Supporting Information

Catalytic transformation of esters of 1,2-azido alcohols into α-amido ketones

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1. General Information

Air-sensitive manipulations were carried out with standard Schlenk techniques under argon atmosphere. Commercial chemicals used without further purification. All ionic liquids were dried and degassed at 100 °C for 1 h under high vacuum prior to experiments. Flash column chromatography was carried out on silica gel (230-400 mesh) as the stationary phase. ¹H and ¹³C NMR spectra were recorded with Bruker AVANCE III 300MHZ FT-NMR spectrometer and chemical shift are given in δ ppm. ¹H NMR spectra were referenced to tetramethylsilane (TMS, 0 ppm). ¹³C NMR spectra were referenced to CDCl₃ (77.23 ppm) as an internal standard. Infrared spectra were recorded on a Shimadzu IR-470 spectrometer with NaCl pellet. Mass spectral data were obtained from the Korea Basic Science Institute (Daegu) on a Jeol JMS 700 high resolution mass spectrometer. Ruthenium complex 1 was synthesized according to the literature procedure.¹¹

2. Optimization (Effect of ionic liquids and additives)

Table 1. Transformation of 2a to 3a under various ionic liquids and additives.

<table>
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<tr>
<th>Entry</th>
<th>Solvent</th>
<th>Additive</th>
<th>Temp. (°C)</th>
<th>Yield (%)b</th>
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<td>[bmim]Cl</td>
<td>Et₃N</td>
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<td>Et₃N</td>
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<td>8</td>
<td>[bmim]Cl</td>
<td>DIEPA</td>
<td>70</td>
<td>65</td>
</tr>
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</table>

[a] Typical reaction conditions a solution of an azide (0.25 mmol), 1 (1.0 mol%) additives and (2.0 mol%) in a solvent (1.0 mL) was stirred for 12 h. b Estimated by ¹H NMR using nitromethane as an internal standard.
3. Synthesis of Substrates

3-a. Synthesis of 1,2-azido acetates (2a~2d, 2j, 2t~2w)

A solution of epoxide (3.0 mmol), ammonium chloride (2.0 equiv, 6.0 mmol) and sodium azide (2.0 equiv, 6.0 mmol) in a mixture of ethanol and water (4:1, 20 mL, 0.15 M) was stirred for 12 h at 60 °C. After completion of the reaction, the mixture was extracted with ethyl acetate (3 x 30 mL). The organic layer was washed with water (2 x 50 mL) and brine (50 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. To a solution of the crude 1,2-azido alcohol, N,N-dimethylaminopyridine (10 mol%, 0.3 mmol) and triethylamine (2.5 equiv, 7.5 mmol) in dichloromethane (20 mL, 0.2 M), acetic anhydride (2.0 equiv, 6.0 mmol) was added at 0 °C. The reaction mixture was stirred for 2 h, and extracted with dichloromethane (3 x 20 mL). The organic layer was washed with water (2 x 40 mL) and brine (40 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the corresponding 1,2-azido acetate.

![Chemical structure](image)

**2-azido-1,2-diphenylethyl acetate (2a)**

Yield: 87% (2 steps); Colorless gum; ¹H NMR (300 MHz, CDCl₃) δ = 7.33-7.28 (m, 6H), 7.25-7.18 (m, 4H), 5.94 (d, J = 6.5 Hz, 1H), 4.89 (d, J = 6.5 Hz, 1H), 1.99 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ = 169.6, 136.2, 135.8, 128.8, 128.7, 128.4, 128.0, 127.9, 69.2, 21.1; IR(NaCl): ν = 3090, 3066, 3034, 2107, 1747, 1604, 1587, 1496, 1455, 1372 cm⁻¹; HRMS (FAB): m/z calcd. for C₁₆H₁₆N₃O₂ [M + H]⁺: 282.1240; found: 282.1243.
2-azido-1-(4-nitrophenyl)-2-phenylethyl acetate (2b)

Yield: 77% (2 steps); Pale yellow gum; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 8.15-8.12 (m, 2H), 7.35-7.31 (m, 5H), 7.18-7.15 (m, 2H), 5.98 (d, $J$ = 5.8 Hz, 1H), 4.98 (d, $J$ = 5.8 Hz, 1H), 2.08 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 169.5, 148.0, 143.0, 134.8, 129.1, 128.9, 128.8, 127.7, 123.3, 76.9, 68.5, 20.9; IR(NaCl): $\nu$ = 3112, 3065, 2106, 1751, 1608, 1539, 1348 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{16}$H$_{15}$N$_4$O$_4$ [M + H]$^+$: 327.1093; found: 327.1097.

2-azido-2-(4-methoxyphenyl)-1-phenylethyl acetate (2c)

Yield: 78% (2 steps); Colorless gum; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.27-7.08 (m, 5H), 7.00-6.97 (m, 2H), 6.76-6.73 (m, 2H), 5.89 (d, $J$ = 8.6 Hz, 1H), 4.74 (d, $J$ = 8.5 Hz, 1H), 3.76 (s, 3H), 2.15 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 169.9, 159.8, 136.9, 129.2, 128.6, 128.4, 127.5, 114.1, 113.5, 78.7, 69.4, 55.4, 21.3; IR(NaCl): $\nu$ = 3035, 2961, 2940, 2104, 1745, 1613, 1515, 1345 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{17}$H$_{17}$O$_3$ [M – N$_3$]$^+$: 269.1172; found: 269.1176.

1-azido-1-phenylpropan-2-yl acetate (2d)

Yield: 85% (2 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.38-7.32 (m, 5H), 5.18-5.10 (m, 1H), 4.74 (d, $J$ = 4.7 Hz, 1H), 2.04 (s, 3H), 1.18 (d, $J$ = 6.4 Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.4, 136.1, 128.8, 128.6, 127.5, 73.2, 68.5, 21.3, 14.8; IR(NaCl): $\nu$ = 3065, 2989, 2940, 2104, 1740, 1604, 1586, 1494, 1372 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{11}$H$_{14}$N$_3$O$_2$ [M + H]$^+$: 220.1086; found: 220.1084.

5-azidooctan-4-yl acetate (2j)
Yield: 91% (2 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 4.92-4.86$ (m, 1H), 3.43-3.38 (m, 1H), 2.00 (s, 3H), 1.66-1.17 (m, 8H), 0.90-0.83 (m, 6H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 170.3$, 75.4, 64.7, 32.2, 31.1, 20.7, 19.7, 18.7, 13.7, 13.6; IR(NaCl): $\nu = 2962, 2938, 2876, 2115, 1744, 1367, 1374$ cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{11}$H$_{20}$N$_3$O$_2$ [M + H]$^+$: 214.1559; found: 214.1556.

2-azidocyclopentyl acetate (2t)$^{[2]}$

Yield: 83% (2 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 4.93-4.90$ (m, 1H), 3.85-3.80 (m, 1H), 2.09-1.92 (m, 2H), 2.00 (s, 3H, overlap), 1.77-1.54 (m, 4H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 170.4$, 79.5, 66.3, 30.0, 29.4, 21.3, 21.1.

2-azidocyclohexyl acetate (2u)$^{[3]}$

Yield: 94% (2 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 4.72-4.64$ (m, 1H), 3.42-3.34 (m, 1H), 2.09 (s, 3H, overlap), 2.08-2.03 (m, 2H), 1.76-1.73 (m, 2H), 1.38-1.28 (m, 4H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 170.5$, 75.7, 63.4, 30.8, 30.6, 24.0, 23.7, 21.4.

1-azido-1,2,3,4-tetrahydronaphthalen-2-yl acetate (2v)

Yield: 95% (2 steps); Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 7.39-7.36$ (m, 1H), 7.27-7.24 (m, 2H), 7.16-7.13 (m, 1H), 5.18-5.12 (m, 1H), 4.59 (d, $J = 6.4$ Hz, 1H), 2.93-2.89 (m, 2H), 2.25-2.15 (m, 1H), 2.09 (s, 3H), 2.03-1.93 (m, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 170.5$, 136.2, 131.9, 129.2, 129.1, 128.5, 126.8, 72.8, 62.5, 26.0, 25.4, 21.4; IR(NaCl): $\nu = 3065, 3024, 2939, 2847, 2100, 1740, 1606, 1507, 1491, 1437, 1369$ cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{12}$H$_{14}$N$_3$O$_2$ [M + H]$^+$: 232.1086; found: 232.1089.

2-azidocycloheptyl acetate (2w)
Yield: 82% (2 steps); Colorless oil; \(^1\)H NMR (300 MHz, CDCl\textsubscript{3}) \(\delta = 4.86-4.79 \text{ (m, 1H)}, 3.61-3.55 \text{ (m, 1H)}, 2.09 \text{ (s, 3H)}, 1.91-1.76 \text{ (m, 2H)}, 1.74-1.62 \text{ (m, 4H)}, 1.60-1.47 \text{ (m, 4H)}; {^{13}}\text{C NMR (75 MHz, CDCl}_3) \delta = 170.4, 78.7, 66.5, 31.1, 30.2, 27.9, 23.6, 22.6, 21.5; IR(NaCl): \(\nu = 2937, 2864, 2098, 1739, 1458, 1447, 1372 \text{ cm}^{-1}\); HRMS (FAB): \(m/z\) calcd. for C\textsubscript{9}H\textsubscript{16}N\textsubscript{3}O\textsubscript{2} [M + H]\(^+\): 198.1243; found: 198.1245.

