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Synthesis of *N*-aryl-2-oxazolidinones from cyclic carbonates and aromatic amines catalyzed by bio-catalyst

Congmin Mei[1] · Yibo Zhao[1] · Ke Zou[1] · Changsheng Cao[1] · Guangsheng Pang[2] · Yanhui Shi[1]

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Abstract A convenient and effective method of synthesizing 3-aryl-2-oxazolidinones from cyclic carbonates and aryl amines catalyzed by bio-catalyst adenine in the presence of Et_3N under solvent-free conditions is described. The protocol is suitable for the wide scope of substrates, e.g. cyclic carbonates with or without substitutes, and aryl amines with either electron-withdrawing or electron-donating group. The products were obtained in good to excellent yields under the optimal conditions, even in steric hindered cases. The effect of reaction time, temperature, loading of catalyst, and amount of starting materials in the reaction were investigated, and the reaction mechanism is discussed.

Keywords 3-Aryl-2-oxazolidinone · Adenine · Bio-catalyst · Hydrogen bond donor · Solvent-free

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✉ Changsheng Cao
chshcao@jsnu.edn.cn

✉ Yanhui Shi
yhshi@jsnu.edu.cn

[1] School of Chemistry and Material Science and Jiangsu Key Laboratory of Green Synthetic Chemistry for Functional Materials, Jiangsu Normal University, Xuzhou 221116, Jiangsu, People's Republic of China

[2] State Key Laboratory of Inorganic Synthesis and Preparative Chemistry, College of Chemistry, Jilin University, Changchun 130012, Jilin, People's Republic of China

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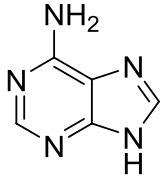
Introduction

As important heterocyclic compounds, 3-aryl-2-oxazolidinones have attracted significant interest over the past few decades. They have exhibited many potential biological activities and are widely applied in the pharmaceutical industry [1]. For example, they exhibit a good inhibitory effect on monoamine oxidase A [2] and potent activities against Gram-positive bacterial pathogens [1, 3], including methcillin-resistant *Staphylococcus aureus* (MRSA) [4, 5], methcillin-resistant *Staphylococcus epidermidis* (MRSE) and vancomycin-resistant *Enterococci* (VRE) [6]. Furthermore, oxazolidinones are important intermediates in preparing small organic molecules and polymers [7–10]. Chiral oxazolidinones are often used as chiral synthons or chiral auxiliaries in organic synthesis [11–15].

Not surprising, much effort has been made to synthesize these useful heterocycles. The classical method is by the reaction of phosgene with 2-alkylamine [16]; however, use of toxic materials is limitation of this method. Alternatively, oxazolidinones can be prepared by the reactions of epoxides with isocyanate [17–19], oxazolidin-2-ones with aryl halides [20–24], amino alcohol carbamates with aryl iodides [25], 2-(arylamino) alcohols with diethyl carbonate [26, 27], or carbamothioates with propargylic carbamates [28]. Recently, more research has focused on using carbon dioxide in the synthesis of oxazolidinones [29–36]. However, these methods encounter many problems, such as unavailable prefunctionalized substrates [17–19, 26, 27, 36] and the complex catalysts, which require tedious steps to obtain [17–19, 24]. Besides these, high reaction temperature [20, 23–25, 36], long reaction time [21, 24, 25, 33], high pressure [29–34] and purity of carbon dioxide [30] are usually required. There is a very convenient way to prepare oxazolidinones, which is from readily available cyclic carbonates and aromatic amines [37–40]. According to the existing literature, there are two types of catalysts used for the synthetic method. The first type is an organic base as catalyst, such as DABCO [37] (1,4-Diazabicyclo[2.2.2]octane; triethylenediamine) or DBU [38] (1,8-Diazabicyclo[5.4.0]undec-7-ene). However, the base has to be used in a large quantity (1–2 equivalent), and the hindrance effect is very significant for anilines bearing ortho-substituents groups, leading very low yield or even no yield of products. Furthermore, the substituted cyclic carbonates have not been tested in these protocols. Another type of catalyst used in this reaction is imidazole-based ionic liquid [39, 40]. However, these catalysts are still not effective for steric hindered anilines. Therefore, it is necessary to develop an environment-friendly and efficient catalyst to catalyze substituted cyclic carbonates with steric hindered aryl amines.

In many catalytic processes, organocatalysts have usually worked as hydrogen bond donors (HBDs) to active the substrates [41]. It is very interesting to use naturally available compounds as HBDs in catalytic processes, as they are readily available, inexpensive and have low toxicity. Unfortunately, this type of application is quite rare [42]. As a former member of vitamin B, adenine is inexpensive and nontoxic (Scheme 1); however, there are very limited reports using adenine as an organocatalyst [43]. We envisage that it might be used as HBD through NH_2

Scheme 1 Structure of adenine



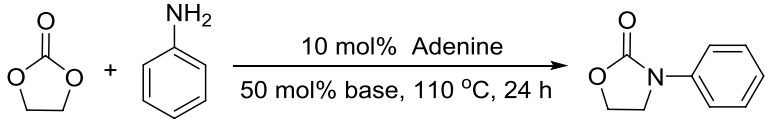
group. Herein, we report that the use of adenine as the efficient hydrogen bond donor to synthesize oxazolidiones from aromatic amines and cyclic carbonates under solvent-free conditions in good yields.

Results and discussion

Effect of different bases

Firstly, different bases were explored in the reaction of aniline with 1,3-dioxolan-2-one catalyzed by adenine, and the results are summarized in Table 1. In all tested inorganic bases, sodium tert-butoxide (*t*-BuONa) gave the highest of yield of 3-phenyl-2-oxazolidinone (79%), which is comparable to $KHCO_3$ (73%) (Table 1, entries

Table 1 Screening of various bases for the synthesis of 3-phenyl-2-oxazolidinone



Entry[a]	Adenine (mol%)	Base	Yield (%)[b]
1	10	KOAc	43
2	10	NH_4OAc	24
3	10	K_3PO_4	52
4	10	$KHCO_3$	73
5	10	$NaHSO_4$	0
6	10	Cs_2CO_3	44
7	10	*t*-BuONa	79
8	10	*t*-BuOK	35
9	10	Et_3N	96
10	10	DBU	56
11	10	–	0
12	–	Et_3N	30

[a]*Reaction conditions* adenine (0–0.1 mmol), base (0–0.5 mmol), aniline (1 mmol, 91 µL), 1,3-dioxolan-2-one (5 mmol, 0.44 g), 110 °C, 24 h

[b]GC yield

4 and 7). The other bases, such as KOAc, K_3PO_4, NH_4OAc, Cs_2CO_3 gave less than or about 50% yield of the product, whereas no product was observed with $NaHSO_4$ (Table 1, entry 5). However, an excellent yield of product (96%) was obtained with the organic base triethylamine (Et_3N) (Table 1, entry 9), whereas another organic base DBU showed moderate catalytic activity (56%). Two control reactions were performed, showing that the reaction would not be catalyzed by adenine alone (Table 1, entry 11). In addition, only 30% of the product was observed with only Et_3N used.

Effect of reaction conditions

The effect of amount of Et_3N, adenine and 1,3-dioxolan-2-one on the reaction was investigated in the same reaction, and the results are provided in Fig. 1. The results (Fig. 1a) show that the yield of product dramatically increased when the amount of Et_3N increased from 20 to 50 mol%, whereas it decreased with the further increase of Et_3N from 50 to 80 mol%. Therefore, the highest yield was achieved when 50 mol% of Et_3N was used. As shown in Fig. 1b, the highest yield of the product was observed with 5 mol% of adenine, whereas more or less of the adenine loading would lead to less formation of 3-phenyl-2-oxazolidinone. In addition, the equivalent of 1,3-dioxolan-2-one used also has a big effect on the reaction (Fig. 1c). The yield of the product increased significantly when the equivalent of 1,3-dioxolan-2-one was increased from 3 to 5 eq., then no obvious change was observed with more than 5 eq. of 1,3-dioxolan-2-one.

