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ARTICLE

Visible-light-induced deboronative alkylarylation of acrylamides with organoboronic acids

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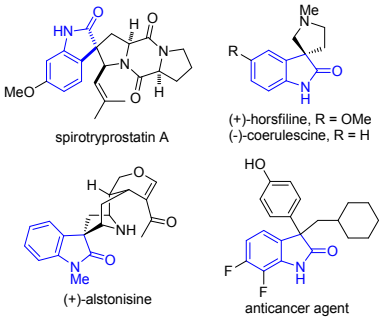
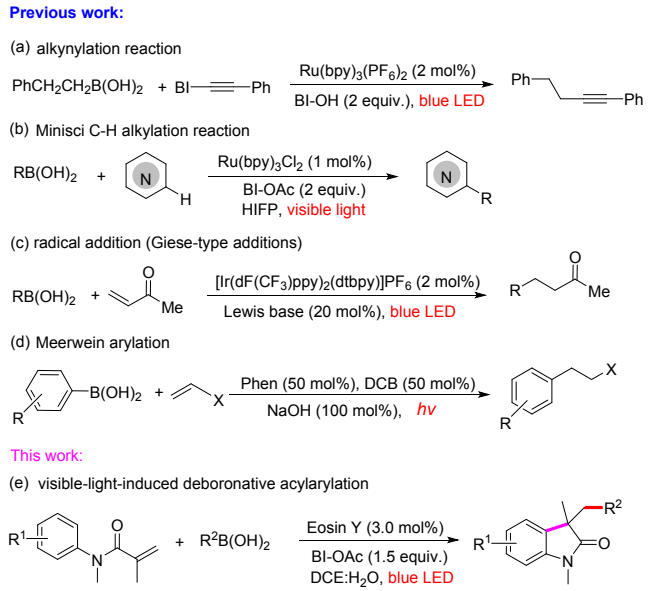
A visible-light-induced deboronative alkylarylation of acrylamides with organoboronic acids was developed. In this transformation, the boronic acids could be activated by the organic photocatalyst of Eosin Y, generating the alky free radicals in high efficiency. The broad range of substrate scope was examined and a number of 3,3-disubstituted oxindoles were synthesized in high yields.

Introduction

As one of the core structural motifs in *N*-containing heterocyclic products, 3,3-disubstituted oxindoles are key frameworks existing in bioactive natural products and pharmaceuticals (Scheme 1).[1] So far, these unique structures have attracted much attention and many synthetic strategies have been made in the past few decades.[2] Compared to the previous studies, further developing mild and efficient methods to construct oxindoles is highly desirable. To our knowledge, carbon-centred radicals are useful reactive intermediates in organic chemistry and radical chemistry has become a powerful method for the synthesis of complex moleculars.[3] Accordingly, developing radical reaction of acrylamides is appealing to construct 3,3-disubstituted oxindoles.



Scheme 1 Representative natural products with oxindole unit.



Scheme 2 The photoinduced deboronative reactions of boronic acids.

Generally, the usage of toxic substrates is required for the generation of carbon-centred radicals[4] and development of greener methods to generate free radicals from stable and untoxic precursors[5] in the radical reaction of acrylamides is still demanded.[6] In this regard, the soft carbanions of boronic acids have been employed as free radical sources due to their unique stability and low toxicity and easy preparation.[7] Previous reports mainly focused on radical reactions via transition-metal-catalyzed oxidative deboronative reaction.[8] In contrast, photoinduced deboronative pathway is limited. In order to achieve this goal, Chen and co-workers developed the first example of photocatalyzed deboronative alkynylation using phenethylboronic acid as radical source. In this transformation, the direct cleavage of C–B bond from boronic acid was achieved under light irradiation conditions (Scheme 2a).[9] Subsequently, Chen and Liu reported a photoredox-mediated Minisci C–H alkylation with a number of alkyl boronic acids as radical source, providing the *N*-heteroarenes by use of $[Ru(bpy)_3]Cl_2$ as photocatalyst (Scheme 2b).[10] In 2017, a radical

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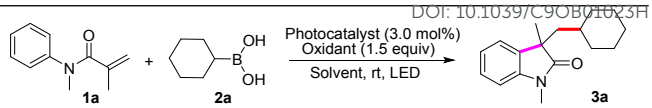
addition of electron-deficient olefins was developed by Ley and Eycken. In this reaction, less active boronic acids could be activated by photocatalyst (Scheme 2c).[11] Very recently, a photoinduced Meerwein type arylation was disclosed by Yoshimi's group and the aryl radicals were generated from arylboronic acids through organic photoredox catalysis (Scheme 2d).[12] Inspired by reported results and our research interesting,[13] we herein disclose a mild and efficient photoinduced deboronative alkylarylation of acrylamides[14] with boronic acids, providing the corresponding 3,3-disubstituted oxindoles in good yields via a tandem reaction process. In this regard, Liu group[15] have reported a molecular oxygen-promoted alkylation with organoboronic acid. In this elegant work, a wide range of functionalized molecules was obtained with high yields under acidic conditions at 110 °C.

