 (dt, J = 7 and 6 Hz, 1 H), 5.15 (m, 2 H), 5.75 (m, 1 H), 6.94 (d, J = 7 Hz, 1 H), 7.40–7.80 (m, 5 H); mass spectrum, m/e (relative intensity) 233 (M^+, 6), 192 (14), 174 (8), 105 (100), 77 (32); mass spectrum, m/e 233.106 (M^+, calcd 233.105). Anal. Calcd for $C_{13}H_{15}NO_3$: C, 66.94; H, 6.48; N, 6.00. Found: C, 66.78; H, 6.43; N, 6.05.

Methyl 2-Benzamido-4-methylpent-4-enoate (4a). Treatment of **1** with tributyl(2-methylallyl)stannane (**4b**), as described above for the reaction of **1** with **3b**, gave **4a** in 56% yield based on **2**: mp 59–61 °C; ^1H NMR (CCl_4) δ 1.80 (s, 3 H), 2.60 (d, J = 8 Hz, 2 H), 3.70 (s, 3 H), 4.60–4.90 (m, 3 H), 6.99 (d, J = 8 Hz, 1 H), 7.30–7.90 (m, 5 H); mass spectrum, m/e (relative intensity) 247 (M^+, 75), 192 (51), 188 (89), 142 (62), 127 (71), 105 (100), 77 (73); mass spectrum, m/e 247.122 (M^+, calcd 247.121). Anal. Calcd for $C_{14}H_{17}NO_3$: C, 68.01; H, 6.93; N, 5.66. Found: C, 67.99; H, 6.88; N, 5.66.

Methyl 2-Benzamido-3-methylpent-4-enoate (5a). Treatment of **1** with tributyl(3-methylallyl)stannane (**5b**), as described above for the reaction of **1** with **3b**, gave **5a** in 57% yield based on **2**, as a 1:1 mixture of diastereomers: oil; ^1H NMR δ 1.150 and 1.152 (d and d, J = 7 Hz and J = 7 Hz, total 3 H), 2.78 and 2.90 (m and m, total 1 H), 3.767 and 3.780 (s and s, total 3 H), 4.82 and 4.85 (dd and dd, J = 5 and 8 Hz and J = 5 and 8 Hz, total 1 H), 5.13 (m, 2 H), 5.78 (m, 1 H), 6.52 and 6.65 (d and d, J = 8 Hz and J = 8 Hz, total 1 H), 7.35–7.90 (m, 5 H); mass spectrum, m/e (relative intensity) 247 (M^+, 21), 192 (45), 188 (25), 122 (52), 105 (100), 77 (40); exact mass calcd for $C_{14}H_{17}NO_3$ (M^+) 247.121, found 247.121.

Methyl (*E*)-2-Benzamido-5-methylpent-4-enoate (6a). Treatment of **1** with a 10-fold excess of a mixture (ca. 6:4) of tributyl(3-methylallyl)stannane (**5b**) and tributyl(1-methylallyl)stannane (**6b**), as described above for the reaction of **1** with **3b**, gave **6a** in 19% yield based on **2**: oil; ^1H NMR δ 1.67 (d, J = 7 Hz, 3 H), 2.59 (m, 2 H), 3.78 (s, 3 H), 4.85 (dt, J = 8 and 5 Hz, 1 H), 5.34 (dt, J = 15 and 7 Hz, 1 H), 5.59 (dq, J = 15 and 7 Hz, 1 H), 6.69 (d, J = 8 Hz, 1 H), 7.40–7.80 (m, 5 H); mass spectrum, m/e (relative intensity) 247 (M^+, 9), 192 (31), 188 (18), 122 (31), 105 (100), 77 (42); exact mass calcd for $C_{14}H_{17}NO_3$ (M^+) 247.121, found 247.121.

The reaction also gave **5a** in 5% yield.