3-b. Synthesis of 1,2-azido acetates (2e)

1-Azido-1-phenylhex-5-en-2-ol was synthesized according to the literature procedure.\[^4\] To a solution of the 1,2-azido alcohol (3.0 mmol), \(N,N\)-dimethylaminopyridine (10 mol %, 0.3 mmol) and triethylamine (2.5 equiv, 7.5 mmol) in dichloromethane (20 mL, 0.15 M), acetic anhydride (2.0 equiv, 6.0 mmol) was added at 0 °C. The reaction mixture was stirred for 2 h, and extracted with dichloromethane (3 x 20 mL). The organic layer was washed with water (2 x 40 mL) and brine (40 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the 1,2-azido acetate 2e.

\[\text{Ph} \quad \begin{array}{c} \text{N}_3 \\ \text{OAc} \end{array} \]

1-azido-1-phenylhex-5-en-2-yl acetate (2e)

Yield: 94%; Colorless oil; \(^1\)H NMR (300 MHz, CDCl\textsubscript{3}) \(\delta = 7.41-7.28 \text{ (m, 5H)}, 5.74-5.61 \text{ (m, 1H)}, 5.22-5.15 \text{ (m, 1H)}, 4.98-4.90 \text{ (m, 2H)}, 4.54 \text{ (d, } J = 7.4 \text{ Hz, 1H)}, 2.09 \text{ (s, 3H)}, 2.05-1.94 \text{ (m, 2H)}; {^{13}}\text{C NMR (75 MHz, CDCl}_3) \delta = 170.4, 137.2, 136.1, 129.0, 128.9, 127.8, 115.4, 74.9, 68.4, 30.4, 29.4, 21.0; IR(NaCl): \(\nu = 3066, 3033, 2978, 2958, 2924, 2101, 1744, 1642, 1494, 1455, 1373 \text{ cm}^{-1}\); HRMS (FAB): \(m/z\) calcd. for C\textsubscript{14}H\textsubscript{17}O\textsubscript{2} [M – N\textsubscript{3}]\(^+\): 217.1223; found: 217.1217.
3-c. Synthesis of 1,2-azido acetates (2f–2i)

To a suspension of an olefin (5.0 mmol) and NH₄OAc (10 mol%, 0.5 mmol) in acetone (20 mL, 0.25 M), N-bromosuccinimide (1.1 equiv, 5.5 mmol) and water (5.0 ml) were added, and the reaction mixture was stirred at room temperature for 1 h.[5] After completion of the reaction as indicated by TLC, the mixture was concentrated in vaco and extracted with EtOAc-H₂O (1:1) (3 × 30 mL). The organic layer was concentrated under reduced pressure. The bromohydrin was used without further purification. To a solution of the bromohydrin in DMF (20 mL), NaN₃ (2.0 equiv) was added and the reaction mixtrue was stirred at 70 °C for 12 h. After completion of the reaction as indicated by TLC, the mixture was extracted with diethyl ether (3 x 20 mL), washed with H₂O (50 mL) and brine (50 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure to give crude 1,2-azido alcohol. To a solution of the crude 1,2-azido alcohol, N,N-dimethylaminopyridine (10 mol%) and triethylamine (2.5 equiv) in dichloromethane (0.2 M) acetic anhydride (2.0 equiv) was added at 0 °C. The reaction mixture was stirred for 2 h, and extracted with dichloromethane (3 x 20 mL). The organic layer was washed with water (2 x 40 mL) and brine (40 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the 1,2-azido acetate.

2-azido-1-phenylpropyl acetate (2f)
Yield: 62% (3 steps); Colorless oil; ¹H NMR (300 MHz, CDCl₃) δ = 7.39-7.30 (m, 5H), 5.64 (d, J = 7.6 Hz, 1H), 3.84-3.75 (m, 1H), 2.13 (s, 3H), 1.08 (d, J = 6.8 Hz, 3H); ¹³C NMR (75 MHz, CDCl₃) δ = 170.0, 137.4, 128.9, 128.8, 127.4, 79.1, 60.9, 21.2, 16.4; IR(NaCl): ν =
3090, 3066, 3035, 2983, 2938, 2110, 1744, 1605, 1587, 1494, 1372 cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{11}\)H\(_{13}\)N\(_3\)O\(_2\) [M + H]\(^+\): 220.1086; found: 220.1085.

\[
\begin{align*}
\text{2-azido-1-(4-fluorophenyl)propyl acetate (2g)}
\end{align*}
\]

Yield: 65% (3 steps); Pale yellow oil; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.36-7.32\) (m, 2H), 7.11-7.05 (m, 2H), 5.64 (d, \(J = 7.4\) Hz, 1H), 3.83-3.74 (m, 1H), 2.15 (s, 3H), 1.10 (d, \(J = 6.8\) Hz, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 169.9, 164.6, 161.3, 133.3, 133.2, 129.3, 129.2, 129.1, 116.0, 115.7, 78.4, 60.8, 21.2, 16.3\); IR(NaCl): \(\nu = 3119, 3075, 3052, 2985, 2940, 2108, 1751, 1696, 1653, 1608, 1513, 1375\) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{11}\)H\(_{13}\)FN\(_3\)O\(_2\) [M + H]\(^+\): 238.0992; found: 238.0989.

\[
\begin{align*}
\text{2-azido-1-(4-methoxyphenyl)propyl acetate (2h)[6]} 
\end{align*}
\]

Yield: 63% (3 steps); Colorless oil; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.28-7.25\) (m, 2H), 6.90-6.87 (m, 2H), 5.59 (d, \(J = 7.9\) Hz, 1H), 3.80 (s, 3H, overlap), 3.80-3.76 (m, 1H, overlap), 2.11 (s, 3H), 1.06 (d, \(J = 6.7\) Hz, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 170.1, 160.0, 129.5, 128.7, 114.2, 78.9, 60.9, 55.5, 21.3, 16.4\).

\[
\begin{align*}
\text{2-azido-1-phenylpentyl acetate (2i)} 
\end{align*}
\]

Yield: 71% (3 steps); Colorless oil; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.40-7.32\) (m, 5H), 5.71 (d, \(J = 7.4\) Hz, 1H), 3.69-3.57 (m, 1H), 2.13 (s, 3H), 1.57-1.45 (m, 1H), 1.39-1.21 (m, 3H), 0.85 (t, \(J = 7.2\) Hz, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 170.0, 137.6, 128.9, 127.3, 78.5, 66.0, 32.8, 21.2, 19.5, 13.8\); IR(NaCl): \(\nu = 3066, 3035, 2961, 2875, 2112, 1745, 1696, 1685, 1608, 1587, 1494, 1372\) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{11}\)H\(_{13}\)N\(_3\)O\(_2\) [M + H]\(^+\): 220.1086; found: 220.1085.
1653, 1507, 1457, 1373 cm⁻¹; HRMS (FAB): m/z calcd. for C₁₃H₁₈N₃O₂ [M + H]⁺: 248.1399; found: 248.1403.

3-d. Synthesis of 1,2-azido acetates (2k~2s)

To a solution of an α-bromo ketone (5.0 mmol) in dimethylsulfoxide (20 mL, 0.25 M) was added NaN₃ (2.0 equiv, 10 mmol) and stirred at room temperature for 10 min. After completion of the reaction as indicated by TLC, the mixture was extracted with diethyl ether (3 x 20 mL), washed with H₂O (50 mL) and brine (50 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. To a solution of the crude α-azido ketone in MeOH (0.2 M) was added NaBH₄ (2.0 equiv) and stirred at room temperature for 1 h. After completion of the reaction as indicated by TLC, the mixture was extracted with dichloromethane (3 x 20 mL), washed with H₂O (40 mL) and brine (40 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. To a solution of the crude 1,2-azido alcohol, N,N-dimethylaminopyridine (10 mol%) and triethylamine (2.5 equiv) in dichloromethane (0.2 M) acetic anhydride (2.0 equiv) was added at 0 °C. The reaction mixture was stirred for 2 h, and extracted with dichloromethane (3 x 20 mL). The organic layer was washed with water (2 x 40 mL) and brine (40 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the 1,2-azido acetate.

2-azido-1-phenylethyl acetate (2k)[7]

Yield: 56% (3 steps); Colorless oil; ¹H NMR (300 MHz, CDCl₃) δ = 7.41-7.30 (m, 5H), 5.92 (dd, J = 8.1, 4.0 Hz, 1H), 3.63 (dd, J = 13.1, 8.1 Hz, 1H), 3.43 (dd, J = 13.1, 3.9 Hz, 1H),
2.15 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.1, 137.3, 129.0, 128.9, 126.6, 74.8, 55.3, 21.3.