Next, the influence of reaction time and temperature was investigated (Fig. 2). As shown in Fig. 2a, the yield increased with extension of the reaction time from 10 to 18 h. No significant increase of yield happened after 18 h. The effect of temperature on the reaction was investigated from 60 to 120 °C. The results showed that the yield of 3-phenyl-2-oxazolidinone initially increased with elevation of the reaction temperature, then no change of the yield was observed when the temperature was higher than 110 °C (Fig. 2b).

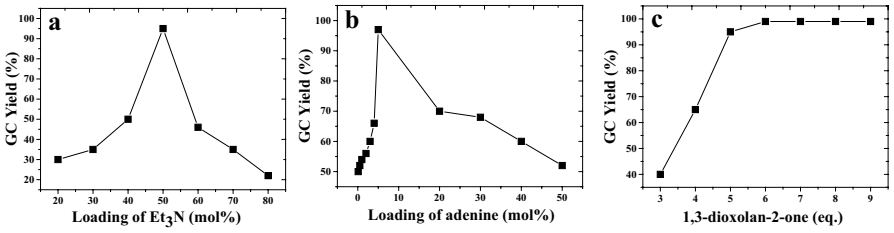


Fig. 1 Effect of loading of Et_3N (mol%) (**a**), adenine (**b**) and 1,3-dioxolan-2-one (**c**) on the yield of 3-phenyl-2-oxazolidinone. Reaction conditions: aniline (1 mmol, 91μL), 110 °C, 24 h. **a** adenine (0.1 mmol, 13.4 mg), Et_3N (0.2–0.8 mmol), 1,3-dioxolan-2-one (6 mmol, 0.528 g), **b** adenine (0.001–0.5 mmol), Et_3N (0.5 mmol, 69 μL), 1,3-dioxolan-2-one (6 mmol, 0.528 g), **c** adenine (0.05 mmol, 6.7 mg), Et_3N (0.5 mmol, 69 μL), 1,3-dioxolan-2-one (3-8 mmol). GC yield. Using dodecane as internal standard and the average of two runs

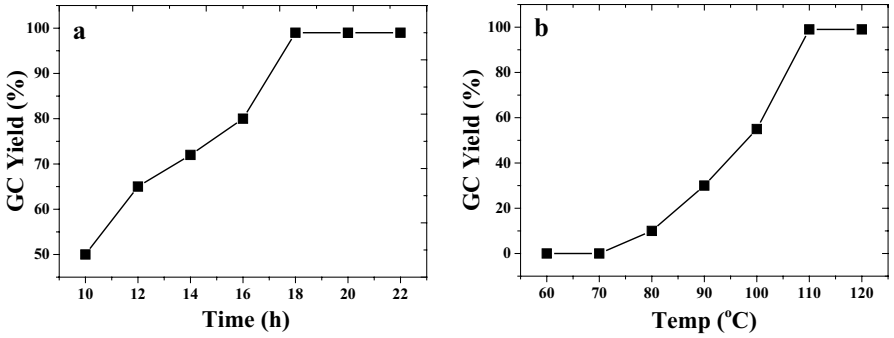
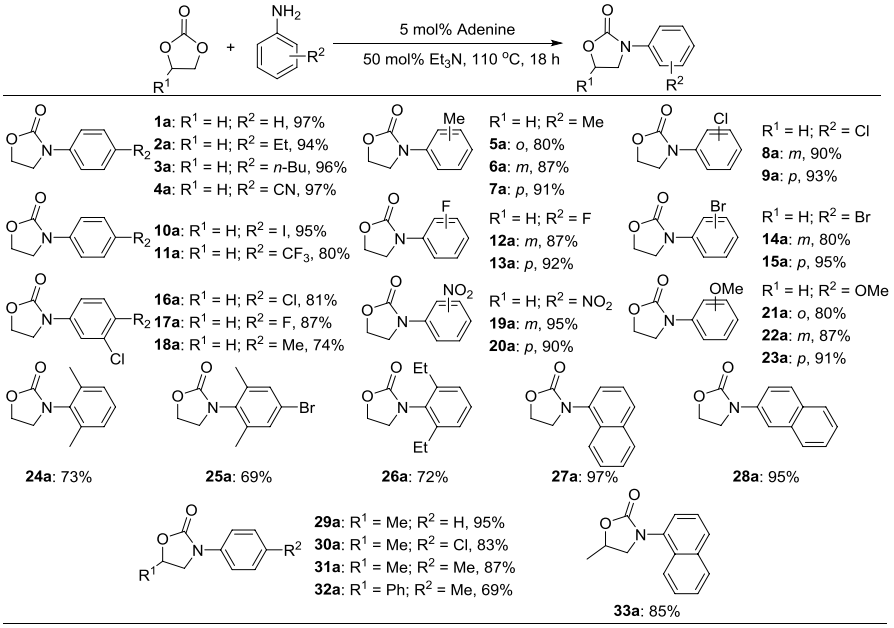


Fig. 2 Effect of reaction time (**a**), temperature (**b**) on the yield of 3-phenyl-2-oxazolidinone. Reaction conditions: adenine (0.05 mmol, 6.7 mg), Et_3N (0.5 mmol, 69 μL), aniline (1 mmol, 91 μL), 1,3-dioxolan-2-one (6 mmol, 0.528 g). **a** 110 °C, 10–22 h, **b** 60–120 °C, 18 h. GC yield. Using dodecane as internal standard and the average of two runs

In order to further test the general applicability of this protocol, a variety of aryl amines were selected and tested in the synthesis of 3-aryl-2-oxazolidinones. All reactions were carried out with 5 mol% of adenine and 50 mol% of Et_3N at 110 °C for 18 h. Initially, various aromatic amines were employed to react with

Table 2 Reaction of cyclic carbonates and aromatic amines catalyzed by adenine



Reaction conditions adenine (0.05 mmol, 6.7 mg), Et_3N (0.5 mmol, 69 μL), aryl amine (1 mmol), cyclic carbonate (6 mmol), 18 h

