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Iron-catalyzed intra-intermolecular aminoazidation of alkenes

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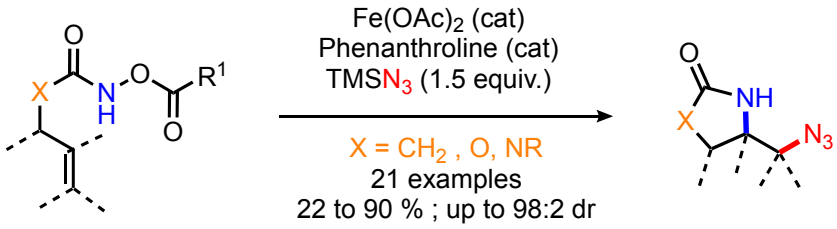
Graphical Abstract TOC



ABSTRACT

An intra-intermolecular iron-catalyzed aminoazidation of non-activated alkenes is reported for the preparation of imidazolidinone, oxazolidinone and pyrrolidinone derivatives. The method uses cheap and abundant iron as a catalyst and commercially available $TMSN_3$ as an azide source. This domino process allows, in a single operating step, for a ring-closure that generates an aza-heterocycle and the introduction of an azido appendage tethered to the heterocycle. The conditions developed offer a sustainable alternative method for the preparation of unsymmetrical vicinal diamine compounds.

KEYWORDS: iron catalysis, sustainable chemistry, aminoazidation, alkene functionalization.

INTRODUCTION

One major challenge for the modern synthetic chemistry community is the production of complex molecules through ecologically respectful processes. To answer this concern, the development of new sustainable protocols based upon abundant reagents and efficient strategies is of prime importance. Transition-metal-catalyzed domino processes have emerged as a highly efficient strategy to prepare complex molecules by allowing for the formation

of multiple bonds in a single operating step. Such processes follow the principles of green chemistry, such as atom and step economy, but their sustainability is severely hampered by the common use of catalysts based on rare and precious late transition-metals. Conversely, the high concentration of iron in the earth's crust guarantees a sustainable access to a large variety of inexpensive iron salts. Moreover, the low toxicity of iron makes it a catalyst of choice, especially for the pharmaceutical industry.[3] In this context, and following our research program dedicated to one-pot dihetero-functionalization of alkenes,[4] we recently reported an iron-catalyzed intramolecular aminoacetoxylation of alkenes leading to functionalized imidazolidinone derivatives (figure 1a).[5]

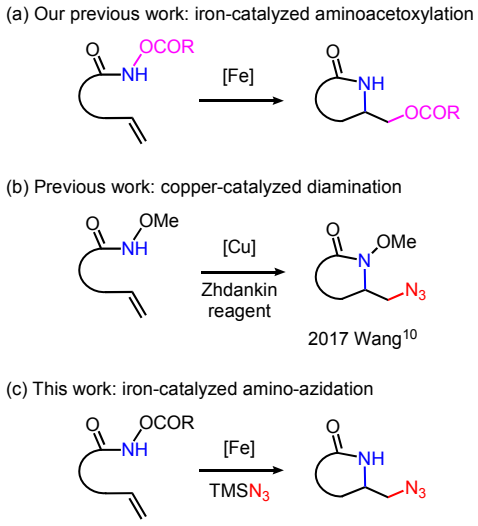


Figure 1. From Fe-catalyzed aminoacetoxylation to Fe-catalyzed aminoazidation

This process was efficient for mono-, di- and tri-substituted double bonds and also furnished versatile precursors of 1,2-amino-alcohols. We then wondered whether this methodology could be extended to a 1,2-diamination reaction. Indeed, vicinal 1,2-diamines are important structural moieties found in numerous natural products, pharmaceuticals or chiral ligands.[6] Many methods were reported to access these essential skeletons,[7] and the most straightforward route is obviously the alkene diamination reaction.[8] The processes developed so far are mostly based on the use of two identical amino groups or a reagent with tethered nitrogen atoms, even though the introduction of two different amino groups is highly desirable. A breakthrough was obtained by the group of Chemler who reported in 2010 a copper-promoted intra-intermolecular alkene diamination.[9] The process was efficient for the formation of various nitrogen heterocycles and tolerated a wide range of external amine sources. However, this protocol appears to be limited to terminal alkenes and requires harsh conditions with an excess of the copper complex to reach a large scope of products. In the same vein, Wang very recently reported milder reaction conditions for the intra-intermolecular copper-catalyzed alkene aminoazidation as entry to 1,2-diamines (figure 1b).[10] This strategy, which is efficient for both terminal and internal alkenes, is highly appealing as it generates a nitrogen heterocycle bearing an azido appendage. The azido substituent is

one of the most versatile functional groups in organic synthesis for constructing diverse nitrogen-containing molecules.[11] On one hand it can give easy access to amine derivatives by a simple reduction and on the other hand, it can be used in bioorthogonal conjugation such as in Huisgen "click" cycloaddition[12] and Staudinger ligation.[13] However, this protocol suffers from the use of the Zhdankin reagent, 1-azido-1,2-benziodoxol-3(1*H*)-one,[14] as an azide source which in turn has to be prepared from azidotrimethylsilane and has been shown to be highly shock and friction sensitive.[15] Thus, as a complementary and sustainable methodology, we report herein the development of an intra-intermolecular alkene aminoazidation catalyzed by environmentally benign iron salt using a commercially available azide source (figure 1c). To the best of our knowledge, such an iron-catalyzed orthogonal diamination reaction has not yet been reported.

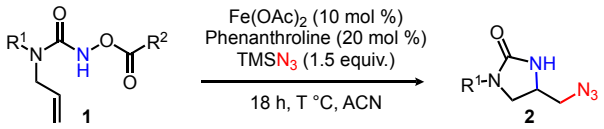
RESULTS AND DISCUSSION

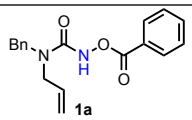
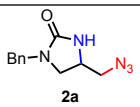
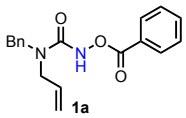
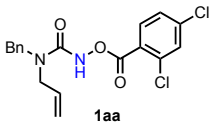
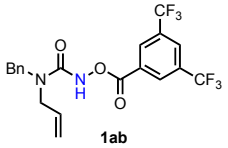
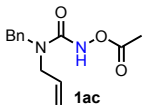
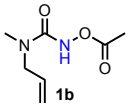
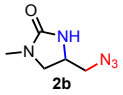
In order to tackle the aminoazidation process, we hypothesized that the introduction of an exogeneous azide source in our iron-catalyzed aminoacetoxylation protocol, should allow it to compete with the transfer of the benzoyl group. We focused our attention on the use of simple azidotrimethylsilane as an azide source. Starting from our previous work, we submitted allyl-oxy-urea derivatives to the action of $Fe(OAc)_2$ (10 mol %) as a catalyst and phenanthroline (20 mol %) as a ligand in acetonitrile (table 1).

