Sequential annulation and isomerisation reaction of 3-acylmethylidene oxindoles with Huisgen zwitterions and synthesis of 5-(3-oxindolyl)oxazoles

Feixue Xue, Chang-Jiang Yang, Tong Tang and Zhengjie He

The State Key Laboratory of Elemento-Organic Chemistry, College of Chemistry, Nankai University, Tianjin 300071, China; Collaborative Innovation Center of Chemical Science and Engineering (Tianjin), Tianjin 300071, China

E-mail: zhengjiehe@nankai.edu.cn

Department of Chemistry, School of Sciences, Great Bay University, Dongguan 523000, China; The Dongguan Key Laboratory for Data Science and Intelligent Medicine, Dongguan 523000, China

E-mail: yangcj@gbu.edu.cn

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Table S1. Reactivity comparisons of our original annulation reaction with this one-pot synthesis

A. **Our previous work:** Annulation reaction of 3-acylmethylidene oxindoles with HZs

(Orig. Lett., 2016, 18, 1486)

![Chemical reaction diagram]

<table>
<thead>
<tr>
<th>Entry</th>
<th>X, R¹, R² in 1</th>
<th>R in 2</th>
<th>Yield of 3 (%)</th>
<th>Yield of 4 (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>H, Ph, Bn (1a)</td>
<td>Et (2a)</td>
<td>3a, 84%</td>
<td>4a, 13%</td>
</tr>
<tr>
<td>2</td>
<td>H, 4-FC₆H₄, Bn (1f)</td>
<td>2a</td>
<td>3f, 63%</td>
<td>4f, 30%</td>
</tr>
<tr>
<td>3</td>
<td>1a</td>
<td>iPr (2b)</td>
<td>3B, 83%</td>
<td>4B, 11%</td>
</tr>
<tr>
<td>4</td>
<td>1a</td>
<td>tBu (2c)</td>
<td>3C, 96%</td>
<td>4C, trace</td>
</tr>
<tr>
<td>5</td>
<td>1a</td>
<td>Bn (2d)</td>
<td>3D, 94%</td>
<td>4D, trace</td>
</tr>
</tbody>
</table>

B. **This work:** One-pot annulation/isomerisation strategy to access 5-(3-oxindolyl)oxazoles

![Chemical reaction diagram]

<table>
<thead>
<tr>
<th>Entry</th>
<th>X, R¹, R² in 1</th>
<th>R in 2</th>
<th>Yield of 4 (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>H, Ph, Bn (1a)</td>
<td>Et (2a)</td>
<td>4a, 86%</td>
</tr>
<tr>
<td>2</td>
<td>H, 4-FC₆H₄, Bn (1f)</td>
<td>2a</td>
<td>4f, 81%</td>
</tr>
<tr>
<td>3</td>
<td>1a</td>
<td>iPr (2b)</td>
<td>4B, 67%</td>
</tr>
<tr>
<td>4</td>
<td>1a</td>
<td>tBu (2c)</td>
<td>4C, 55%</td>
</tr>
<tr>
<td>5</td>
<td>1a</td>
<td>Bn (2d)</td>
<td>4D, 45%</td>
</tr>
</tbody>
</table>
**Table S2.** Extended scope for our original annulation reaction and this one-pot synthesis

### A. Extended scope for annulation reaction of 3-acylmethyldene oxindoles with HZs

![Chemical reaction diagram]

<table>
<thead>
<tr>
<th>Entry</th>
<th>X, R¹, R² in 1</th>
<th>R in 2</th>
<th>Yield of 3 (%)</th>
<th>Yield of 4 (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>H, Me, Bn (1B)</td>
<td>Et (2a)</td>
<td>Unidentified complex mixture</td>
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</tr>
<tr>
<td>2</td>
<td>H, Ph, Boc (1C)</td>
<td>2a</td>
<td>3b, 78%</td>
<td>4E, trace</td>
</tr>
<tr>
<td>3</td>
<td>H, Ph, Ac (1D)</td>
<td>2a</td>
<td>3c, 79%</td>
<td>4F, trace</td>
</tr>
</tbody>
</table>

### B. Extended scope for one-pot annulation/isomerisation strategy to access 5-(3-oxindolyloxazoles

![Chemical reaction diagram]

<table>
<thead>
<tr>
<th>Entry</th>
<th>X, R¹, R² in 1</th>
<th>R in 2</th>
<th>Yield of 4 (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>H, Me, Bn (1B)</td>
<td>Et (2a)</td>
<td>Complex</td>
</tr>
<tr>
<td>2</td>
<td>H, Ph, Boc (1C)</td>
<td>2a</td>
<td>Complex</td>
</tr>
<tr>
<td>3</td>
<td>H, Ph, Ac (1D)</td>
<td>2a</td>
<td>Complex</td>
</tr>
</tbody>
</table>
General information

Unless otherwise noted, all reactions were carried out in a nitrogen atmosphere under anhydrous conditions. Solvents were purified prior to use according to conventional procedures. All reactions were monitored by analytical thin layer chromatography (TLC). Column chromatography was performed on silica gel (200–300 mesh) using a mixture of petroleum ether (60–90 °C)/ethyl acetate as the eluent. $^1$H and $^{13}$C NMR spectra were recorded in CDCl$_3$ using tetramethylsilane as the internal standard. Data for $^1$H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quarter; p, pentet, m, multiplet; br, broad), coupling constant (Hz), integration. Data for $^{13}$C NMR were reported in terms of chemical shift (δ, ppm). HRMS spectra were acquired in the electrospray ionization (ESI) mode (positive ion) with the time-of-flight (TOF) mass analyzer used.
The structures and synthesis of substrates

3-Acylmethylidene oxindoles 1 were prepared from the corresponding isatins according to literature procedures, which were storage stable in a sealed vial at room temperature for more than 12 months. Dialkyl azodicarboxylates 2 were purchased from commercial sources.
General procedure for the synthesis of products