[a] **29a–33a**, 120 °C

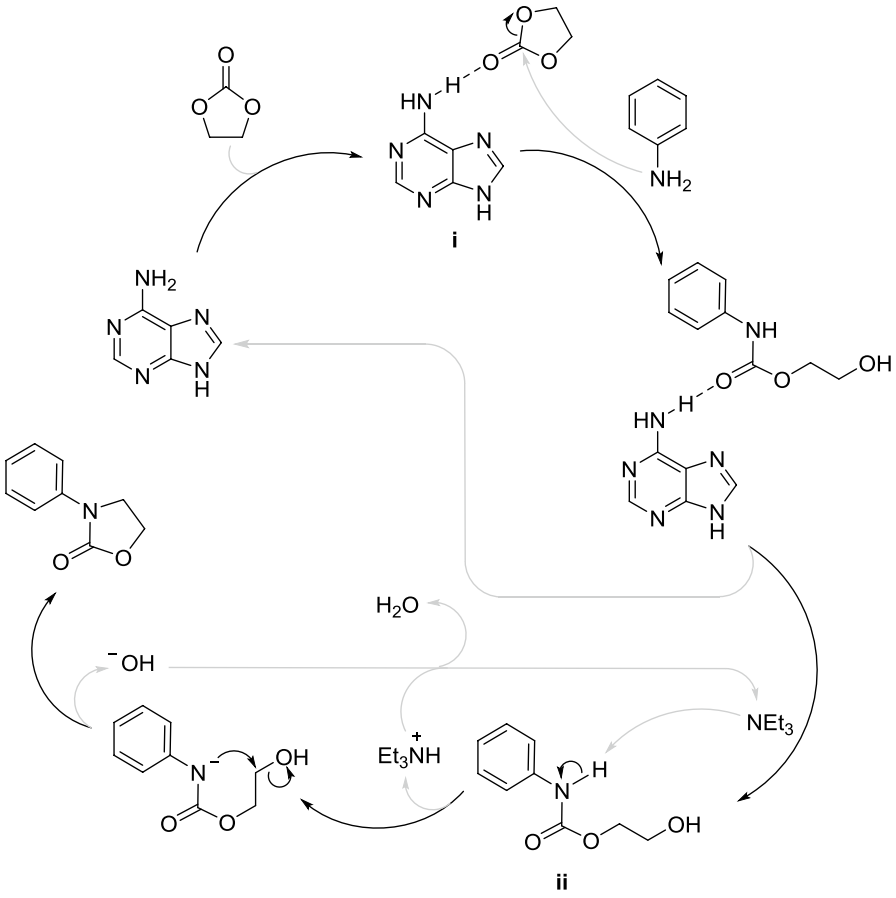
1,3-dioxolan-2-one (Table 2, compounds **1a**–**28a**). As shown in Table 2, anilines with either electron withdrawing groups (such as CN, F, CF_3, Cl, NO_2, etc.) or electron-donating groups (such as Me, Et, *n*-Bu, OMe) were converted smoothly to the corresponding 3-aryl-2-oxazolidinones in good to excellent yields (74–97%) (Table 2, compounds **1a**–**23a**). Notably, the steric effect on reaction is insignificant, for example, all anilines with substituents in ortho, meta or para position could be converted to the product in good to excellent yields (74–97%) (Table 2, **5a**–**23a**). Furthermore, the reaction tolerates rather well the presence of severe steric hindrance near the reaction site (Table 2, **24a**–**26a**). Naphthalenyl amines were converted to the 3-naphthalenyl-2-oxazolidinones in good yields as well, such as **27a** (97%) and **28a** (95%). Then, mono-substituted cyclic carbonates were investigated in the reaction (Table 2, **29a**–**33a**). The reaction of 4-methyl-1,3-dioxolan-2-one and 4-phenyl-1,3-dioxolan-2-one with aniline proceeded very well, which afforded the corresponding products, **29a**–**32a** in yields ranging from 69 to 95% with good regioselectivity. This system is also suitable for the reactions of mono-substituted cyclic carbonates with naphthalenyl amines, affording **33a** in the yield of 85%. It is worthy of note that the yields decreased with increasing the size of substituent R_1 on cyclic carbonates in the following order: 1,3-dioxolan-2-one > 4-methyl-1,3-dioxolan-2-one > 4-phenyl-1,3-dioxolan-2-one when the same amine was used (**7a**, **31a** and **32a**), indicating that steric hindrance of cyclic carbonates influences the reactivity, to some extent.

Compared to the other catalysts described in the literature [37–40], this catalytic system is more effective in the following aspects: less catalytic loading, higher yield and general applicability in wide scope of substrates. For example, for the organic base catalysts [37, 38], the catalyst has been used over stoichiometric amount; the yield of the product is generally lower; only 13 examples are provide and substituted cyclic carbonate is not tested. For ionic liquids catalysts [39, 40], the yield of the product is generally lower; only 19 examples are provided, and the result of the reaction with steric hinder aniline is not available.

Mechanistic studies

To understand the reaction mechanism and the role of adenine and Et_3N in the reaction, an LC–MS sample was prepared from the reaction mixture of 1,3-dioxolan-2-one with aniline when the reaction was carried out 9 h. From the LC–MS spectra, a substance with molecular weight of 223.1 was found, which is consistent with the total molecular weight of 1,3-dioxolan-2-one and adenine. In addition, a large amount of the substance with molecular weight of 181.2 was detected, which matches the molecular weight of 2-hydroxyethyl phenylcarbamate, the open ring product of 1,3-dioxolan-2-one by aniline.

According to these results, we propose the following mechanism for the reaction (Scheme 2). Firstly, 1,3-dioxolan-2-one is activated by adenine through an interaction of hydrogen bonding to form intermediate **i** (Mol. Wt. = 223.1). A nucleophilic attack of activated 1,3-dioxolan-2-one by aniline afford a ring opening product, 2-hydroxyethyl phenylcarbamate (**ii**) (Mol. Wt. = 181.2) and regenerate free



Scheme 2 The proposed reaction mechanism

adenine. Deprotonation of **ii** by trimethylamine gives an amide anion, following nucleophilic substitution to generate the cyclization product.

Conclusions

In summary, being a hydrogen bond donor, the inexpensive, non-toxic, environmentally friendly and readily available adenine was discovered to be an efficient biocatalyst for the preparation of 3-aryl-2-oxzaolidiones from unsubstituted and monosubstituted cyclic carbonates with aryl amine under solvent-free conditions. This reaction is applicable to an extensive range of substituted aryl amines, and even the steric hindered di-substituted aryl amine. Good to excellent yields of 3-aryl-2-oxzaolidiones were afforded using aryl amines with either electron-withdrawing or electron-donating group.

Experimental

All substrates and reagents are commercially available and were used as received. Aryl amines (> 96%) and adenine (> 98%) were purchased from Energy Chemical. The deuterated solvent, CCl_3D was obtained from Cambridge Isotope Laboratories, Inc. All other chemicals were analytical grade and were supplied by Sinopharm Chemical Reagent Beijing Co., Ltd. ^1H and ^{13}C NMR spectra were recorded on Bruker AV400 spectrometer. Chemical shift values are expressed in ppm relative to solvent residue. GC–MS was performed on an Agilent 6890-5973 N system with electron ionization (EI) mass spectrometry. IR spectra were recorded on KBr pellets on a FTIR-Tensor 27 spectrometer. HRMS was recorded on a Fisher LTQ-Orbitrap XL combined-type mass spectrometry.

General procedure for the synthesis of oxazolidinones

An 8 mL vial was charged with adenine (0.05 mmol, 6.7 mg), Et_3N (0.5 mmol, 69 μL), aryl amine (1 mmol) and cyclic carbonate (6 mmol). The mixture was heated at 110 °C for 18 h, after which time 5 mL of water was added. The organic layer was extracted with dichloromethane (3 × 5 mL). The combined organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 5:1 as eluent).

3-phenyloxazolidin-2-one **(1a) [37]** White solid; mp 120.0–122.5 °C; IR (KBr, ν, cm^{-1}): 1740 (C=O), 1410 (C–H), 1318 (C–N), 1221 (C–N), 1128 (C–N), 1050 (C–C), 753 (C–H), 689 (C–H); ^1H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.54 (d, J = 8.4 Hz, 2H, Ar***H***), 7.38 (t, J = 7.6 Hz, 2H, Ar***H***), 7.14 (t, J = 7.6 Hz, 1H, Ar***H***), 4.48 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.06 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.4 (***C***=O), 138.4 (Ar***C***), 129.2 (Ar***C***), 124.2 (Ar***C***), 118.4 (Ar***C***), 61.4 (O***C***H_2), 45.3 (N***C***H_2).

3-(4-ethylphenyl)oxazolidin-2-one **(2a) [47]** White solid; mp 63.0–65.0 °C; IR (KBr, ν, cm^{-1}): 1736 (C=O), 1614 (C=C), 1517 (C=C), 1426 (C=C), 1314 (C–N), 1222 (C–N), 1131 (C–N), 1052 (C–O), 1003 (C–O), 841 (C–H); ^1H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.44 (d, J = 8.4 Hz, 2H, Ar***H***), 7.20 (d, J = 8.4 Hz, 2H, Ar***H***), 4.46 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.03 (t, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$), 2.63 (dd, J = 19.2 Hz, J = 11.6 Hz, 2H, ArC$\boldsymbol{H}_2$), 1.22 (t, J = 7.6 Hz, 3H, $ArCH_2C\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.5 (***C*** = O), 140.3 (Ar***C***), 136.0 (Ar***C***), 128.5 (Ar***C***), 118.6 (Ar***C***), 61.4 (O***C***H_2), 45.5 (N***C***H_2), 28.3 (Ar***C***H_2), 15.8 ($ArCH_2\boldsymbol{C}H_3$).