Methyl 2-Benzamido-3,3-dimethylpent-4-enoate (7a). Treatment of **1** with (3,3-dimethylallyl)tributylstannane (**7b**), as described above for the reaction of **1** with **3b**, gave **7a** in 15% yield based on **2**: oil; ^1H NMR δ 1.16 (s, 6 H), 3.73 (s, 3 H), 4.70 (d, J = 9 Hz, 1 H), 5.20 (m, 2 H), 5.90 (m, 1 H), 6.70 (d, J = 9 Hz, 1 H), 7.40–8.00 (m, 5 H); mass spectrum, m/e (relative intensity) 202 ($M^+ - CO_2Me$, 5), 193 (10), 192 (9), 122 (22), 105 (100), 77 (97); mass spectrum (VG ZAB 2F mass spectrometer, operating in the positive ion fast-atom bombardment mode, with argon as the source gas and a primary beam energy of 8 kV), m/e 261 (M^+); exact mass calcd for $C_{13}H_{16}NO$ ($M^+ - CO_2Me$) 202.123, found 202.124.

***N*-Benzoyl-2-(cyclopent-2-enyl)glycine Methyl Ester (9a).** Treatment of **1** with tributyl(cyclopent-2-enyl)stannane (**9b**), as described above for the reaction of **1** with **3b**, gave **9a** in 37% yield based on **2**, as a mixture (ca. 3:1) of diastereomers: mp 91–93 °C; ^1H NMR δ 1.70–2.50 (m, 4 H), 3.34 (m, 0.25 × 1 H), 3.41 (m, 0.75 × 1 H), 3.77 (s, 0.75 × 3 H), 3.78 (s, 0.25 × 3 H), 4.90 (dd, J = 4 and 8 Hz, 0.75 × 1 H), 4.92 (m, 0.25 × 1 H), 5.59 (m, 0.75 × 1 H), 5.68 (m, 0.25 × 1 H), 5.86 (m, 0.25 × 1 H), 6.02 (m, 0.75 × 1 H), 6.46 (d, J = 8 Hz, 0.75 × 1 H), 6.59 (d, J = 8 Hz, 0.25 × 1 H), 7.40–7.80 (m, 5 H); mass spectrum, m/e (relative intensity) 200 ($M^+ - CO_2Me$, 6), 193 (45), 122 (23), 105 (100), 77 (97). Anal. Calcd for $C_{15}H_{17}NO_3$: C, 69.48; H, 6.61; N, 5.40. Found: C, 69.31; H, 6.53; N, 5.38.

The reaction also gave **2** in 19% yield.

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Registry No. **1**, 101649-82-5; **2**, 1205-08-9; **3a**, 117290-14-9; **3b**, 24850-33-7; **4a**, 123642-88-6; **4b**, 67883-62-9; **5a** (diastereomer 1), 123642-89-7; **5a** (diastereomer 2), 123642-90-0; (*E*)-**5b**, 35998-93-7; (*Z*)-**5b**, 35998-94-8; **6a**, 123642-91-1; **6b**, 76505-19-6; **7a**, 123642-92-2; **7b**, 53911-92-5; **9a** (diastereomer 1), 123642-93-3; **9a** (diastereomer 2), 123642-94-4; **9b**, 58655-77-9; **10**, 123642-95-5.

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An Efficient Synthesis of Ethyl 5-Oxazoleacetates

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Investigations in a number of laboratories have shown agents containing a core 4- or 5-oxazoleacetic acid functionality to possess a range of important pharmacological activities.[1-3] A series of 5-furyl-4-oxazoleacetic acid derivatives[1] significantly reduce serum cholesterol and triglyceride levels in animal models. Members of the structurally related 5-oxazoleacetic acids, including 2,4-diaryl[2] and 4-aryl[3] derivatives, are capable of modulating inflammation and hyperglycemia, respectively. In light of these findings, it is somewhat surprising that the latter