Under a N$_2$ atmosphere, to a solution of 3-acylmethylidene oxindole 1 (0.50 mmol, 1.0 equiv) and dialkyl azodicarboxylate 2 (0.75 mmol, 1.5 equiv) in CH$_2$Cl$_2$ (5.0 mL) was added PPh$_3$ (197 mg, 0.75 mmol, 1.5 equiv). The reaction mixture was stirred at room temperature until substrate 1 was completely consumed (reaction time: from 15 min to 6 h, monitored by TLC). Then, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU, 90 μL, 0.6 mmol, 1.2 equiv) was added into the mixture by means of a microsyringe. The resulting mixture was stirred at room temperature for 2 h. The solvent was then removed on a rotary evaporator under reduced pressure, and the residue was subjected to column chromatographic isolation on silica gel (gradient eluent: petroleum ether/ethyl acetate 10:1–5:1) to give the desired product 4.
Figure S1. The X-ray structure of 4u (CCDC 2067907, 50% probability ellipsoids).
Analytical data for products

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)carbamate<sup>2</sup> (4a)

Following the general procedure, 4a was collected from the reaction of substrates 1a (170 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 214 mg, 86% yield, mp 152–153 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.77 (d, <i>J</i> = 7.2 Hz, 2H), 7.45–7.36 (m, 3H), 7.36–7.27 (m, 6H), 7.16 (t, <i>J</i> = 8.1 Hz, 1H), 6.54 (d, <i>J</i> = 7.8 Hz, 1H), 6.22 (br s, 1H), 5.14 (s, 1H), 5.02–4.90 (m, 2H), 4.48 (q, <i>J</i> = 7.1 Hz, 2H), 3.98–3.81 (m, 2H), 1.42 (t, <i>J</i> = 7.1 Hz, 3H), 1.13 (t, <i>J</i> = 7.1 Hz, 3H).

<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 173.1, 161.0, 153.0, 143.7, 139.3, 135.3, 134.5, 133.3, 130.8, 129.7, 128.8, 128.7, 128.5, 127.7, 127.6, 127.2, 115.5, 114.8, 105.5, 67.6, 61.3, 44.3, 42.6, 14.3, 14.2.

HRMS (ESI) <i>m/z</i> calcd for C<sub>29</sub>H<sub>28</sub>N<sub>3</sub>O<sub>5</sub> [M + H]<sup>+</sup> 498.2023, found 498.2020.

Ethyl (1-Benzyl-3-(4-(3-chlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl)carbamate (4b)

Following the general procedure, 4b was collected from the reaction of substrates 1b (187 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 191 mg, 72% yield, mp 69–70 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.73 (s, 1H), 7.70–7.64 (m, 1H), 7.38–7.27 (m, 7H), 7.22 (d, <i>J</i> = 8.3 Hz, 1H), 7.17 (t, <i>J</i> = 7.9 Hz, 1H), 6.56 (d, <i>J</i> = 7.7 Hz, 1H), 6.18 (br s, 1H), 5.15 (s, 1H), 5.02–4.90 (m, 2H), 4.48 (q, <i>J</i> = 7.1 Hz, 2H), 3.99–3.82 (m, 2H), 1.42 (t, <i>J</i> = 7.1 Hz, 3H), 1.16 (t, <i>J</i> = 7.1 Hz, 3H).
$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.9, 161.0, 153.0, 143.9, 137.9, 135.3, 134.7, 134.4, 134.1, 132.8, 130.0, 129.8, 128.9, 128.6, 127.8, 127.6, 127.3, 125.7, 115.9, 115.3, 105.8, 67.8, 61.5, 44.4, 42.8, 14.4, 14.3.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$ClN$_3$O$_5$ [M + H]$^+$ 532.1634, found 532.1629.

Ethyl (1-Benzyl-3-(4-(3,4-dichlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4c)

Following the general procedure, 4c was collected from the reaction of substrates 1c (204 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 209 mg, 74% yield, mp 140−142 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.83 (d, $J$ = 1.9 Hz, 1H), 7.62 (dd, $J$ = 8.3, 1.9 Hz, 1H), 7.44 (d, $J$ = 8.3 Hz, 1H), 7.36−7.26 (m, 5H), 7.15 (t, $J$ = 7.9 Hz, 1H), 7.10 (d, $J$ = 8.1 Hz, 1H), 6.57 (d, $J$ = 7.7 Hz, 1H), 6.30 (br s, 1H), 5.17 (s, 1H), 4.94 (s, 2H), 4.45 (q, $J$ = 7.1 Hz, 2H), 3.97−3.79 (m, 2H), 1.40 (t, $J$ = 7.1 Hz, 3H), 1.13 (t, $J$ = 7.1 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.8, 160.8, 152.9, 143.8, 136.7, 135.1, 134.3, 134.1, 132.8, 132.3, 131.1, 130.5, 129.7, 129.1, 128.8, 127.7, 127.2, 126.5, 116.3, 115.7, 106.0, 67.8, 61.5, 44.3, 43.0, 14.2.

HRMS (ESI) m/z calcd for C$_{29}$H$_{26}$Cl$_2$N$_3$O$_5$ [M + H]$^+$ 566.1244, found 566.1248.

Ethyl (1-Benzyl-3-(4-(3-bromophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4d)

Following the general procedure, 4d was collected from the reaction of substrates 1d (209 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic
isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 244 mg, 78% yield, mp 65–67 °C.

\(^1\)H NMR (400 MHz, CDCl\(_3\)): δ 7.87 (t, \(J = 1.8\) Hz, 1H), 7.72 (d, \(J = 7.8\) Hz, 1H), 7.51–7.46 (m, 1H), 7.35–7.30 (m, 4H), 7.30–7.26 (m, 2H), 7.22–7.13 (m, 2H), 6.56 (dd, \(J = 7.2, 1.3\) Hz, 1H), 6.23 (br s, 1H), 5.16 (s, 1H), 5.02–4.89 (m, 2H), 4.47 (q, \(J = 7.1\) Hz, 2H), 3.99–3.82 (m, 2H), 1.41 (t, \(J = 7.1\) Hz, 3H), 1.15 (t, \(J = 7.1\) Hz, 3H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)): δ 172.9, 160.9, 152.9, 143.8, 137.6, 135.2, 134.2, 134.1, 133.0, 131.4, 130.3, 130.2, 129.7, 128.8, 127.7, 127.2, 126.0, 122.8, 115.9, 115.3, 105.8, 67.8, 61.5, 44.4, 42.8, 14.32, 14.27.

HRMS (ESI) \(m/z\) calcd for C\(_{29}\)H\(_{27}\)BrN\(_3\)O\(_5\) [M + H]\(^+\) 576.1129, found 576.1130.