Results and discussion

Initially, a reaction of *N*-methyl-*N*-phenylmethacrylamide (**1a**)[16] and cyclohexylboronic acid (**2a**) were chosen as a model reaction to evaluate the feasibility.[15] As shown in Table 1, the desired product (**3a**) was obtained in 46% yield when the reaction was performed with Eosin Y as a photocatalyst and BI-OAc as the oxidant (Table 1, entry 1). The control experiments showed that the reaction could not proceed in the absence of photocatalyst or oxidant (Table 1, entries 2 and 3). Without the irradiation of light, no desired product was formed (Table 1, entry 4). To improve the reaction efficiency, a number of solvents including CH_3CN, DMF, PhCl, DMSO, THF, acetone and H_2O were screened, and the yield was slightly increased to 49% when H_2O was employed as reaction medium (Table 1, entries 5–11). It is noteworthy that an accepted yield of 64% was achieved by running the reaction in a mixed solvent of DCE and H_2O (Table 1, entry 12). To further establish the optimal reaction conditions, a series of photocatalysts were tested and no improved yield was observed by use of Ru-based photocatalysts or other organic photocatalysts (Table 1, entries 14–20). Considering the efficiency of oxidants, some common oxidants were examined for the product yield control. However, no desired product was observed for the model reaction using BI-OH, $PhI(OAc)_2$, TBHP, H_2O_2, or DTBP as oxidant instead of BI-OAc. Meanwhile, inferior product yield of **3a** was obtained when the model reaction was performed in the presence of with $K_2S_2O_8$ as oxidant (Table 1, entries 21–26). A slightly decreased yield was found when the loading of photocatalyst was further optimized (Table 1, entry 27). To our delight, the yield was slightly increased to 65% when the reaction was carried out with 2.0 equiv. of BI-OAc (Table 1, entry 28). Considering the green, economy and environmental protection, we chose 1.5 equiv. of BI-OAc as the best condition. Finally, the reaction time was also optimized for the reaction efficiency and 14 h was found to be optimal (Table 1, entries 29). Accordingly, the optimum reaction parameters are consisting of 3.0 mol% of Eosin Y, 1.5 equiv. of BI-OAc, a mixed solvent of DCE and H_2O (v/v = 1:1, 3.0 mL), at room temperature under LED irradiation (450–455 nm) for 14 h in air atmosphere.

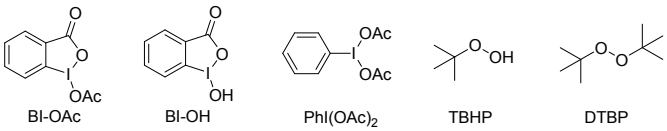
With optimized conditions in hand, we then investigated the reaction scope for the photoinduced deboronative alkylarylation of acrylamides (Scheme 3). In general, *N*-arylacrylamides bearing different substituents were well tolerated, providing the desired

Table 1 Optimization of reaction conditions[a]



Entry	Photocatalyst	Oxidant	Solvent	Light source	Yield (%)[b]
1	Eosin Y	BI-OAc	DCE	450–455 nm	46
2	-	BI-OAc	DCE	450–455 nm	NR
3	Eosin Y	-	DCE	450–455 nm	NR
4	Eosin Y	BI-OAc	DCE	450–455 nm	NR[c]
5	Eosin Y	BI-OAc	CH_3CN	450–455 nm	29
6	Eosin Y	BI-OAc	DMF	450–455 nm	NR
7	Eosin Y	BI-OAc	PhCl	450–455 nm	41
8	Eosin Y	BI-OAc	DMSO	450–455 nm	NR
9	Eosin Y	BI-OAc	THF	450–455 nm	28
10	Eosin Y	BI-OAc	Acetone	450–455 nm	NR
11	Eosin Y	BI-OAc	H_2O	450–455 nm	49
12	Eosin Y	BI-OAc	DCE:H_2O	450–455 nm	64[d]
13	Eosin Y	BI-OAc	DCE:H_2O	450–455 nm	37[e], 36[f]
14	$Ru(phen)_3(PF_6)$	BI-OAc	DCE:H_2O	450–455 nm	50
15	$Ru(phen)_3Cl_2$	BI-OAc	DCE:H_2O	450–455 nm	55
16	$Ru(bpy)_3Cl_2$	BI-OAc	DCE:H_2O	450–455 nm	59
17	Fluorescein	BI-OAc	DCE:H_2O	530–535 nm	NR
18	Rhodamine B	BI-OAc	DCE:H_2O	530–535 nm	32
19	Acridine red	BI-OAc	DCE:H_2O	530–535 nm	37
20	Eosin Y	BI-OAc	DCE:H_2O	530–535 nm	35
21	Eosin Y	BI-OH	DCE:H_2O	450–455 nm	NR
22	Eosin Y	$PhI(OAc)_2$	DCE:H_2O	450–455 nm	NR
23	Eosin Y	TBHP	DCE:H_2O	450–455 nm	NR
24	Eosin Y	H_2O_2	DCE:H_2O	450–455 nm	NR
25	Eosin Y	DTBP	DCE:H_2O	450–455 nm	NR
26	Eosin Y	$K_2S_2O_8$	DCE:H_2O	450–455 nm	45
27	Eosin Y	BI-OAc	DCE:H_2O	450–455 nm	48[g], 61[h]
28	Eosin Y	BI-OAc	DCE:H_2O	450–455 nm	53[i], 65[j]
29	Eosin Y	BI-OAc	DCE:H_2O	450–455 nm	54[k], 63[l]

