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ORCID® iDs	Jiaxi Xu - https://orcid.org/0000-0002-9039-4933

Efficient synthesis of ethyl 2-(oxazolin-2-yl)alkanoates via ethoxycarbonylketene-induced electrophilic ring expansion of aziridines

Yelong Lei and Jiaxi Xu*

Address: State Key Laboratory of Chemical Resource Engineering, Department of Organic Chemistry, College of Chemistry, Beijing University of Chemical Technology, Beijing 100029, People's Republic of China

Email: Jiaxi Xu – jxxu@mail.buct.edu.cn

* Corresponding author

Abstract

Alkyl 2-diazo-3-oxoalkanoates generate alkoxycarbonylketenes, which undergo an electrophilic ring expansion with aziridines to afford alkyl 2-(oxazolin-2-yl)alkanoates in good to excellent yields under microwave irradiation. The method can be also applied in the synthesis of 2-(oxazolin-2-yl)alkanamides and 1-(oxazolin-2-yl)alkylphosphonates.

Keywords

aziridine; diazooxoester; diazo compound; ketene; oxazoline; ring expansion

Introduction

Oxazoline derivatives are an important class of nitrogen and oxygen-containing five-membered unsaturated heterocycles [1] and widely exist in some natural products [2] and pharmaceuticals [3], such as antitumor Epi-oxazoline halipeptin D isolated from marine organisms [4], cytotoxic natural depsipeptide Brasilibactin A [5] and cyclohexapeptide Bistratamide A [6]. Oxazoline is also a crucial coordinating group in symmetric and asymmetric ligands widely applied in various organic transformations [7]. Especially, bisoxazolines are a kind of widely applied chiral ligands in diverse transition metal-participating asymmetric catalysis [8-10].

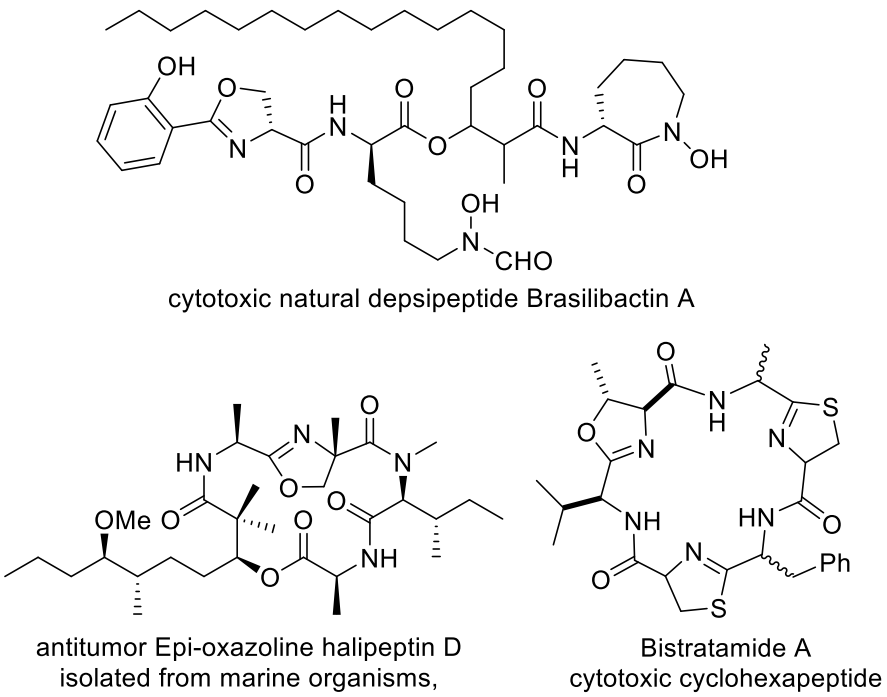
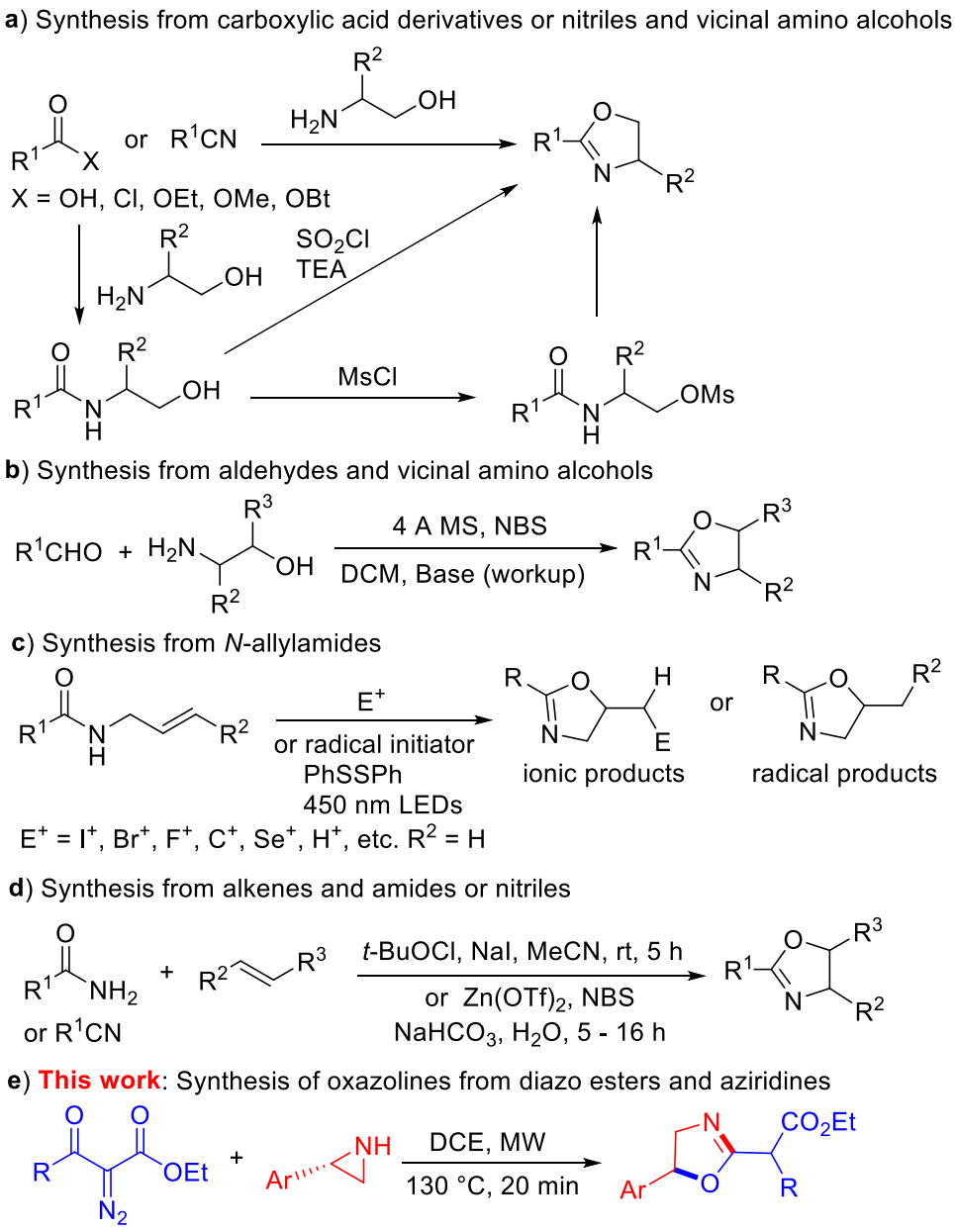


Figure 1: Oxazoline-containing bioactive natural products.