**Ethyl (1-Benzyl-3-(2-ethoxy-4-(3-nitrophenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4e)**

Following the general procedure, 4e was collected from the reaction of substrates 1e (192 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 189 mg, 70% yield, mp 64–65 °C.

\(^1\)H NMR (400 MHz, CDCl\(_3\)): δ 8.52 (s, 1H), 8.18 (dd, \(J = 8.2, 1.3\) Hz, 1H), 8.13 (d, \(J = 7.7\) Hz, 1H), 7.55 (t, \(J = 8.0\) Hz, 1H), 7.38–7.27 (m, 5H), 7.17 (t, \(J = 8.0\) Hz, 1H), 7.06 (d, \(J = 8.2\) Hz, 1H), 6.61 (d, \(J = 7.8\) Hz, 1H), 6.25 (br s, 1H), 5.24 (s, 1H), 5.06–4.88 (m, 2H), 4.50 (q, \(J = 7.1\) Hz, 2H), 3.95–3.85 (m, 1H), 3.84–3.73 (m, 1H), 1.44 (t, \(J = 7.1\) Hz, 3H), 1.09 (t, \(J = 7.1\) Hz, 3H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)): δ 172.7, 161.0, 152.9, 148.4, 144.0, 136.7, 135.2, 134.9, 134.0, 133.1, 133.0, 129.8, 129.6, 128.9, 127.8, 127.3, 122.9, 122.2, 116.5, 115.7, 106.2, 68.0, 61.5, 44.4, 43.2, 14.3, 14.2.

HRMS (ESI) \(m/z\) calcd for C\(_{29}\)H\(_{27}\)N\(_4\)O\(_7\) [M + H]\(^+\) 543.1874, found 543.1876.
Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-fluorophenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4f)

Following the general procedure, 4f was collected from the reaction of substrates 1f (179 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 208 mg, 81% yield, mp 87–88 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.78–7.71 (m, 2H), 7.37–7.28 (m, 5H), 7.25 (d, $J$ = 7.9 Hz, 1H), 7.17 (t, $J$ = 8.0 Hz, 1H), 7.12–7.07 (m, 2H), 6.56 (d, $J$ = 7.9 Hz, 1H), 6.18 (br s, 1H), 5.10 (s, 1H), 5.00–4.90 (m, 2H), 4.47 (q, $J$ = 7.1 Hz, 2H), 3.98–3.84 (m, 2H), 1.42 (t, $J$ = 7.1 Hz, 3H), 1.15 (t, $J$ = 7.1 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 173.1, 162.9 (d, $J$ = 248.2 Hz), 161.0, 153.0, 143.8, 138.3, 135.5, 135.3, 134.4, 133.3, 129.8, 129.5 (d, $J$ = 8.2 Hz), 128.9, 127.8, 127.3, 127.1 (d, $J$ = 3.3 Hz), 122.4, 115.7 (d, $J$ = 21.7 Hz), 105.7, 67.8, 61.4, 44.4, 42.7, 14.3.

$^{19}$F NMR (376 MHz, CDCl$_3$): $\delta$ −112.83.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$FN$_3$O$_5$ [M+H]$^+$ 516.1929, found 516.1938.

Ethyl (1-Benzyl-3-(4-(4-chlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4g)

Following the general procedure, 4g was collected from the reaction of substrates 1g (187 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 199 mg, 75% yield, mp 72–75 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.71 (d, $J$ = 8.5 Hz, 2H), 7.38 (d, $J$ = 8.5 Hz, 2H), 7.35–7.27 (m, 5H), 7.21 (d, $J$ = 8.1 Hz, 1H), 7.17 (t, $J$ = 7.9 Hz, 1H), 6.56 (d, $J$ = 7.9 Hz, 1H), 6.17 (br s, 1H), 5.13 (s, 1H), 4.95 (s, 2H), 4.47 (q, $J$ = 7.1 Hz, 2H), 3.98–3.80 (m, 2H), 1.41 (t, $J$ = 7.1 Hz, 3H), 1.14 (t, $J$ = 7.1 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 173.0, 160.9, 152.9, 143.8, 138.1, 135.2, 134.4, 133.7, 129.8, 129.5, 128.9, 128.9, 128.8, 127.8, 127.3, 124.0, 115.9, 115.2, 105.7, 67.8, 61.4,
Ethyl (1-Benzyl-3-(4-(4-bromophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4h)

Following the general procedure, 4h was collected from the reaction of substrates 1h (209 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 221 mg, 77% yield, mp 85–86 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.65 (d, $J$ = 8.5 Hz, 2H), 7.53 (d, $J$ = 8.5 Hz, 2H), 7.35–7.27 (m, 5H), 7.21 (d, $J$ = 8.1 Hz, 1H), 7.17 (t, $J$ = 7.9 Hz, 1H), 6.56 (d, $J$ = 8.3 Hz, 1H), 6.18 (br s, 1H), 5.13 (s, 1H), 4.95 (s, 2H), 4.46 (q, $J$ = 7.1 Hz, 2H), 3.97–3.81 (m, 2H), 1.41 (t, $J$ = 7.1 Hz, 3H), 1.14 (t, $J$ = 7.1 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 172.9, 160.9, 152.9, 143.8, 138.1, 135.2, 134.3, 133.7, 131.8, 129.9, 129.8, 129.0, 128.8, 127.8, 127.2, 122.6, 115.9, 115.3, 105.8, 67.8, 61.4, 44.4, 42.8, 14.3.

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$BrN$_3$O$_5$ [M + H]$^+$ 576.1129, found 576.1126.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(p-tolyl)oxazol-5-yl)-2-oxindolin-4-yl)carbamate (4i)

Following the general procedure, 4i was collected from the reaction of substrates 1i (177 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 1 h and step 2 for 2 h), 176 mg, 69% yield, mp 67–69 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.66 (d, $J$ = 8.0 Hz, 2H), 7.40–7.28 (m, 6H), 7.23 (d, $J$ = 8.0 Hz, 2H), 7.15 (d, $J$ = 8.1 Hz, 1H), 6.53 (d, $J$ = 7.8 Hz, 1H), 6.21 (br s, 1H), 5.10 (s, 1H), 5.02–4.90 (m, 2H), 4.47 (q, $J$ = 7.1 Hz, 2H), 3.99–3.87 (m, 2H), 2.39 (s, 3H), 1.47 (t, $J$ = 7.1 Hz, 3H), 1.14 (t, $J$ = 7.1 Hz, 3H), 1.11 (t, $J$ = 7.1 Hz, 3H).