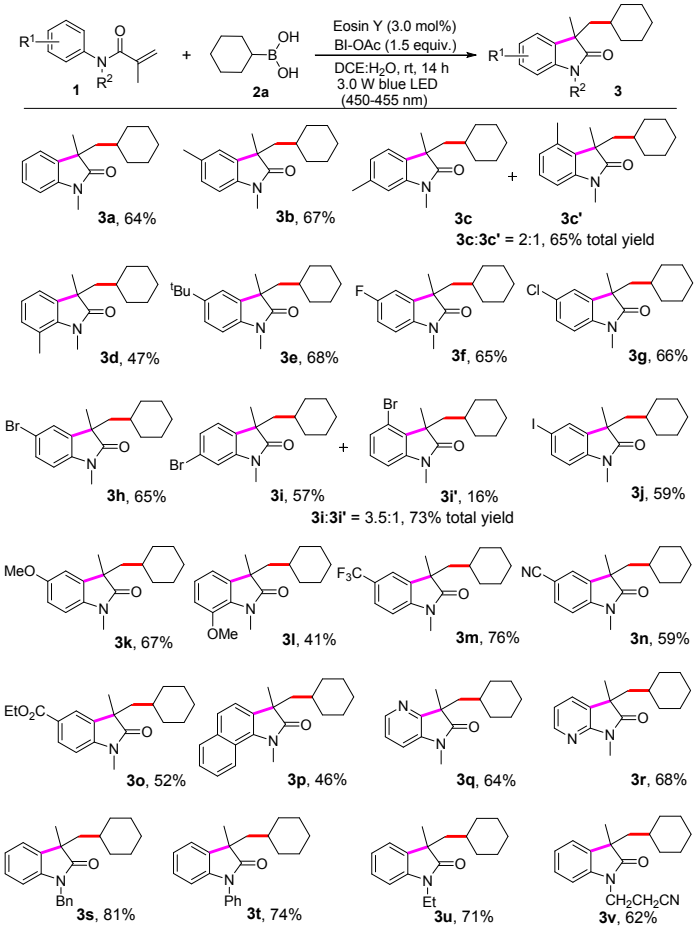
Oxidant:



[a]Reaction conditions: **1a** (0.20 mmol), **2a** (0.40 mmol), BI-OAc (0.30 mmol), photocatalyst (3.0 mol%), solvent (3.0 mL) at room temperature under LED irradiation (3.0 W) in air for 14 h. [b] Isolated yield. [c] In dark. [d] DCE/H_2O (v/v = 1:1, 3.0 mL). [e] DCE/H_2O (v/v = 2:1, 3.0 mL). [f] DCE/H_2O (v/v = 1:2, 3.0 mL). [g]Eosin Y (1.0 mol%). [h] Eosin Y (5.0 mol%). [i] BI-OAc (1.0 equiv.). [j] BI-OAc (2.0 equiv.). [k] 10 h. [l] 18 h. NR = No reaction.

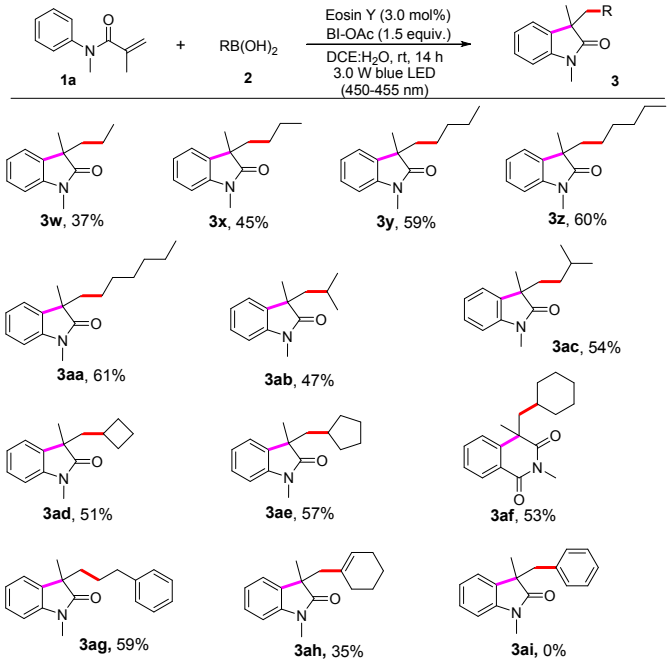
products in good yields. As shown in Table 2, *N*-arylacrylamides with alkyl substituents, such as CH_3, and *t*-C_4H_9, on the *para*-, *meta*-, or *ortho*-position of the benzene rings reacted smoothly with cyclohexylboronic acid (**2a**) to generate the corresponding products (**3b**–**3e**) in 47–68% yields. However, a mixture of regio-isomers (**3c**

and **3c'**) was observed when *N*-arylacrylamide with a methyl group at the *meta*-position of the benzene ring was employed as the substrate. To our delight, *N*-arylacrylamides containing a halogen atom including F, Cl, Br or I on the phenyl rings were also used as the substrates, affording the desired products (**3f–3j**) with good yields (57–73%). Notably, 16% yield of **3i'** was easily separated from a mixture of regio-isomers (**3i** and **3i'**) by using *N*-arylacrylamide with a Br atom at the *meta*-position of the benzene ring as the substrate. Further investigation showed that the substrates of *N*-arylacrylamides containing either a strong electron-donating group (CH_3O) or a strong electron-withdrawing group (CF_3, CN, or CO_2Et) on the benzene rings were successfully transformed into the corresponding products (**3k–3o**) in 41–76% yields. Other type of *N*-arylacrylamides, *N*-methyl-*N*-(naphthalen-1-yl)methacrylamide, *N*-methyl-N-(pyridin-3-yl)methacrylamide and *N*-methyl-N-(pyridin-2-yl)methacrylamide were also employed as the substrates, affording the desired adducts (**3p–3r**) in accepted yields. It should be noted that *N*-benzyl-*N*-phenylmethacrylamide, *N*-phenyl-N-phenylmethacrylamide, and *N*-ethyl-*N*-phenylmethacrylamide also reacted with **2a** under the optimal reaction conditions, providing the desired products (**3s–3v**) in 62–81% yields.