2-azido-1-p-tolylethyl acetate (2l)$^[$7$]$ Yield: 85% (3 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.25-7.22 (m, 2H), 7.18-7.15 (m, 2H), 5.88 (dd, $J$ = 8.1, 3.9 Hz, 1H), 3.61 (dd, $J$ = 13.0, 8.1 Hz, 1H), 3.39 (dd, $J$ = 13.1, 3.9 Hz, 1H), 2.33 (s, 3H), 2.12 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.0, 138.7, 134.4, 129.5, 126.5, 74.6, 55.2, 21.3, 21.2.

2-azido-1-(4-methoxyphenyl)ethyl acetate (2m)$^[$7$]$ Yield: 62% (3 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.30-7.26 (m, 2H), 6.91-6.88 (m, 2H), 5.87 (dd, $J$ = 8.2, 4.1 Hz, 1H), 3.80 (s, 3H), 3.62 (dd, $J$ = 13.1, 8.2 Hz, 1H), 3.40 (dd, $J$ = 13.1, 4.1 Hz, 1H), 2.12 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.1, 160.1, 129.4, 128.1, 114.3, 74.5, 55.5, 55.2, 21.3.

2-azido-1-(4-fluorophenyl)ethyl acetate (2n)$^[$8$]$ Yield: 85% (3 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.36-7.31 (m, 2H), 7.08-7.03 (m, 2H), 5.89 (dd, $J$ = 7.9, 4.1 Hz, 1H), 3.60 (dd, $J$ = 13.1, 7.9 Hz, 1H), 3.41 (dd, $J$ = 13.1, 4.1 Hz, 1H), 2.13 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 169.9, 164.5, 161.2, 133.2, 133.1, 128.5, 128.4, 116.0, 115.7, 74.0, 55.1, 21.1.

2-azido-1-(4-chlorophenyl)ethyl acetate (2o)$^[$8$]$ Yield: 75% (3 steps); Pale yellow oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.37-7.33 (m, 2H), 7.31-7.26 (m, 2H), 5.87 (dd, $J$ = 7.8, 4.1 Hz, 1H), 3.60 (dd, $J$ = 13.1, 7.8 Hz, 1H), 3.42 (dd, $J$
2-azido-1-(4-cyanophenyl)ethyl acetate (2p)[7]
Yield: 72% (3 steps); White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.69-7.67 (m, 2H), 7.50-7.47 (m, 2H), 5.93 (dd, $J$ = 7.3, 4.2 Hz, 1H), 3.62 (dd, $J$ = 13.2, 7.3 Hz, 1H), 3.50 (dd, $J$ = 13.2, 4.2 Hz, 1H), 2.18 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 169.7, 142.3, 132.6, 127.2, 118.4, 112.6, 73.8, 54.7, 20.9.

2-azido-1-(biphenyl-4-yl)ethyl acetate (2q)
Yield: 73% (3 steps); Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.59-7.51 (m, 4H), 7.44-7.29 (m, 5H), 5.95 (dd, $J$ = 8.1, 3.9 Hz, 1H), 3.63 (dd, $J$ = 13.1, 8.2 Hz, 1H), 3.42 (dd, $J$ = 13.2, 4.0 Hz, 1H), 2.13 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.0, 141.7, 140.5, 136.2, 128.9, 127.7, 127.6, 127.2, 127.0, 74.5, 55.1, 21.1; IR(NaCl): $\nu$ = 3057, 3031, 2930, 2103, 1747, 1614, 1524, 1373 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{16}$H$_{15}$N$_3$O$_2$: 281.1164; found: 281.1166.

2-azido-1-(naphthalen-2-yl)ethyl acetate (2r)[9]
Yield: 67% (3 steps); Pale yellow oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.86-7.82 (m, 4H), 7.51-7.42 (m, 3H), 6.08 (dd, $J$ = 8.1, 3.9 Hz, 1H), 3.72 (dd, $J$ = 13.1, 8.1 Hz, 1H), 3.50 (dd, $J$ = 13.1, 3.9 Hz, 1H), 2.17 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 170.1, 134.6, 133.5, 133.3, 128.9, 128.2, 127.9, 126.7, 126.1, 124.0, 74.9, 55.2, 21.3

1-azido-3-phenylpropan-2-yl acetate (2s)[9]
Yield: 81% (2 steps); Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.32-7.18 (m, 5H), 5.23-5.13 (m, 1H), 3.38 (dd, $J$ = 13.1, 3.6 Hz, 1H), 3.25 (dd, $J$ = 13.1, 5.8 Hz, 1H), 2.97 (dd, $J$ =
13.7, 6.5 Hz, 1H), 2.87 (dd, \( J = 13.7, 7.4 \text{ Hz}, 1\text{H} \)), 2.05 (s, 3H); \(^{13}\text{C NMR (75 MHz, CDCl}_3\) \( \delta = 170.3, 136.3, 129.5, 128.7, 127.0, 73.5, 52.5, 37.7, 21.1.\)

3-e. Synthesis of various esters of 1,2-azido alcohols (4a–4j)

\[
\begin{align*}
\text{R}_1\text{N}_3\text{OH} & \quad \xrightarrow{\text{DMAP (10 mol%)}} \quad \text{R}_1\text{N}_3\text{O}_3\text{R}_3 \\
\text{or} & \quad \xrightarrow{\text{Et}_3\text{N (2.5 equiv) (2.0 equiv)}} \quad \text{R}_1\text{N}_3\text{O}_3\text{Cl}
\end{align*}
\]

To a solution of 1,2-azido alcohol (3.0 mmol), \( N,N\)-dimethylaminopyridine (10 mol%, 0.3 mmol) and triethylamine (2.5 equiv, 7.5 mmol) in dichloromethane (15 mL, 0.2 M) acid anhydride (or acid chloride) (2.0 equiv) was added at 0 \( ^\circ \text{C} \). The reaction mixture was stirred for 2 h, and extracted with dichloromethane (3 x 20 mL). The organic layer was washed with water (2 x 40 mL) and brine (40 mL), dried over \( \text{Na}_2\text{SO}_4 \), filtered, and concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the 1,2-azido ester.

**2-azido-1,2-diphenylethyl propionate (4a)**

Yield: 99%; Colorless oil; \(^1\text{H NMR (300 MHz, CDCl}_3\) \( \delta = 7.33-7.30 \text{ (m, 6H) }, 7.24-7.19 \text{ (m, 4H) }, 5.96 \text{ (d, } J = 6.6 \text{ Hz}, 1\text{H) }, 4.89 \text{ (d, } J = 6.6 \text{ Hz}, 1\text{H) }, 2.27 \text{ (q, } J = 7.5 \text{ Hz}, 2\text{H) }, 1.02 \text{ (t, } J = 7.5 \text{ Hz}, 3\text{H) }; \(^{13}\text{C NMR (75 MHz, CDCl}_3\) \( \delta = 173.0, 136.4, 135.8, 128.8, 128.6, 128.4, 128.0, 127.9, 77.4, 69.3, 27.8, 9.1; \) IR(\( \text{NaCl} \)): \( \nu = 3065, 3034, 2107, 1724, 1602, 1585, 1496, 1452, 1316 \text{ cm}^{-1}; \) HRMS (FAB): m/z calcd. for \( \text{C}_{17}\text{H}_{18}\text{N}_3\text{O}_2 [\text{M + H}]^+ \): 296.1399; found: 296.1403.

**2-azido-1,2-diphenylethyl isobutyrate (4b)**

Yield: 92%; White solid; \(^1\text{H NMR (300 MHz, CDCl}_3\) \( \delta = 7.34-7.29 \text{ (m, 6H) }, 7.25-7.21 \text{ (m, 4H) }, 5.94 \text{ (d, } J = 6.9 \text{ Hz}, 1\text{H) }, 4.86 \text{ (d, } J = 6.9 \text{ Hz}, 1\text{H) }, 2.52-2.43 \text{ (m, 1\text{H) }, 1.04 \text{ (d, } J = 7.0 \text{ Hz}, 3\text{H) }, 1.00 \text{ (d, } J = 7.0 \text{ Hz}, 3\text{H) }; \(^{13}\text{C NMR (75 MHz, CDCl}_3\) \( \delta = 175.5, 136.6, 135.9, 128.8,
128.7, 128.6, 128.4, 128.0, 127.8, 77.1, 69.4, 34.2, 18.83, 18.80; IR(NaCl): $\nu = 3066, 3034, 2976, 2935, 2876, 2106, 1741, 1587, 1498, 1469, 1455, 1388$ cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{18}$H$_{20}$N$_3$O$_2$ [M + H]$^+$: 310.1556; found: 310.1554.

2-azido-1,2-diphenylethyl pivalate (4c)

Yield: 62%; White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 7.32$-$7.28$ (m, 6H), 7.25-$7.21$ (m, 4H), 5.91 (d, $J = 7.0$ Hz, 1H), 4.84 (d, $J = 7.0$ Hz, 1H), 1.06 (s, 9H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 176.8, 136.8, 136.0, 128.8, 128.7, 128.6, 128.4, 128.0, 127.6, 69.6, 38.9, 27.0$; IR(NaCl): $\nu = 3110, 3066, 3035, 2974, 2935, 2906, 2105, 1741, 1587, 1498, 1469, 1455, 1397, 1365$ cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{19}$H$_{22}$N$_3$O$_2$ [M + H]$^+$: 324.1712; found: 324.1708.