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$BrN$_3$O$_5$ [M + H]$^+$ 576.1129, found 576.1126.
1.41 (t, $J = 7.1$ Hz, 3H), 1.15 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 173.1, 161.0, 153.0, 143.7, 139.4, 138.4, 135.4, 134.6, 132.9, 129.7, 129.4, 129.0, 128.8, 127.9, 127.7, 127.5, 127.2, 123.6, 115.2, 105.4, 67.6, 61.3, 44.4, 42.5, 21.3, 14.31, 14.27.

HRMS (ESI) $m/z$ calcd for C$_{30}$H$_{30}$N$_3$O$_5$ [M + H]$^+$ 512.2180, found 512.2185.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-ethylphenyl)oxazol-5-yl)-2-oxindolin-4-yl)
carbamate (4j)

Following the general procedure, 4j was collected from the reaction of substrates 1j (184 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 165 mg, 63% yield, mp 132−134 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.69 (d, $J = 8.1$ Hz, 2H), 7.38−7.25 (m, 8H), 7.16 (t, $J = 8.1$ Hz, 1H), 6.53 (d, $J = 7.8$ Hz, 1H), 6.22 (br s, 1H), 5.11 (s, 1H), 5.02−4.90 (m, 2H), 4.47 (q, $J = 7.1$ Hz, 2H), 3.99−3.84 (m, 2H), 2.68 (q, $J = 7.6$ Hz, 2H), 1.41 (t, $J = 7.1$ Hz, 3H), 1.25 (t, $J = 7.6$ Hz, 3H), 1.14 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 173.1, 161.0, 153.0, 144.8, 143.7, 139.4, 135.4, 134.6, 133.1, 132.9, 129.7, 128.8, 128.2, 128.1, 127.7, 127.6, 127.2, 115.3, 105.4, 67.6, 61.3, 44.4, 42.5, 28.7, 15.6, 14.30, 14.28.

HRMS (ESI) $m/z$ calcd for C$_{31}$H$_{32}$N$_3$O$_5$ [M + H]$^+$ 526.2336, found 526.2344.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-methoxyphenyl)oxazol-5-yl)-2-oxindolin-4-yl)
carbamate (4k)

Following the general procedure, 4k was collected from the reaction of substrates 1k (185 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 6 h and step 2 for 2 h), 161 mg, 61% yield, mp 71−72 °C.
**1H NMR** (400 MHz, CDCl3): δ 7.70 (d, $J = 7.6$ Hz, 2H), 7.38–7.27 (m, 6H), 7.17 (t, $J = 8.2$ Hz, 1H), 6.95 (d, $J = 7.6$ Hz, 2H), 6.53 (d, $J = 7.9$ Hz, 1H), 6.21 (br s, 1H), 5.08 (s, 1H), 5.01–4.91 (m, 2H), 4.48 (q, $J = 7.1$ Hz, 2H), 4.02–3.89 (m, 2H), 3.84 (s, 3H), 1.41 (t, $J = 7.1$ Hz, 3H), 1.16 (t, $J = 7.1$ Hz, 3H).

**13C NMR** (100 MHz, CDCl3): δ 173.2, 160.9, 159.8, 153.0, 143.6, 139.0, 135.3, 134.5, 132.4, 129.6, 129.0, 128.8, 127.7, 127.2, 123.3, 115.3, 114.6, 114.1, 105.4, 67.6, 61.3, 55.3, 44.3, 42.5, 14.4, 14.3.

**HRMS** (ESI) $m/z$ calcd for C$_{30}$H$_{30}$N$_{3}$O$_{6}$ [M + H]$^+$ 528.2129, found 528.2129.

**Ethyl (1-Benzyl-3-(4-(4-(benzyl oxy)phenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl)carbamate (4l)**

Following the general procedure, 4l was collected from the reaction of substrates 1l (228 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 6 h and step 2 for 2 h), 169 mg, 56% yield, mp 53–55 °C.

**1H NMR** (400 MHz, CDCl3): δ 7.69 (d, $J = 8.7$ Hz, 2H), 7.44 (d, $J = 7.2$ Hz, 2H), 7.39 (t, $J = 7.3$ Hz, 2H), 7.37–7.27 (m, 7H), 7.16 (t, $J = 8.1$ Hz, 1H), 7.02 (d, $J = 8.7$ Hz, 2H), 6.53 (d, $J = 7.8$ Hz, 1H), 6.20 (br s, 1H), 5.10 (s, 2H), 5.08 (s, 1H), 5.01–4.89 (m, 2H), 4.47 (q, $J = 7.1$ Hz, 2H), 4.01–3.86 (m, 2H), 1.41 (t, $J = 7.1$ Hz, 3H), 1.14 (t, $J = 7.1$ Hz, 3H).

**13C NMR** (100 MHz, CDCl3): δ 173.2, 160.9, 159.1, 153.0, 143.7, 139.1, 136.8, 135.4, 134.6, 132.5, 129.7, 129.0, 128.8, 128.6, 128.0, 127.7, 127.5, 127.2, 123.6, 115.3, 115.1, 105.4, 70.0, 67.6, 61.4, 44.4, 42.5, 14.3.

**HRMS** (ESI) $m/z$ calcd for C$_{36}$H$_{34}$N$_{3}$O$_{6}$ [M + H]$^+$ 604.2442, found 604.2438.
Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-(trifluoromethyl)phenyl)oxazol-5-yl)-2-oxindolin-4-yl)carbamate (4m)

Following the general procedure, 4m was collected from the reaction of substrates 1m (204 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 15 min and step 2 for 2 h), 175 mg, 62% yield, mp 84−86 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.91 (d, $J = 8.1$ Hz, 2H), 7.66 (d, $J = 8.1$ Hz, 2H), 7.39−7.27 (m, 5H), 7.21−7.10 (m, 2H), 6.58 (d, $J = 7.5$ Hz, 1H), 6.19 (br s, 1H), 5.22 (s, 1H), 4.96 (s, 2H), 4.48 (q, $J = 7.1$ Hz, 2H), 3.93−3.83 (m, 1H), 3.82−3.71 (m, 1H), 1.42 (t, $J = 7.1$ Hz, 3H), 1.09 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.9, 161.0, 152.9, 143.9, 137.7, 135.2, 134.6, 134.1, 130.2 (q, $J = 32.5$ Hz), 129.8, 128.9, 127.8, 127.7, 127.3, 125.6 (q, $J = 3.6$ Hz), 125.4, 121.4 (q, $J = 271.7$ Hz), 116.2, 115.7, 106.0, 67.8, 61.4, 44.4, 43.0, 14.3, 14.2.