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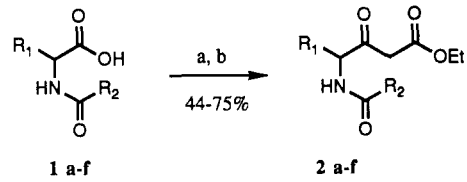
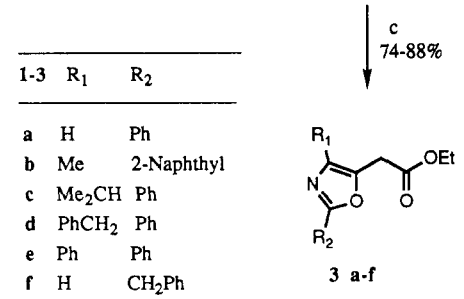
Scheme I[a]





1-3	R_1	R_2
a	H	Ph
b	Me	2-Naphthyl
c	Me_2CH	Ph
d	$PhCH_2$	Ph
e	Ph	Ph
f	H	CH_2Ph

[a] Reagents: (a) 1,1′-carbonyldiimidazole, THF, 25 °C; (b) lithioethyl acetate, THF/hexane, −78 °C to −10 °C, 50 min; (c) $POCl_3$, DMF, 90 °C, 30 min or $POCl_3$, 70 °C, 1.5 h.

two reports on 5-oxazoleacetic acids represent the entire chemical literature base for this series.

Our interest was drawn to the 5-oxazoleacetic acids since they were viewed as ideal precursors for a range of derivatives, such as the reduced ethyl alcohols and corresponding halides, needed for an ongoing medicinal chemistry program. The reported synthetic route to 4-aryl-5-oxazoleacetic acids is based upon bromination of the appropriate 3-benzoylpropionic acid followed by treatment with an amide at elevated temperatures.[3] An alternative approach utilized for the preparation of 2,4-diphenyl-5-oxazoleacetic acid[2] involved: (i) treatment of ethyl 4-bromo-4-phenylacetoacetate with the sodium anion of benzamide, (ii) cyclodehydration in concentrated sulfuric acid, (iii) and base-catalyzed hydrolysis of the ester. Both of these synthetic routes to 5-oxazoleacetic acids suffer from poor overall yields and limited commercial availability of the requisite 3- and 4-keto acid starting materials. In addition, application of the method based on 4-keto acids[3] is restricted to 4-aryl derivatives, due to regioselectivity issues in the bromination step. Our need for an efficient route to a range of 5-oxazoleacetic acid intermediates stimulated the search for an alternative synthetic approach.

Given the ease with which *N*-acylamino carbonyl compounds can be converted to oxazoles via cyclodehydration processes,[4] the 4-(acylamino)-3-keto esters **2** were viewed as pivotal intermediates for the synthesis of 5-oxazoleacetates. This type of intermediate had been employed in the previously reported synthesis of 2,4-diphenyl-5-oxazoleacetic acid,[2] though a low yielding, multistep sequence was required for the synthesis of **2** ($R_1 = R_2$ = phenyl) (Scheme I). An alternative route envisioned for the synthesis of **2**, not dependent on the intermediacy of a bromo ketone, is based on formation of the β-keto ester functionality in the final bond construction. This approach was appealing given the commercial/synthetic availability of a wide variety of *N*-acylamino acids and the success achieved in related studies directed toward the total syntheses of members of the didemnin family of cyclic depsipeptides.[5-7] These workers have utilized the acylation of the lithium enolate of ethyl acetate with activated, *N*-alkoxycarbonyl amino acids to provide the corresponding β-keto esters needed in their studies.

Table I. Preparation of 2

compd	yield,[a] %	mp,[b] °C (lit. mp, °C)
2a	64	99–100 (94–96)[c]
2b	69	90–92
2c	75	60–61
2d	62	93–94
2e	44	101–102
2f	74	78–79

[a] Yields reported are isolated yields after chromatography. [b] All compounds recrystallized from ethyl acetate/cyclohexane with the exception of **2c** (diethyl ether/pentane). [c] See ref 8.