3-(4-butylphenyl)oxazolidin-2-one **(3a)** Yellow solid; mp 69.5–73.5 °C; IR (KBr, ν, cm^{-1}): 1732 (C=O), 1617 (C=C), 1519 (C=C), 1484 (C=C), 1432 (C=C), 1319 (C–N), 1232 (C–N), 1137 (C–N), 1046 (C–O), 1004 (C–O), 812 (C–H); ^1H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.43 (d, J = 8.4 Hz, 2H, Ar***H***), 7.18 (d, J = 8.8 Hz,

2H, Ar***H***), 4.46 (d, J = 8.0 Hz, 2H, OC$\boldsymbol{H}_2$), 4.03 (d, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$), 2.58 (t, J = 3.6 Hz, 2H, 1–Bu–C$\boldsymbol{H}_2$), 1.61–1.54 (m, 2H, 2–Bu–C$\boldsymbol{H}_2$), 1.39–1.29 (m, 2H, 3–Bu–C$\boldsymbol{H}_2$), 0.92 (t, J = 6.8 Hz, 3H, 4–Bu–C$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.5 (***C*** = O), 139.0 (Ar***C***), 136.0 (Ar***C***), 129.1 (Ar***C***), 118.5 (Ar***C***), 61.4 (O***C***H$_2$), 45.5 (N***C***H$_2$), 35.0 (1–Bu–***C***H$_2$), 33.8 (2–Bu–***C***H$_2$), 22.4(3–Bu–***C***H$_2$), 14.1 (4–Bu–***C***H$_3$); HRMS m/z calculated for $C_{13}H_{17}NO_2Na$ $[M + Na]^+$: 242.1157; found: 242.1136.

3-(4-cyano)oxazolidin-2-one **(4a) [20]** Yellow solid; mp 142.0–144.0 °C; IR (KBr, ν, cm^{-1}): 1743 (C=O), 1607 (C=C), 1508 (C=C), 1405 (C=C), 1327 (C–N), 1216 (C–N), 1135 (C–N), 1052 (C–O), 1004 (C–O), 823 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.69–7.63 (m, 4H, Ar***H***), 4.53 (t, J = 8.0 Hz, 2H, OC$\boldsymbol{H}_2$), 4.09 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 154.7 (***C***=O), 142.2 (Ar***C***), 133.3 (Ar***C***), 118.8 (Ar***C***), 117.9 (***C***N), 107.0 (Ar***C***), 61.5 (O***C***H$_2$), 44.8 (N***C***H$_2$).

3-(o-tolyl)oxazolidin-2-one **(5a) [37]** White oil; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1626 (C=C), 1496 (C=C), 1405 (C=C), 1276 (C–N), 1220 (C–N), 1139 (C–N), 1035 (C–O), 982 (C–O), 750 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.25–7.19 (m, 4H, Ar***H***), 4.44 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 3.87 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$), 2.28 (s, 3H, ArC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 156.7 (***C*** = O), 136.0 (Ar***C***), 135.8 (Ar***C***), 131.2 (Ar***C***), 128.0 (Ar***C***), 126.9 (Ar***C***), 126.3 (Ar***C***), 62.3 (O***C***H$_2$), 47.7 (N***C***H$_2$), 17.7 (***C***H$_3$).

3-(m-tolyl)oxazolidin-2-one **(6a) [20]** Yellow solid; mp 84.0–86.0 °C; IR (KBr, ν, cm^{-1}): 2925 (C–H), 1736 (C=O), 1608 (C=C), 1497 (C=C), 1401 (C=C), 1324 (C–N), 1229 (C–N), 1120 (C–N), 1050 (C–O), 995 (C–O), 781 (C–H), 753 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.39 (s, 1H, Ar***H***), 7.32–7.26 (m, 2H, Ar***H***), 6.66 (d, J = 7.6 Hz, 1H, Ar***H***), 4.48 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.04 (t, J = 7.6 Hz, 2H, NC$\boldsymbol{H}_2$), 2.37 (s, 3H, ArC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.5 (***C***=O), 139.2 (Ar***C***), 138.4 (Ar***C***), 129.1 (Ar***C***), 125.1 (Ar***C***), 118.2 (Ar***C***), 115.6 (Ar***C***), 61.5 (O***C***H$_2$), 45.5 (N***C***H$_2$), 21.8 (***C***H$_3$).

3-(p-tolyl)oxazolidin-2-one **(7a) [48]** Yellow solid; mp 90.0–91.0 °C; IR (KBr, ν, cm^{-1}): 1738 (C=O), 1519 (C=C), 1474 (C=C), 1418 (C=C), 1321 (C–N), 1223 (C–N), 1130 (C–N), 1047 (C–O), 996 (C–O), 820 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.41 (d, J = 8.4 Hz, 2H, Ar***H***), 7.18 (d, J = 8.0 Hz, 2H, Ar***H***), 4.46 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.03 (t, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$), 2.33 (s, 3H, ArC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.6 (***C***=O), 135.9 (Ar***C***), 134.0 (Ar***C***), 129.8 (Ar***C***), 118.6 (Ar***C***), 61.5 (O***C***H$_2$), 45.6 (N***C***H$_2$), 21.0 (***C***H$_3$).

3-(3-chlorophenyl)oxazolidin-2-one **(8a) [48]** Yellow solid; mp 53.0–54.0 °C; IR (KBr, ν, cm^{-1}): 1739 (C=O), 1599 (C=C), 1491 (C=C), 1407 (C=C), 1333 (C–N), 1227 (C–N), 1134 (C–N), 1049 (C–O), 967 (C–O), 776 (C–H), 712 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.58 (s, 1H, Ar***H***), 7.45 (d, J = 8.2 Hz, 1H, Ar***H***), 7.29

(t, J = 8.0 Hz, 1H, Ar***H***). 7.11 (d, J = 7.6 Hz, 1H, Ar***H***), 4.50 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.4 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.1 (***C***=O), 139.6 (Ar***C***), 135.1 (Ar***C***), 130.3 (Ar***C***), 124.3 (Ar***C***), 118.4 (Ar***C***), 116.3 (Ar***C***), 61.5 (O***C***H$_2$), 45.3 (N***C***H$_2$).

3-(4-chlorophenyl)oxazolidin-2-one **(9a) [48]** Yellow solid; mp 118.5–119.0 °C; IR (KBr, ν, cm^{-1}): 2924 (C–H), 1735 (C=O), 1599 (C=C), 1500 (C=C), 1424 (C=C), 1406 (C=C), 1322 (C–N), 1218 (C–N), 1128 (C–N), 1049 (C–O), 1002 (C–O), 813 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.50 (d, J = 8.8 Hz, 2H, Ar***H***), 7.34 (d, J = 8.8 Hz, 2H, Ar***H***), 4.48 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.05 (t, J = 8.4 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.3 (***C***=O), 137.1 (Ar***C***), 129.6 (Ar***C***), 129.3 (Ar***C***), 118.6 (Ar***C***), 61.5 (O***C***H$_2$), 45.4 (N***C***H$_2$).

3-(4-iodophenyl)oxazolidin-2-one **(10a) [48]** White solid; mp 162.0–163.5 °C; IR (KBr, ν, cm^{-1}): 1728 (C=O), 1584 (C=C), 1484 (C=C), 1416 (C=C), 1308 (C–N), 1224 (C–N), 1130 (C–N), 1043 (CO–O), 998 (C–O), 826 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.67–7.63 (m, 2H, Ar***H***), 7.33–7.29 (m, 2H, Ar***H***), 4.47 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.01 (t, J = 8.8 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.1 (***C***=O), 138.2 (Ar***C***), 138.0 (Ar***C***), 120.0 (Ar***C***), 87.5 (Ar***C***), 61.4 (O***C***H$_2$), 45.0 (N***C***H$_2$).