$^{19}$F NMR (376 MHz, CDCl$_3$): δ −62.60.

HRMS (ESI) m/z calcd for C$_{30}$H$_{27}$F$_3$N$_3$O$_5$ [M+H]$^+$ 566.1897, found 566.1895.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-nitrophenyl)oxazol-5-yl)-2-oxindolin-4-yl)carbamate (4n)

Following the general procedure, 4n was collected from the reaction of substrates 1n (192 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 176 mg, 65% yield, mp 81−82 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 8.23 (d, $J = 8.8$ Hz, 2H), 7.96 (d, $J = 8.8$ Hz, 2H), 7.40−7.27 (m, 5H), 7.18 (t, $J = 8.1$ Hz, 1H), 7.02 (d, $J = 8.2$ Hz, 1H), 6.62 (d, $J = 7.8$ Hz, 1H), 6.28 (br s, 1H), 5.31 (s, 1H), 5.02−4.90 (m, 2H), 4.48 (q, $J = 7.1$ Hz, 2H), 3.92−3.82 (m, 1H), 3.80−3.69 (m, 1H), 1.43 (t, $J = 7.1$ Hz, 3H), 1.08 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.8, 160.9, 152.9, 147.3, 144.0, 137.6, 136.9, 135.8, 135.1, 133.9, 129.8, 128.9, 127.8, 127.3, 123.8, 116.7, 116.2, 106.2, 67.9, 61.4, 44.4,
Ethyl (1-Benzyl-3-(2-ethoxy-4-(pyridin-2-yl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4o)

Following the general procedure, 4o was collected from the reaction of substrates 1o (170 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 197 mg, 79% yield, mp 194–195 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 9.86 (br s, 1H), 8.61 (d, $J$ = 4.4 Hz, 1H), 7.94 (d, $J$ = 7.9 Hz, 1H), 7.91–7.81 (m, 2H), 7.40–7.27 (m, 6H), 7.18 (t, $J$ = 8.2 Hz, 1H), 6.45 (d, $J$ = 7.7 Hz, 1H), 5.65 (s, 1H), 5.05 (d, $J$ = 15.8 Hz, 1H), 4.91 (d, $J$ = 15.8 Hz, 1H), 4.53–4.41 (m, 2H), 4.06–3.95 (m, 1H), 3.92–3.81 (m, 1H), 1.43 (t, $J$ = 7.1 Hz, 3H), 0.97 (t, $J$ = 7.1 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.7, 160.8, 154.1, 151.2, 148.8, 143.7, 137.6, 137.0, 136.5, 136.1, 135.5, 129.7, 128.8, 127.6, 127.1, 122.3, 121.8, 113.4, 112.7, 104.0, 67.7, 60.5, 44.1, 43.3, 14.3, 14.1.

HRMS (ESI) $m$/z calcd for C$_{29}$H$_{27}$N$_4$O$_7$ [M + H]$^+$ 543.1874, found 543.1874.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-5-fluoro-2-oxindolin-4-yl) carbamate (4p)

Following the general procedure, 4p was collected from the reaction of substrates 1p (179 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 30 min and step 2 for 2 h), 193 mg, 75% yield, mp 165–167 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.78 (d, $J$ = 7.6 Hz, 2H), 7.45–7.27 (m, 8H), 6.98–6.86 (m, 1H), 6.49 (dd, $J$ = 8.5, 3.4 Hz, 1H), 6.25 (br s, 1H), 5.51 (s, 1H), 4.95 (q, $J$ = 15.8 Hz, 2H), 4.46 (q, $J$ = 7.1 Hz, 2H), 3.77–3.59 (m, 1H), 3.56–3.42 (m, 1H), 1.40 (t, $J$ = 7.1 Hz, 3H).
7.1 Hz, 3H), 1.03 (t, J = 7.0 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.7, 160.5, 152.6, 152.0 (d, J = 240.6 Hz), 139.7, 138.9, 135.1 (d, J = 2.7 Hz), 133.1, 131.3, 128.9 (d, J = 7.0 Hz), 128.4, 128.1, 127.8, 127.3, 127.2, 122.6 (d, J = 15.6 Hz), 121.5, 114.9 (d, J = 22.0 Hz), 106.3 (d, J = 7.4 Hz), 67.5, 61.8, 44.6, 44.3, 14.3, 14.1.

$^{19}$F NMR (376 MHz, CDCl$_3$): δ −134.56.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$FN$_3$O$_5$ [M + H]$^+$ 516.1929, found 516.1927.

Ethyl (1-Benzyl-5-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4q)

Following the general procedure, 4q was collected from the reaction of substrates 1q (187 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 220 mg, 83% yield, mp 137−138 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.79 (d, J = 7.5 Hz, 2H), 7.40 (t, J = 7.4 Hz, 2H), 7.37−7.27 (m, 6H), 7.22 (d, J = 8.3 Hz, 1H), 6.54 (d, J = 8.3 Hz, 1H), 6.41 (br s, 1H), 5.58 (s, 1H), 4.96 (q, J = 15.8 Hz, 2H), 4.46 (q, J = 6.9 Hz, 2H), 3.78−3.59 (m, 1H), 3.47−3.31 (m, 1H), 1.41 (t, J = 6.9 Hz, 3H), 1.04 (t, J = 6.9 Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.7, 160.5, 152.4, 152.0, 143.0, 139.0, 135.0, 132.9, 131.3, 130.7, 129.2, 128.9, 128.4, 128.2, 127.8, 127.3, 127.2, 121.9, 121.5, 107.3, 67.5, 61.8, 44.6, 44.3, 14.3, 14.1.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$ClN$_3$O$_5$ [M + H]$^+$ 532.1634, found 532.1630.