Table II. Preparation of 3

compd	yield,[a] %	mp,[b] °C (lit. mp, °C)
3a	80	40–41 (46)[c]
3b	75	74–75
3c	74	74–75 (71–72)[c]
3d	85	86–87
3e	77	52–53
3f	88	oil

[a] Yields reported are isolated yields after chromatography. [b] Recrystallization conditions employed for compounds reported in the table are as follows: **3a** and **3e** (pentane), **3b** and **3d** (ethyl acetate/cyclohexane), and **3c** (cyclohexane). [c] See ref 9.

Activation of the carboxylic acid functionality of a series of *N*-acyl amino acids (**1**) with 1,1′-carbonyldiimidazole, followed by in situ treatment with an excess of the lithium enolate of ethyl acetate afforded the β-keto esters **2** in moderate to good yields (Table I). Reaction monitoring (TLC) revealed the rates of the condensation reactions to be adversely effected by steric bulk at R_1. In the case of R_1 = Ph, the yield is slightly diminished due to competing side reactions. All of the β-keto ester intermediates (**2**) prepared in this study are crystalline solids, which exist (>95%) in the dicarbonyl tautomeric form in solution ($CDCl_3$).

Conversion of β-keto esters **2** to the corresponding ethyl 5-oxazoleacetates (**3**) employing 3 equiv of phosphorus oxychloride in dimethylformamide also proceeded efficiently (Table II), except in the case of **2f** where little or none of the desired product is formed. However, treatment of **2f** with neat phosphorus oxychloride (70 °C) produced the desired oxazole (**3f**) in 88% yield. These results compare favorably with the low-yielding sulfuric acid cyclization conditions utilized in the previously reported[2] synthesis of ethyl 2,4-diphenyloxazoleacetate. During the course of our investigation there was a report on the syntheses of derivatives **3a** and **3c** in moderate yields (37% and 36%, respectively), by the reaction of the appropriate 5(4*H*)-oxazolones with ethyl (triphenylphosphoranylidene)acetate.[9]

The approach to ethyl 5-oxazoleacetates (**3**) outlined here provides a rapid entry (three-step/two-pot) into this series from readily available *N*-acyl amino acids. Overall yields (34–65%) of oxazoles **3** compare favorably with those obtained in previous efforts. These results, in conjunction with hydrolytic or reductive manipulation of the ester

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functionality in **3**,[10] allow for the preparation of a variety of useful intermediates and pharmacological agents.

Experimental Section

General. Melting points are uncorrected. ^1H and ^{13}C NMR spectra were measured at 250 and 63 MHz, respectively. Unless otherwise noted, materials were obtained from commercial suppliers and were used without further purification. *N*-(2-Naphthoyl)-D,L-alanine[11] and *N*-phenylacetylglycine[12] were prepared by employing literature procedures. Tetrahydrofuran was distilled from sodium metal/benzophenone ketyl immediately before use. Diisopropylamine was fractionally distilled from sodium hydroxide.

General Procedure. Preparation of Keto Esters 2. To a stirred, solution/slurry of the acid **1** (15.0 mmol) in THF (40 mL) was added 1,1'-carbonyldiimidazole (2.68 g, 16.5 mmol). The resulting solution was stirred at room temperature for 1 h and cooled (−78 °C), and a −78 °C solution of the lithium enolate of ethyl acetate (prepared from ethyl acetate (6.15 mL, 63.0 mmol) and lithium diisopropylamide (64 mmol) in THF (75 mL) at −78 °C) was added via cannula to afford a slurry. The slurry was stirred at −78 °C for 0.5 h, the cooling bath was removed to allow the mixture to warm to −10 °C over a 20-min period, and the reaction was quenched with saturated ammonium chloride (150 mL). Solvent was evaporated, and the resulting mixture was extracted with ethyl acetate (2 × 150 mL). The combined organic layers were washed with water (2 × 80 mL) and saturated brine (80 mL) and dried over sodium sulfate. Solvent was removed in vacuo, and the product was purified by flash chromatography over silica gel (40% ethyl acetate–hexanes (**2a–b**), 30% ethyl acetate–hexanes (**2c–e**), 60% ethyl acetate–hexanes (**2f**)). Analytical samples were obtained by recrystallization from the solvents given in Table I.