Several methods have been developed for the efficient synthesis of oxazoline derivatives [11,12]. They mainly include (1) cyclization of 2-amidoethyl halides or sulfonates, which are prepared from carboxylic acid derivatives and vicinal amino alcohols [8-10] (Scheme 1, a); (2) direct condensation of carboxylic acid derivatives or nitriles with vicinal amino alcohols [13-15] (Scheme 1, a); (3) oxidative condensation

of aldehydes with vicinal amino alcohols [16] (Scheme 1, b); (4) cyclization of *N*-allylamides in the presence of electrophilic reagents or radical initiators or catalysts [17] (Scheme 1, c). (5) direct synthesis from alkenes and amides or nitriles in the presence of electrophilic reagents [18,19]. Aziridines can be considered as the NCC structural fragment after ring-opening and have been applied in the synthesis of aziridine-imine-containing chiral tridentate ligands [20], 2-alkylideneoxazolidines [21] and *N*-vinylamides [22]. We envisioned that the reaction of ethoxycarbonylketenes and aziridines can be applied for the synthesis of ethyl 2-(oxazolin-2-yl)alkanoates. Herein, we present our synthesis of ethyl 2-(oxazolin-2-yl)alkanoates from 2-diazo-3-oxoalkanoates and 2-arylaziridines.



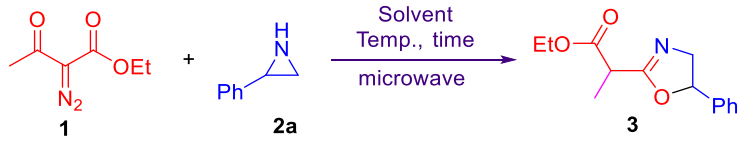
Scheme 1: Synthetic methods of oxazoline derivatives.

Results and Discussion

The reaction of ethyl 2-diazo-3-oxobutanoate (**1a**) and 2-phenylaziridine (**2a**) was first selected as a model reaction to optimize the reaction conditions (Table 1). Diazo ester **1a** (0.36 mmol) and aziridines **2a** (0.3 mmol) in 1,2-dichloroethane (DCE) (1 mL) were irradiated at 110 °C for 30 min. with microwave, affording the desired product **3aa** in 41% yield with remaining starting materials **1a** and **2a** (entry 1). The reaction was

further conducted at elevated temperatures 120 °C, 130 °C, and 140 °C, giving the product **3aa** in 64%, 68%, and 70%, respectively (entries 2–4). Similar yields were obtained at 130 °C and 140 °C. The yield increased to 71% when the reaction time was shortened to 20 min. (entry 5). Further shortening the reaction time to 10 min. resulted in the yield to drop to 66% (entry 6). Changing the ratio of diazo ester **1a** and aziridines **2a** did not improve the yield (entries 7–9). Solvent screening indicating that the same yield of 71% was obtained in toluene (entry 13). However, low yields were obtained in MeCN, THF, and 1,4-dioxane (entries 10 to 12). Further optimizations in toluene were carried out. However, the yield was not further improved, even the reaction was conducted at 140 °C and 150 °C (entries 15–18). Finally, the optimal reaction conditions were selected as: diazo ester **1a** (0.36 mmol) and **2a** (0.3 mmol) in DCE (1 mL) were irradiated at 130 °C for 20 min with microwave.

Table 1: Optimization of reaction conditions[a].

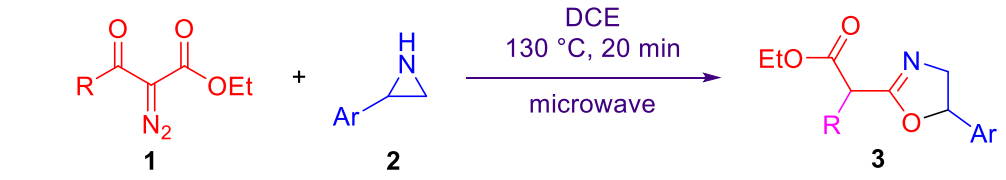


Entry	Diazo ester **1** (mmol)	Solvent	Temp. (°C)	Time (Min.)	Yield (%)[b]
1	0.36	DCE	110	30	41
2	0.36	DCE	120	30	64
3	0.36	DCE	130	30	68
4	0.36	DCE	140	30	70
5	0.36	DCE	130	20	71
6	0.36	DCE	130	10	66
7	0.30	DCE	130	20	63
8	0.45	DCE	130	20	53
9	0.60	DCE	130	20	62
10	0.36	MeCN	130	20	48
11	0.36	THF	120	20	10
12	0.36	1,4-dioxane	130	20	50
13	0.36	Toluene	130	20	71
15	0.36	Toluene	130	30	67
16	0.45	Toluene	130	30	56
17	0.45	Toluene	140	30	62
18	0.45	Toluene	150	30	55

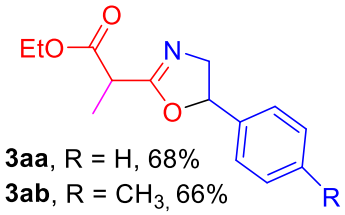
[a]All reactions were conducted with **1** and **2** (0.3 mmol) in solvent (1.0 mL) in a sealed 10 mL microwave tube and were stirred under microwave irradiation. [b] The yield was determined by ^{1}H-NMR with 1,3,5-trimethoxybenzene as an internal standard.