Ethyl (1-Benzyl-5-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4r)

Following the general procedure, 4r was collected from the reaction of substrates 1r (209 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 236 mg, 82% yield, mp 138−140 °C.
$^1$H NMR (400 MHz, CDCl$_3$): δ 7.79 (d, $J = 7.5$ Hz, 2H), 7.44–7.31 (m, 8H), 7.29 (d, $J = 8.3$ Hz, 1H), 6.50 (d, $J = 8.3$ Hz, 1H), 6.38 (br s, 1H), 5.59 (s, 1H), 4.95 (q, $J = 15.8$ Hz, 2H), 4.46 (q, $J = 7.1$ Hz, 2H), 3.78–3.59 (m, 1H), 3.45–3.29 (m, 1H), 1.41 (t, $J = 7.1$ Hz, 3H), 1.04 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.5, 160.5, 152.4, 143.7, 138.9, 135.0, 132.9, 132.3, 131.8, 131.3, 128.9, 128.4, 128.1, 127.8, 127.2, 127.2, 121.7, 111.8, 107.9, 67.4, 61.7, 44.6, 44.2, 14.3, 14.0.

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$BrN$_3$O$_5$ [M + H]$^+$ 576.1129, found 576.1123.

Ethyl (1-Benzyl-5-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-7-methyl-2-oxindolin-4-yl)carbamate (4s)

Following the general procedure, 4s was collected from the reaction of substrates 1s (216 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 30 min and step 2 for 2 h), 251 mg, 85% yield, mp 185–186 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.82 (d, $J = 7.1$ Hz, 2H), 7.49–7.26 (m, 6H), 7.22–7.13 (m, 3H), 6.28 (br s, 1H), 5.59 (s, 1H), 5.30–5.13 (m, 2H), 4.46 (q, $J = 6.8$ Hz, 2H), 3.76–3.57 (m, 1H), 3.38–3.19 (m, 1H), 2.23 (s, 3H), 1.41 (t, $J = 6.8$ Hz, 3H), 1.03 (t, $J = 6.8$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 174.5, 160.4, 152.5, 141.5, 138.8, 136.9, 135.7, 133.2, 131.3, 129.9, 128.9, 128.4, 128.1, 127.3, 127.2, 125.5, 123.1, 119.4, 112.2, 67.5, 61.6, 45.3, 43.9, 18.2, 14.3, 14.0.

HRMS (ESI) $m/z$ calcd for C$_{30}$H$_{29}$BrN$_3$O$_5$ [M + H]$^+$ 590.1285, found 590.1281.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-5-nitro-2-oxindolin-4-yl)carbamate (4t)

Following the general procedure, 4t was collected from the reaction of substrates 1t (192 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction times: step 1 for 30 min and step 2 for 2 h),
220 mg, 81% yield, mp 164–165 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 8.59 (br s, 1H), 8.10 (d, $J = 8.8$ Hz, 1H), 7.79 (d, $J = 7.4$ Hz, 2H), 7.47–7.29 (m, 8H), 6.65 (d, $J = 8.8$ Hz, 1H), 5.69 (s, 1H), 5.12–4.92 (m, 2H), 4.55–4.42 (m, 2H), 3.69–3.56 (m, 1H), 3.33–3.21 (m, 1H), 1.42 (t, $J = 7.1$ Hz, 3H), 1.04 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 174.2, 160.6, 152.0, 149.6, 139.5, 136.9, 134.4, 131.7, 131.1, 130.3, 129.1, 128.5, 128.4, 128.2, 127.3, 127.2, 127.1, 120.5, 105.5, 67.7, 62.2, 44.8, 44.5, 14.3, 14.0.

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$N$_4$O$_7$ [M + H]$^+$ 543.1874, found 543.1875.

**Ethyl (1-Benzyl-6-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4u)**

Following the general procedure, 4u was collected from the reaction of substrates 1u (187 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 231 mg, 87% yield, mp 131–133 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.80–7.71 (m, 2H), 7.50–7.28 (m, 9H), 6.53 (d, $J = 1.5$ Hz, 1H), 6.20 (br s, 1H), 5.07 (s, 1H), 4.98–4.86 (m, 2H), 4.49 (q, $J = 7.1$ Hz, 2H), 4.02–3.85 (m, 2H), 1.42 (t, $J = 7.1$ Hz, 3H), 1.15 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.9, 161.1, 152.6, 144.5, 139.6, 135.4, 135.2, 134.8, 132.6, 130.6, 129.0, 128.8, 128.7, 127.9, 127.6, 127.2, 115.0, 112.2, 105.9, 67.8, 61.6, 44.5, 42.1, 14.3, 14.2.

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$ClN$_3$O$_5$ [M + H]$^+$ 532.1634, found 532.1629.

**Ethyl (1-Benzyl-6-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4v)**

Following the general procedure, 4v was collected from the reaction of substrates 1v (209 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 253
mg, 88% yield, mp 158−159 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.75 (d, $J = 7.2$ Hz, 2H), 7.59 (s, 1H), 7.48−7.28 (m, 8H), 6.68 (s, 1H), 6.19 (br s, 1H), 5.04 (s, 1H), 4.98−4.85 (m, 2H), 4.48 (q, $J = 7.0$ Hz, 2H), 4.01−3.83 (m, 2H), 1.42 (t, $J = 7.0$ Hz, 3H), 1.15 (t, $J = 7.0$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 172.8, 161.1, 152.6, 144.6, 139.6, 135.4, 134.8, 132.5, 130.6, 129.0, 128.8, 128.7, 127.9, 127.6, 127.2, 123.1, 117.9, 112.9, 108.7, 67.8, 61.6, 44.5, 42.2, 14.3, 14.2.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$BrN$_3$O$_5$ [M + H]$^+$ 576.1129, found 576.1127.

Ethyl (1-Benzyl-7-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4w)

Following the general procedure, 4w was collected from the reaction of substrates 1w (187 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 228 mg, 86% yield, mp 118−119 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.78 (d, $J = 7.2$ Hz, 2H), 7.45 (t, $J = 7.3$ Hz, 2H), 7.40 (d, $J = 7.2$ Hz, 1H), 7.38−7.31 (m, 3H), 7.29−7.24 (m, 3H), 7.15 (d, $J = 8.9$ Hz, 1H), 6.19 (br s, 1H), 5.49−5.32 (m, 2H), 5.11 (s, 1H), 4.49 (q, $J = 7.1$ Hz, 2H), 3.99−3.83 (m, 2H), 1.43 (t, $J = 7.1$ Hz, 3H), 1.15 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.5, 161.1, 152.8, 139.7, 139.3, 136.9, 133.3, 132.6, 132.0, 130.5, 128.8, 128.7, 128.6, 127.6, 127.2, 126.4, 117.0, 116.5, 110.9, 67.8, 61.5, 45.3, 42.2, 14.3, 14.2.