2-azido-1,2-diphenylethyl but-2-enoate (4d)

Yield: 85%; White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 7.30$-$7.23$ (m, 6H), 7.22-$7.16$ (m, 4H), 7.02-$6.90$ (m, 1H), 6.00 (d, $J = 6.0$ Hz, 1H), 5.83 (dq, $J = 15.5, 1.6$ Hz, 1H), 4.95 (d, $J = 6.0$ Hz, 1H), 1.84 (dd, $J = 6.9, 1.7$ Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 165.0, 146.1, 136.2, 135.6, 128.7, 128.6, 128.5, 128.2, 127.9, 127.8, 122.3, 77.5, 69.2, 18.2$; IR(NaCl): $\nu = 3090, 3065, 3034, 2972, 2944, 2915, 2106, 1725, 1657, 1604, 1587, 1497, 1455, 1443, 1376$ cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{19}$H$_{18}$N$_3$O$_2$ [M + H]$^+$: 308.1399; found: 308.1397.

2-azido-1,2-diphenylethyl 2-chloroacetate (4e)

Yield: 95%; Colorless oil; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 7.32$-$7.29$ (m, 6H), 7.26-$7.19$ (m, 4H), 5.97 (d, $J = 6.7$ Hz, 1H), 4.90 (d, $J = 6.7$ Hz, 1H), 3.92 (d, $J = 1.5$ Hz, 2H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 165.9, 135.4, 135.2, 129.1, 129.0, 128.7, 128.5, 128.0, 127.8, 79.1, 68.9, 40.8$; IR(NaCl): $\nu = 3090, 3066, 3034, 2954, 2900, 2500, 2107, 1764, 1604, 1587, 1496,$
1455, 1348 cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{16}H_{14}ClO_2\) [M – N\(_3\)]\(^+\): 273.0677; found: 273.0685.

2-azido-1,2-diphenylethyl methyl succinate (4f)

Yield: 80%; White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.33-7.29\) (m, 6H), 7.20-7.18 (m, 4H), 5.95 (d, \(J = 6.3\) Hz, 1H), 4.91 (d, \(J = 6.3\) Hz, 1H), 3.62 (s, 3H), 2.63-2.51 (m, 4H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 172.5, 170.9, 136.0, 135.7, 128.9, 128.8, 128.7, 128.4, 128.0, 127.9, 78.0, 69.1, 52.0, 29.4, 29.0); IR(NaCl): \(\nu = 3034, 2953, 2107, 1739, 1701, 1655, 1496, 1455, 1437\) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{19}H_{20}N_3O_4\) [M + H]\(^+\): 354.1454; found: 354.1450.

2-azido-1,2-diphenylethyl benzoate (4g)

Yield: 84%; White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 8.03-7.99\) (m, 2H), 7.57-7.51 (m, 1H), 7.44-7.39 (m, 2H), 7.35-7.22 (m, 10H) 6.19 (d, \(J = 5.9\) Hz, 1H), 5.08 (d, \(J = 5.9\) Hz, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 165.3, 136.1, 135.6, 133.5, 129.9, 129.8, 128.8, 128.7, 128.6, 128.4, 128.0, 127.8, 78.4, 69.4); IR(NaCl): \(\nu = 3065, 3034, 2953, 2107, 1724, 1602, 1585, 1496, 1452, 1347\) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{21}H_{18}N_3O_4\) [M + H]\(^+\): 347.1399; found: 347.1397.

2-azido-1,2-diphenylethyl furan-2-carboxylate (4h)

Yield: 95%; White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.55-7.54\) (m, 1H), 7.32-7.18 (m, 11H), 6.47-6.45 (m, 1H), 6.16 (d, \(J = 5.8\) Hz, 1H), 5.04 (d, \(J = 5.8\) Hz, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 157.2, 147.0, 144.2, 135.7, 135.3, 128.8, 128.7, 128.6, 128.3, 128.0, 127.8, 118.8, 112.1, 78.1, 69.1); IR(NaCl): \(\nu = 3210, 3066, 3034, 2106, 1727, 1604, 1579, 1568, 1497, 1471, 1455, 1395\) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{19}H_{16}N_3O_3\) [M + H]\(^+\): 334.1192; found: 334.1190.
2-azido-1,2-diphenylethyl thiophene-2-carboxylate (4i)

Yield: 98%; White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.79-7.78\) (m, 1H), 7.54-7.52 (m, 1H), 7.31-7.21 (m, 10H), 7.07-7.04 (m, 1H), 6.13 (d, \(J = 5.8\) Hz, 1H), 5.04 (d, \(J = 5.8\) Hz, 1H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 162.5, 137.7, 137.2, 135.9, 135.0, 134.9, 130.6, 130.5, 130.4, 129.8, 129.5, 80.2, 71.1\); IR(NaCl): \(\nu = 3108, 3091, 3065, 3033, 2106, 1715, 1524, 1496, 1454, 1416, 1360\) cm\(^{-1}\); HRMS (FAB): \(m/z\) calcd. for C\(_{19}\)H\(_{16}\)N\(_3\)O\(_2\)S [M + H]\(^+\): 350.0963; found: 350.0966.

2-azido-1,2-diphenylethyl tert-butyl carbonate (4j)

Yield: 90%; White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.34-7.24\) (m, 10H), 5.71 (d, \(J = 6.9\) Hz, 1H), 4.89 (d, \(J = 6.9\) Hz, 1H), 1.34 (s, 9H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 152.4, 136.2, 135.8, 128.9, 128.8, 128.7, 128.4, 128.2, 127.9, 82.9, 80.1, 69.2, 27.8\); IR(NaCl): \(\nu = 3091, 3066, 3034, 2982, 2934, 2106, 1745, 1605, 1589, 1495, 1455, 1395, 1370\) cm\(^{-1}\); HRMS (FAB): \(m/z\) calcd. for C\(_{19}\)H\(_{22}\)N\(_3\)O\(_3\) [M + H]\(^+\): 340.1661; found: 340.1665.

4. Synthesis of \(\alpha\)-amido ketones

1-Butyl-3-methylimidazolium chloride ([bmim]Cl) (1.0 mL) was added to a flame-dried J-
young flask then stirred at 100\(^\circ\)C for 1h. The ruthenium catalyst 1 (1.0 mol%), the substrate
(0.25 mmol) and triethylamine (2.0 mol%) was added under stream of argon flow and stirred
at 70 \(^\circ\)C for 12 h. After completion of the reaction, the reaction mixture was cool down to
room temperature then dilluted with H\(_2\)O (5.0 mL) and extracted with dichloromethane (3 x 5
mL). The organic layer was dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the corresponding α-amiodo ketone.

\[
\text{Ph} \quad \text{NHAc} \quad \text{O} \\
\text{Ph}
\]

**N-(2-oxo-1,2-diphenylethyl)acetamide (3a)**

White solid; \( ^{1}H \) NMR (300 MHz, CDCl\(_3\)) \( \delta = 7.98-7.95 \) (m, 2H), 7.54-7.48 (m, 1H), 7.42-7.37 (m, 4H), 7.30-7.22 (m, 3H), 7.02 (d, \( J = 6.9 \) Hz, 1H), 6.59 (d, \( J = 7.4 \) Hz, 1H), 2.03 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 196.1, 169.4, 137.5, 134.5, 134.0, 129.4, 129.3, 128.9, 128.6, 128.4, 58.6, 23.5 \); IR(NaCl): \( \nu = 3287, 3062, 1692, 1653, 1597, 1531, 1448, 1372 \) cm\(^{-1}\); HRMS (EI): m/z calcd. for C\(_{16}\)H\(_{15}\)NO\(_2\): 253.1103; found: 253.1101.

\[
\text{Ph} \quad \text{NHAc} \quad \text{O} \\
\text{Ph}
\]

**N-(2-(4-nitrophenyl)-2-oxo-1-phenylethyl)acetamide (3b)**

Pale yellow solid; \( ^{1}H \) NMR (300 MHz, CDCl\(_3\)) \( \delta = 8.22 \) (d, \( J = 9.0 \) Hz, 2H), 8.11 (d, \( J = 9.0 \) Hz, 2H), 7.38-7.26 (m, 5H), 7.00 (d, \( J = 6.9 \) Hz, 1H), 6.57 (d, \( J = 7.1 \) Hz, 1H), 2.05 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 194.8, 169.6, 150.5, 139.2, 135.9, 130.1, 129.7, 129.1, 128.4, 124.0, 59.4, 23.2 \); IR(NaCl): \( \nu = 3282, 3051, 1702, 1656, 1604, 1527, 1347 \) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{16}\)H\(_{15}\)NO\(_4\) [M + H]\(^{+}\): 299.1032; found: 299.1035.

\[
\text{MeO} \quad \text{NHAc} \quad \text{O} \\
\text{Ph}
\]