With the optimal reaction conditions in hand, we evaluated the scopes of both diazo esters **1** and aziridines **2** (Table 2). Different 2-arylaziridines **2** were reacted with diazo ester **1a**, affording oxazolines **3aa**–**3ai** in 66%–91% yields. No obvious electronic effect was observed. Steric bulky 2-(2-chlorophenyl)aziridines (**2f**) gave the desired product **3af** in the highest yield of (91%). 2-(Naphth-1-yl)aziridine (**2i**) also exhibited a higher yield than 2-(naphth-2-yl)aziridine (**2h**). The reactions of different diazo esters **1** and aziridine **2i** were performed, generating the corresponding oxazolines **3bi**–**3gi** in 73–94% yields. Ethyl 2-diazo-3-oxohept-6-enoate showed the highest activity, affording the desired product **3gi** in 94% yield. One diazo amide, 2-diazo-*N,N*-dimethyl-3-oxobutanamide (**1h**), was tested with aziridine **2i** as well, giving the desired product 2-(oxazolin-2-yl)propanamide **3hi** in 70% yield. Similarly, the reaction of diethyl 1-diazo-2-oxopropylphosphonate (**1i**) and aziridine **2i** gave rise to the corresponding product 1-(oxazolin-2-yl)alkylphosphonate **3ii** in 92% yield. However, aliphatic aziridine 2-benzylaziridine (**2j**) did not give the corresponding product **3aj** when it reacted with diazo ester **1a** although **1a** decomposed under the reaction conditions. The current synthetic strategy showed widely application in the preparation of 1-(oxazolin-2-yl)alkanoic acid derivatives and dialkyl 1-(oxazolin-2-yl)alkylphosphonates.

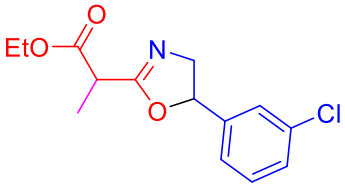
Table 2: Scopes of aziridines and diazo esters.



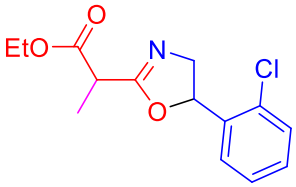
1 2 3



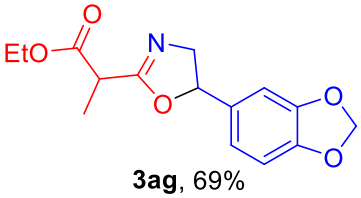
3aa, R = H, 68%
3ab, R = CH_3, 66%
3ac, R = Cl, 88%
3ad, R = Br, 67%



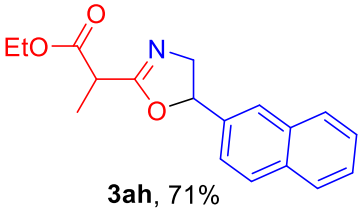
3ae, 60%



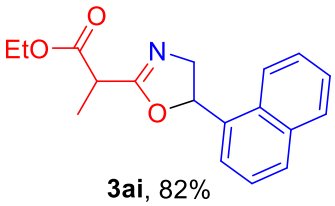
3af, 91%



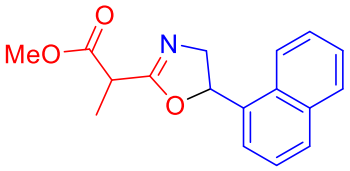
3ag, 69%



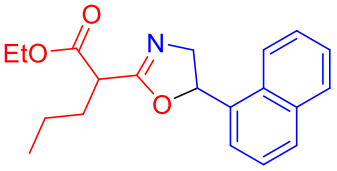
3ah, 71%



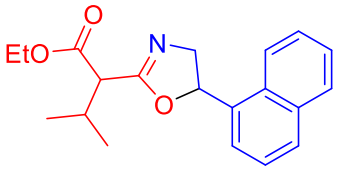
3ai, 82%



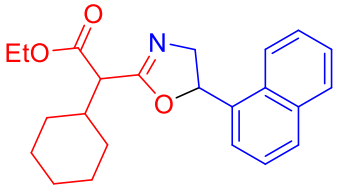
3bi, 88%



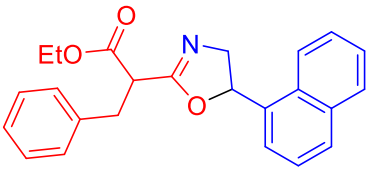
3ci, 86%



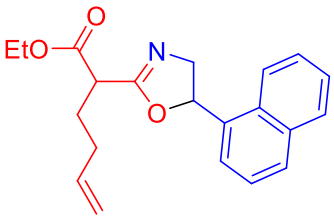
3di, 73%



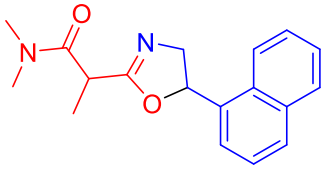
3ei, 90%



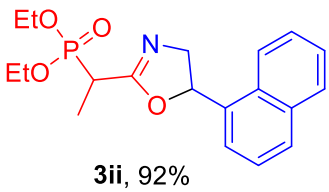
3fi, 85%



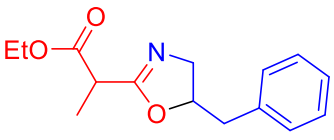
3gi, 94%



3hi, 70%



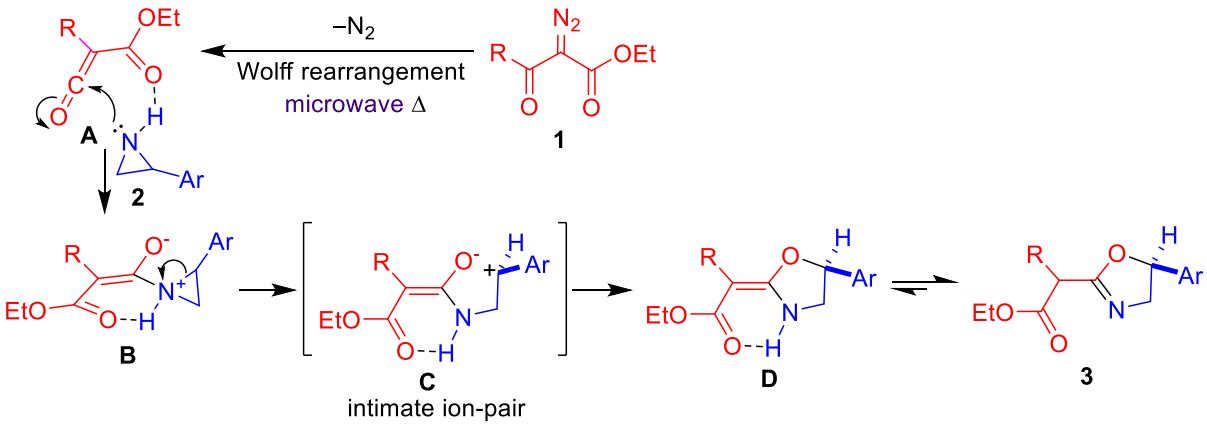
3ii, 92%



3aj, 0%

On the basis of the experimental results and previous reports [21,22], the reaction mechanism is rationalized as following (Scheme 2). Under microwave irradiation, diazo esters **1** undergo the Wolff rearrangement to generate ethoxycarbonylketenes **A** by loss of nitrogen. 2-Arylaziridines **2** approach the ketenes **A** to form an intermolecular