3-(4-(trifluoromethyl)phenyl)oxazolidin-2-one **(11a) [48]** White solid; mp 93.5–95.5 °C; IR (KBr, ν, cm^{-1}): 1733 (C=O), 1617 (C=C), 1523 (C=C), 1477 (C=C), 1295 (C–N), 1226 (C–N), 1160 (C–N), 1050 (C–O), 997 (C–O), 845 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.65 (dd, J = 24.4 Hz, J = 13.2 Hz, 4H, Ar***H***), 4.53 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.09 (t, J = 8.8 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.0 (***C***=O), 141.3 (Ar***C***), 126.4 (q, J = 2.6 Hz, Ar***C***), 126.3 (d, J = 32.8 Hz, Ar***C***), 124.2 (d, J = 270.0 Hz, Ar***C***), 117.8 (Ar***C***), 61.5 (O***C***H$_2$), 45.0 (N***C***H$_2$).

3-(3-fluorophenyl)oxazolidin-2-one **(12a) [44]** White solid; mp 87.0–88.0 °C; IR (KBr, ν, cm^{-1}): 1743 (C=O), 1619 (C=C), 1585 (C=C), 1498 (C=C), 1407 (C=C), 1330 (C–N), 1233 (C–N), 1122 (C–N), 1049 (C–O), 984 (C–O), 791 (C–H), 712 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.45–7.41 (m, 1H, Ar***H***), 7.31 (d, J = 8.0 Hz, 2H, Ar***H***), 6.85–6.80 (m, 1H, Ar***H***), 4.48 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.4 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 161.9 (d, J = 250.0 Hz, Ar–F, Ar***C***), 155.0 (***C***=O), 139.9 (d, J = 11.0 Hz, Ar***C***), 130.3 (d, J = 9.0 Hz, Ar***C***), 113.3 (d, J = 3.0 Hz, Ar***C***), 110.9 (d, J = 21.0 Hz, Ar***C***), 105.7 (d, J = 27.0 Hz, Ar***C***), 61.4 (O***C***H$_2$), 45.2 (N***C***H$_2$).

3-(4-fluorophenyl)oxazolidin-2-one **(13a) [48]** White solid; mp 71.0–72.0 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1636 (C=C), 1512 (C=C), 1406 (C=C), 1317 (C–N), 1220 (C–N), 1127 (C–N), 1042 (C–O), 913 (C–O), 832 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.53–7.48 (m, 2H, Ar***H***), 7.11–7.04 (m, 2H, Ar***H***), 4.49 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.05 (t, J = 8.0 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz,

$CDCl_3$), (δ, ppm): 160.7 (d, J = 250.0 Hz, Ar–F, Ar***C***), 155.5 (***C***=O), 134.5 (d, J = 2.0 Hz, Ar***C***), 120.2 (d, J = 8.0 Hz, Ar***C***), 116.2 (d, J = 23.0 Hz, Ar***C***), 61.4 (O***C***H_2), 45.6 (N***C***H_2).

3-(3-bromophenyl)oxazolidin-2-one **(14a) [49]** White solid; mp 86.0–87.0 °C; IR (KBr, ν, cm^{-1}): 1743 (C=O), 1593 (C=C), 1497 (C=C), 1398 (C=C), 1313 (C–N), 1215 (C–N), 1127 (C–N), 1044 (C–O), 992 (C–O), 749 (C–H), 700 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.72–7.71 (m, 1H, Ar***H***), 7.54–7.51 (m, 1H, Ar***H***), 7.28–7.22 (m, 2H, Ar***H***), 4.50 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.4 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.0 (***C***=O), 139.7 (Ar***C***), 130.5 (Ar***C***), 127.2 (Ar***C***), 123.0 (Ar***C***), 121.1 (Ar***C***), 116.7 (Ar***C***), 61.4 (O***C***H_2), 45.2 (N***C***H_2).

3-(4-bromophenyl)oxazolidin-2-one **(15a) [48]** White solid; mp 132.0–133.0 °C; IR (KBr, ν, cm^{-1}): 1740 (C=O), 1590 (C=C), 1490 (C=C), 1400 (C = C), 1316 (C–N), 1219 (C–N), 1129 (C–N), 1054 (C–O), 996 (C–O), 820 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.50–7.43 (m, 4H, Ar***H***), 4.50 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.0 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.1 (***C***=O), 137.5 (Ar***C***), 132.1 (Ar***C***), 119.8 (Ar***C***), 117.0 (Ar***C***), 61.4 (O***C***H_2), 45.2 (N***C***H_2).

3-(3,4-dichlorophenyl)oxazolidin-2-one **(16a) [48]** White solid; mp 119.5–122.0 °C; IR (KBr, ν, cm^{-1}): 2926 (C–H), 1749 (C=O), 1597 (C=C), 1482 (C=C), 1317 (C–N), 1218 (C–N), 1145 (C–N), 1049 (C–O), 1004 (C–O), 843 (C–H), 751 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.67 (d, J = 2.0 Hz, 1H, Ar***H***), 7.47–7.41 (m, 2H, Ar***H***), 4.51 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.4 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 154.9 (***C***=O), 137.9 (Ar***C***), 133.2 (Ar***C***), 130.7 (Ar***C***), 127.6 (Ar***C***), 118.8 (Ar***C***), 117.4 (Ar***C***), 61.4 (O***C***H_2), 45.1 (N***C***H_2).

3-(3-chloro-4-fluorophenyl)oxazolidin-2-one **(17a)** White solid; mp 100.0–102.0 °C; IR (KBr, ν, cm^{-1}): 1740 (C=O), 1636 (C=C), 1505 (C=C), 1406 (C=C), 1326 (C–N), 1223 (C–N), 1131 (C–N), 1049 (C–O), 1003 (C–O), 813 (C–H), 753 (C–H), 706 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.64 (dd, J = 6.4 Hz, J = 2.8 Hz, 1H, Ar***H***), 7.45–7.41 (m, 1H, Ar***H***), 7.15 (t, J = 8.8 Hz, 2H, Ar***H***), 4.50 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.04 (t, J = 8.0 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 156.0 (d, J = 245 Hz, Ar–F, Ar***C***), 155.1 (***C***=O), 135.2 (Ar***C***), 121.6 (d, J = 17.6 Hz, Ar–F, Ar***C***), 120.5 (Ar***C***), 117.9 (d, J = 6.8 Hz, Ar***C***), 116.9 (d, J = 22.0 Hz, Ar***C***), 61.4 (O***C***H_2), 45.4 (N***C***H_2); HRMS (ESI) m/z calculated for $C_9H_8ClFNO_2Na$ $[M + Na]^+$: 238.0047; found: 238.0020.

3-(3-chloro-4-methylphenyl)oxazolidin-2-one **(18a) [48]** White solid; mp 86.0–88.0 °C; IR (KBr, ν, cm^{-1}): 1755 (C=O), 1616 (C=C), 1504 (C=C), 1403 (C=C), 1320 (C–N), 1218 (C–N), 1129 (C–N), 1072 (C–O), 913 (C–O), 744 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.54 (d, J = 2.4 Hz, 1H, Ar***H***), 7.39 (dd, J = 4.4 Hz, J = 2.4 Hz, 1H, Ar***H***), 7.22 (d, J = 8.0 Hz, 1H, Ar***H***), 4.49 (t,

J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.03 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$), 2.34 (s, 3H, ArC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.2 (***C***=O), 137.2 (Ar***C***), 134.8 (Ar***C***), 131.8 (Ar***C***), 131.3 (Ar***C***), 118.8 (Ar***C***), 116.6 (Ar***C***), 61.4 (O***C***H_2), 45.3 (N***C***H_2), 19.5 (***C***H_3).