**N-(1-(4-methoxyphenyl)-2-oxo-2-phenylethyl)acetamide (3c)**

White solid; \( ^{1}H \) NMR (300 MHz, CDCl\(_3\)) \( \delta = 7.97-7.94 \) (m, 2H), 7.52-7.47 (m, 1H), 7.40-7.35 (m, 2H), 7.32-7.27 (m, 2H), 7.07 (d, \( J = 7.0 \) Hz, 1H), 6.83-6.80 (m, 2H), 6.54 (d, \( J = 7.4 \) Hz, 2H), 6.42 (d, \( J = 7.1 \) Hz, 2H), 3.91 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 194.2, 169.6, 150.6, 139.2, 135.9, 131.0, 129.8, 129.3, 128.4, 124.0, 59.4, 23.2 \); IR(NaCl): \( \nu = 3282, 3051, 1702, 1656, 1604, 1527, 1347 \) cm\(^{-1}\); HRMS (FAB): m/z calcd. for C\(_{16}\)H\(_{16}\)NO\(_4\) [M + H]\(^{+}\): 299.1032; found: 299.1035.
N-(2-oxo-1-phenylpropyl)acetamide (3d)

White solid; \({\text{\textsuperscript{1}H}}\) NMR (300 MHz, \(\text{CDCl}_3\)) \(\delta = 7.39\-7.28\ (m, 5H), 6.94\ (br s, 1H), 5.57\ (d, \(J = 6.6\) Hz, 1H), 2.11\ (s, 3H), 1.99\ (s, 3H); \(\text{\textsuperscript{13}C}\) NMR (75 MHz, \(\text{CDCl}_3\)) \(\delta = 203.8, 169.5, 136.6, 129.4, 128.7, 128.1, 63.6, 27.3, 23.2\); IR(\(\text{NaCl}\)): \(\nu = 3295, 1724, 1670, 1665, 1653, 1539, 1372\) cm\(^{-1}\); HRMS (EI): m/z calcd. for \(\text{C}_{11}\text{H}_{14}\text{NO}_2\): 192.1025; found: 192.1022.

N-(2-oxo-1-phenylhex-5-enyl)acetamide (3e)

White solid; \(\text{\textsuperscript{1}H}\) NMR (300 MHz, \(\text{CDCl}_3\)) \(\delta = 7.39\-7.28\ (m, 5H), 5.72\-5.61\ (m, 1H), 5.58\ (d, \(J = 6.5\) Hz, 1H), 4.95\-4.89\ (m, 2H), 2.59\-2.41\ (m, 2H), 2.36\-2.15\ (m, 2H), 1.98\ (s, 3H); \(\text{\textsuperscript{13}C}\) NMR (75 MHz, \(\text{CDCl}_3\)) \(\delta = 205.4, 169.5, 136.5, 136.4, 129.3, 128.7, 128.2, 115.7, 63.1, 39.0, 27.6, 23.1\); IR(\(\text{NaCl}\)): \(\nu = 3290, 1724, 1670, 1665, 1653, 1539, 1507, 1372\) cm\(^{-1}\); HRMS (EI): m/z calcd. for \(\text{C}_{14}\text{H}_{17}\text{NO}_2\): 231.1259; found: 231.1258.

N-(1-oxo-1-phenylpropan-2-yl)acetamide (3f)\(^{10}\)

White solid; \(\text{\textsuperscript{1}H}\) NMR (300 MHz, \(\text{CDCl}_3\)) \(\delta = 8.00\ (d, \(J = 7.4\) Hz, 2H), 7.64\-7.59\ (m, 1H), 7.53\-7.41\ (m, 2H), 6.75\ (br s, 1H), 5.58\ (m, 1H), 2.06\ (s, 3H), 1.43\ (d, \(J = 7.1\) Hz, 3H); \(\text{\textsuperscript{13}C}\) NMR (75 MHz, \(\text{CDCl}_3\)) \(\delta = 199.3, 169.6, 134.1, 134.0, 129.0, 128.9, 50.2, 23.5, 20.0\).
N-(1-(4-fluorophenyl)-1-oxopropan-2-yl)acetamide (3g)\textsuperscript{[10]}

White solid; \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}) δ = 8.06-8.02 (m, 2H), 7.21-7.15 (m, 2H), 6.71 (br s, 1H), 5.60-5.50 (m, 1H), 2.06 (s, 3H), 1.42 (d, J = 7.1 Hz, 3H); \textsuperscript{13}C NMR (75 MHz, CDCl\textsubscript{3}) δ = 197.8, 169.7, 168.1, 164.7, 131.7, 131.6, 116.4, 116.1, 50.0, 23.4, 19.8.

N-(1-(4-methoxyphenyl)-1-oxopropan-2-yl)acetamide (3h)\textsuperscript{[10]}

Pale yellow solid; \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}) δ = 7.98 (d, J = 8.8 Hz, 2H), 6.97(d, J = 8.6 Hz, 2H), 6.76 (br s, 1H), 5.52 (m, 1H), 3.89 (s, 3H), 2.06 (s, 3H), 1.42 (d, J = 7.0 Hz, 3H); \textsuperscript{13}C NMR (75 MHz, CDCl\textsubscript{3}) δ = 197.7, 169.6, 164.3, 131.3, 126.8, 55.7, 49.8, 23.5, 20.3.

N-(1-oxo-1-phenylpentan-2-yl)acetamide (3i)

White solid; \textsuperscript{1}H NMR (300 MHz, CDCl\textsubscript{3}) δ = 8.00-7.98 (m, 2H), 7.63-7.59 (m, 1H), 7.52-7.47 (m, 2H), 6.56 (d, J = 7.2 Hz, 1H), 5.68-5.61 (m, 1H), 2.07 (s, 3H), 1.95-1.85 (m, 1H), 1.64-1.52 (m, 1H), 1.44-1.18 (m, 2H), 0.88 (t, J = 7.3 Hz, 3H); \textsuperscript{13}C NMR (75 MHz, CDCl\textsubscript{3}) δ = 199.5, 169.9, 134.7, 134.0, 129.1, 128.8, 53.8, 35.7, 23.5, 18.5, 14.0; IR(NaCl): ν = 3284, 3064, 2960, 2873, 1692, 1651, 1597, 1581, 1449, 1374 cm\textsuperscript{-1}; HRMS (FAB): m/z calcd. for C\textsubscript{13}H\textsubscript{18}NO\textsubscript{2}: 220.1338; found: 220.1335.

N-(5-oxooctan-4-yl)acetamide (3j)
White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 6.37 (d, $J$ = 6.4 Hz, 1H), 4.66 (dt, $J$ = 7.3, 4.6 Hz, 1H), 2.52-2.47 (m, 2H), 2.02 (s, 3H), 1.91-1.80 (m, 1H), 1.69-1.57 (m, 2H), 1.56-1.47 (m, 1H), 1.41-1.18 (m, 2H), 0.92 (t, $J$ = 7.4 Hz, 6H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 209.6, 170.0 58.0, 42.0, 33.8, 23.3, 18.5, 17.1, 14.0, 13.8; IR(NaCl): $\nu$ = 3287, 3065, 2962, 2935, 2875, 1720, 1651, 1554, 1467, 1374 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{10}$H$_{19}$NO$_2$: 185.1416; found: 185.1413.

$N$-($2$-oxo-$2$-phenylethyl)acetamide (3k)$^{[11]}$

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 8.00-7.97 (m, 2H), 7.66-7.60 (m, 1H), 7.53-7.48 (m, 2H), 6.68 (br s, 1H), 4.78 (d, $J$ = 4.3 Hz, 2H), 2.11 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 194.4, 170.5, 134.5, 129.1, 128.1, 46.7, 23.2.

$N$-($2$-oxo-$2$-$p$-tolylethyl)acetamide (3l)

Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.89-7.86 (m, 2H), 7.31-7.28 (m, 2H), 6.71 (br s, 1H), 4.74 (d, $J$ = 4.3 Hz, 2H), 2.43 (s, 3H), 2.11 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 194.0, 170.4, 145.4, 132.0, 129.7, 128.2, 46.6, 23.2, 21.9; IR(NaCl): $\nu$ = 3076, 3043, 2924, 1690, 1650, 1606, 1548, 1373, 1243 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{11}$H$_{14}$NO$_2$: 192.1025; found: 192.1023.

$N$-($2$-(4-methoxyphenyl)-$2$-oxoethyl)acetamide (3m)$^{[12]}$

Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.98-7.93 (m, 2H), 6.99-6.94 (m, 2H), 6.72 (br s, 1H), 4.71 (d, $J$ = 4.3 Hz, 2H), 3.88 (s, 3H), 2.11 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 192.7, 170.4, 164.4, 130.4, 127.5, 114.2, 55.7, 46.3, 23.2.