Scheme 3 The scope of acrylamides [reaction conditions: **1** (0.20 mmol), **2a** (0.40 mmol), BI-OAc (0.30 mmol), Eosin Y (3.0 mol%), DCE/H_2O (v/v = 1:1, 3.0 mL) at room temperature under blue LED (450–455 nm, 3.0 W) irradiation in air for 14 h].

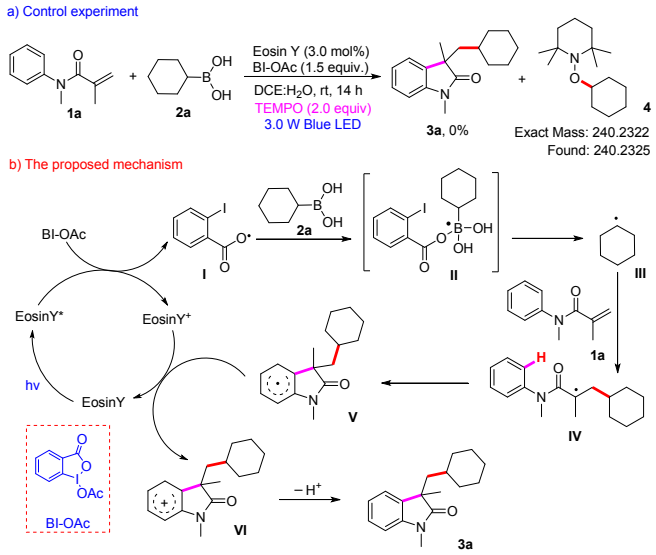
To further expand the scope of this reaction, a number of boronic acids were selected as free radical sources and the results were listed in Scheme 4. Considering the reactivity of alkyl free radicals, a series of organoboronic acids with different length of alkyl chain was firstly examined. Interestingly, the yields were slightly increased when the length of alkyl chain in organoboronic acids was increased (**3w–3aa**). Further reactions of boronic acids with branched alkyl chains underwent smoothly, providing the desired products (**3ab** and **3ac**) in 47% and 54% yields, respectively. When boronic acids containing four- or five-membered ring were used as the substrates in the reaction, the desired products (**3ad** and **3ae**) were obtained in 51–57% yields. Furthermore, *N*-methacryloyl-*N*-methylbenzamide as substrate was also introduced to the reaction, giving the unique piperidine-2,6-dione type structure (**3af**) in 53% yield. To our delight, phenethylboronic acid and cyclohex-1-en-1-ylboronic acid were well tolerated under the optimal reaction conditions, providing the desired products (**3ag** and **3ah**) in 59% and 35% yields. However, no desired product (**3ai**) was observed with phenylboronic acid as carbon-centered radical source.



Scheme 4 The scope of boronic acids [reaction conditions: **1a** (0.20 mmol), **2** (0.40 mmol), BI-OAc (0.30 mmol), Eosin Y (3.0 mol%), DCE/H_2O (v/v = 1:1, 3.0 mL) at room temperature under blue LED (450–455 nm, 3.0 W) irradiation in air for 14 h].

To gain more insights on this visible-light-induced deboronative acylarylation, a control experiment under the standard conditions was performed, shown in Scheme 5. The reaction was inhibited completely when a radical scavenger of 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) was added to the reaction and a radical process was suggested in this control experiment (Scheme 5a). Based on the experimental results and literature,[7a] a possible mechanism was proposed (Scheme 5b). Initially, the oxidant BI-OAc was reduced by excited state Eosin Y*, which was generated under visible light irradiation, and a radical intermediate **I** was formed via an I–O bond cleavage. Next, the obtained **I** could react with boronic

acid **2a** to afford the alkyl radical **III** via an intermediate **II**. Then the radical **III** added to the electron-deficient alkene **1a** to form a radical **IV**, which underwent an intramolecular radical C–H functionalization to give **V**. Subsequently, the oxidation of **V** by the Eosin Y^+ to generate a cation **VI** and the organic photocatalyst Eosin Y was regenerated for next run. Finally, the deprotonation of **VI** afforded the desired product **3a**. Apparent quantum efficiency (AQE) is an important factor to reflect the photon utilization in the photocatalytic process, and the AQE of the representative reaction at 450-455 nm was measured and calculated as 1.52%.



Scheme 5 A control experiment and the proposed mechanism.

Conclusions

In conclusion, we have developed a visible-light-induced deboronative alkyarylation of acrylamides with organoboronic acids. A broad range of substrate scope, including acrylamides and boronic acids were well tolerated under the mild conditions. Compared to the previous procedures, this protocol offered a new pathway for the synthesis of complex 3,3-disubstituted oxindoles in good yields. Further studies of novel photocatalyzed reaction are currently underway in our laboratory.