Ethyl 4-(benzoylamino)-3-oxobutanoate (2a): IR (KBr) 3270, 1755, 1730, 1645, 1555 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.80 (d, 2 H), 7.55–7.40 (m, 3 H), 6.90 (br s, 1 H), 4.48 (d, 2 H), 4.22 (q, 2 H), 3.57 (s, 2 H), 1.29 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 198.4, 167.4, 166.6, 133.6, 131.9, 128.7, 127.2, 61.9, 50.0, 46.9, 14.1. Anal. Calcd for $C_{13}H_{15}NO_4$: C, 62.63; H, 6.06; N, 5.62. Found: C, 62.44; H, 6.00; N, 5.59.

Ethyl 4-(2-naphthoylamino)-3-oxopentanoate (2b): IR (KBr) 3260, 1755, 1720, 1630, 1555 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.35 (s, 1 H), 7.92–7.85 (m, 4 H), 7.62–7.53 (m, 2 H), 7.20 (d, 1 H), 4.97 (dq, 1 H), 4.20 (q, 2 H), 3.68 (q of AB pattern, 2 H), 1.55 (d, 3 H), 1.27 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 202.0, 166.8 (2), 134.8, 132.5, 130.8, 128.9, 128.5, 127.8, 127.7, 127.6, 126.8, 123.4, 61.7, 54.8, 46.0, 19.2, 17.1, 14.0. Anal. Calcd for $C_{18}H_{19}NO_4$: C, 68.99; H, 6.11; N, 4.47. Found: C, 68.93; H, 6.08; N, 4.47.

Ethyl 5-methyl-4-(benzoylamino)-3-oxohexanoate (2c): IR (KBr) 3260, 1740, 1720, 1640, 1540 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.83 (d, 2 H), 7.57–7.45 (m, 3 H), 6.81 (d, 1 H), 4.98 (dd, 1 H), 4.20 (q, 2 H), 3.62 (q of AB pattern), 2.42 (m, 1 H), 1.36 (t, 3 H), 1.09 (d, 3 H), 0.91 (d, 3 H); ^{13}C NMR ($CDCl_3$) δ 201.9, 167.4, 166.6, 133.8, 131.8, 128.6, 127.0, 63.0, 61.6, 47.3, 30.0, 19.9, 16.9, 14.0. Anal. Calcd for $C_{16}H_{21}NO_4$: C, 65.96; H, 7.27; N, 4.81. Found: C, 66.16; H, 7.30; N, 4.82.

Ethyl 4-(benzoylamino)-3-oxo-5-phenylpentanoate (2d): IR (KBr) 3270, 1745, 1720, 1650, 1535 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.70 (d, 2 H), 7.55–7.17 (m, 8 H), 6.80 (d, 1 H), 5.12 (q, 1 H), 4.15 (q, 2 H), 3.56 (q of AB pattern, 2 H), 3.25 (qd, 2 H), 1.22 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 201.3, 167.0, 166.8, 135.9, 133.6, 132.0, 129.4, 128.9, 128.7, 127.3, 127.0, 61.7, 59.7, 47.2, 36.8, 14.1. Anal. Calcd for $C_{20}H_{21}NO_4$: C, 70.78; H, 6.24; N, 4.13. Found: C, 70.82; H, 6.21; N, 4.10.