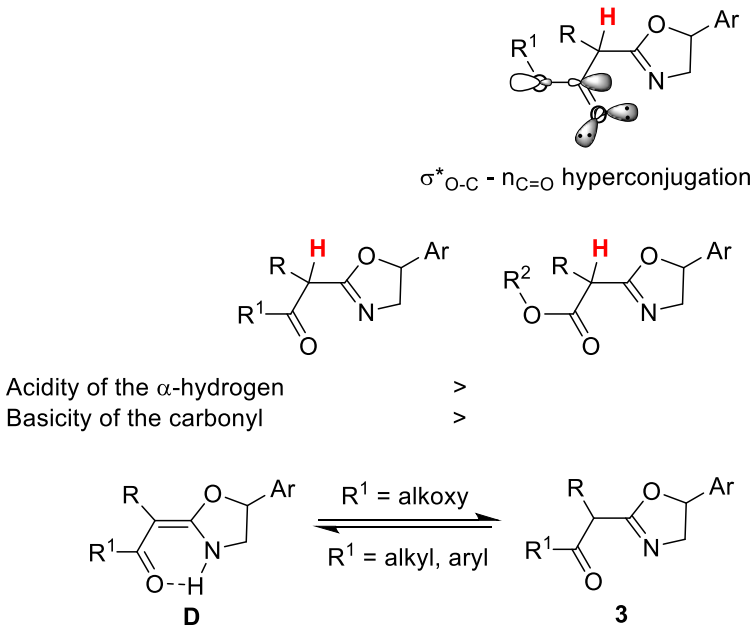
hydrogen bond and aziridines **2** further nucleophilcally attack the middle carbon atom of the ketene moiety to produce zwitterionic intermediates **B**, in which the N–C bond (the benzylic carbon) cleavages to form intimate ion-pairs **C** because the aryl group can stabilize the generated carbocation through the p–π conjugation. The alkyl group cannot provide enough stabilization to the carbocation due to only existence of the σ–p hyperconjugation. This is the reason why 2-alkylaziridines did not generate the corresponding products. Intermediates **C** take place an intramolecular nucleophilic attack to yield ethyl (oxazolidin-2-ylidene)alkanoates **D**, which further isomerize to more stable products, ethyl (oxazolin-2-yl)alkanoates **3**.



Scheme 2: Proposed reaction mechanism.

Interestingly, the reaction of α-diazo-β-diketones and 2-arylaziridines generated 2-(2-oxoalkylidene)oxazolidines [21], while the reaction of alkyl α-diazo-β-oxoalkanoates and 2-arylaziridines gave alkyl (oxazolin-2-yl)alkanoates as products, showing different results. 2-Alkylideneoxazolidines and 2-alkyloxazolines are structural isomers and can possibly tautomerize each other. For 2-(2-oxoalkyl)oxazolines, their α-hydrogen should be more acidic than that of 2-(alkoxycarbonyl)methyloxazolines because acyl group is more electron-withdrawing than alkoxycarbonyl group. The carbonyl group of 2-(2-oxoalkyl)oxazolines should be more basic than that of 2-(alkoxycarbonyl)methyloxazolines as the $\sigma^*_{O\text{-}C}$–$n_{C=O}$ hyperconjugation in 2-

(alkoxycarbonyl)methyloxazolines is stronger than the $\sigma^*_{C\text{-}C}$–$n_{C=O}$ hyperconjugation in 2-(2-oxoalkyl)oxazolines, decreasing the electron density of the oxygen atom in the carbonyl group of 2-(alkoxycarbonyl)methyloxazolines. Thus, the tautomerization favors to the left direction, forming D-form products, when α-diazo-β-diketones are as starting materials (R^1 = alkyl and aryl), while it predominates to the right direction, generating 2-(alkoxycarbonyl)methyloxazolines as products, when alkyl α-diazo-β-oxoalkanoates are used in the reaction (Scheme 3).



Scheme 3: Direction of tautomerization.

Conclusion

A new and efficient synthetic method for the synthesis of oxazolines has been developed with alkyl 2-diazo-3-oxoalkanoates and 2-arylaziridines as starting materials. Alkyl 2-diazo-3-oxoalkanoates first generate alkoxycarbonylketenes, which undergo an electrophilic ring expansion with aziridines to afford alkyl 2-(oxazolin-2-yl)alkanoates in good to excellent yields under microwave irradiation. The method can

be applied in the synthesis of 2-(oxazolin-2-yl)alkanamides and 1-(oxazolin-2-yl)alkylphosphonates as well, showing versatile application.

Experimental

Unless otherwise noted, all materials were purchased from commercial suppliers without further purification. THF was refluxed over $LiAlH_4$; DCE, MeCN, and 1,4-dioxane were refluxed over CaH_2; toluene was refluxed over Na with benzophenone as an indicator, and all solvents were freshly distilled prior to use. Flash column chromatography was performed using silica gel (normal phase, 200-300 mesh) from Branch of Qingdao Haiyang Chemical. Petroleum ether (PE) used for column chromatography was the 60–90 ˚C fraction, and the removal of residue solvent was accomplished under rotovap. Reactions were monitored by thin-layer chromatography on silica gel GF254 coated 0.2 mm plates from Institute of Yantai Chemical Industry. Microwave-assisted reactions were conducted on a CEM discovery SP microwave reactor. The plates were visualized under UV light, as well as other TLC stains. ^{1}H, ^{13}C, and ^{31}P NMR spectra were recorded on a Bruker 400 MHz spectrometer in $CDCl_3$ with solvent peaks as internal standards, for ^{31}P NMR, 85% H_3PO_4 as an external standard, and the chemical shifts (δ) are reported in parts per million (ppm). All coupling constants (J) in ^{1}H NMR are absolute values given in hertz (Hz) with peaks labeled as single (s), broad singlet (brs), doublet (d), triplet (t), quartet (q), and multiplet (m). HRMS measurements were carried out on a Waters Acquilty UPLC/Quattro Premier mass spectrometer.

Alkyl 2-diazo-3-oxoalkanoates **1** were synthesized by referring our previous procedure [22,23]. Their analytic data are identical to previously reported ones **1a**,**b** [23], **1c**,**d** [24], **1e**,**g** [25], **1f** [26], **1h** [27] and **1i** [28]. Aziridines **2** were prepared according to our

previous method [21] and their analytic data are identical to previously reported ones **2a-f** [21] and **2g** [29].