3-(3-nitrophenyl)oxazolidin-2-one **(19a)** **[44]** Yellow solid; mp 110.0–112.0 °C; IR (KBr, ν, cm^{-1}): 1741 (C=O), 1618 (C=C), 1527 (C=C), 1409 (C=C), 1346 (C–N), 1221 (C–N), 1140 (C–N), 1091 (C–O), 1055 (C–O), 757 (C–H), 700 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 8.25 (s, 1H, Ar***H***), 8.10 (d, J = 8.4 Hz, 1H, Ar***H***), 7.98 (dd, J = 12.0 Hz, J = 2.4 Hz, 1H, Ar***H***), 7.55 (t, J = 8.4 Hz, 1H, Ar***H***), 4.46 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.15 (t, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.0 (***C***=O), 148.7 (Ar***C***), 139.6 (Ar***C***), 130.1 (Ar***C***), 123.9 (Ar***C***), 118.6 (Ar***C***), 112.4 (Ar***C***), 61.6 (O***C***H_2), 45.1 (N***C***H_2).

3-(4-nitrophenyl)oxazolidin-2-one **(20a)** **[45]** Yellow solid; mp 154.0–155.0 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1596 (C=C), 1515 (C=C), 1400 (C=C), 1321 (C–N), 1260 (C–N), 1110 (C–N), 1052 (C–O), 999 (C–O), 824 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 8.25 (d, J = 9.6 Hz, 2H, Ar***H***), 7.73 (d, J = 9.6 Hz, 2H, Ar***H***), 4.56 (d, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.14 (d, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 154.7 (***C***=O), 143.9 (Ar***C***), 143.4 (Ar***C***), 125.1 (Ar***C***), 117.5 (Ar***C***), 61.5 (O***C***H_2), 45.0 (N***C***H_2).

3-(2-methoxyphenyl)oxazolidin-2-one **(21a)** **[48]** Yellow solid; mp 62.0–63.0 °C; IR (KBr, ν, cm^{-1}): 1750 (C=O), 1598 (C=C), 1507 (C=C), 1464 (C=C), 1411 (C=C), 1298 (C–N), 1246 (C–N), 1129 (C–N), 1037 (C–O), 985 (C–O), 752 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.36 (dd, J = 7.6 Hz, J = 1.2 Hz, 1H, Ar***H***), 7.31–7.26 (m, 1H, Ar***H***), 7.01–6.95 (m, 2H, Ar***H***), 4.48 (t, J = 9.6 Hz, 2H, OC$\boldsymbol{H}_2$), 3.98 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$), 3.86 (s, 3H, ArOC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 157.5 (Ar***C***), 155.0 (***C***=O), 129.0 (Ar***C***), 128.5 (Ar***C***), 126.2 (Ar***C***), 121.1 (Ar***C***), 112.1 (Ar***C***), 62.6 (O***C***H_2), 55.8 (O***C***H_3), 47.1 (N***C***H_2).

3-(3-methoxyphenyl)oxazolidin-2-one **(22a)** **[48]** White solid; mp 74.0–76.0 °C; IR (KBr, ν, cm^{-1}): 1750 (C=O), 1602 (C=C), 1499 (C=C), 1409 (C=C), 1225 (C–N), 1125 (C–N), 1040 (C–O), 760 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.29–7.25 (m, 2H, Ar***H***), 7.05–7.03 (m, 1H, Ar***H***), 6.71–6.68 (m, 1H, Ar***H***), 4.48 (t, J = 7.6 Hz, 2H, OC$\boldsymbol{H}_2$), 4.05 (t, J = 8.0 Hz, 2H, NC$\boldsymbol{H}_2$), 3.82 (s, 3H, ArOC$\boldsymbol{H}_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 160.3 (Ar***C***), 155.3 (***C***=O), 139.6 (Ar***C***), 129.9 (Ar***C***), 110.4 (Ar***C***), 109.7 (Ar***C***), 104.6 (Ar***C***), 61.4 (O***C***H_2), 55.5 (O***C***H_3), 45.4 (N***C***H_2).

3-(4-methoxyphenyl)oxazolidin-2-one **(23a)** **[48]** White solid; mp 109.0–111.0 °C; IR (KBr, ν, cm^{-1}): 2925 (C–H), 1722 (C=O), 1515 (C=C), 1377 (C=C), 1289 (C–N), 1223 (C–N), 1132 (C–N), 1027 (C–O), 994 (C–O), 829 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.44 (d, J = 8.8 Hz, 2H, Ar***H***), 6.91 (d, J = 8.8 Hz, 2H, Ar***H***), 4.46 (t, J = 7.2 Hz, 2H, OC$\boldsymbol{H}_2$), 4.02 (t, J = 8.4 Hz, 2H, NC$\boldsymbol{H}_2$), 3.80 (s,

3H, ArOC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 156.5 (Ar***C***), 155.7 (**C**=O), 131.6 (Ar***C***), 120.4 (Ar***C***), 114.4 (Ar***C***), 61.4 (O***C***H$_2$), 55.6 (O***C***H$_3$), 45.9 (N***C***H$_2$).

3-(2,6-dimethylphenyl)oxazolidin-2-one **(24a)** **[50]** White solid; mp 136.5–137.0 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1594 (C=C), 1482 (C=C), 1407 (C=C), 1292 (C–N), 1221 (C–N), 1127 (C–N), 1038 (C–O), 958 (C–O), 744 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.19–7.10 (m, 3H, Ar***H***), 4.56 (t, J = 8.0 Hz, 2H, OC***H***$_2$), 3.84 (t, J = 8.0 Hz, 2H, NC***H***$_2$), 2.29 (s, 6H, 2 × ArC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 156.7 (***C***=O), 136.9 (Ar***C***), 134.2 (Ar***C***), 128.9 (Ar***C***), 128.8 (Ar***C***), 62.6 (O***C***H$_2$), 46.3 (N***C***H$_2$), 17.9 (2 × Ar***C***H$_3$).

3-(4-bromo-2,6-dimethylphenyl)oxazolidin-2-one **(25a)** White solid; mp 160.0–164.0 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1576 (C=C), 1481 (C=C), 1406 (C=C), 1296 (C–N), 1252 (C–N), 1130 (C–N), 1037 (C–O), 949 (C–O), 913 (C–H), 858 (C–H), 744 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.27 (s, 2H, Ar***H***), 4.56 (t, J = 8.4 Hz, 2H, OC***H***$_2$), 3.81 (t, J = 8.4 Hz, 2H, NC***H***$_2$), 2.26 (s, 6H, 2 × ArC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 156.5 (***C***=O), 139.1 (Ar***C***), 133.4 (Ar***C***), 131.8 (Ar***C***), 122.5 (Ar***C***), 62.6 (O***C***H$_2$), 46.1 (N***C***H$_2$), 17.8 (2 × Ar***C***H$_3$); HRMS (ESI) m/z: calculated for $C_{11}H_{12}BrNO_2Na$ $[M + Na]^+$: 291.9949; found: 291.9922.

3-(2,6-diethylphenyl)oxazolidin-2-one **(26a)** **[50]** Yellow oil; IR (KBr, ν, cm^{-1}): 1749 (C=O), 1465 (C=C), 1410 (C=C), 1296 (C–N), 1220 (C–N), 1127 (C–N), 1036 (C–O), 983 (C–O), 807 (C–H), 760 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.28 (t, J = 7.6 Hz, 1H, Ar***H***), 7.16 (t, J = 7.2 Hz, 2H, Ar***H***), 4.51 (t, J = 8.0 Hz, 2H, OC***H***$_2$), 3.87 (t, J = 8.4 Hz, 2H, NC***H***$_2$), 2.67–2.61 (m, 2H, ArC***H***$_2$), 2.59–2.51 (m, 2H, ArC***H***$_2$), 1.27–1.23 (t, J = 7.6 Hz, 6H, 2 × ArC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 157.2 (***C*** = O), 142.6 (Ar***C***), 132.9 (Ar***C***), 129.1 (Ar***C***), 126.7 (Ar***C***), 62.4 (O***C***H$_2$), 47.6 (N***C***H$_2$), 24.1 (2 × Ar***C***H$_2$), 14.6 (2 × ***C***H$_3$).