$N$-($2$-(4-fluorophenyl)-$2$-oxoethyl)acetamide (3n)
Pale yellow solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 8.06-7.99\) (m, 2H), 7.22-7.14 (m, 2H), 6.66 (br s, 1H), 4.75 (d, \(J = 4.3\) Hz, 2H), 2.11 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 192.9, 170.5, 168.2, 164.8, 131.0, 130.9, 130.8, 130.7, 116.5, 116.2, 46.6, 23.2\); IR(NaCl): \(\nu = 3308, 3110, 2987, 2940, 2306, 1682, 1650, 1600, 1510, 1266\) cm\(^{-1}\); HRMS (FAB): calcd. for C\(_{10}\)H\(_{11}\)FNO\(_2\): 196.0774; found: 196.0777.

\[
\text{N-(2-(4-chlorophenyl)-2-oxoethyl)acetamide (3o)}
\]
Pale yellow solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 7.94-7.91\) (m, 2H), 7.49-7.47 (m, 2H), 6.65 (br s, 1H), 4.74 (d, \(J = 4.4\) Hz, 2H), 2.11 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 193.3, 170.5, 140.9, 132.8, 129.5, 77.4, 46.6, 23.2\); IR(NaCl): \(\nu = 3314, 3055, 3032, 2987, 1682, 1646, 1594, 1426\) cm\(^{-1}\); HRMS (EI): m/z calcd. for C\(_{10}\)H\(_{10}\)ClNO\(_2\): 211.0400; found: 211.0403.

\[
\text{N-(2-(4-cyanophenyl)-2-oxoethyl)acetamide (3p)}
\]
Pale yellow solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 8.09\) (d, \(J = 8.3\) Hz, 2H), 7.83 (d, \(J = 8.4\) Hz, 2H), 6.61 (br s, 1H), 4.80 (d, \(J = 4.5\) Hz, 2H), 2.12 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 193.4, 170.5, 137.4, 132.9, 128.5, 117.8, 117.5, 47.0, 23.2\); IR(NaCl): \(\nu = 3299, 3094, 3053, 2924, 2235, 1696, 1653, 1560, 1405, 1373, 1223\) cm\(^{-1}\); HRMS (EI): m/z calcd. for C\(_{11}\)H\(_{16}\)N\(_2\)O\(_2\): 202.0742; found: 202.0741.

\[
\text{N-(2-(biphenyl-4-yl)-2-oxoethyl)acetamide (3q)}
\]
Pale yellow solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \(\delta = 8.05-8.03\) (m, 2H), 7.72-7.69 (m, 2H), 7.63-7.61 (m, 2H), 7.50-7.38 (m, 3H), 6.72 (br s, 1H), 4.79 (d, \(J = 4.3\) Hz, 2H), 2.12 (s, 3H); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \(\delta = 193.9, 170.5, 146.9, 139.6, 133.1, 129.2, 128.7, 127.6, 127.4, 46.7, 23.2\); IR(NaCl): \(\nu = 3240, 3084, 2980, 2931, 1692, 1647, 1650, 1553, 1495, 1220\) cm\(^{-1}\); HRMS (EI): m/z calcd. for C\(_{16}\)H\(_{15}\)NO\(_2\): 253.1103; found: 253.1100.
**N-(2-(naphthalen-2-yl)-2-oxoethyl)acetamide (3r)**\[13\]

Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 8.50 (s, 1H), 8.02-7.86 (m, 4H), 7.65-7.54 (m, 2H), 6.75 (br s, 1H), 4.90 (d, $J = 4.2$ Hz, 2H), 2.14 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 194.3, 170.5, 136.2, 132.5, 131.7, 130.1, 129.8, 129.2, 129.0, 128.0, 127.3, 123.3, 46.8, 23.3.

**N-(2-oxo-3-phenylpropyl)acetamide (3s)**

Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.36-7.27 (m, 3H), 7.22-7.20 (m, 2H), 6.25 (br s, 1H), 4.18(d, $J = 4.4$ Hz, 2H), 3.74 (s, 2H), 2.00 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 203.3, 170.3, 133.0, 129.5, 129.2, 127.7, 50.0, 47.9, 23.1; IR(NaCl): $\nu$ = 3319, 3090, 3038, 2924, 2887, 1725, 1654, 1549, 1498, 1408, 1268 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{11}$H$_{13}$NO$_2$ 191.0946; found: 191.0945.

**N-(2-oxocyclohexyl)acetamide (3u)**

Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 6.48 (br s, 1H), 4.52-4.44 (m, 1H), 2.70-2.63 (m, 1H), 2.56-2.50 (m, 1H), 2.46-2.35 (m, 1H), 2.19-2.11 (m, 1H), 2.02 (s, 3H), 1.95-1.79 (m, 2H), 1.75-1.56 (m, 1H), 1.42-1.26 (m, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 209.8, 171.6, 60.0, 43.0, 37.4, 29.9, 25.9, 25.1; IR(NaCl): $\nu$ = 3297, 3035, 2941, 2863, 1723, 1653, 1539, 1448, 1374 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_8$H$_{14}$NO$_2$ [M + H]$^+$: 156.1025; found: 156.1026.

**N-(2-oxo-1,2,3,4-tetrahydronaphthalen-1-yl)acetamide (3v)**\[14\]

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.31-7.21 (m, 3H), 7.17-7.13 (m, 1H), 6.50 (d, $J = 5.2$ Hz, 1H), 5.66 (d, $J = 6.9$ Hz, 1H), 3.34-3.23 (m, 1H), 3.07-2.99 (m, 1H), 2.87-2.78 (m,
1H), 2.55-2.41 (m, 1H), 2.24 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 206.6, 171.0, 136.4, 133.6, 127.9, 127.6, 127.5, 124.4, 59.7, 35.5, 27.3, 23.4.

\[\text{N-(2-oxocycloheptyl)acetamide (3w)}\]

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 6.70 (br s, 1H), 4.72-4.59 (m, 1H), 2.71-2.63 (m, 1H), 2.52-2.41 (m, 1H), 2.14-2.05 (m, 1H), 2.02 (s, 3H), 1.95-1.67 (m, 5H), 1.53-1.41 (m, 1H), 1.35-1.22 (m, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 210.5, 169.4, 59.0, 41.6, 32.9, 29.1, 27.6, 23.4, 23.2; IR(NaCl): $\nu$ = 3281, 3067, 2929, 2855, 1712, 1651, 1544, 1444, 1377 cm$^{-1}$; HRMS (FAB): calcd. for C$_9$H$_{16}$NO$_2$ [M + H]$^+$: 170.1181; found: 170.1179.

\[\text{N-(2-oxo-1,2-diphenylethyl)propionamide (5a)}\]

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.99-7.96 (m, 2H), 7.52-7.47 (m, 1H), 7.40-7.35 (m, 4H), 7.31-7.20 (m, 3H), 7.05 (d, $J$ = 6.6 Hz, 1H), 6.60 (d, $J$ = 7.3 Hz, 1H), 2.31-2.28 (m, 2H), 1.14 (t, $J$ = 7.6 Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 196.2, 173.0, 137.5, 134.5, 133.9, 129.3, 129.2, 128.8, 128.4, 128.3, 58.5, 29.6, 9.7; IR(NaCl): $\nu$ = 3283, 3062, 3030, 2969, 2914, 1688, 1669, 1629, 1558, 1580, 1529, 1496, 1293 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{17}$H$_{17}$NO$_2$: 267.1259; found: 267.1262.

\[\text{N-(2-oxo-1,2-diphenylethyl)isobutyramide (5b)}\]

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.98-7.96 (m, 2H), 7.52-7.47 (m, 1H), 7.40-7.35 (m, 4H), 7.31-7.19 (m, 3H), 7.03 (d, $J$ = 6.7 Hz, 1H), 6.57 (d, $J$ = 7.3 Hz, 1H), 2.45 (m, 1H), 1.17 (d, $J$ = 6.9 Hz, 3H), 1.12 (d, $J$ = 6.9 Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 196.3, 176.3, 137.5, 134.6, 133.9, 129.3, 129.2, 128.8, 128.4, 128.3, 58.4, 35.5, 29.8, 19.6;
IR(NaCl): \( \nu = 3280, 3035, 3011, 2970, 2923, 1733, 1678, 1650, 1517, 1449 \text{ cm}^{-1} \); HRMS (FAB): m/z calcd. for C\(_{18}\)H\(_{20}\)NO\(_2\): 282.1494; found: 282.1494.

**N-(2-oxo-1,2-diphenylethyl)pivalamide (5c)**

White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \( \delta = 7.99-7.96 \text{ (m, 2H)}, 7.52-7.44 \text{ (m, 1H)}, 7.41-7.36 \text{ (m, 4H)}, 7.31-7.19 \text{ (m, 4H)}, 6.52 \text{ (d, } J = 7.0 \text{ Hz, 1H}), 1.22 \text{ (s, 9H)}; \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 196.3, 177.8, 137.5, 134.5, 133.9, 129.3, 129.2, 128.8, 128.4, 128.3, 58.6, 38.9, 27.6; \) IR(NaCl): \( \nu = 3295, 3063, 3030, 2965, 1686, 1659, 1598, 1496, 1448 \text{ cm}^{-1} \); HRMS (FAB): m/z calcd. for C\(_{19}\)H\(_{22}\)NO\(_2\): 296.1651; found: 296.1647.