General procedure for the synthesis of ethyl 2-(oxazol-2-yl)alkanoates 3

Diazo compound **1** (0.36 mmol) and aziridine **2** (0.30 mmol) were added in DCE (1.0 mL) in a sealed 10 mL microwave tube. The resulting solution was stirred at 130 ˚C for 20 min under microwave irradiation. After the reaction was completed, resulting mixture was evaporated in *vacuo*. The crude residue was purified by silica gel column chromatography (PE/EA 2:1, *v*/*v*) to give product **3**.

Ethyl 2-(5-phenyl-4,5-dihydrooxazol-2-yl)propanoate (3aa)

Colorless oil (68 mg, 68%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.28 (m, 10H), 5.52 (d, *J* = 8.0 Hz, 1H), 5.49 (d, *J* = 7.6 Hz, 1H), 4.31 (ddd, *J* = 10.4, 3.2, 1.2 Hz, 1H), 4.28 (ddd, *J* = 10.0, 3.2, 0.8 Hz, 1H), 4.21 (q, *J* = 7.1 Hz, 4H), 3.83 (ddd, *J* = 7.9, 4.1, 0.7 Hz, 1H), 3.79 (ddd, *J* = 7.8, 4.1, 0.7 Hz, 1H), 3.59 (q, *J* = 7.2 Hz, 1H), 3.54 (q, *J* = 7.2 Hz, 1H), 1.54 (d, *J* = 7.6 Hz, 3H), 1.52 (d, *J* = 7.6 Hz, 3H), 1.28 (t, *J* = 7.2 Hz, 3H), 1.27 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.8 (170.7), 165.43 (165.42), 141.0 (140.9), 128.8, 128.34 (128.30), 125.8 (125.7), 81.4 (81.3), 62.8 (62.7), 61.5, 40.13 (40.10), 14.5 (14.4), 14.1. IR (KBr) *v* 3349, 3032, 2984, 2942, 2878, 1739, 1672, 1591, 1454, 1312, 1238, 1202, 1089, 987, 955, 761, 700 cm^{-1}. HRMS-ESI (*m/z*): calcd for $C_{14}H_{18}NO_3^+$ $[M+H]^+$: 248.1281, found 248.1285.

Ethyl 2-(5-(4-methylphenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ab)

Yellow oil (52 mg, 66%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1).^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.13 (m, 8H), 5.48 (d, *J* = 8.0 Hz, 1H), 5.45 (d, *J* = 8.0 Hz, 1H), 4.32 – 4.24 (m, 2H), 4.20 (q, *J* = 7.2 Hz, 4H), 3.81 (ddd, *J* = 8.0, 1.2 Hz,, 1H), 3.78 (ddd, *J* = 8.4, 4.0, 0.8 Hz, 1H), 3.56 (q, *J* = 7.2 Hz, 1H), 3.52 (q, *J* = 7.2 Hz, 1H), 2.34 (s, 6H), 1.51 (t, *J* = 7.5 Hz, 6H), 1.28 (d, *J* = 7.1 Hz, 3H), 1.26 (d, *J* =

6.5 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.8 (170.7), 165.4, 138.2 (138.1), 137.9 (137.8), 129.4, 125.9 (125.8), 81.4 (81.3), 62.7 (62.6), 61.4, 40.1, 21.17, 14.5 (14.3), 14.1. HRMS-ESI (*m/z*): calcd for $C_{15}H_{20}NO_3^+$ $[M+H]^+$: 262.1438, found 262.1448.

Ethyl 2-(5-(4-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ac)

Colorless oil (72 mg, 85%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J = 8.4 Hz, 4H), 7.24 (d, J = 8.4 Hz, 4H), 5.49 (d, J = 7.6 Hz, 1H), 5.47 (d, J = 7.6 Hz, 1H), 4.34 – 4.31 (m, 1H), 4.30 – 4.26 (m, 1H), 4.22 (q, J = 7.1 Hz, 4H), 3.78 (dd, J = 7.6, 2.0 Hz, 1H), 3.75 (dd, J = 7.6, 2.4 Hz, 1H), 3.59 (q, J = 7.6 Hz, 1H), 3.53 (q, J = 7.2 Hz, 1H), 1.53 (d, J = 7.5 Hz, 3H), 1.51 (d, J = 7.6 Hz, 3H), 1.28 (t, J = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.7 (170.6), 165.3, 139.5, 139.4, 134.2 (134.1), 127.2 (127.1), 80.6 (80.5), 62.8 (62.7), 61.5, 40.1 (40.0), 14.5, 14.3 (14.1). HRMS-ESI (*m/z*): calcd for $C_{14}H_{17}ClNO_3^+$ $[M+H]^+$: 282.0891, found 282.0901.

Ethyl 2-(5-(4-bromophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ad)

Yellow oil (66 mg 67%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1).^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, J = 8.5 Hz, 4H), 7.16 (d, J = 8.4 Hz, 4H), 5.48 – 5.41 (m, 2H), 4.29 (ddd, J = 14.4, 2.8, 1.2 Hz, 1H), 4.26 (ddd, J = 10.0, 2.8, 1.2 Hz, 1H), 4.19 (q, J = 7.1 Hz, 4H), 3.76 (ddd, J = 7.6, 2.3, 0.8 Hz, 1H), 3.72 (ddd, J = 7.6, 2.4, 0.8 Hz, 1H), 3.56 (q, J = 7.4 Hz, 1H), 3.51 (q, J = 7.4 Hz, 1H), 1.51 (d, J = 7.3 Hz, 3H), 1.49 (d, J = 7.3 Hz, 3H), 1.26 (t, J = 7.1 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.7 (170.6), 165.3, 140.0 (139.9), 131.9, 127.5 (127.4), 122.3 (122.2), 80.6 (80.5), 62.8 (62.6), 61.5, 40.1 (40.0), 14.5 (14.3), 14.1. HRMS-ESI (*m/z*): calcd for $C_{14}H_{17}BrNO_3^+$ $[M+H]^+$: 326.0386, found 326.0395.

Ethyl 2-(5-(3-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ae)

Yellow oil (50 mg 60%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.27 (m, 6H), 7.19 – 7.14 (m, 2H), 5.48 (d, J = 7.6

Hz, 1H), 5.48 (d, *J* = 8.0 Hz, 1H), 4.34 – 4.30 (m, 1H), 4.30 – 4.26 (m, 1H), 4.23 (q, *J* = 7.1 Hz, 4H), 3.79 (dd, *J* = 7.7, 2.3 Hz, 1H), 3.75 (dd, *J* = 7.5, 2.1 Hz, 1H), 3.59 (q, *J* = 7.3 Hz, 1H), 3.53 (q, *J* = 7.2 Hz, 1H), 1.53 (d, *J* = 7.3 Hz, 3H), 1.51 (d, *J* = 7.3 Hz, 3H), 1.28 (t, *J* =7.1 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 170.7 (170.6), 165.34, 143.1 (143.0), 134.7, 130.1, 128.44 (128.40), 125.79 (125.76), 123.8 (123.7), 80.4 (80.3), 62.8 (62.7), 61.6, 40.10 (40.06), 14.5 (14.3), 14.1. HRMS-ESI (*m/z*): calcd for $C_{14}H_{17}ClNO_3^+$ $[M+H]^+$: 282.0819, found 282.0895.