3-(naphthalen-1-yl)oxazolidin-2-one **(27a)** **[46]** Gray solid; mp 129.5–130.0 °C; IR (KBr, ν, cm^{-1}): 1734 (C=O), 1594 (C=C), 1508 (C=C), 1488 (C=C), 1416 (C=C), 1297 (C–N), 1235 (C–N), 1140 (C–N), 1039 (C–O), 934 (C–O), 778 (C–H), 736 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.91–7.85 (m, 3H, Ar***H***), 7.59–7.47 (m, 4H, Ar***H***), 4.64(t, J = 8.0 Hz, 2H, OC***H***$_2$), 4.09 (t, J = 7.6 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 157.6 (***C***=O), 134.7 (Ar***C***), 134.1 (Ar***C***), 130.0 (Ar***C***), 128.9 (Ar***C***), 128.8 (Ar***C***), 127.1 (Ar***C***), 126.7 (Ar***C***), 125.7 (Ar***C***), 124.7 (Ar***C***), 122.4 (Ar***C***), 62.6 (O***C***H$_2$), 48.2 (N***C***H$_2$).

3-(naphthalen-2-yl)oxazolidin-2-one **(28a)** **[45]** Gray solid; mp 210.0–211.0 °C; IR (KBr, ν, cm^{-1}): 1736 (C=O), 1600 (C=C), 1509 (C=C), 1473 (C=C), 1404 (C=C), 1310 (C–N), 1220 (C–N), 1112 (C–N), 1048 (C–O), 1008 (C–O), 748 (C–H), 708 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.97 (d, J = 8.0 Hz, 1H, Ar***H***), 7.87–7.79 (m, 3H, Ar***H***), 7.71 (s, 1H, Ar***H***), 7.50–7.41 (m, 2H, Ar***H***), 4.52 (t, J = 7.6 Hz, 2H, OC***H***$_2$), 4.17 (t, J = 8.0 Hz, 2H, NC***H***$_2$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.5 (***C***=O), 136.1 (Ar***C***), 133.6 (Ar***C***), 130.4 (Ar***C***), 129.1

(Ar***C***), 127.7 (Ar***C***), 127.6 (Ar***C***), 126.8 (Ar***C***), 125.4 (Ar***C***), 118.4 (Ar***C***), 114.9 (Ar***C***), 61.5 (O***C***H_2), 45.6 (N***C***H_2).

5-methyl-3-phenyloxazolidin-2-one **(29a) [46]** White solid; mp 79.5–81.5 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1600 (C=C), 1505 (C=C), 1407 (C=C), 1308 (C–N), 1217 (C–N), 1119 (C–N), 1082 (C–O), 981 (C–O), 760 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.55–7.52 (m, 2H, Ar***H***), 7.39–7.35 (m, 2H, Ar***H***), 7.15–7.11 (m, 1H, Ar***H***), 4.83–4.75 (m, 1H, OC***H***), 4.12 (t, J = 8.4 Hz, 1H, NC***H***$_2$), 3.63 (t, J = 7.6 Hz, 1H, NC***H***$_2$), 1.54 (d, J = 6.4 Hz, 3H, C***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 155.0 (***C***=O), 138.5 (Ar***C***), 129.2 (Ar***C***), 124.1 (Ar***C***), 118.3 (Ar***C***), 69.7 (O***C***H), 52.0 (N***C***H_2), 20.9 (***C***H_3).

3-(4-chlorophenyl)-5-methyloxazolidin-2-one **(30a) [45]** Yellow oil; IR (KBr, ν, cm^{-1}): 1707 (C=O), 1600 (C=C), 1541 (C=C), 1495 (C=C), 1404 (C=C), 1308 (C–N), 1231 (C–N), 1069 (C–O), 913 (C–O), 828 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.34–7.25 (m, 4H, Ar***H***), 4.23–4.20 (m, 1H, OC***H***), 4.11–4.00 (m, 2H, NC***H***$_2$), 1.25 (d, J = 1.6 Hz, 3H, C***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 153.6 (***C***=O), 136.3 (Ar***C***), 131.1 (Ar***C***), 129.2 (Ar***C***), 120.1 (Ar***C***), 66.5 (O***C***H), 29.8 (N***C***H_2), 19.3 (***C***H_3).

5-methyl-3-(p-tolyl)oxazolidin-2-one **(31a) [51]** White solid; mp 65.0–66.0 °C; IR (KBr, ν, cm^{-1}): 1750 (C=O), 1508 (C=C), 1418 (C=C), 1297 (C–N), 1227 (CON), 1048 (C–O), 942 (C–O), 807 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.24–7.21 (m, 2H, Ar***H***), 7.13 (t, J = 9.2 Hz, 2H, Ar***H***), 4.21 (dd, J = 10.4 Hz, J = 2.4 Hz, 1H, OC***H***), 3.73–3.63 (m, 1H, NC***H***$_2$), 3.32 (d, J = 7.6 Hz, 3H, ArC***H***$_3$), 1.31–1.23 (m, 3H, C***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 153.9 (***C***=O), 135.1 (Ar***C***), 133.4 (Ar***C***), 129.6 (Ar***C***), 119.2 (Ar***C***), 70.4 (O***C***H), 66.5 (N***C***H_2), 20.9 (Ar***C***H_3), 19.3 (***C***H_3).

5-phenyl-3-(p-tolyl)oxazolidin-2-one **(32a) [52]** Yellow oil; IR (KBr, ν, cm^{-1}): 1749 (C=O), 1540 (C=C), 1508 (C=C), 1418 (C=C), 1298 (C–N), 1227 (C–N), 1047 (C–O), 940 (C–O), 857 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.82–7.77 (m, 5H, Ar***H***), 7.68 (d, J = 9.2 Hz, 2H, Ar***H***), 7.53 (d, J = 8.4 Hz, 2H, Ar***H***), 6.29 (dd, J = 7.2 Hz, J = 4.0 Hz, 1H, OC***H***), 4.36–4.32 (m, 2H, NC***H***$_2$), 2.73 (s, 3H, ArC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 153.4 (***C***=O), 137.3 (Ar***C***), 135.1 (Ar***C***), 133.4 (Ar***C***), 129.7 (Ar***C***), 128.8 (Ar***C***), 128.6 (Ar***C***), 126.7 (Ar***C***), 126.3 (Ar***C***), 66.4 (O***C***H), 29.8 (N***C***H_2), 20.9 (***C***H_3).

5-methyl-3-(naphthalen-1-yl)oxazolidin-2-one **(33a) [41]** White solid; mp 140.0–142.0 °C; IR (KBr, ν, cm^{-1}): 1748 (C=O), 1596 (C=C), 1510 (C=C), 1466 (C=C), 1417 (C=C), 1299 (C–N), 1228 (C–N), 1144 (C–N), 1050 (C–O), 744 (C–H), 707 (C–H); ^{1}H NMR (400 MHz, $CDCl_3$), (δ, ppm): 7.92–7.85 (m, 3H, Ar***H***), 7.59–7.46 (m, 4H, Ar***H***), 5.01–5.93 (m, 1H, OC***H***), 4.16 (t, J = 8.8 Hz, 1H, NC***H***$_2$), 3.69 (t, J = 4.4 Hz, 1H, NC***H***$_2$), 1.65 (d, J = 6.4 Hz, 3H, –OCHC***H***$_3$); ^{13}C NMR (100 MHz, $CDCl_3$), (δ, ppm): 157.0 (***C***=O), 134.4 (Ar***C***), 133.9 (Ar***C***), 129.7 (Ar***C***),

128.4 (Ar***C***), 126.7 (Ar***C***), 126.3 (Ar***C***), 125.4 (Ar***C***), 124.3 (Ar***C***), 122.2 (Ar***C***), 70.8(O***C***H), 55.4 (N***C***H_2), 20.5 (***C***H_3).