Experimental section

All ^{1}H NMR and ^{13}C NMR spectra were recorded on a 400 MHz Bruker FT-NMR spectrometer (400 MHz or 100 MHz, respectively) or a 600 MHz Bruker FT-NMR spectrometer (600 MHz or 150 MHz, respectively). All chemical shifts are given as δ value (ppm) with reference to tetramethylsilane (TMS) as an internal standard. The peak patterns are indicated as follows: s, singlet; d, doublet; t, triplet; m, multiplet; q, quartet. The coupling constants, *J*, are reported in Hertz (Hz). High resolution mass spectroscopy data of the products were collected on a Waters Micromass GCT instrument. High resolution mass spectroscopy data of the products were collected on an Agilent Technologies 6540 UHD Accurate-Mass Q-TOF LC/MS (ESI). The chemicals and solvents were purchased from commercial suppliers either Aldrich (USA), or Shanghai Chemical Company (P. R. China). Products were purified by flash chromatography on 200–300 mesh silica gels, SiO_2. The photoreactor was purchased from WATTCAS (type WP-TEC-1020HSL). The blue LED (3 W, 450-455 nm) located 0.5 cm away from the bottom of quartz tube (20 mL).

General experimental procedure

Representative procedure for the visible-light-induced deboronative alkylarylation of acrylamides with boronic acids

An oven-dried reaction quartz tube (20 mL) equipped with a magnetic stirrer bar was charged with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 0.20 mmol), cyclohexylboronic acid (**2a**, 0.40 mmol), Eosin Y (3.0 mol%), BI-OAc (0.3 mmol, 1.5 equiv.) and DCE/H_2O (v/v = 1:1, 3 mL). The reaction vessel was irradiated under blue LED irradiation (450−455 nm, 3.0 W) in air at room temperature for 14 h. After completion of the reaction, the mixture was concentrated to yield the crude product, which was further purified by flash chromatography (silica gel, petroleum ether/ethyl acetate) to give the desired product 3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (**3a**) in 64% yield.

Characterization data for all products

3-(Cyclohexylmethyl)-1,3-dimethylindolin-2-one (**3a**)**:**[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28–7.23 (m, 1H), 7.16–7.15 (m, 1H), 7.07–7.03 (m, 1H), 6.84 (d, *J* = 7.6 Hz, 1H), 3.21 (s, 3H), 1.95–1.90 (m, 1H), 1.75–1.70 (m, 1H), 1.52–1.45 (m, 3H), 1.37–1.33 (m, 1H), 1.31 (s, 3H), 1.23–1.19 (m, 1H), 1.00–0.73 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.0, 143.0, 134.3, 127.4, 122.6, 122.2, 107.8, 47.8, 45.4, 34.7, 34.4, 33.5, 26.1, 26.0, 25.9.

3-(Cyclohexylmethyl)-1,3,5-trimethylindolin-2-one (**3b**)**:**[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.05 (d, *J* = 8.0 Hz, 1H), 6.97 (s, 1H), 6.72 (d, *J* = 8.0 Hz, 1H), 3.19 (s, 3H), 2.35 (s, 3H), 1.94–1.89 (m, 1H), 1.72–1.67 (m, 1H), 1.53–1.46 (m, 3H), 1.36–1.33 (m, 1H), 1.29 (s, 3H), 1.26–1.21 (m, 1H), 1.00–0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.1, 140.7, 134.5, 131.7, 127.7, 123.5, 107.6, 47.9, 45.4, 34.7, 34.5, 33.5, 26.2, 26.1, 26.0, 21.2.

3-(Cyclohexylmethyl)-1,3,6-trimethylindolin-2-one (3c) and 3-(Cyclohexylmethyl)-1,3,4-trimethylindolin-2-one (3c'): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.16 (t, *J* = 8.0 Hz, 0.67H), 7.03 (d, *J* = 7.6 Hz, 0.34H), 6.86 (dd, J_1 = 7.6 Hz, J_2 = 0.8 Hz, 0.34H), 6.81 (d, *J* = 7.6 Hz, 0.64H), 6.70 (s, 0.35H), 6.67 (d, *J* = 4.4 Hz, 0.65H), 3.19 (s, 3H), 2.39 (s, 1H), 2.35 (s, 2H), 2.02–1.87 (m, 2H), 1.72–1.67 (m, 0.5H), 1.50–1.45 (m, 3H), 1.37–1.29 (m, 4.5H), 1.02–0.78 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.4, 181.1, 143.3, 143.1, 137.4, 134.1, 131.4, 130.9, 127.3, 124.8, 122.7, 122.3, 108.8, 105.7, 48.6, 47.5, 45.3, 43.7, 35.1, 34.6, 34.4, 34.0, 33.5, 33.0, 26.2, 26.1, 26.0, 25.93, 25.88, 23.91, 21.7, 18.2. HRMS (ESI) $[M + H]^+$ Calcd for $C_{18}H_{26}NO$: 272.2009, found: 272.2010.