Ethyl 2-(5-(2-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3af)

Yellow oil (77 mg 91%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1) . ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.41 (m, 2H), 7.40 – 7.33 (m, 2H), 7.34 – 7.19 (m, 4H), 5.87 – 5.81 (m, 2H), 4.48 – 4.44 (m, 1H), 4.44 – 4.40 (m, 1H), 4.25 (q, *J* = 7.1 Hz, 4H), 3.70 (dd, *J* = 7.9, 1.0 Hz, 1H), 3.67 (dd, *J* = 7.9, 1.0 Hz, 1H), 3.64 (q, *J* = 7.6 Hz, 1H), 3.58 (q, *J* = 7.6 Hz, 1H), 1.57 (d, *J* = 7.6 Hz, 3H), 1.54 (d, *J* = 7.6 Hz, 3H), 1. 31 (t, *J* = 7.1 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 170.8 (170.7), 165.1, 139.0 (138. 9), 131.1 (131.0), 129.5 (129.4), 129.01 (128.98), 127.14 (127.09), 125.9, 78.2 (78.1), 62.2 (62.1), 61.5, 40.2 (40.1), 14.5 (14.4), 14.1. HRMS-ESI (*m/z*): calcd for $C_{14}H_{17}Cl$ NO_3^+ $[M+H]^+$: 282.0819, found 282.0898.

Ethyl 2-(5-(benzo[*d*][1,3]dioxol-5-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ag)

Yellow oil (63 mg, 71%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 6.86 – 6.72 (m, 6H), 5.96 (s, 4H), 5.44 (d, *J* = 8.0 Hz, 1H), 5.41 (d, *J* = 8.0 Hz, 1H), 4.29 – 4.22 (m, 2H), 4.21 (q, *J* = 7.1 Hz, 4H), 3.79 (ddd, *J* = 7.7, 3.9, 0.9 Hz, 1H), 3.76 (ddd, *J* = 7.7, 4.0, 0.9 Hz, 1H), 3.57 (q, *J* = 7.3 Hz, 1H), 3.51 (q, *J* = 7.3 Hz, 1H), 1.52 (d, *J* = 7.3 Hz, 3H), 1.50 (d, *J* = 7.3 Hz, 3H), 1.28 (t, *J* = 7.1 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) *δ* 170.8 (170.7), 165.4, 148.2, 147.70 (147.66), 134.8 (134.7), 119.8 (119.6), 108.2, 106.2, 101.2, 81.4 (81.3), 62.7 (62.5),

61.5, 40.11 (40.08), 14.5 (14.3), 14.1. HRMS-ESI (*m/z*): calcd for $C_{15}H_{18}NO_5^+$ $[M+H]^+$: 292.1179, found 292.1187.

Ethyl 2-(5-(naphthalen-2-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ah)
Yellow oil (63 mg, 71%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.83 (m, 6H), 7.77 (s, 2H), 7.55 – 7.50 (m, 4H), 7.44 (d, *J* = 8.4 Hz, 2H), 5.71 (d, *J* = 7.6 Hz, 1H), 5.69 (d, *J* = 7.6 Hz, 1H), 4.43 – 4.39 (m, 1H), 4.39 – 4.35 (m, 1H), 4.26 (q, *J* = 7.2 Hz, 4H), 3.95 (dd, *J* = 8.0, 4.0 Hz, 1H), 3.91 (dd, *J* = 8.0, 4.0 Hz, 1H), 3.66 (q, *J* = 7.2 Hz, 1H), 3.61 (q, *J* = 7.2 Hz, 1H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.58 (d, *J* = 8.0 Hz, 3H), 1.31 (t, *J* = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.8 (170.7), 165.6 (165.5), 138.1 (138.0), 133.21 (133.20), 133.1, 128.97 (128.95), 127.97 (127.96), 127.8, 126.49 (126.47), 126.33 (126.31), 125.1 (125.0), 123.30 (123.25), 81.6 (81.5), 62.7 (62.6), 61.5, 40.19 (40.17), 14.5 (14.4), 14.2. HRMS-ESI (*m/z*): calcd for $C_{18}H_{20}NO_3^+$ $[M+H]^+$: 298.1438, found 298.1440.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ai)
Yellow oil (73 mg, 82%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.77 – 7.73 (m, 2H), 7.60 – 7.50 (m, 6H), 7.50 – 7.45 (m, 2H), 6.22 (dd, *J* = 8.0, 2.4 Hz, 1H), 6.20 (dd, *J* = 8.4, 2.4 Hz, 1H), 4.58 – 4.54 (m, 1H), 4.54 – 4.50 (m, 1H), 4.27 (q, *J* = 7.1 Hz, 4H), 3.84 (ddd, *J* = 8.4, 3.2, 0.8 Hz, 1H), 3.81 (ddd, *J* = 8.0, 3.2, 0.8 Hz, 1H), 3.69 (q, *J* = 7.2 Hz, 1H), 3.63 (q, *J* = 7.2 Hz, 1H), 1.61 (d, *J* = 7.2 Hz, 3H), 1.60 (d, *J* = 7.2 Hz, 3H), 1.31 (t, *J* = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.83 (170.80), 165.52 (165.50), 136.4 (136.3), 133.85 (133.84), 129.59 (129.54), 129.1, 128.5 (128.4), 126.45 (126.43), 125.86 (125.84), 125.44 (125.39), 122.68 (122.67), 122.0, 79.21 (79.17), 62.4 (62.3), 61.5, 40.29 (40.25), 14.58 (14.55), 14.2. HRMS-ESI (*m/z*): calcd for $C_{18}H_{20}NO_3^+$ $[M+H]^+$: 298.1438, found 298.1441.

Methyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanoate (3bi)
Yellow oil (74 mg, 88%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.89 (m, 2H), 7.82 (d, *J* = 8.2 Hz, 2H), 7.79 – 7.75 (m, 2H), 7.56 – 7.50 (m, 6H), 7.47 (dt, *J* = 2.2, 7.6 Hz, 2H), 6.22 (d, *J* = 8.4 Hz, 1H), 6.19 (d, *J* = 8.4 Hz, 1H), 4.57 – 4.54 (m, 1H), 4.52 (ddd, *J* = 10.5, 2.6, 1.1 Hz, 1H), 3.85 (ddd, *J* = 8.4, 3.2, 1.2 Hz, 1H), 3.83 – 3.81 (m, 1H), 3.81 (s, 6H), 3.73 – 3.70 (m, 1H), 3.70 – 3.65 (m, 1H), 1.62 (d, *J* = 7.4 Hz, 3H), 1.60 (d, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.2, 165.4, 136.3 (136.2), 133.9, 129.59 (129.55), 129.1, 128.6 (128.5), 126.5, 125.9, 125.5 (125.4), 122.7, 122.11 (122.09), 79.4 (79.3), 62.3 (62.2), 52.59 (52.57), 40.1, 14.55 (14.53). HRMS-ESI (*m/z*): calcd for $C_{17}H_{18}NO_3^+$ $[M+H]^+$: 284.1281, found 284.1291.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)pentanoate (3ci)
Yellow oil (84 mg, 86%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, *J* = 8.2 Hz, 2H), 7.77 – 7.72 (m, 2H), 7.59 – 7.55 (m, 2H), 7.55 – 7.50 (m, 4H), 7.47 (t, *J* = 7.2 Hz, 2H), 6.21 (dd, *J* = 8.0, 2.4 Hz, 1H), 6.18 (dd, *J* = 8.0, 2.4 Hz, 1H), 4.56 (ddd, *J* = 10.4, 1.6, 1.0 Hz, 1H), 4.52 (ddd, *J* = 10.5, 1.6, 1.0 Hz, 1H), 4.27 (d, *J* = 7.2 Hz, 4H), 3.84 (d, *J* = 8.4 Hz, 1H), 3.81 (d, *J* = 8.4 Hz, 1H), 3.60 (t, *J* = 7.6 Hz, 1H), 3.55 (t, *J* = 7.6 Hz, 1H), 2.12 – 1.99 (m, 4H), 1.493 (hexet, *J* = 7.6 Hz, 2H), 1.489 (hexet, *J* = 7.6 Hz, 2H), 1.313 (t, *J* = 7.2 Hz, 3H), 1.310 (t, *J* = 7.2 Hz, 3H), 1.01 (d, *J* = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.3, 164.8 (164.7), 136.44 (136.40), 133.9, 129.6, 129.1, 128.5, 126.4, 125.9, 125.4, 122.7, 122.0 (121.9), 79.1 (79.0), 62.34 (62.27), 61.4, 45.84 (45.76), 31.5 (31.4), 20.7, 14.2, 13.8. HRMS-ESI (*m/z*): calcd for $C_{20}H_{24}NO_3^+$ $[M+H]^+$: 326.1751, found 326.1754.

Ethyl 3-methyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)butanoate (3di)

Yellow oil (74 mg, 73%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 – 7.88 (m, 2H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.77 – 7.71 (m, 2H), 7.61 – 7.55 (m, 2H), 7.55 – 7.49 (m, 4H), 7.47 (t, *J* = 8.0 Hz, 2H), 6.21 (dd, *J* = 6.6, 3.6 Hz, 1H), 6.18 (dd, *J* = 6.7, 3.7 Hz, 1H), 4.57 (d, *J* = 10.6 Hz, 1H), 4.54 (d, *J* = 10.6 Hz, 1H), 4.26 (q, *J* = 7.2 Hz, 4H), 3.84 (t, *J* = 9.2 Hz, 1H), 3.81 (t, *J* = 9.2 Hz, 1H), 3.37 (d, *J* = 8.8 Hz, 1H), 3.33 (d, *J* = 8.8 Hz, 1H), 2.63 – 2.49 (m, 2H), 1.32 (t, *J* = 7.1 Hz, 3H), 1.31 (t, *J* = 7.1 Hz, 3H), 1.14 (d, *J* = 6.4 Hz, 3H), 1.13 (d, *J* = 6.8 Hz, 3H), 1.10 (d, *J* = 6.8 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 169.7, 164.2 (164.0), 136.5 (136.4), 133.8, 129.6 (129.5), 129.1, 128.44 (128.38), 126.43 (126.39), 125.85 (125.83), 125.44 (125.43), 122.8 (122.7), 121.9, 78.9 (78.8), 62.25 (62.23), 61.3 (61.2), 53.3, 53.0, 29.13 (29.06), 20.7 (20.6), 14.2. HRMS-ESI (*m/z*): calcd for $C_{20}H_{24}NO_3^+$ $[M+H]^+$: 326.1751, found 326.1756.

Ethyl 2-cyclohexyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)acetate (3ei)