**N-(2-oxo-1,2-diphenylethyl)but-2-enamide (5d)**

White solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \( \delta = 8.00-7.97 \text{ (m, 2H)}, 7.52-7.47 \text{ (m, 1H)}, 7.42-7.35 \text{ (m, 4H)}, 7.30-7.19 \text{ (m, 3H)}, 7.14 \text{ (d, } J = 6.6 \text{ Hz, 1H)}, 6.91-6.80 \text{ (m, 1H)}, 6.67 \text{ (d, } J = 7.3 \text{ Hz, 1H}), 5.91 \text{ (dd, } J = 15.2, 1.4 \text{ Hz, 1H}), 1.81 \text{ (dd, } J = 6.8, 1.1 \text{ Hz, 3H}); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 196.1, 165.1, 140.8, 137.5, 134.5, 133.9, 129.3, 129.2, 128.8, 128.4, 128.3, 124.8, 58.5, 17.9; \) IR(NaCl): \( \nu = 3270, 3065, 3030, 2965, 1680, 1670, 1630, 1610, 1582, 1530, 1495, 1310 \text{ cm}^{-1} \); HRMS (EI): m/z calcd. for C\(_{18}\)H\(_{17}\)NO\(_2\): 279.1259; found: 279.1259.

**2-chloro-N-(2-oxo-1,2-diphenylethyl)acetamide (5e)**

Pale yellow solid; \(^1\)H NMR (300 MHz, CDCl\(_3\)) \( \delta = 8.09 \text{ (d, } J = 6.3 \text{ Hz, 1H)}, 7.99-7.96 \text{ (m, 2H)}, 7.55-7.50 \text{ (m, 1H)}, 7.43-7.38 \text{ (m, 4H)}, 7.35-7.26 \text{ (m, 3H)}, 6.52 \text{ (d, } J = 7.2 \text{ Hz, 1H}), 4.06 \text{ (m, 2H}); \(^{13}\)C NMR (75 MHz, CDCl\(_3\)) \( \delta = 194.9, 165.4, 136.7, 134.2, 129.5, 129.3, 129.0,
128.9, 128.4, 58.9, 42.7; IR(NaCl): ν = 3310, 3280, 3062, 3031, 2957, 2917, 1669, 1597, 1515, 1448, 1299 cm⁻¹; HRMS (EI): m/z calcd. for C₁₆H₁₄ClNO₂: 287.0713; found: 278.0711.

**Methyl 4-oxo-4-(2-oxo-1,2-diphenylethylamino)butanoate (5f)**

White solid; ¹H NMR (300 MHz, CDCl₃) δ = 7.97-7.95 (m, 2H), 7.52-7.47 (m, 1H), 7.40-7.35 (m, 4H), 7.31-7.20 (m, 4H), 6.57 (d, J = 7.2 Hz, 1H), 3.63 (s, 3H), 2.67-2.53 (m, 4H); ¹³C NMR (75 MHz, CDCl₃) δ = 195.8, 173.3, 170.7, 137.2, 134.4, 133.9, 129.3, 129.2, 128.8, 128.5, 128.3, 58.7, 51.9, 30.9, 29.3; IR(NaCl): ν = 3314, 3063, 3030, 2952, 1737, 1690, 1669, 1597, 1580, 1520, 1448, 1226 cm⁻¹; HRMS (EI): m/z calcd. for C₁₉H₁₉NO₄: 325.1314; found: 325.1315.

**N-(2-oxo-1,2-diphenylethyl)benzamide (5g)**

White solid; ¹H NMR (300 MHz, CDCl₃) δ = 8.03-8.00 (m, 2H), 7.86-7.83 (m, 2H), 7.78 (d, J = 6.9 Hz, 1H), 7.53-7.45 (m, 4H), 7.43-7.37 (m, 4H), 7.33-7.21 (m, 3H), 6.76 (d, J = 7.1 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ = 196.0, 166.5, 137.4, 134.4, 134.0, 131.9, 129.4, 129.3, 128.9, 128.7, 128.6, 128.5, 127.3, 59.1.

**N-(2-oxo-1,2-diphenylethyl)furan-2-carboxamide (5h)**

White solid; ¹H NMR (300 MHz, CDCl₃) δ = 8.02-7.99 (m, 2H), 7.88 (d, J = 7.2 Hz, 1), 7.52-7.36 (m, 6H), 7.33-7.20 (m, 3H), 7.10 (dd, J = 3.4, 0.6 Hz, 1H), 6.72 (d, J = 7.4 Hz, 1H), 6.44 (dd, J = 3.5, 1.7 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ = 195.4, 157.5, 147.7, 144.3, 137.2, 134.3, 134.0, 129.4, 129.3, 128.8, 128.6, 128.4, 114.8, 112.2, 58.3; IR(NaCl): ν = 3404, 3130, 3062, 3031, 1689, 1662, 1592, 1508, 1469, 1255 cm⁻¹; HRMS (FAB): m/z calcd. for C₁₀H₁₉NO₃: 306.1130; found: 306.1132.
**N-(2-oxo-1,2-diphenylethyl)thiophene-2-carboxamide (5i)**

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 8.01$-$7.98$ (m, 2H), 7.70 (d, $J = 6.7$ Hz, 1H), 7.59 (d, $J = 3.1$ Hz, 1H), 7.51-7.35 (m, 6H), 7.30-7.19 (m, 3H), 7.03-7.00 (m, 1H), 6.73 (d, $J = 6.9$ Hz, 1H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 195.7$, 161.1, 138.6, 137.2, 134.2, 134.0, 130.5, 129.3, 129.2, 128.9, 128.6, 128.5, 128.4, 127.8, 58.9; IR(NaCl): $\nu = 3395$, 3088, 3062, 2994, 1689, 1634, 1597, 1531, 1494, 1448, 1359 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{19}$H$_{16}$NO$_2$S [M + H]$^+$: 322.0902; found: 322.0902.

**tert-butyl 2-oxo-1,2-diphenylethylcarbamate (5j)**

White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta = 7.97$-$7.94$ (m, 2H), 7.51-7.47 (m, 1H), 7.40-7.35 (m, 4H), 7.32-7.25 (m, 3H), 6.28 (d, $J = 7.6$ Hz, 1H), 6.04 (d, $J = 7.0$ Hz, 1H), 1.43 (s, 9H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta = 196.3$, 155.2, 137.7, 134.7, 133.8, 129.3, 129.2, 128.8, 128.4, 128.3, 80.1, 59.9, 28.5.

5. One-pot transformation to oxazoles and a thiazole

5-a. One-pot transformation to oxazoles

The [bmim]Cl (1.0 mL) was added to a flame-dried J-young flask then stirred at 100 °C for 1 h. The ruthenium catalyst 1 (1.0 mol%), the substrate (0.25 mmol) and triethylamine (2.0 mol%) was added under stream of argon flow and stirred at 70 °C for 12 h. Then 2 mL of sulfuric acid was added and stirred at 70 °C for 3 h. After completion of the reaction, the reaction mixture was cool down to 0 °C then H$_2$O (10 mL) is added dropwise. The reaction mixture was extracted with dichloromethane (3 x 10 mL), wash with H$_2$O (20 mL) and brine (20 mL). The organic layer was dried over anhydrous sodium sulfate, and concentrated under
reduced pressure. The crude residue was purified by column chromatography to afford the corresponding oxazole.

\[
\begin{align*}
\text{2-methyl-4,5-diphenyloxazole (6a)}^{[17]} \\
\text{Yield: 94%; Colorless oil; } ^1\text{H NMR (300 MHz, CDCl}_3\text{) } \delta = 7.65-7.62 \text{ (m, 2H), 7.59-7.56 \text{ (m, 2H), 7.37-7.28 \text{ (m, 6H), 2.53 \text{ (s, 3H)}}; } ^{13}\text{C NMR (75 MHz, CDCl}_3\text{) } \delta = 160.3, 145.4, 135.3, 132.7, 129.2, 128.8, 128.7, 128.5, 128.1, 128.0, 126.5, 14.1.
\end{align*}
\]

\[
\begin{align*}
\text{3-(4,5-diphenyloxazol-2-yl)propanoic acid (oxazprozin) (6b)}^{[18]} \\
\text{Yield: 89%; White solid; } ^1\text{H NMR (300 MHz, CDCl}_3\text{) } \delta = 11.48 \text{ (s, 1H), 7.63-7.54 \text{ (m, 4H), 7.38-7.28 \text{ (m, 6H), 3.19 \text{ (t, } J = 7.2 \text{ Hz, 2H), 2.94 \text{ (t, } J = 7.2 \text{ Hz, 2H); } } ^{13}\text{C NMR (75 MHz, CDCl}_3\text{) } \delta = 177.0, 162.1, 145.8, 135.1, 132.2, 128.9, 128.8, 128.77, 128.4, 128.2, 126.7, 31.3, 23.4.}
\end{align*}
\]