Ethyl 4-(benzoylamino)-3-oxo-4-phenylbutanoate (2e): IR (KBr) 3350, 1745, 1715, 1645, 1525 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.82 (d, 2 H), 7.60–7.30 (m, 9 H), 5.92 (d, 1 H), 4.13 (q, 2 H), 3.50 (q of AB pattern, 2 H), 1.22 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 198.6, 166.4, 166.1, 135.5, 133.6, 131.9, 129.5, 129.1, 128.6, 128.4, 127.2, 63.6, 61.7, 46.3, 14.1. Anal. Calcd for $C_{19}H_{19}NO_4$: C, 70.14; H, 5.89; N, 4.31. Found: C, 69.87; H, 5.77; N, 4.26.

Ethyl 4-((phenylacetyl)amino)-3-oxobutanoate (2f): IR (KBr) 3290, 1750, 1730, 1640, 1560 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.40–7.25 (m, 5 H), 6.18 (br s, 1 H), 4.23 (d, 2 H), 4.18 (q, 2 H), 3.63 (s, 2 H), 3.46 (s, 2 H), 1.26 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 198.0, 171.2, 166.4, 134.4, 129.5, 129.1, 127.5, 61.8, 49.6, 46.8, 43.5. Anal. Calcd for $C_{14}H_{17}NO_4$: C, 63.86; H, 6.51; N, 5.32. Found: C, 63.84; H, 6.46; N, 5.35.

General Procedure. Preparation of Oxazoles 3a–e. To a stirred solution of keto ester **2** (6.00 mmol) in anhydrous dimethylformamide (10 mL) was added phosphorus oxychloride (2.76 g, 1.68 mL, 18.0 mmol). The solution was heated at 90 °C for 20 min, allowed to cool, and poured onto ice (100 g), and the resulting slurry was stirred for 30 min. This mixture was added to saturated sodium bicarbonate (50 mL) and extracted with ethyl acetate (200 mL). The organic layer was washed with water (2 × 80 mL) and saturated brine (80 mL) and dried over sodium sulfate. Solvent was removed in vacuo, and the product was purified by flash chromatography over silica gel (30% ethyl acetate–hexanes (**3a** and **3f**), 15% ethyl acetate–hexanes (**3b–e**)). Analytical samples were obtained by recrystallization from the solvents given in Table II.

Ethyl 2-phenyl-5-oxazoleacetate (3a): IR (KBr) 1740, 1550 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.02 (d, 2 H), 7.48–7.42 (m, 3 H), 7.10 (s, 1 H), 4.23 (q, 2 H), 3.79 (s, 2 H), 1.30 (t, 3 H); ^{13}C NMR δ 168.3, 161.5, 144.9, 130.2, 128.7, 127.4, 126.4, 126.1, 61.5, 31.9, 14.1. Anal. Calcd for $C_{13}H_{13}NO_3$: C, 67.52; H, 5.66; N, 6.06. Found: C, 67.52; H, 5.62; N, 6.01.

Ethyl 4-methyl-2-(2-naphthyl)-5-oxazoleacetate (3b): IR (KBr) 1745 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.51 (d, 1 H), 8.10 (dd, 1 H), 7.97–7.80 (m, 3 H), 7.58–7.48 (m, 2 H), 4.23 (q, 2 H), 3.75 (s, 2 H), 2.24 (s, 3 H), 1.32 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 168.9, 160.4, 140.0, 135.0, 134.1, 133.1, 128.7, 128.6, 127.9, 127.1, 126.7, 126.0, 124.9, 123.3, 61.5, 31.3, 14.2, 11.5. Anal. Calcd for $C_{18}H_{17}NO_3$: C, 73.20; H, 5.80; N, 4.74. Found: C, 73.14; H, 5.71; N, 4.71.