Yellow oil (98 mg, 90%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, *J* = 8.2 Hz, 2H), 7.76 – 7.70 (m, 2H), 7.62 – 7.58 (d, *J* = 7.6 Hz, 2H), 7.56 – 7.50 (m, 4H), 7.46 (t, *J* = 7.6 Hz, 2H), 6.21 (d, *J* = 8.4 Hz, 1H), 6.17 (d, *J* = 8.4 Hz, 1H), 4.56 (d, *J* = 10.4 Hz, 1H), 4.53 (d, *J* = 10.4 Hz, 1H), 4.25 (q, *J* = 6.8 Hz, 4H), 3.83 (dd, *J* = 14.0, 8.4 Hz, 1H), 3.80 (dd, *J* = 14.0, 8.4 Hz, 1H), 3.41 (d, *J* = 9.3 Hz, 1H), 3.36 (d, *J* = 9.2 Hz, 1H), 2.33 – 2.17 (m, 2H), 1.96 – 1.66 (m, 12H), 1.41 – 1.34 (m, 2H), 1.31 (t, *J* = 7.1 Hz, 3H), 1.30 (t, *J* = 7.1 Hz, 3H), 1.25 – 1.12 (m, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 169.69 (169.65), 164.0 (163.8), 136.5 (136.4), 133.8, 129.6 (129.5), 129.1, 128.43 (128.36), 126.41 (126.38), 125.84 (125.82), 125.45 (125.38), 122.8 (122.7), 121.92, 79.0 (78.8), 62.3 (62.2), 61.3 (61.2), 52.5, 52.3, 38.3 (38.1), 31.06 (30.95), 30.9, 26.2 (26.1), 26.0, 14.3. HRMS-ESI (*m/z*): calcd for $C_{23}H_{28}NO_3^+$ $[M+H]^+$: 366.2064, found 366.2077.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)-3-phenylpropanoate (3fi)
Yellow oil (95 mg, 85%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.92 – 7.86 (m, 2H), 7.83 – 7.77 (m, 2H), 7.75 – 7.67 (m, 2H), 7.54 – 7.48 (m, 4H), 7.46 – 7.35 (m, 4H), 7.38 – 7.24 (m, 10H), 6.17 (dd, *J* = 8.0, 1.6 Hz, 1H), 6.14 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.51 (dd, *J* = 13.6, 10.4 Hz, 1H), 4.47 (dd, *J* = 13.6, 10.4 Hz, 1H), 4.29 – 4.21 (m, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 4.19 (q, *J* = 7.2 Hz, 2H), 3.93 – 3.88 (m, 1H), 3.88 – 3.84 (m, 1H), 3.80 (dd, *J* = 14.8, 6.4 Hz, 1H), 3.76 (dd, *J* = 15.6, 7.2 Hz, 1H), 3.42 – 3.31 (m, 3H), 1.23 (t, *J* = 7.2 Hz, 3H), 1.20 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 169.62 (169.58), 164.2 (164.0), 138.06 (138.02), 136.23 (136.16), 133.8, 129.6 (129.5), 129.1 (129.0), 128.9, 128.56 (128.51), 128.4, 127.9, 126.78 (126.74), 126.45 (126.43), 125.8, 125.45 (125.42), 122.68 (122.64), 122.2, 122.0, 79.1, 62.4 (62.3), 61.61 (61.57), 47.8 (47.5), 35.5 (35.4), 14.11 (14.09). HRMS-ESI (*m/z*): calcd for $C_{24}H_{24}NO_3^+$ $[M+H]^+$: 374.1751, found 374.1754.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)hex-5-enoate (3gi)
Yellow oil (95 mg, 94%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 7.93 – 7.88 (m, 2H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.77 – 7.73 (m, 2H), 7.59 – 7.52 (m, 6H), 7.52 – 7.45 (m, 2H), 6.23 – 6.17 (m, 2H), 5.90 – 5.79 (m, 2H), 5.14 – 5.08 (m, 2H), 5.08 – 5.03 (m, 2H), 4.56 (ddd, *J* = 10.4, 3.6, 0.9 Hz, 1H), 4.53 (ddd, *J* = 10.4, 3.6, 0.9 Hz, 1H), 4.27 (q, *J* = 7.1 Hz, 4H), 3.85 (dd, *J* = 8.0, 0.8 Hz, 1H), 3.82 (dd, *J* = 8.0, 0.8 Hz, 1H), 3.61 (t, *J* = 7.2 Hz, 1H), 3.58 (t, *J* = 7.2 Hz, 1H), 2.26 – 2.16 (m, 8H) , 1.316 (t, *J* = 7.2 Hz, 3H), 1.314 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.1, 164.5 (164.4), 137.0, 136.38 (136.34), 133.8, 129.6, 129.1, 128.5, 126.4, 125.9, 125.4, 122.7, 122.0 (121.9), 116.0, 79.1 (79.0), 62.34 (62.27), 61.5, 45.2 (45.1), 31.4, 28.6 (28.5), 14.2. HRMS-ESI (*m/z*): calcd for $C_{21}H_{24}NO_3^+$ $[M+H]^+$: 338.1751, found 338.1755.

***N*,*N*-Dimethyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanamide (3hi)**

Yellow oil (62 mg, 70%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, *J* = 7.6 Hz, 2H), 7.74 – 7.70 (m, 2H), 7.54 – 7.43 (m, 8H), 6.21 (d, *J* = 8.4 Hz, 1H), 6.18 (d, *J* = 8.4 Hz, 1H), 4.57 – 4.52 (m, 1H), 4.52 – 4.47 (m, 1H), 3.90 (q, *J* = 6.9 Hz, 2H), 3.83 (ddd, *J* = 8.0, 2.2, 1.1 Hz, 1H), 3.79 (ddd, *J* = 8.0, 2.2, 1.1 Hz, 1H), 3.16 (s, 3H), 3.14 (s, 3H), 3.05 (s, 3H), 3.04 (s, 3H), 1.59 (d, *J* = 7.2 Hz, 3H), 1.58 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.0 (169.9), 166.2 (166.1), 136.5, 133.9 (133.8), 129.5, 129.1, 128.5 (128.4), 126.44 (126.41), 125.9 (125.8), 125.5 (125.4), 122.7, 121.9 (121.7), 79.0, 62.21 (62.16), 37.62 (37.60), 37.34 (37.28), 36.13 (36.09), 14.9 (14.8). HRMS-ESI (*m/z*): calcd for $C_{18}H_{21}N_2O_2^+$ $[M+H]^+$: 297.1598, found 297.1609.

Diethyl (1-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)ethyl)phosphonate (3ii)
Yellow oil (100 mg, 93%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.85 (m, 2H), 7.80 (d, *J* = 8.2 Hz, 2H), 7.75 – 7.70 (m, 4H), 7.55 – 7.45 (m, 6H), 6.23 (d, *J* = 8.4 Hz, 1H), 6.20 (d, *J* = 8.4 Hz, 1H), 4.59 – 4.53 (m, 1H), 4.53 – 4.46 (m, 1H), 4.25 – 4.15 (m, 8H), 3.81 (dd, *J* = 9.2, 4.4 Hz, 1H), 3.77 (dd, *J* = 8.5, 5.1 Hz, 1H), 3.28 – 3.21 (m, 1H), 3.21 – 3.13 (m, 1H), 1.63 (dd, *J* = 7.3, 6.0 Hz, 3H), 1.59 (dd, *J* = 7.2, 6.2 Hz, 3H), 1.33 (t, *J* = 7.2 Hz, 6H). 1.31 (t, *J* = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.7 (d, *J* = 6.4 Hz) (164.6 (d, *J* = 5.9 Hz)), 136.5 (136.3), 133.8, 129.6 (129.5), 129.1, 128.4 (128.3), 126.4, 125.8, 125.52 (125.47), 122.70 (122.68), 122.1 (122.0), 79.10 (79.07), 62.8 (d, *J* = 6.2 Hz) (62.7 (d, *J* = 3.8 Hz)), 62.4 (62.3), 33.5 (d, *J* = 138.8 Hz) (32.5 (d, *J* = 138.4 Hz)), 16.5 (d, *J* = 5.1 Hz) (16.4 (d, *J* = 4.9 Hz)), 12.7 (d, *J* = 6.0 Hz) (12.6 (d, *J* = 6.3 Hz)). ^{31}P NMR (162 MHz, $CDCl_3$) δ 24.94 (24.87). HRMS-ESI (*m/z*): calcd for $C_{19}H_{25}NO_4P^+$ $[M+H]^+$: 362.1516, found 362.1521.

Supporting Information

Copies of ^{1}H, ^{13}C, and ^{31}P NMR spectra of compounds **3**.

Supporting Information File 1:

File Name: LeiYL-BJOC-SI

File Format: PDF

Title: Efficient synthesis of ethyl 2-(oxazolin-2-yl)alkanoates via ethoxycarbonylketene-induced electrophilic ring expansion of aziridines: Supporting Information.

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