5-b. **One-pot transformation to a chiral oxazole**

The [bmim]Cl (0.5 mL) was added to a flame-dried J-young flask then stirred at 100 °C for 1 h. The ruthenium catalyst 1 (1.0 mol%), the substrate (0.13 mmol) and triethylamine (2.0 mol%) was added under stream of argon flow and stirred at 70 °C for 12 h. The reaction mixture was cooled down to 0 °C then SOCl\textsubscript{2} in dichloromethane (1.0 M; 1.2 equiv, 0.16 mmol) was added dropwise and stirred for 24 h at 0 °C. After completion of the reaction, H\textsubscript{2}O (5 mL) is slowly added, extracted with dichloromethane (3 x 5 mL), wash with H\textsubscript{2}O (10 mL) and brine (10 mL). The organic layer was dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the corresponding oxazole. Enantiomeric excess was determined by HPLC equipped with a chiral column (whelk-O1, n-hexane:i-PrOH=7:3)
(2R)-2-(6-methoxynaphthalen-2-yl)-N-(2-oxo-1,2-diphenylethyl)propanamide and 2-oxo-1,2-diphenylethylacetamide (5k)

White solid; Yield: 82%; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.94-7.87 (m, 4H), 7.73-7.06 (m, 29 H), 6.99 (d, $J = 7.1$ Hz, 1H), 6.54 (d, $J = 7.2$ Hz, 1H), 6.51 (d, $J = 7.0$ Hz, 1H), 3.88 (s, 6H), 3.83-3.70 (m, 2H), 1.57 (d, $J = 4.5$ Hz, 3H), 1.54 (d, $J = 4.5$ Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 195.85, 195.64, 173.67, 173.52, 157.80, 157.76, 137.35, 137.01, 136.47, 136.30, 134.49, 134.34, 133.92, 133.88, 133.84, 133.78, 129.47, 129.44, 129.29, 129.18, 129.16, 129.1, 128.81, 128.77, 128.43, 128.37, 128.23, 127.64, 127.52, 126.41, 126.39, 126.37, 126.14, 119.17, 119.10, 105.80, 105.74, 58.80, 55.43, 47.08, 46.81, 18.79; IR(NaCl): $\nu$ = 3270, 3091, 3063, 3030, 2965, 2870, 1710, 1686, 1659, 1598, 1581, 1496, 1448, 1398, 1366 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{28}$H$_{26}$NO$_3$ [M + H]$^+$: 424.1907; found: 424.1904.

(S)-2-(1-(6-methoxynaphthalen-2-yl)ethyl)-4,5-diphenyloxazole (6c)

Yield: 70%; ee: 91%; White solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.82-7.73 (m, 5H), 7.61-7.56 (m, 3H), 7.43-7.34 (m, 6H), 7.22-7.16 (m, 2H), 4.55 (q, $J = 7.2$ Hz, 1H), 3.94 (s, 3H), 1.93 (d, $J = 7.2$ Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 165.5, 157.8, 145.5, 145.2, 137.2, 135.3, 133.8, 132.8, 129.5, 129.2, 129.1, 128.7, 128.5, 128.2, 128.17, 127.4, 126.6, 126.4, 125.9, 119.1, 105.8, 55.5, 39.9, 20.3; IR(NaCl): $\nu$ = 3058, 2977, 2934, 2852, 2106, 1955, 1904, 1737, 1678, 1634, 1607, 1566, 1506, 1485, 1444, 1393 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{28}$H$_{23}$NO$_2$: 405.1729; found: 405.1730.

- HPLC data
5-c. One-pot transformation to a thiazole

The [bmim]Cl (1.0 mL) was added to a flame-dried J-young flask then stirred at 100 °C for 1 h. The ruthenium catalyst 1 (1.0 mol%), the substrate (0.25 mmol) and triethylamine (2.0 mol%) was added under stream of argon flow and stirred at 70 °C for 12 h. Then Lawsson’s reagent (0.5 mmol, 2.0 equiv) was added and stirred at 70 °C for 24 h. After completion of the reaction, the reaction mixture was cooled down to room temperature then extracted with dichloromethane (3 x 5 mL), wash with H₂O (10 mL) and brine (10 mL). The organic layer was dried over anhydrous sodium sulfate, concentrated under reduced pressure. The crude residue was purified by column chromatography to afford the corresponding thiazole.

2-methyl-4,5-diphenylthiazole (7)[¹⁹]
Yield: 87%; Pale yellow solid; $^1$H NMR (300 MHz, CDCl$_3$) $\delta$ = 7.51-7.48 (m, 2H), 7.31-7.24 (m, 8H), 2.73 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) $\delta$ = 163.9, 149.6, 135.1, 132.5, 132.3, 129.7, 129.1, 128.8, 128.4, 128.1, 127.8, 19.4.

6. The recycling of [bmim]Cl

The [bmim]Cl (1.0 mL) was added to a flame-dried J-young flask then stirred at 100 °C for 1 h. The ruthenium catalyst 1 (1.0 mol%), 3a (0.25 mmol), and triethylamine (2.0 mol%) were added under stream of argon flow and stirred at 70 °C for 12 h. After completion of the reaction, the reaction mixture was cooled down to room temperature then extracted with dichloromethane (3 x 5 mL). The organic layer was dried over anhydrous sodium sulfate, concentrated under reduced pressure. The aqueous layer was dried at 120 °C for 5 h to evaporate H$_2$O in an oven then reused (Table 1).

Table 2. Recycling of [bmim]Cl.

<table>
<thead>
<tr>
<th>Run</th>
<th>Conversion$^a$</th>
<th>Yield$^a$</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>&gt;99</td>
<td>96</td>
</tr>
<tr>
<td>2</td>
<td>&gt;99</td>
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<td>90</td>
</tr>
<tr>
<td>6</td>
<td>89</td>
<td>89</td>
</tr>
</tbody>
</table>

$^a$ Estimated by $^1$H NMR using an internal standard.

7. Mechanistic investigation

7-a. Crossover experiment

The [bmim]Cl (1.0 mL) was added to a flame-dried J-young flask then stirred at 100 °C for 1 h. The ruthenium catalyst 1 (1.0 mol%), 2a (0.25 mmol), 8 (0.25 mmol), and triethylamine (2.0 mol%) were added under stream of argon flow and stirred at 70 °C for 12 h. Then Lawsson’s reagent (2.0 equiv) was added and stirred at 70 °C for 24 h. After completion of the reaction, the reaction mixture was cooled down to room temperature then extracted with
dichloromethane (3x5 mL). The organic layer was dried over anhydrous sodium sulfate, concentrated under reduced pressure. The yield of 3a and 9 were determined by $^1$H NMR using dibromomethane as an internal standard.

![1-azido-1-phenylpropan-2-yl benzoate (8)](image)

Yield: 83%; White solid; $^1$H NMR (300 MHz, CDCl$_3$) δ = 8.04-8.02 (m, 2H), 7.60-7.54 (m, 1H), 7.47-7.30 (m, 7H), 5.45-5.37 (m, 1H), 4.92 (d, $J$ = 4.3 Hz, 1H), 1.31 (d, $J$ = 6.4 Hz, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) δ = 166.0, 136.2, 133.4, 130.2, 129.9, 128.9, 128.6, 127.5, 74.0, 68.8, 14.8; IR(NaCl): $\nu$ = 3090, 3064, 3033, 2989, 2939, 2105, 1717, 1602, 1585, 1495, 1451, 1383, 1353 cm$^{-1}$; HRMS (FAB): m/z calcd. for C$_{16}$H$_{16}$N$_3$O$_2$ [M + H]$^+$: 282.1242; found: 282.1243.

![N-(2-oxo-1-phenylpropyl)benzamide (9)](image)

Yield: 91%; White solid; $^1$H NMR (300 MHz, CDCl$_3$) δ = 7.83-7.80 (m, 2H), 7.58 (d, $J$ = 5.5 Hz, 1H), 7.53-7.30 (m, 8H), 5.73 (d, $J$ = 6.1 Hz, 1H), 2.17 (s, 3H); $^{13}$C NMR (75 MHz, CDCl$_3$) δ = 203.8, 166.5, 136.7, 133.9, 132.0, 129.5, 128.9, 128.8, 128.2, 127.3, 64.1, 27.4; IR(NaCl): $\nu$ = 3320, 3062, 3031, 2918, 1720, 1649, 1602, 1580, 1514, 1483, 1455, 1358 cm$^{-1}$; HRMS (EI): m/z calcd. for C$_{16}$H$_{15}$NO$_2$: 253.1103; found: 253.1102.

7-b. Deportection of MOM-protected enol amide

The deprotection of MOM-protected enol amide was carried out according to a literature procedure.[20] To a solution of MOM-protected enol amide[21] (0.125 mmol) in DMF (1.0 mL) were added ZnBr$_2$ (2.0 equiv) and n-PrSH (2.0 equiv), and the mixture was stirred at 70 °C for 12 h, and diluted with diethyl ether (5.0 mL). Saturated NaHCO$_3$ aqueous solution was added slowly at 0 °C, and the mixture was filtered through a filter paper. The filtrate was extracted with diethyl ether (3 x 5 mL). The organic layer was washed with H$_2$O (15 mL) and brine (15 mL), dried over anhydrous sodium sulfate, concentrated under reduced pressure. The yield of 3a was determined by $^1$H NMR using dibromomethane as an internal standard.
8. References