When the reaction was conducted at room temperature with substrate **1a**, only trace amounts of the target product **2a** was observed after 18 h (table 1, entry 1). We were pleased to observe that the reaction occurred smoothly when the temperature was increased to 50 °C. Product **2a** was then isolated with a moderate 40 % yield (table 1, entry 2). In our previous iron-catalyzed aminoacetoxylation study, the modulation of R^1 and R^2 functional groups appeared to be the critical point to reach a high reactivity.[5] Disappointingly, the use of substrates **1aa** and **1ab**, where R^2 is a 2,4-dichlorophenyl or a 3,5-ditrifluorophenyl group instead of the phenyl group, led to a significant decrease of the yield (29 % and 22 % respectively, table 1 entries 3 and 4). Starting with substrate **1ac**, in which the benzoate substituent was replaced by an acetate (R^2 = Me), allowed for the isolation of the product **2a** in a 50 % yield, where full conversion was observed (table 1, entry 5). Keeping the acetate and replacing the R^1 benzyl group by a methyl substituent (substrate **1b**, R^1 = R^2 = Me**)** led to, at 50 °C, a poor 38 % yield. This resulted from an incomplete conversion of the starting material after 18 h at this temperature (table 1, entry 6). Increasing the temperature slightly to 70 °C led to a complete conversion after 18 h, and the target product **2b** was then isolated in a good 71 % yield (table 1, entry 7). Increasing the temperature to 100 °C had no impact on the reactivity, where product **2b** was obtained with the same result

(table 1, entry 8 vs 7). The influence of the azide source was then evaluated next. Firstly, sodium azide was tested in place of $TMSN_3$ under the same reaction conditions, and was found to perform equally as well, where the product **2b** was isolated in 71 % yield (table 1, entry 9). Conversely, the use of tetrabutylammonium azide in place of $TMSN_3$ induced a dramatic decrease of the reaction yield to 11 % (table 1, entry 10).

Table 1. Optimization of the reaction conditions[a]

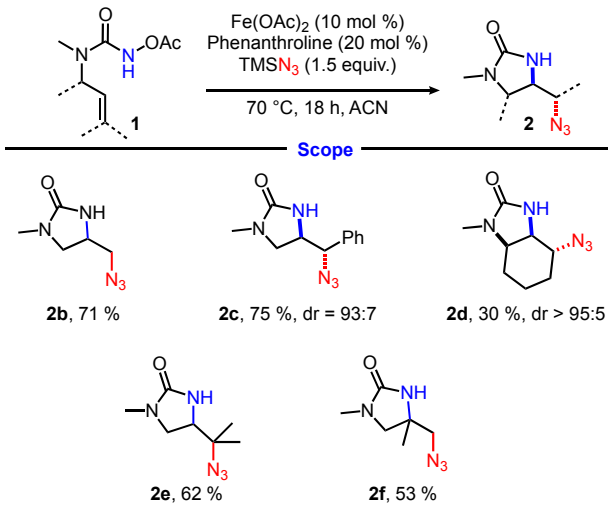


Entry[a]	T °C	Substrate	Product	Yield (%)[b]
1	r.t.	 1a	 2a	Trace
2	50 °C	 1a	**2a**	40
3	50 °C	 1aa	**2a**	29
4	50 °C	 1ab	**2a**	22
5	50 °C	 1ac	**2a**	50
6	50 °C	 1b	 2b	38
7	70 °C	**1b**	**2b**	71
8	100 °C	**1b**	**2b**	71
9[c]	70 °C	**1b**	**2b**	71
10[d]	70 °C	**1b**	**2b**	11

(a) Reactions were performed under Ar using substrate **1** (1 equiv.), $Fe(OAc)_2$ (10 mol %), phenanthroline (20 mol %), $TMSN_3$ (1.5 equiv.) in ACN for 18 h at the required temperature. (b) Isolated yields. (c) NaN_3 (1.5 equiv.) was used instead of $TMSN_3$. (d) $TBAN_3$ (1.5 equiv.) was used instead of $TMSN_3$.

Having in hand the optimized conditions, the scope of this process was studied for the preparation of a series of functionalized azido-imidazolidinone products (scheme 1). Using our mild conditions, the synthesis of the phenyl-substituted imidazolidinone derivative **2c** occurred efficiently with 75 % yield and a good diastereomeric ratio (dr = 93:7, scheme 1) starting with *E*-alkene substrate. On the other hand, the bicyclic azido-cyclohexyl-imidazolidinone product **2d** arising from the corresponding *Z*-alkene was isolated with a modest 30 % yield, but with an excellent dr (> 95:5). Note that both products **2c** and **2d** arose from an *anti*-addition process. Satisfyingly, the use of challenging trisubstituted alkenes as substrates was found to be well tolerated. The azido-propyl-imidazolidinone **2e** and the azido-methyl-imidazolidinone **2f** were obtained with a 62 % and 53 % yield respectively.

Scheme 1. Scope for the imidazolidinone family[a]

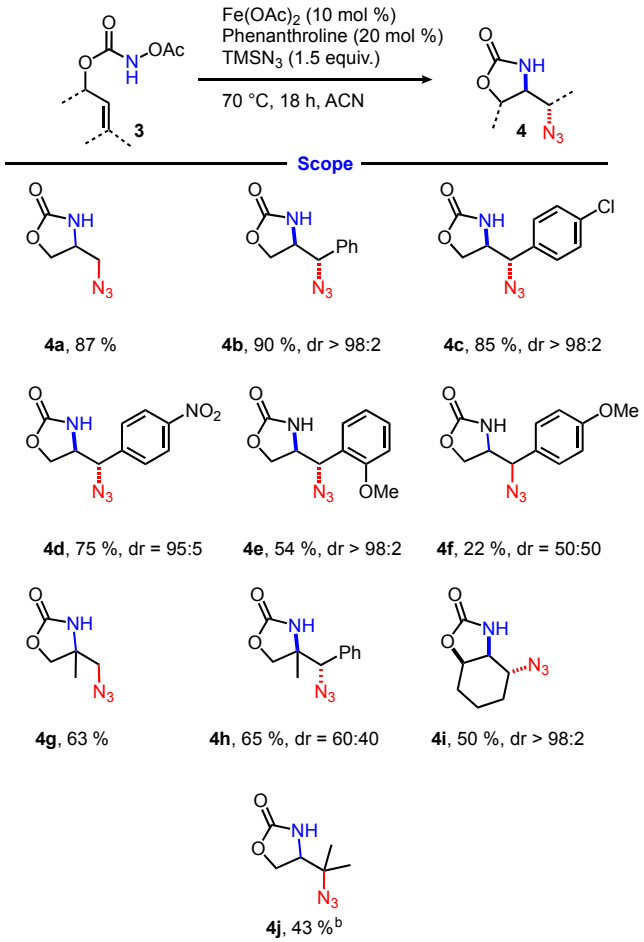


(a) Reactions were performed under Ar using substrates **1** (1 equiv.), $Fe(OAc)_2$ (10 mol %), phenanthroline (20 mol %) in ACN for 18 h at 70 °C; Isolated yields; dr were determined by ^1H NMR on the crude mixture.