HRMS (ESI) m/z calcd for C$_{29}$H$_{27}$ClN$_3$O$_5$ [M + H]$^+$ 532.1634, found 532.1636.

Ethyl (1-Benzyl-7-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4x)

Following the general procedure, 4x was collected from the reaction of substrates 1x (209 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 30 min and step 2 for 2 h), 242 mg,
84% yield, mp 82–83 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.78 (d, $J = 6.9$ Hz, 2H), 7.48–7.42 (m, 2H), 7.41–7.36 (m, 1H), 7.34–7.29 (m, 4H), 7.27–7.22 (m, 3H), 6.23 (br s, 1H), 5.56–5.35 (m, 2H), 5.11 (s, 1H), 4.48 (q, $J = 7.1$ Hz, 2H), 4.00–3.82 (m, 2H), 1.42 (t, $J = 7.1$ Hz, 3H), 1.14 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.7, 161.1, 152.8, 140.7, 139.8, 136.8, 135.4, 134.0, 132.6, 130.5, 128.9, 128.8, 128.6, 127.7, 127.2, 126.2, 117.2, 116.8, 97.4, 67.8, 61.5, 45.0, 42.1, 14.3, 14.2.

HRMS (ESI) $m/z$ calcd for C$_{29}$H$_{27}$BrN$_3$O$_5$ [M + H]$^+$ 576.1129, found 576.1126.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-7-methyl-2-oxindolin-4-yl) carbamate (4y)

Following the general procedure, 4y was collected from the reaction of substrates 1y (177 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 217 mg, 85% yield, mp 59–60 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.81 (d, $J = 7.1$ Hz, 2H), 7.45 (t, $J = 7.3$ Hz, 2H), 7.39 (d, $J = 7.2$ Hz, 1H), 7.34 (t, $J = 7.3$ Hz, 2H), 7.26–7.17 (m, 4H), 6.95 (d, $J = 8.4$ Hz, 1H), 6.16 (br s, 1H), 5.32–5.17 (m, 2H), 5.13 (s, 1H), 4.48 (q, $J = 7.1$ Hz, 2H), 3.98–3.80 (m, 2H), 2.25 (s, 3H), 1.42 (t, $J = 7.1$ Hz, 3H), 1.14 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 174.0, 161.0, 153.2, 141.4, 139.3, 137.1, 133.5, 132.6, 131.8, 130.8, 128.9, 128.8, 128.6, 127.7, 127.3, 125.6, 120.7, 116.1, 115.9, 67.7, 61.3, 45.4, 42.1, 18.4, 14.32, 14.29.

HRMS (ESI) $m/z$ calcd for C$_{30}$H$_{30}$N$_3$O$_5$ [M + H]$^+$ 512.2180, found 512.2186.
Ethyl (3-(2-Ethoxy-4-phenyloxazol-5-yl)-1-methyl-2-oxindolin-4-yl)carbamate (4z)

Following the general procedure, 4z was collected from the reaction of substrates 1z (132 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 151 mg, 72% yield, mp 81–82 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.75 (d, $J = 7.6$ Hz, 2H), 7.47–7.34 (m, 4H), 7.30 (d, $J = 7.9$ Hz, 1H), 6.65 (d, $J = 7.7$ Hz, 1H), 6.23 (br s, 1H), 5.02 (s, 1H), 4.47 (q, $J = 7.1$ Hz, 2H), 4.00–3.85 (m, 2H), 3.26 (s, 3H), 1.40 (t, $J = 7.1$ Hz, 3H), 1.14 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 172.8, 160.9, 153.0, 146.7, 144.6, 139.2, 134.5, 133.4, 130.9, 129.8, 128.7, 128.5, 127.6, 115.3, 104.4, 67.7, 61.3, 42.5, 26.8, 14.3.

HRMS (ESI) m/z calcd for C$_{23}$H$_{24}$N$_3$O$_5$ [M + H]$^+$ 422.1710, found 422.1713.

Ethyl (1-Allyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)carbamate (4A)

Following the general procedure, 4A was collected from the reaction of substrates 1A (145 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 15 min and step 2 for 2 h), 179 mg, 80% yield, mp 105–106 °C.

$^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 7.76 (d, $J = 7.4$ Hz, 2H), 7.49–7.32 (m, 4H), 7.27–7.22 (m, 1H), 6.65 (d, $J = 7.8$ Hz, 1H), 6.19 (br s, 1H), 5.92–5.80 (m, 1H), 5.33–5.22 (m, 2H), 5.07 (s, 1H), 4.47 (q, $J = 7.1$ Hz, 2H), 4.42–4.34 (m, 2H), 3.99–3.84 (m, 2H), 1.41 (t, $J = 7.1$ Hz, 3H), 1.15 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): $\delta$ 172.7, 161.0, 153.0, 143.8, 139.3, 134.6, 133.3, 131.0, 130.9, 129.7, 128.8, 128.5, 128.6, 127.7, 117.8, 115.4, 105.3, 68.0, 67.7, 61.4, 42.9, 25.6, 14.3.

HRMS (ESI) m/z calcd for C$_{25}$H$_{26}$N$_3$O$_5$ [M + H]$^+$ 448.1867, found 448.1873.
*iso*Propyl (1-Benzyl-3-(2-*iso*propoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)
carbamate\(^2\) (4B)

Following the general procedure, 4B was collected from the reaction of substrates \(1a\)
(170 mg, 0.50 mmol) and \(2b\) (152 mg, 0.75 mmol) after column chromatographic
isolation as a red solid (reaction times: step 1 for 15 min and step 2 for 2 h), 176 mg, 
67\% yield, mp 81–82 °C.