3-(Cyclohexylmethyl)-1,3,7-trimethylindolin-2-one (3d):[17a] Yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 6.98–6.90 (m, 3H), 3.49 (s, 3H), 2.59 (s, 3H), 1.94–1.88 (m, 1H), 1.71–1.66 (m, 1H), 1.53–1.46 (m, 3H), 1.38–1.35 (m, 1H), 1.28 (s, 3H), 1.22 (d, *J* = 12.8 Hz, 1H), 1.01–0.76 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.8, 140.9, 135.1,

131.2, 122.2, 120.6, 119.4, 47.1, 45.6, 34.6, 34.5, 33.5, 29.5, 26.5, 26.09, 26.06, 26.00, 19.0.

5-(*tert*-Butyl)-3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (3e): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.27 (dd, J_1 = 8.0 Hz, J_2 = 2.0 Hz, 1H), 7.20 (d, J = 1.6 Hz, 1H), 6.76 (d, J = 8.4 Hz, 1H), 3.20 (s, 3H), 1.92−1.87 (m, 1H), 1.74–1.69 (m, 1H), 1.53−1.50 (m, 1H), 1.48−1.38 (m, 3H), 1.32 (s, 12H), 1.20−1.17 (m, 1H), 1.04−0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.2, 145.4, 140.7, 134.1, 123.8, 120.0, 107.1, 48.0, 45.4, 34.6, 34.5, 34.4, 33.8, 31.6, 26.11, 26.07, 26.04, 26.0, 25.8. HRMS (ESI) $[M + H]^+$ Calcd for $C_{21}H_{32}NO$: 314.2478, found: 314.2477.

3-(Cyclohexylmethyl)-5-fluoro-1,3-dimethylindolin-2-one (3f):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 6.98–6.90 (m, 2H), 6.78–6.75 (m, 1H), 3.21(s, 3H), 1.97–1.91 (m, 1H), 1.72–1.67 (m, 1H), 1.53–1.47 (m, 3H), 1.36 (s, 1H), 1.31 (s, 3H), 1.24–1.21 (m, 1H), 1.01–0.75 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.6, 159.2 (d, J = 238.8 Hz), 139.0, 136.1 (d, J = 7.7 Hz), 113.6 (d, J = 23.3 Hz), 110.8 (d, J = 24.2 Hz), 108.2 (d, J = 8.1 Hz), 48.2 (d, J = 1.7 Hz), 45.3, 34.6, 34.3, 33.4, 26.2, 26.0, 25.95, 25.9.

5-Chloro-3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (3g):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.23 (dd, J_1 = 8.0 Hz, J_2 = 2.0 Hz, 1H), 7.13 (d, J = 2.0 Hz, 1H), 6.76 (d, J = 8.0 Hz, 1H), 3.20 (s, 3H), 1.96–1.91 (m, 1H), 1.72–1.67 (m, 1H), 1.53–1.47 (m, 3H), 1.33 (s, 1H), 1.31 (s, 3H), 1.26–1.21 (m, 1H), 1.00–0.75 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.5, 141.7, 136.2, 127.7, 127.5, 123.2, 108.8, 48.1, 45.3, 34.7, 34.4, 33.4, 26.3, 26.1, 26.0, 25.9.

5-Bromo-3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (3h):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.38 (dd, J_1 = 8.0 Hz, J_2 = 2.0 Hz, 1H), 7.28 (d, J = 2.0 Hz, 1H), 6.73 (d, J = 8.0 Hz, 1H), 3.20 (s, 3H), 1.96–1.90 (m, 1H), 1.72–1.68 (m, 1H), 1.53–1.48 (m, 3H), 1.33–1.30 (m, 4H), 1.26–1.21 (m, 1H), 1.00–0.78 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.3, 142.1, 136.5, 130.3, 125.9, 115.0, 109.3, 48.0, 45.2, 34.6, 34.3, 33.3, 26.2, 26.1, 25.9, 25.87.

6-Bromo-3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (3i): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.17–7.13 (m, 2H), 6.79 (dd, J_1 = 7.2 Hz, J_2 = 1.6 Hz, 1H), 3.20 (s, 3H), 2.32 (dd, J_1 = 14.0 Hz, J_2 = 4.0 Hz, 1H), 1.83 (dd, J_1 = 14.0 Hz, J_2 = 7.2 Hz, 1H), 1.52–1.50 (m, 3H), 1.44 (s, 3H), 1.34–1.23 (m, 2H), 1.01–0.82 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.6, 145.1, 131.9, 129.0, 126.6, 119.2, 106.9, 50.1, 42.5, 35.1, 33.8, 33.0, 26.3, 26.1, 26.0, 23.0. HRMS (ESI) $[M + H]^+$ Calcd for $C_{17}H_{23}BrNO$: 336.0958, found: 336.0960.

(R)-4-Bromo-3-(cyclohexylmethyl)-1,3-dimethylindolin-2-one (3i'): Yellow oil. ^{1}H NMR (600 MHz, $CDCl_3$) δ: 7.20–7.18 (m, 1H), 7.02–6.99 (m, 2H), 3.19 (s, 3H), 1.92 (dd, J_1 = 13.8 Hz, J_2 = 7.2 Hz, 1H), 1.70 (dd, J_1 = 13.8 Hz, J_2 = 4.8 Hz, 1H), 1.53–1.47 (m, 3H), 1.32 (s, 1H), 1.29 (s, 3H), 1.23–1.21 (m, 1H), 1.00–0.77 (m, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ: 180.8, 144.5, 133.3, 125.1, 124.0, 120.9, 111.4, 47.7, 45.2, 34.7, 34.5, 33.4, 26.3, 26.1, 26.03, 26.0 25.9. HRMS (ESI) $[M + H]^+$ Calcd for $C_{17}H_{23}BrNO$: 336.0958, found: 336.0957