In order to demonstrate the efficiency of our methodology, the preparation of two other families of heterocycles was envisioned. The access to oxazolidinone derivatives was first investigated (scheme 2). The allyl acetoxycarbamate substrates **3** were submitted to the reaction conditions. Gratifyingly, the unsubstituted model product **4a** was isolated with an excellent 87 % yield (scheme 2). A series of *E*- cinnamyl substrates were next evaluated. The azido-phenyl compound **4b** was formed in high yield with a high diastereoselectivity favoring the *anti*-addition mechanism (90 % yield, dr > 98:2). Substrates bearing a phenyl ring substituted with electron-withdrawing chloro- and nitro- substituents at the *para* position reacted smoothly. The chloride product **4c** was obtained with an excellent 85 % yield as a single diastereomer, and the nitroso product **4d** with a good 75 % yield and dr = 95:5. On the other hand substrates with an electron enriched phenyl ring were less efficient. Although the azido-2-methoxyphenyl oxazolidinone product **4e** was isolated as a single diastereomer (dr > 98:2), the yield was moderate (54 %). A more dramatic decrease in the reaction efficiency was observed for the formation of the azido-4-methoxyphenyl oxazolidinone product **4f** which was isolated

with a poor 22 % yield and no diastereoselectivity (dr = 50:50) while the product arising from the competitive aminoacetoxylation was isolated in 40 % yield. Compared to aryl substituents, alkyl functionalized alkene substrates showed moderate results. The azidomethyl methyloxazolidinone **4g** and the azidobenzyl methyloxazolidinone **4h** were isolated with 63 % and 65 % yield respectively, and poor diastereoselectivity (dr = 60:40 for **4h**). A complete diastereoselectivity was observed for the synthesis of the cyclohexyl compound **4i** which was isolated as a single isomer in 50 % yield. Finally, the azido-dimethyl oxazolidinone **4j** was isolated with a moderate 43 % yield in line with the steric hindrance of the alkene double bond.

Scheme 2. Scope for the oxazolidinone family[a]

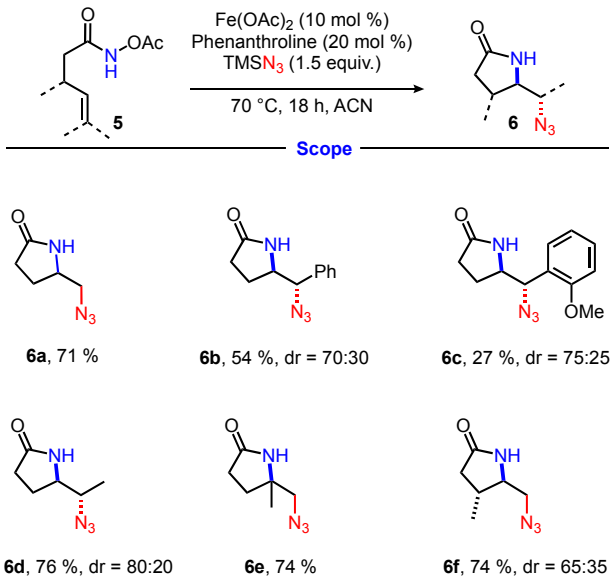


(a) Reactions were performed under Ar using substrates **3** (1 equiv.), $Fe(OAc)_2$ (10 mol %), phenanthroline (20 mol %) in ACN for 18 h at 70 °C; Isolated yields; dr were determined by ^1H NMR on the crude mixture. (b) DCM:ACN (9:1) was used as solvent.

Finally, the access to the pyrrolidinone family was explored. A series of acetoxy-pentenamide substrates **5**, devoid of any Thorpe-Ingold bias, were engaged in the aminoazidation process (scheme 3). The unsubstituted azido-lactam **6a** was formed smoothly in a good 71 % yield. The cinnamyl substrates were found to be less

reactive than for the other series. The azido-phenyl pyrrolidinone **6b** was isolated with moderate yield and diastereoselectivity (54 % yield, dr = 70:30) whereas, the sterically demanding azido-2-methoxy-phenyl pyrrolidinone **6c** was obtained with a poor reactivity (27 % yield, dr = 75:25) along with the product arising from the competitive aminoacetoxylation (25 % yield). In this series, internal and terminal dialkylalkenes reacted satisfyingly. The azidoethyl pyrrolidinone derivative **6d** was isolated with a good 76 % yield and a moderate diastereoselectivity (dr = 80:20) while the 5-azidomethyl-5-methylpyrrolidinone **6e** was obtained in 74 % yield. Finally the 5-azidomethyl-4-methylpyrrolidinone **6f** was isolated in 74 % yield with a poor diasteroselectivity in favor of the *trans* diasteromer (dr = 65:35).

Scheme 3. Scope for the lactam family[a]



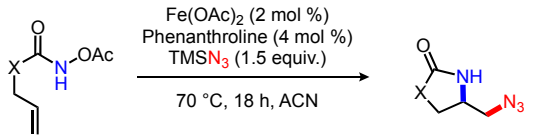
(a) Reactions were performed under Ar using substrates **5** (1 equiv.), $Fe(OAc)_2$ (10 mol %), phenanthroline (20 mol %) in ACN for 18 h at 70 °C; Isolated yields; dr were determined by ^1H NMR on the crude mixture.

The results reported herein are in agreement with our proposed substrate dependent mechanism for the iron-catalyzed oxyamination.[5] Oxidative addition of the N-O bond onto the iron(II) catalyst generates an iron imido/nitrene complex. In the major path, the complex reacts stereospecifically with the olefin to afford an aziridine intermediate. The following S_N2 opening of the aziridine by the azide leads to the formation of the major *anti* isomer. In the minor path, the iron imido/nitrene complex evolves through a stepwise amino-cyclisation to a carbo-radical species that is rapidly oxidized to the corresponding carbocation. The latter can be trapped intramolecularly to generate the aziridine or directly by the azide without stereocontrol. This path gains importance for sterically hindered olefin, potentially leading to congested aziridine, and for substrates leading to stabilized carboradical or carbocation intermediates. It is noteworthy to highlight that the trapping of the carbocation by the azide is in competition with the trapping by the released acetate that leads to the aminoacetoxylated product which was observed during the formation of **4f** and **6c** (vide supra).