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References

1. T.A. Mukhtar, G.D. Wright, Chem. Rev. **105**, 529 (2005)
2. S. Valente, S. Tomassi, G. Tempera, S. Saccoccio, E. Agostinelli, A. Mai, J. Med. Chem. **54**, 8228 (2011)
3. C. Robert, J. Moellering, Ann. Intern. Med. **138**, 135 (2003)
4. B. Mallesham, B.M. Rajesh, P. Rajamohan Reddy, D. Srinivas, S. Trehan, Org. Lett. **5**, 963 (2003)
5. C.H. Park, D.R. Brittelli, C.L.J. Wang, F.D. Marsh, A.G. Walter, M.A. Wuonola, R.J. McRipley, V.S. Eberly, A.M. Slee, M. Forbes, J. Med. Chem. **35**, 1156 (1992)
6. W.A. Gregory, D.R. Brittelli, C.L.J. Wang, M.A. Wuonola, R.J. McRipley, D.C. Eustice, V.S. Eberly, P.T. Bartholomew, A.M. Slee, M. Forbes, J. Med. Chem. **32**, 1673 (1989)
7. M.R. Barbachyn, C.W. Ford, Angew. Chem. **42**, 2010 (2003)
8. M.R. Barbachyn, C.W. Ford, Angew. Chem. **115**, 2056 (2003)
9. L. Aurelio, R.T.C. Brownlee, A.B. Hughes, Chem. Rev. **104**, 5823 (2004)
10. W.R. Roush, R.A. James, Aust. J. Chem. **55**, 141 (2002)
11. G. Zappia, G. Cancelliere, E. Gacs-Baitz, G. Delle Monache, D. Misiti, L. Nevola, B. Botta, Curr. Org. Syn. **4**, 238 (2007)
12. A.R. Renslo, G.W. Luehr, M.F. Gordeev, Bioorg. Med. Chem. **14**, 4227 (2006)
13. C.W. Phoon, C. Abell, Tetrahedron Lett. **39**, 2655 (1998)
14. M. Prashad, Y.J. Liu, H.Y. Kim, O. Repic, T.J. Blacklock, Tetrahedron Asymmetry **10**, 3479 (1999)
15. R.E. Gawley, S.A. Campagna, M. Santiago, T. Ren, Tetrahedron Asymmetry **13**, 29 (2002)
16. T. Nishiyama, S. Matsui, F. Yamada, J. Heterocycl. Chem. **23**, 1427 (1986)
17. T. Baronsky, C. Beattie, R.W. Harrington, R. Irfan, M. North, J.G. Osende, C. Young, ACS Catal. **3**, 790 (2013)
18. R.L. Paddock, D. Adhikari, R.L. Lord, M.H. Baik, S.T. Nguyen, Chem. Commun. **50**, 15187 (2014)
19. P. Wang, J. Qin, D. Yuan, Y. Wang, Y. Yao, ChemCatChem. **7**, 1145 (2015)
20. B. Mallesham, B.M. Rajesh, P. Rajamohan Reddy, D. Srinivas, S. Trehan, Org. Lett. **5**, 963 (2003)
21. H.J. Cristau, P.P. Cellier, J.F. Spindler, M. Taillefer, Chem. Eur. J. **10**, 5607 (2004)
22. S. Jammi, S. Sakthivel, L. Rout, T. Mukherjee, S. Mandal, R. Mitra, P. Saha, T. Punniyamurthy, J. Org. Chem. **74**, 1971 (2009)
23. S. Cacchi, G. Fabrizi, A. Goggiamani, G. Zappia, Org. Lett. **3**, 2539 (2001)
24. Y.J. Chen, H.H. Chen, Org. Lett. **8**, 5609 (2006)
25. W. Mahy, P.K. Plucinski, C.G. Frost, Org. Lett. **16**, 5020 (2014)
26. L. Zhang, X. Fu, G. Gao, ChemCatChem. **3**, 1359 (2011)
27. E.H.M. Elageed, B. Wang, Y. Zhang, S. Wu, G. Gao, J. Mol. Catal. A Chem. **408**, 271 (2015)
28. S.K. Alamsetti, A.K.A. Persson, J.E. Bäckvall, Org. Lett. **16**, 1434 (2014)
29. Z.Z. Yang, L.N. He, S.Y. Peng, A.H. Liu, Green Chem. **12**, 1850 (2010)
30. Y. Du, A.H. Liu, L.N. He, J. Org. Chem. **73**, 4709 (2008)
31. C. Phung, R.M. Ulrich, M. Ibrahim, N.T.G. Tighe, D.L. Lieberman, A.R. Pinhas, Green Chem. **13**, 3224 (2011)
32. Z.Z. Yang, Y.N. Li, Y.Y. Wei, L.N. He, Green Chem. **13**, 2351 (2011)
33. A. Ueno, Y. Kayaki, T. Ikariya, Green Chem. **15**, 425 (2013)
34. B. Wang, E.H.M. Elageed, D. Zhang, S. Yang, S. Wu, G. Zhang, G. Gao, ChemCatChem. **6**, 278 (2014)
35. C.J. Dindmore, S.P. Mercer, Org. Lett. **6**, 2885 (2004)

36. Z. Zhang, L.L. Liao, S.S. Yan, L. Wang, Y.Q. He, J.H. Ye, J. Li, Y.G. Zhi, D.G. Yu, Angew. Chem. Int. Ed. **55**, 7068 (2016)
37. H. Gong, N.F. Yang, G.J. Deng, G.Y. Xu, Chem. Lett. **38**, 584 (2009)
38. H. Gong, N.F. Yang, Heterocycles **78**, 2093 (2009)
39. L. Zhang, X. Fu, G. Gao, ChemCatChem. **3**, 1359 (2011)
40. B. Wang, S. Yang, L. Min, Y. Gu, Y. Zhang, X. Wu, L. Zhang, E.H.M. Elageed, G. Gao, Adv. Synth. Catal. **356**, 3125 (2014)
41. A.G. Doyle, E.N. Jacobsen, Chem. Rev. **107**, 5713 (2007)
42. S. Arayachukiat, C. Kongtes, A. Barthel, S.V.C. Vummaleti, A. Poater, S. Wannakao, L. Cavallo, V. D'Elia, ACS Sustain. Chem. Eng. **5**, 6392 (2017)
43. P. Goswami, B. Das, Tetrahedron Lett. **50**, 2384 (2009)
44. T. Niemi, J.E. Perea-Buceta, I. Fernandez, O.M. Hiltunen, V. Salo, S. Rautiainen, M.T. Raisanen, T. Repo, Chem. Eur. J. **22**, 10355 (2016)
45. S. Cacchi, G. Fabrizi, A. Goggiamani, G. Zappia, Org. Lett. **3**, 2539 (2001)
46. E.H.M. Elageed, B. Chen, B. Wang, Y. Zhang, S. Wu, X. Liu, G. Gao, Eur. J. Org. Chem. **21**, 3650 (2016)
47. V. Dong, C. Yeung, Synlett **7**, 974 (2011)
48. J.A. Leitch, P.B. Wilson, C.L. McMullin, M.F. Mahon, Y. Bhonoah, I.H. Williams, C.G. Frost, ACS Catal. **6**, 5520 (2016)
49. D. Kundu, S. Bhadra, N. Mukherjee, B. Sreedhar, B.C. Ranu, Chem. Eur. J. **19**, 15759 (2013)
50. L.W. Fancher, R.D.J. Gless, Tetrahedron Lett. **29**, 5095 (1988)
51. M. Fujiwara, A. Baba, H. Matsuda, J. Heterocycl. Chem. **25**, 1351 (1988)
52. U.R. Seo, Y.K. Chung, Green Chem. **19**, 803 (2017)