\(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.81–7.73 (m, 2H), 7.45–7.31 (m, 8H), 7.30–7.26 (m,
1H), 7.15 (t, \(J = 8.1\) Hz, 1H), 6.51 (d, \(J = 7.8\) Hz, 1H), 6.19 (br s, 1H), 5.18–5.08 (m, 
2H), 5.03–4.87 (m, 2H), 4.77–4.68 (m, 1H), 1.42 (d, \(J = 6.2\) Hz, 3H), 1.39 (d, \(J = 6.2\)
Hz, 3H), 1.17 (d, \(J = 6.2\) Hz, 3H). 1.10 (d, \(J = 6.2\) Hz, 3H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)): \(\delta\) 173.0, 160.6, 152.6, 143.6, 139.2, 135.3, 134.7, 133.0, 
130.9, 129.6, 128.8, 128.7, 128.5, 127.7, 127.6, 127.2, 115.1, 114.2, 105.2, 76.0, 68.9, 
44.4, 42.5, 21.9, 21.8, 21.71, 21.69.

HRMS (ESI) m/z calcd for C\(_{31}\)H\(_{32}\)N\(_3\)O\(_5\) [M + H]^+ 526.2336, found 526.2336.

**tert-**Butyl (1-Benzyl-3-(2-(**tert**-butoxy)-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)
carbamate (4C)

Following the general procedure, 4C was collected from the reaction of substrates \(1a\)
(170 mg, 0.50 mmol) and \(2c\) (173 mg, 0.75 mmol) after column chromatographic
isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 152 mg, 
55\% yield, mp 151–153 °C.

\(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.79 (d, \(J = 6.9\) Hz, 1H), 7.48–7.36 (m, 4H), 7.35–7.30
(m, 4H), 7.29–7.27 (m, 1H), 7.15 (t, \(J = 8.1\) Hz, 1H), 6.50 (d, \(J = 7.8\) Hz, 1H), 6.10 (br
s, 1H), 5.08 (s, 1H), 5.01–4.88 (m, 2H), 1.57 (s, 9H), 1.35 (s, 9H).

\(^{13}\)C NMR (100 MHz, CDCl\(_3\)): \(\delta\) 173.0, 159.2, 152.1, 143.5, 139.2, 135.4, 135.1, 132.7, 
131.1, 129.6, 128.9, 128.6, 128.4, 127.7, 127.6, 127.2, 114.6, 113.4, 104.8, 85.3, 80.7, 
44.3, 42.4, 28.0, 27.7.

HRMS (ESI) m/z calcd for C\(_{33}\)H\(_{35}\)N\(_3\)NaO\(_5\) [M + Na]^+ 576.2469, found 576.2465.
Benzyl (1-Benzyl-3-(2-(benzyloxy)-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4D)

Following the general procedure, 4D was collected from the reaction of substrates 1a (170 mg, 0.50 mmol) and 2d (224 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 139 mg, 45% yield, mp 72–73 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.83–7.73 (m, 2H), 7.42–7.38 (m, 2H), 7.38–7.28 (m, 14H), 7.27–7.19 (m, 3H), 7.14 (t, $J = 8.1$ Hz, 1H), 6.53 (d, $J = 7.8$ Hz, 1H), 6.30 (br s, 1H), 5.45–5.35 (m, 2H), 5.18 (s, 1H), 5.00–4.88 (m, 2H), 4.88–4.75 (m, 2H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 173.0, 160.8, 152.6, 143.7, 139.3, 135.6, 135.3, 134.5, 134.2, 133.7, 130.7, 129.7, 128.8, 128.7, 128.6, 128.4, 128.3, 128.2, 128.1, 127.7, 127.6, 127.2, 115.5, 115.0, 105.6, 73.1, 67.0, 44.3, 42.7.

HRMS (ESI) m/z calcd for C$_{39}$H$_{32}$N$_3$O$_5$ [M + H]$^+$ 622.2336, found 622.2332.

1-(tert-Butyl) 5-Ethyl 4-((ethoxycarbonyl)amino)-2-oxo-4-phenyl-2,4-dihydro pyrrolo[4,3,2-de]quinoline-1,5-dicarboxylate (3b)

Following the general procedure reported in our previous work,$^2$ 3b was collected from the reaction of substrates 1C (175 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction time: 15 min), 198 mg, 78% yield, mp 84–85 °C.

$^1$H NMR (400 MHz, CDCl$_3$): δ 7.78 (d, $J = 8.4$ Hz, 1H), 7.41 – 7.26 (m, 7H), 6.65 (br s, 1H), 6.44 (s, 1H), 4.18 – 3.96 (m, 4H), 1.63 (s, 9H), 1.14 (t, $J = 7.1$ Hz, 3H), 0.98 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (100 MHz, CDCl$_3$): δ 163.2, 155.5, 154.3, 149.0, 143.5, 136.5, 133.3, 130.9, 130.1, 129.4, 128.3, 123.5, 120.2, 114.3, 110.2, 109.8, 84.0, 77.5, 62.5, 61.5, 28.0, 14.1, 13.7.

HRMS (ESI) m/z calcd for C$_{27}$H$_{30}$N$_3$O$_7$ [M + H]$^+$ 508.2078, found 508.2073.
Ethyl 1-Acetyl-4-((ethoxycarbonyl)amino)-2-oxo-4-phenyl-2,4-dihydropyrrolo[4,3,2-de]quinoline-5(1H)-carboxylate (3c)

Following the general procedure reported in our previous work, \(^2\) 3c was collected from the reaction of substrates 1D (146 mg, 0.50 mmol) and 2a (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction time: 15 min), 178 mg, 79% yield, mp 176–178 °C.

**\(^1\)H NMR** (400 MHz, CDCl\(_3\)): \(\delta\) 7.81 (d, \(J = 8.6\) Hz, 1H), 7.74 (d, \(J = 8.0\) Hz, 1H), 7.44 – 7.36 (m, 4H), 7.35 – 7.28 (m, 2H), 6.71 (br s, 1H), 6.49 (s, 1H), 4.18 – 3.98 (m, 4H), 2.64 (s, 3H), 1.16 (t, \(J = 7.1\) Hz, 3H), 1.01 (t, \(J = 7.1\) Hz, 3H).

**\(^{13}\)C NMR** (100 MHz, CDCl\(_3\)): \(\delta\) 170.4, 165.0, 155.6, 154.3, 143.4, 136.7, 133.1, 131.2, 130.7, 129.5, 128.4, 123.6, 120.2, 115.1, 111.4, 110.7, 77.6, 62.6, 61.5, 26.0, 14.1, 13.7.

**HRMS** (ESI) \(m/z\) calcd for C\(_{24}\)H\(_{24}\)N\(_3\)O\(_6\) [M + H]\(^+\) 450.1660, found 450.1665.
3b

3b
References