In order to demonstrate the applicability of our methodology, two experiments were performed on a 1 gram scale, using substrate **1b**

(5.8 mmol) and **3a** (6.3 mmol) with a decreased catalyst loading. The azido imidazolidinone **2b** and the azido oxazolidinone product **4a** were respectively isolated in 63 and 80 % yield after 18 h using only 2 mol % of the iron catalyst (scheme 4).

Scheme 4. Gram-scale experiment and decrease of the catalyst loading

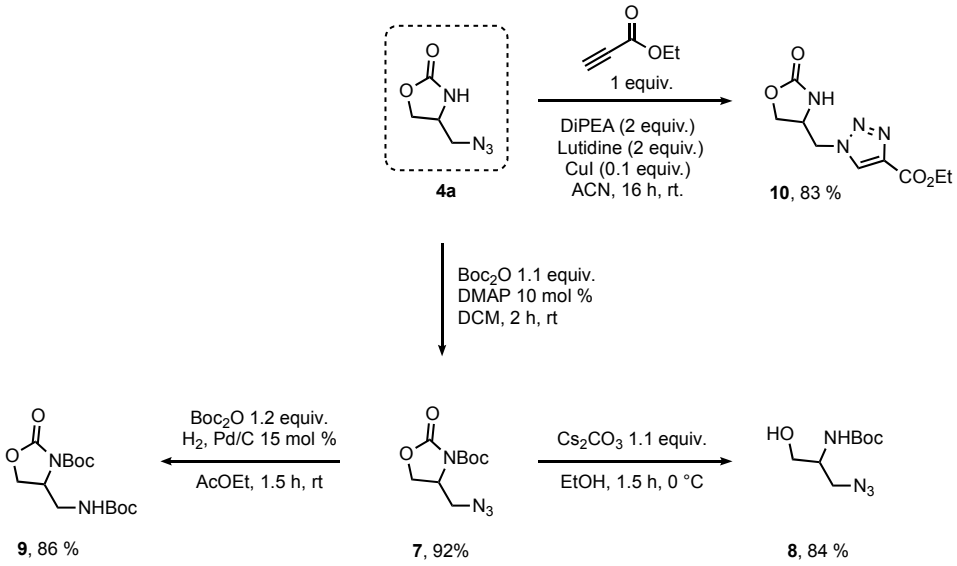


1b (X=NMe) **1 g**
3a (X=O) **1 g**

2b 63 % yield, **570 mg**
4a 80 % yield, **710 mg**

Finally, to illustrate the versatility of the azido products synthesized, some post-functionalization reactions were carried out on compound **4a** (scheme 5). First, the carbamate moiety was protected with a BOC group to afford **7** in an excellent 92 % yield. The cleavage of the oxazolidinone core in basic conditions furnished the azido-amino-alcohol **8** in 84 % yield. From **7**, the reduction of the azide and protection of the nitrogen atoms gave access to the di-Boc-protected oxazolidinone derivative **9** in 86 % yield. Finally, the azide **4a** was submitted to classical click reaction conditions with ethyl propiolate, leading to the formation of the complex oxazolidinone **10**, isolated with an 83 % yield.

Scheme 5. Post-functionalization reactions



CONCLUSION

To conclude, we have developed mild conditions for the iron-catalyzed intra-intermolecular aminoazidation of alkenes. Our methodology proved to be applicable for three main families of heterocycles: imidazolidinone, oxazolidinone and pyrrolidinone derivatives. Moreover, we demonstrated the great potential of this reaction for an industrial purpose, as the catalyst loading could be decreased to 2 mol % in a scale-up experiment. Finally, the molecules synthesized appeared as valuable building blocks for post-functionalization reactions.

EXPERIMENTAL SECTION

General Information:

All reagents were purchased from chemical suppliers and used without further purification. Reactions were performed under an argon atmosphere. DCM was dried using a Pure Solv Micro solvent purification system. Dry THF and acetonitrile were purchased from chemical suppliers. Analytical thin layer chromatography was performed on commercial silica gel plates 60F254. Flash column chromatography was performed on silica gel 60 (40–63 μm). NMR spectra were recorded on a 500 MHz spectrometer as specified. Chemical shifts (δ) are reported in ppm relative to tetramethylsilane (δ 0.00 ppm) or the $CHCl_3$ residual peak (δ 7.26) or for the CH_3OH residual peak (δ 3.31) for ^1H NMR. Chemical shifts of ^{13}C NMR are reported relative to $CDCl_3$ (δ 77.16) or CD_3OD (δ 49.00). Coupling constant (*J*) are reported in Hertz unit (Hz). Multiplicities are described with standard following abbreviations: s = singlet, br = broad, d = doublet, t = triplet, q = quadruplet, m = multiplet. Low resolution mass spectra (LRMS) were recorded with an ion trap mass analyzer under electrospray ionization (ESI) in positive or negative ionization mode detection or atmospheric pressure chemical ionization (APCI). High resolution mass spectra (HRMS) were recorded with a TOF mass analyzer under electrospray ionization (ESI) in positive or negative ionization mode detection, atmospheric pressure chemical

ionization or atmospheric pressure photoionization (APPI). Melting points were measured on a Köfler bench. IR spectra were recorded on a FT-IR spectrophotometer, and the wavelengths reported in cm^{-1}.

Typical procedure for the iron catalyzed amino-azidation:

In a sealed tube, 10 mol % of $Fe(OAc)_2$ (5.1 mg, 0.029 mmol) and 20 mol % of phenanthroline (10.5 mg, 0.058 mmol) were placed in ACN (1.45 mL, C = 0.2 M) under argon. The solution was stirred for 10 minutes at room temperature and then 1.0 equiv. of the desired alkene substrate (0.29 mmol) was added followed by 1.5 equiv. of $TMSN_3$ (60 μL, 0.44 mmol). The deep red solution was stirred at 70 °C for 18h. The reaction mixture was then diluted with a saturated aqueous solution of $NaHCO_3$ (10 mL) to quench the unreacted $TMSN_3$ reagent. The aqueous layer was extracted with DCM (10 mL, 3 times). The combined organic phases were dried over $MgSO_4$, filtered and the solvents were removed under reduced pressure (T°C < 50°C). The crude residue was purified by silica gel flash chromatography using cyclohexane/AcOEt as eluent.

4-(azidomethyl)-1-methylimidazolidin-2-one (2b)

Beige solid; 32 mg, 71 % Yield; m.p. 72-74 °C; ^1H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.62 (brs, 1H), 3.82-3.75 (m, 1H), 3.51 (t, J = 9 Hz, 1H), 3.42-3.32 (m, 2H), 3.14 (dd, J = 5 Hz, 9 Hz, 1H), 2.76

(s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 161.9, 55.0, 50.5, 49.1, 30.5; IR (ν = cm^{-1}): 3274, 2930, 2868, 2103, 1697, 1502, 1275; MS (ESI): m/z = 156.17 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_5H_{10}N_5O$ [M+H$^+$] 156.08799, found 156.08784.