3-(Cyclohexylmethyl)-5-iodo-1,3-dimethylindolin-2-one (3j):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.57 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.43 (d, J = 1.6 Hz, 1H), 6.63 (d, J = 8.4 Hz, 1H), 3.18 (s, 3H), 1.94–1.89 (m, 1H), 1.71–1.66 (m, 1H), 1.54–1.48 (m, 3H), 1.33–1.29 (m, 4H), 1.26–1.21 (m, 1H), 1.03–0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.2, 142.8, 136.9, 136.3, 131.5, 110.0, 84.9, 47.9, 45.3, 34.6, 34.4, 33.4, 26.2, 26.1, 26.0, 25.9.

3-(Cyclohexylmethyl)-5-methoxy-1,3-dimethylindolin-2-one (3k):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 6.79–6.77 (m, 2H), 6.75–6.72 (m, 1H), 3.81 (s, 3H), 3.19 (s, 3H), 1.95–1.89 (m, 1H), 1.71–1.66 (m, 1H), 1.53–1.46 (m, 3H), 1.37–1.34 (m, 1H), 1.30 (s, 3H), 1.26–1.21 (m, 1H), 1.01–0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.7, 155.9, 136.7, 135.9, 111.4, 110.5, 108.0, 55.7, 48.2, 45.4, 34.6, 34.4, 33.4, 26.2, 26.0, 25.9.

3-(Cyclohexylmethyl)-7-methoxy-1,3-dimethylindolin-2-one (3l):[17a] Yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.01–6.97 (m, 1H), 6.83–6.81 (m, 1H), 6.78 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 3.86 (s, 3H), 3.48 (s, 3H), 1.93–1.88 (m, 1H), 1.70–1.66 (m, 1H), 1.54–1.46 (m, 3H), 1.39–1.36 (m, 1H), 1.28 (s, 3H), 1.26–1.21 (m, 1H), 1.03–0.72 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.3, 145.3, 136.2, 130.9, 122.7, 115.5, 111.4, 55.9, 47.9, 45.5, 34.6, 34.4, 33.5, 29.4, 26.4, 26.11, 26.09, 26.01.

3-(Cyclohexylmethyl)-1,3-dimethyl-5-(trifluoromethyl)indolin-2-one (3m):[17b] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.56 (dd, J_1 = 8.0 Hz, J_2 = 0.8 Hz, 1H), 7.39 (d, J = 1.6 Hz, 1H), 6.92 (d, J = 8.0 Hz, 1H), 3.25 (s, 3H), 1.99–1.94 (m, 1H), 1.79–1.74 (m, 1H), 1.53–1.46 (m, 3H), 1.34 (s, 3H), 1.31–1.26 (m, 1H), 1.22–1.18 (m, 1H), 1.01–0.73 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.9, 146.1, 135.0, 125.4 (q, J = 3.9 Hz), 124.6 (q, J = 32.3 Hz), 124.5 (q, J = 269.6 Hz), 119.6 (q, J = 3.6 Hz), 107.6, 47.8, 45.2, 34.7, 34.3, 33.5, 26.3, 25.92, 25.88.

3-(Cyclohexylmethyl)-1,3-dimethyl-2-oxoindoline-5-carbonitrile (3n):[17b] Yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.62 (d, J = 8.0 Hz, 1H), 7.43 (s, 1H), 6.95 (d, J = 8.0 Hz, 1H), 3.26 (s, 3H), 2.00–1.94 (m, 1H), 1.79–1.74 (m, 1H), 1.51−1.50 (m, 3H), 1.34 (s, 3H), 1.29 (d, J = 12.0 Hz, 1H), 1.21 (d, J = 12.0 Hz, 1H), 0.99−0.76 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.5, 146.8, 135.3, 132.9, 125.9, 119.2, 108.3, 105.2, 47.5, 45.0, 34.6, 34.2, 33.3, 26.3, 25.8, 25.75.

Ethyl 3-(cyclohexylmethyl)-1,3-dimethyl-2-oxoindoline-5-carboxylate (3o):[17b] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.03 (dd, J_1 = 8.0 Hz, J_2 = 1.2 Hz, 1H), 7.84 (d, J = 1.2 Hz, 1H), 6.88 (d, J = 8.4 Hz, 1H), 4.39 (q, J = 7.2 Hz, 2H), 3.25 (s, 3H), 1.98–1.92 (m, 1H), 1.81–1.76 (m, 1H), 1.52−1.46 (m, 3H), 1.42 (t, J = 6.8 Hz, 3H), 1.34 (s, 3H), 1.29–1.20 (m, 2H), 0.99−0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.3, 166.5, 147.1, 134.3, 130.2, 124.6, 123.8, 107.3, 60.8, 47.6, 45.2, 34.6, 34.3, 33.4, 26.3, 25.98, 25.93, 25.89, 14.3.