Ethyl 4-(1-methylethyl)-2-phenyl-5-oxazoleacetate (3c): IR (KBr) 1730 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.02 (d, 2 H), 7.47–7.40 (m, 3 H), 4.20 (q, 2 H), 3.24 (s, 2 H), 2.93 (m, 1 H), 1.31–1.27 (m, 9 H); ^{13}C NMR ($CDCl_3$) δ 168.9, 160.1, 144.1, 138.0, 129.8, 128.5, 127.8, 126.2, 61.3, 31.3, 25.7, 21.9, 14.1. Anal. Calcd for $C_{16}H_{19}NO_3$: C, 70.30; H, 7.01; N, 5.12. Found: C, 70.42; H, 7.04; N, 5.16.

Ethyl 2-phenyl-4-(phenylmethyl)-5-oxazoleacetate (3d): IR (KBr) 1745 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.02 (dd, 2 H), 7.48–7.18 (m, 8 H), 4.14 (q, 2 H), 3.95 (s, 2 H), 3.61 (s, 2 H), 1.23 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 168.7, 160.5, 140.7, 138.5, 137.7, 130.2, 128.8, 128.7, 128.6, 127.6, 126.5, 126.3, 61.5, 32.5, 31.3, 14.2. Anal. Calcd for $C_{20}H_{19}NO_3$: C, 74.74; H, 5.96; N, 4.36. Found: C, 74.67; H, 5.93; N, 4.31.

Ethyl 2,4-diphenyl-5-oxazoleacetate (3e): IR (KBr) 1750 cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.12 (dd, 2 H), 7.75 (dd, 2 H), 7.53–7.32 (m, 6 H), 4.26 (q, 2 H), 3.95 (s, 2 H), 1.31 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 168.8, 160.8, 140.1, 139.0, 131.7, 130.4, 128.8, 128.1, 127.5, 127.2, 126.5, 126.4, 61.7, 32.6, 14.2. Anal. Calcd for $C_{19}H_{17}NO_3$: C, 74.26; H, 5.58; N, 4.56. Found: C, 74.31; H, 5.54; N, 4.50.

Ethyl 2-(Phenylmethyl)-5-oxazoleacetate (3f). A solution of **2f** (2.78 g, 10.6 mmol) in phosphorus oxychloride (20 mL) was heated at 70 °C for 1.5 h. The reaction solution was cooled, poured over ice (200 g), and neutralized with solid sodium bicarbonate. The resulting mixture was extracted with ethyl acetate (2 × 200 mL). The combined organic layers were washed with water (100 mL) and brine (100 mL), dried over sodium sulfate, and concentrated in vacuo. Flash chromatography afforded **3f** (2.29 g, 88%) as a colorless oil: IR (CCl_4) 1750, 1560 cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.38–7.20 (m, 5 H), 6.89 (s, 1 H), 4.18 (q, 2 H), 4.10 (s, 2 H), 3.68 (s, 2 H), 1.26 (t, 3 H); ^{13}C NMR ($CDCl_3$) δ 168.5, 162.9, 145.1, 135.6, 128.8, 128.7, 127.1, 125.2, 61.5, 34.7, 31.8, 14.1. The purity of **3f** was estimated to be >95% by TLC, ^1H NMR, and ^{13}C NMR analyses. High-resolution mass spectrum: calcd for $C_{14}H_{15}NO_3$ *m/e* 245.1051, found *m/e* 245.1020.

Registry No. **1a**, 5813-81-0; **1b**, 94885-05-9; **1c**, 2901-80-6; **1d**, 2901-76-0; **1e**, 29670-63-1; **1f**, 500-98-1; **2a**, 83544-54-1; **2b**, 124022-44-2; **2c**, 124022-45-3; **2d**, 124022-46-4; **2e**, 124022-47-5; **2f**, 124022-48-6; **3a**, 114564-83-9; **3b**, 124022-49-7; **3c**, 114564-77-1; **3d**, 124022-50-0; **3e**, 24247-81-2; **3f**, 124022-51-1.

(10) Preliminary results obtained in this laboratory show that ester hydrolysis and reduction can be effected in near quantitative yields employing 2 N NaOH/EtOH and $LiAlH_4$, respectively.

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