4-(azido(phenyl)methyl)-1-methylimidazolidin-2-one (2c)

White solid; 50 mg, 75 % Yield; d.r. = 93:7; m.p. 94-96 °C; major diastereoisomer: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.46-7.38 (m, 3H), 7.35-7.30 (m, 2H), 4.42 (d, *J* = 8.5 Hz, 1H), 4.15 (brs, 1H), 3.77 (dt, *J* = 9 Hz, 5 Hz, 1H), 3.56 (t, *J* = 9 Hz, 1H), 3.41 (dd, *J* = 5.5 Hz, 9.5 Hz, 1H), 2.78 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 161.2, 135.7, 129.5, 129.4, 127.8, 68.8, 53.9, 50.7, 30.5; IR (ν = cm^{-1}): 3212, 2096, 2924, 2853, 2101, 1691, 1274, 1244, 701; MS (ESI): m/z = 232.25 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{11}H_{14}N_5O$ [M+H$^+$] 232.11929, found 232.11900.

4-azido-1-methyloctahydro-2*H*-benzo[*d*]imidazol-2-one (2d)

Colourless oil; 17 mg, 30 % Yield; d.r. > 95:5; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.11 (brs, 1H), 3.58-3.53 (m, 1H), 3.37-3.30 (m, 1H), 3.16 (t, *J* = 7.5 Hz, 1H), 2.69 (s, 3H), 2.04-1.95 (m, 2H), 1.74-1.67 (m, 1H), 1.62-1.53 (m, 1H), 1.44 (tq, *J* = 3 Hz, 12 Hz, 1H), 1.34 (dq, *J* = 3 Hz, 12 Hz, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 163.1, 64.0, 57.9, 55.2, 28.5, 27.5, 24.6, 18.7; IR (ν = cm^-

[1]): 3241, 2069, 2940, 2864, 2099, 1702, 1444, 1433, 1256; MS (ESI): m/z 196.3 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_8H_{14}N_5O$ [M+H$^+$] 196.11929, found 196.12019.

4-(2-azidopropan-2-yl)-1-methylimidazolidin-2-one (2e)

White solid; 33 mg, 62 % Yield; m.p. 116-118 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.62 (brs, 1H), 3.55 (dd, J = 6.5 Hz, 9.5 Hz, 1H), 3.42 (t, J = 9.5 Hz, 1H), 3.23 (dd, J = 6.5 Hz, 9.5 Hz, 1H), 2.76 (s, 3H), 1.28 (s, 3H), 1.24 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 162.0, 62.9, 57.3, 48.7, 30.4, 22.1, 21.5; IR (ν = cm^{-1}): 3218, 2091, 2980, 2929, 2877, 2095, 1684, 1515, 1269; MS (ESI): m/z = 184.28 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_7H_{14}N_5O$ [M+H$^+$] 184.11929, found 184.11920.

4-(azidomethyl)-1,4-dimethylimidazolidin-2-one (2f)

Colourless oil; 26 mg, 53 % Yield; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 4.90 (brs, 1H), 3.36 (d, J = 12 Hz, 1H), 3.29 (d, J = 11.5 Hz, 1H), 3.27 (d, J = 9 Hz, 1H), 3.10 (d, J = 9.5 Hz, 1H), 2.77 (s, 3H), 1.32 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 161.0, 59.4, 56.8, 54.8, 30.5, 24.7; IR (ν = cm^{-1}): 3262, 2973, 2931, 2867, 2103, 1698, 1502, 1297, 1261, 1032, 763; MS (ESI): m/z 170.30 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_6H_{12}N_5O$ [M+H$^+$] 170.10364, found 170.10445.

4-(azidomethyl)oxazolidin-2-one (4a)

White solid; 36 mg, 87 % Yield; m.p. 68-70 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 6.42 (brs, 1H), 4.87 (t, J = 9 Hz, 1H), 4.17 (dd, J = 5 Hz, 9 Hz, 1H), 4.05 – 3.98 (m, 1H), 3.51 (dd, J = 5 Hz, 12.5 Hz, 1H), 3.43 (dd, J = 5.5 Hz, 12 Hz, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 159.7, 67.6, 54.2, 51.8; IR (ν = cm^{-1}): 3671, 3212, 3122, 2987, 2923, 294, 1726, 1242, 1008, 698; MS (ESI): m/z = 165.03 [M+Na$^+$]; HRMS (ESI): m/z calcd for $C_4H_7N_4O_2$ [M+H$^+$] 143.05635, found 143.05612.

4-(azido(phenyl)methyl)oxazolidin-2-one (4b)

White solid; 57 mg, 90 % Yield; dr > 98:2; m.p. 80-82 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.48-7.40 (m, 3H), 7.36-7.31 (m, 2H), 5.18 (brs, 1H), 4.52 (d, J = 8 Hz, 1H), 4.47 (dd, J = 8 Hz, 9 Hz, 1H), 4.39 (dd, J = 5 Hz, 9 Hz, 1H), 3.98 (tdd, J = 1 Hz, 5 Hz, 8.5 Hz, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 158.7, 134.9, 129.8, 129.7, 127.6, 68.3, 67.7, 56.5; IR (ν = cm^{-1}): 3232, 3136, 2926, 2105, 1731, 1241, 1033, 1024; MS (ESI): m/z = 219.25 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{10}H_{11}N_4O_2$ [M+H$^+$] 219.08765, found 219.08745.

4-(azido(4-chlorophenyl)methyl)oxazolidin-2-one (4c)

White solid; 58 mg, 85 % Yield; dr > 98:2; m.p. 112 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.42 (d, J = 8.5 Hz, 2H), 7.28 (d, J = 8 Hz, 2H), 5.98 (brs, 1H), 4.57 (d, J = 7.5 Hz, 1H), 4.40 (dd, J = 8.5 Hz, 9.5 Hz, 1H), 4.32 (dd, J = 4.5 Hz, 9 Hz, 1H), 4.01-3.95 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 159.2, 135.6, 133.4, 129.8, 128.9, 67.4, 67.2, 56.6; IR (ν = cm^{-1}): 3403, 2987, 2915, 2102, 1784, 1750, 1212, 1017; MS (ESI): m/z = 250.92 [M-H$^+$]; HRMS (ESI): m/z calcd for $C_{10}H_8ClN_4O_2$ [M-H$^+$] 251.03413, found 251.03398.

4-(azido(4-nitrophenyl)methyl)oxazolidin-2-one (4d)

Pale yellow solid; 57 mg, 75 % Yield; dr = 95:5; m.p. 124-126 °C; major diastereoisomer : ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 8.32 (d, J = 9 Hz, 2H), 7.56 (d, J = 8.5 Hz, 2H), 5.73 (brs, 1H), 4.70 (d, J = 7 Hz, 1H), 4.45 (t, J = 9 Hz, 1Hz, 1H), 4.36 (dd, J = 3.5 Hz, 9.5 Hz, 1H), 4.07-4.00 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 158.8, 148.8, 142.0, 128.6, 124.8, 67.4, 67.2, 56.5; IR (ν = cm^{-1}): 3142, 3116, 2977, 2899, 2120, 1750, 1517, 1350, 744; HRMS (ESI): m/z calcd for $C_{10}H_8N_5O_4$ [M-H$^+$] 262.05818, found 262.05859.

4-(azido(2-methoxyphenyl)methyl)oxazolidin-2-one (4e)

White solid; 39 mg, 54 % Yield; dr > 98:2; m.p. 112-114 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.38 (td, J = 1.5 Hz, 8.5 Hz, 1H), 7.32 (dd, J = 1.5 Hz, 7.5 Hz, 1H), 7.05 (t, J = 7.5 Hz, 1H), 6.97 (d,

J = 8 Hz, 1H), 5.04 (d, *J* = 7.5 Hz, 1H), 4.90 (brs, 1H), 4.43-4.34 (m, 2H), 4.18-4.12 (m, 1H), 3.87 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 158.8, 157.2, 130.8, 128.2, 122.8, 121.5, 111.3, 67.5, 62.2, 55.8, 54.9; IR (ν = cm^{-1}): 3231, 2970, 2915, 2837, 2118, 1741, 1724, 1248, 1236, 1029, 1020, 757; MS (ESI): m/z = 249.20 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{11}H_{13}N_4O_3$ [M+H$^+$] 249.09931, found 249.09782.

4-(azido(4-methoxyphenyl)methyl)oxazolidin-2-one (4f)

Sticky yellow paste; 16 mg, 22 % Yield; dr = 50:50; mixture of diastereoisomers: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.25 (t, *J* = 8 Hz, 4H), 7.00-6.94 (m, 4H), 5.96 (brs, 1H), 5.35 (brs, 1H), 4.51-4.43 (m, 3H), 4.36 (dd, *J* = 4.5 Hz, 9 Hz, 1H), 4.22-4.15 (m, 1H), 4.03-3.94 (m, 3H), 3.84 (s, 3H), 3.83 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 160.7, 160.6, 158.9, 129.1, 128.9, 126.7, 126.1, 115.0, 69.0, 67.8, 67.7, 66.7, 56.7, 56.4, 55.5; IR (ν = cm^{-1}): 3302, 2966, 2837, 2107, 1753, 1514, 1248, 1030; MS (ESI): m/z = 271.25 [M+Na$^+$]; HRMS (ESI): m/z calcd for $C_{11}H_{13}N_4O_3$ [M+H$^+$] 249.09822, found 249.09782.

4-(azidomethyl)-4-methyloxazolidin-2-one (4g)

Colourless oil; 28.5 mg, 63 % Yield; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 6.75 (brs, 1H), 4.25 (d, *J* = 9 Hz, 1H), 4.02 (d, *J* = 9 Hz, 1H),

3.45 (d, J = 12.5, 1H), 3.32 (d, J = 12.5, 1H), 1.37 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 159.3, 73.4, 58.5, 58.1, 23.7; IR (ν = cm^{-1}): 3278, 2979, 2917, 2105, 1742, 1244, 1042; MS (ESI): m/z = 157.16 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_5H_9N_4O_2$ [M+H$^+$] 157.07200, found 157.07179.

4-(azido(phenyl)methyl)-4-methyloxazolidin-2-one (4h)

White solid; 44 mg, 65 % Yield; d.r. = 60:40; m.p. 96-98 °C; mixture of diastereoisomers: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.45-7.37 (m, 5H $_{dia\ maj}$), 7.37-7.30 (m, 3.5H $_{dia\ min}$), 6.57 (brs, 0.7H $_{dia\ min}$), 6.22 (brs, 1H $_{dia\ maj}$), 4.63 (s, 1H $_{dia\ maj}$), 4.60 (s, 0.7 $_{dia\ min}$), 4.45 (d, J = 9 Hz, 1H $_{dia\ maj}$), 4.44 (d, J = 9 Hz, 0.7 $_{dia\ min}$), 3.98 (d, J = 9 Hz, 1H $_{dia\ maj}$), 3.89 (d, J = 9 Hz, 0.7H $_{dia\ min}$), 1.30 (s, 2.1H $_{dia\ min}$), 1.28 (s, 3H $_{dia\ maj}$); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 158.9, 158.8, 134.3, 129.3, 129.0, 128.2, 128.1, 73.2, 72.7, 71.8, 71.5, 60.7, 60.6, 23.0, 22.6; IR (ν = cm^{-1}): 3675, 3273, 2988, 2901, 2109, 1734, 1722, 1258, 1043, 706; MS (ESI): m/z = 233.15 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{11}H_{13}N_4O_2$ [M+H$^+$] 233.10440, found 233.10300.

4-azidohexahydrobenzo[*d*]oxazol-2(3*H*)-one (4i)

White solid; 26 mg, 50 % Yield; d.r. > 98:2; m.p. 84 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.37 (brs, 1H), 4.73-4.68 (m, 1H), 3.44-3.38 (m, 1H), 3.32 (dd, J = 6 Hz, 8.5 Hz, 1H), 2.27-2.20 (m, 1H),

2.11-2.03 (m, 1H), 1.83-1.75 (m, 1H), 1,72-1.59 (m, 2H), 1.42-1.30 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 159.1, 76.6, 64.1, 57.2, 26.7, 26.2, 18.5; IR (ν = cm^{-1}): 3310, 2960, 2883, 2116, 2095, 1739, 1226; MS (ESI): m/z = 183.21 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_7H_{11}N_4O_2$ [M+H$^+$] 183.08765, found 183.08746.

4-(2-azidopropan-2-yl)oxazolidin-2-one (4j)

White solid; 21 mg, 43 % Yield (30 % Yield in pure ACN); m.p. 80 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.66 (brs, 1H), 4.42 (t, J = 9 Hz, 1H), 4.25 (dd, J = 5 Hz, 9.5 Hz, 1H), 3.72 (ddd, J = 1.5 Hz, 5 Hz, 9 Hz, 1H), 1.33 (s, 3H), 1,30 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 159.2, 66.1, 62.5, 59.7, 21.6, 21.5; IR (ν = cm^{-1}): 3242, 3139, 2976, 2112, 2096, 1736, 1271, 1248; MS (ESI): m/z = 171.25 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_6H_{11}N_4O_2$ [M+H$^+$] 171.08765, found 171.08740.

5-(azidomethyl)pyrrolidin-2-one (6a)

Pale red oil; 29 mg, 71 % Yield; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 6.48 (brs, 1H), 3.86-3.77 (m, 1H), 3.47 (dd, J = 4.5, 12.5 Hz, 1H), 3.30 (dd, J = 7, 12.5 Hz, 1H), 2.46-2.33 (m, 2H), 2.33-2.24 (m, 1H), 1.87-1.78 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 178.2, 56.2, 53.6, 29.7, 24.2; IR (ν = cm^{-1}): 3659, 3229, 3101, 2972,

2925, 2101, 1688, 1278; MS (ESI): m/z 141.3 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_5H_9N_4O$ [M+H$^+$] 141.07709, found 141.07787.

5-(azido(phenyl)methyl)pyrrolidin-2-one (6b)

Colourless oil; 34 mg, 54 % Yield; d.r. = 70:30; major diastereoisomer: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.46 – 7.36 (m, 3H), 7.35-7.29 (m, 2H), 5.91 (brs, 1H), 4.44 (d, J = 7 Hz, 1H), 3.90-3.80 (m, 1H), 2.34-2.14 (m, 3H), 2.07-1.98 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 178.2, 135.7, 129.3, 127.7, 69.6, 58.3, 29.5, 23.7; IR (ν = cm^{-1}): 3214, 3090, 3028, 2926, 2102, 1693, 1250, 702; MS (ESI): m/z 217.2 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{11}H_{13}N_4O$ [M+H$^+$] 217.10839, found 217.10947.

5-(azido(2-methoxyphenyl)methyl)pyrrolidin-2-one (6c)

Pale red oil; 19 mg, 27 % Yield; d.r. = 75:25; major diastereoisomer: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.38-7.28 (m, 2H), 7.06-7.00 (m, 1H), 6.95 (d, J = 8.5 Hz, 1H), 5.93 (brs, 1H), 4.96 (d, J = 7.5 Hz, 1H), 3.94-3.88 (m, 1H), 3.86 (s, 3H), 2.43-2.32 (m, 1H), 2.31-2.23 (m, 1H), 2.07-1.98 (m, 1H), 1.89-1.76 (m, 1H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 177.9, 157.1, 130.2, 128.0, 124.0, 121.3, 111.2, 64.1, 57.5, 55.7, 29.9, 23.7; IR (ν = cm^{-1}): 3212, 3077, 2940, 2840, 2102, 1694, 1493, 1247, 756; MS (ESI): m/z

= 247.19 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_{12}H_{15}N_4O_2$ [M+H$^+$] 247.11895, found 247.11847.

5-(1-azidoethyl)pyrrolidin-2-one (6d)

Melting white solid; 34 mg, 76 % Yield; d.r. = 80:20; major diastereoisomer: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.18 (brs, 1H), 3.62 (dt, J = 5 Hz, 8 Hz, 1H), 3.59-3.53 (m, 1H), 2.43-2.35 (m, 1H), 2.34-2.26 (m, 1H), 2.24-2.14 (m, 1H), 1.97-1.88 (m, 1H), 1.27 (d, J = 6.5 Hz, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 179.1, 61.0, 58.4, 29.8, 22.6, 15.5; IR (ν = cm^{-1}): 3217, 2978, 2934, 2109, 1693, 1265; MS (ESI): m/z = 177.32 [M+Na$^+$]; HRMS (ESI): m/z calcd for $C_6H_{11}N_4O$ [M+H$^+$] 155.09274, found 155.09250.

5-(azidomethyl)-5-methylpyrrolidin-2-one (6e)

Colourless oil; 33 mg, 74 % Yield; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.13 (brs, 1H), 3.35 (d, J = 12 Hz, 1H), 3.26 (d, J = 12.5 Hz, 1H), 2.50-2.35 (m, 2H), 2.02 (ddd, J = 5.5 Hz, 9.5 Hz, 13 Hz, 1H), 1.90-1.81 (m, 1H), 1.30 (s, 3H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 177.8, 60.6, 59.7, 31.4, 30.5, 25.6.; IR (ν = cm^{-1}): 3223, 2972, 2932, 2102, 1690, 1420, 1383, 1301, 1249; MS (ESI): m/z = 155.2 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_6H_{11}N_4O$ [M+H$^+$] 155.09274, found 155.09238.

5-(azidomethyl)-4-methylpyrrolidin-2-one (6f)

Colourless oil; 33 mg, 74 % Yield; d.r. = 65:35; mixture of diastereoisomers: ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 7.16 (brs, 1.5H $_{dia\ min + dia\ maj}$), 3.69 (td, J = 4.5 Hz, 7.5 Hz, 0.5H $_{dia\ min}$), 3.48 (dt, J = 4 Hz, 12 Hz, 1.5H $_{dia\ min + dia\ maj}$), 3.36-3.31 (m, 1.5H $_{dia\ min + dia\ maj}$), 3.27 (dd, J = 6.5 Hz, 12 Hz, 1H $_{dia\ maj}$), 2.70-2.61 (m, 0.5H $_{dia\ min}$), 2.56 (dd, J = 8.5 Hz, 17 Hz, 1H $_{dia\ maj}$), 2.41 (dd, J = 8.5 Hz, 16.5 Hz, 0.5H $_{dia\ min}$), 2.23-2.14 (m, 1H $_{dia\ maj}$), 2.08 (dd, J = 9 Hz, 17 Hz, 0.5H $_{dia\ min}$), 1.99 (dd, J = 6.5 Hz, 17 Hz, 1H $_{dia\ maj}$), 1.14 (d, J = 7.5 Hz, 3H $_{dia\ maj}$), 1.06 (d, J = 7.5 Hz, 1.5H $_{dia\ min}$); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 178.2, 177.9, 61.2, 56.5, 55.0, 52.6, 38.5, 38.1, 32.6, 31.7, 19.5, 14.6; IR (ν = cm^{-1}): 3229, 2965, 2930, 2873, 2103, 1691, 1439, 1382, 1306, 1274; MS (ESI): m/z = 155.2 [M+H$^+$]; HRMS (ESI): m/z calcd for $C_6H_{11}N_4O$ [M+H]$^+$ 155.09274, found 155.09270.

***tert*-butyl 4-(azidomethyl)-2-oxooxazolidine-3-carboxylate (7)**

In a round bottom flask 1 equivalent of compound **4a** (100 mg, 0.70 mmol) was placed in DCM (7 mL, 0.1 M) under argon. Then 1.1 equiv. of Boc_2O (0.17 mL, 0.77 mmol) and 0.1 equiv. of DMAP (8.6 mg, 0.070 mmol) were added. The solution was stirred at r.t. for 2 h. The reaction mixture was concentrated under reduced pressure, then the crude residue was purified by silica gel flash chromatography using cyclohexane/ AcOEt (from 70/30 to 0/100) as eluent to obtain **7**.

White solid; 157 mg, 92 % Yield; m.p. 87-90 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 4.40-4.33 (m, 2H), 4.19 (dd, J = 8 Hz, 2.5 Hz, 1H), 3.74 (dd, J = 13 Hz, 6 Hz, 1H), 3.60 (dd, J = 12.5 Hz, 2.5 Hz, 1H), 1.56 (s, 9H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 151.6, 149.4, 84.9, 64.6, 53.9, 51.9, 28.1; IR (ν = cm^{-1}): 3669, 2977, 2899, 2098, 1801, 1398, 1363, 1287, 1078, 1066, 1016, 776; MS (ESI): m/z 265.2 [M+Na^+]; HRMS (ESI): m/z calcd for $C_9H_{14}N_4O_4Na$ [M+Na^+] 265.09073, found 265.09152.

***tert*-butyl (1-azido-3-hydroxypropan-2-yl)carbamate (8)**

In a round bottom flask 1 equivalent of compound **7** (137 mg, 0.57 mmol) was placed in EtOH (14 mL, 0.04 M) under argon. Then 1 equiv. of Cs_2CO_3 (184 mg, 0.57 mmol) was added. The suspension was stirred at 0 °C for 1h30. The reaction mixture was quenched with a saturated aqueous solution of NH_4Cl (20 mL) and extracted with DCM (20 mL, 4 times). The combined organic phases were dried over $MgSO_4$, filtered and the solvents were removed under reduced pressure. The crude residue was purified by silica gel flash chromatography using cyclohexane/ AcOEt (from 80/20 to 0/100 ratio) as eluent to obtain **8**.

Colourless oil; 103 mg, 84 % Yield; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 5.06 (brs, 1H), 3.76 (brs, 1H), 3.72 (dd, J = 4.5 Hz, 11 Hz, 1H), 3.65 (dd, J = 5 Hz, 11 Hz, 1H), 3.57-3.43 (m, 2H), 2.60 (brs, 1H), 1.44 (s, 9H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 155.9, 80.3,

62.6, 51.7, 51.6, 28.5; IR (ν = cm^{-1}): 3416, 3346, 2979, 2930, 2878, 2102, 1686, 1516, 1367, 1285, 1251, 1166, 1060, 1026; MS (ESI): m/z 239.2 [M+Na^+]; HRMS (ESI): m/z calcd for $C_8H_{16}N_4O_3Na$ [M+Na^+] 239.11146, found 239.11258.

***tert*-butyl 4-(((*tert*-butoxycarbonyl)amino)methyl)-2-oxooxazolidine-3-carboxylate (9)**

In a round bottom flask 1 equivalent of compound **7** (170 mg, 0.70 mmol) was placed in AcOEt (5 mL, 0.14 M). Then 1.2 equiv. of Boc_2O (0.18 mL, 0.84 mmol) and 15 mol % w/w of Pd (10 % on activated carbon, 26 mg, 0.24 mmol) were added. The solution was stirred at r.t. for 2 h under H_2 atmosphere (balloon). The reaction mixture was then filtered on celite® and the solid washed with ethyl acetate (20 mL). The solvent was removed under reduced pressure and the crude residue was purified by silica gel flash chromatography using pentane/AcOEt (from 80/20 to 50/50 ratio) as eluent to obtain **9**. White solid; 192 mg, 86 % Yield; m.p. 150-152 °C; ^{1}H RMN ($CDCl_3$, 500 MHz, ppm) δ = 4.85 (brs, 1H), 4.37-4.31 (m, 2H), 4.27 (dd, *J* = 8 Hz, 2 Hz, 1H), 3.54-3.45 (m, 2H), 1.56 (s, 9H), 1.44 (s, 9H); ^{13}C RMN ($CDCl_3$, 125 MHz, ppm) δ = 156.5, 152.2, 149.6, 84.5, 80.4, 65.0, 55.3, 42.1, 28.4, 28.1; IR (ν = cm^{-1}): 3370, 2983, 2963, 2933, 1821, 1699, 1522, 1388, 1304, 1163, 1088, 1055, 766; MS (ESI): m/z 339.3 [M+Na^+]; HRMS (ESI): m/z calcd for $C_{14}H_{24}N_2O_6Na$ [M+Na^+] 339.15266, found 339.15384.

Ethyl 1-((2-oxooxazolidin-4-yl)methyl)-1*H*-1,2,3-triazole-4-carboxylate (10)

In a round bottom flask 1 equivalent of ethyl propiolate (0.071 mL, 0.70 mmol) was placed in ACN (4.4 mL, 0.16 M) under argon. Then 2 equiv. of DiPEA (0.23 mL, 1.41 mmol), 2 equiv. of lutidine (0.160 mL, 1.41 mmol) and 0.1 equiv. of CuI (0.013 mg, 0.070 mmol) were sequentially added followed by 1 equiv. of the azido compound **4a** (0.100 g, 0.70 mmol). The clear orange solution was stirred at r.t. for 16 h. The solvent was then removed under reduced pressure and the residue solubilized with AcOEt (10 mL). The reaction mixture was quenched with a saturated aqueous solution of NaCl (10 mL) and the aqueous phase extracted with AcOEt (10 mL, 10 times). The combined organic phases were dried over $MgSO_4$, filtered and the solvent was removed under reduced pressure. The crude residue was purified by silica gel flash chromatography using AcOEt as eluent to obtain **10**.

Pink white solid; 140 mg, 83 % Yield; m.p. 146-148 °C; ^{1}H RMN (MeOD, 500 MHz, ppm) δ = 8.56 (s, 1H), 4.68-4.60 (m, 2H), 4.55 (t, J = 8.5 Hz, 1H), 4.46-4.41 (m, 1H), 4.39 (q, J = 7 Hz, 2H), 4.33 (dd, J = 4.5 Hz, 9 Hz, 1H), 1.38 (t, J = 7 Hz, 3H); ^{13}C RMN (MeOD, 125 MHz, ppm) δ = 161.9, 161.5, 141.0, 130.6, 68.6, 62.3, 54.2, 53.3, 14.5; IR (ν = cm^{-1}): 3316, 3138, 2984, 2928, 2853, 1727,

1216, 1044; MS (ESI): m/z 239.3 [M-H^+]; HRMS (ESI): m/z calcd for $C_9H_{11}N_4O_4$ [M-H^+] 239.07748, found 239.07811.

ASSOCIATED CONTENT

Supporting Information. The Supporting Information is available free of charge on the ACS Publications website at DOI:

The following files are available free of charge.

Experimental procedures and characterization of substrates and products. ^1H and ^{13}C NMR spectra of the products (PDF)

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Notes

The authors declare no competing financial interest.

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