3-(Cyclohexylmethyl)-1,3-dimethyl-1H-benzo[g]indol-2(3H)-one (3p):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.70–7.68 (m, 1H), 7.53–7.48 (m, 2H), 7.42–7.37 (m, 2H), 6.92 (d, J = 7.2 Hz, 1H), 3.51 (s, 3H), 2.44–2.39 (m, 1H), 1.89–1.84 (m, 1H), 1.62 (s, 3H), 1.44–1.41 (m, 2H), 1.34–1.32 (m, 1H), 1.21–1.17 (m, 1H), 1.11–1.06 (m, 1H), 0.99–0.72 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 173.7, 138.4, 136.8, 133.3, 126.8, 126.2, 125.6, 123.0, 122.3, 119.5, 108.0, 50.9, 46.4, 34.7, 34.4, 33.3, 32.9, 29.5, 26.1, 26.0.

3-(Cyclohexylmethyl)-1,3-dimethyl-1H-pyrrolo[3,2-b]pyridin-2(3H)-one (3q): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.24 (d, *J* = 5.2 Hz, 1H), 7.19−7.16 (m, 1H), 7.09 (d, *J* = 8.0 Hz, 1H), 3.25 (s, 3H), 1.99 (dd, J_1 = 14.0 Hz, J_2 = 6.0 Hz, 2H), 1.92 (dd, J_1 = 13.6 Hz, J_2 = 6.4 Hz, 2H), 1.54−1.45 (m, 3H), 1.38 (s, 3H), 1.34−1.26 (m, 1H), 1.10 (d, *J* = 12.4 Hz, 1H), 0.98−0.69 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 179.5, 155.5, 142.6, 138.0, 122.1, 113.7, 48.1, 44.1, 34.6, 33.8, 33.5, 25.9, 25.8, 24.1. HRMS (ESI) $[M + H]^+$ Calcd for $C_{16}H_{23}N_2O$: 259.1805, found: 259.1808.

3-(Cyclohexylmethyl)-1,3-dimethyl-1H-pyrrolo[2,3-b]pyridin-2(3H)-one (3r): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.18 (d, *J* = 5.2 Hz, 1H), 7.40 (d, *J* = 7.2 Hz, 1H), 6.98–6.95 (m, 1H), 3.31 (s, 3H), 1.99–1.94 (m, 1H), 1.75–1.70 (m, 1H), 1.54−1.48 (m, 3H), 1.34 (s, 3H), 1.30−1.21 (m, 2H), 1.01−0.76 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.5, 156.6, 146.4, 129.8, 128.6, 117.8, 47.4, 44.9, 35.4, 34.6, 34.5, 33.4, 25.9, 25.85, 25.82, 25.4, 25.2, 24.0. HRMS (ESI) $[M + H]^+$ Calcd for $C_{16}H_{23}N_2O$: 259.1805, found: 259.1803.

1-Benzyl-3-(cyclohexylmethyl)-3-methylindolin-2-one (3s):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.29–7.22 (m, 5H), 7.16–7.10 (m, 2H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 7.6 Hz, 1H), 5.04 (d, *J* = 15.2 Hz, 1H), 4.79 (d, *J* = 15.6 Hz, 1H), 2.02–1.97 (m, 1H), 1.78–1.73 (m, 1H), 1.45–1.43 (m, 4H), 1.36 (s, 3H), 1.15 (d, *J* = 12.8 Hz, 1H), 1.01–0.69 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.9, 142.2, 136.1, 134.4, 128.6, 127.4, 127.3, 122.6, 122.2, 108.9, 47.8, 45.4, 43.6, 34.7, 34.3, 33.9, 26.4, 26.0, 25.9.

3-(Cyclohexylmethyl)-3-methyl-1-phenylindolin-2-one (3t):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.53–7.49 (m, 2H), 7.40–7.38 (m, 3H), 7.23–7.15 (m, 2H), 7.10–7.06 (m, 1H), 6.84–6.82 (m, 1H), 2.06–2.01 (m, 1H), 1.83–1.78 (m, 1H), 1.54–1.50 (m, 4H), 1.44 (s, 3H), 1.30–1.27 (m, 1H), 1.01–0.82 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.3, 142.9, 134.7, 134.1, 129.5, 127.7, 127.3, 126.4, 122.9, 122.7, 109.2, 47.8, 45.8, 34.9, 34.3, 33.5, 26.4, 26.1, 26.0, 25.98.

3-(Cyclohexylmethyl)-1-ethyl-3-methylindolin-2-one (3u): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26–7.22 (m, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.04 (td, J_1 = 14.8 Hz, J_2 = 0.8 Hz, 1H), 6.85 (d, *J* = 7.6 Hz, 1H), 3.93–3.84 (m, 1H), 3.71–3.62 (m, 1H), 1.95–1.90 (m, 1H), 1.73–1.68 (m, 1H), 1.53–1.40 (m, 4H), 1.30 (s, 3H), 1.24 (t, *J* = 7.2 Hz, 3H), 1.19–1.16 (m, 1H), 0.99–0.71 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.5, 142.1, 134.7, 127.4, 122.8, 122.0, 108.0, 47.7, 45.4, 34.7, 34.33, 34.31, 33.60, 26.06, 26.01, 25.96, 25.91, 12.4. HRMS (ESI) $[M + H]^+$ Calcd for $C_{18}H_{26}NO$: 272.2009, found: 272.2010.

3-(3-(Cyclohexylmethyl)-3-methyl-2-oxoindolin-1-yl)propanenitrile (3v): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.30–7.26 (m, 1H), 7.19 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.11–7.07 (m, 1H), 6.93 (d, *J* = 7.6 Hz, 1H), 4.09–3.97 (m, 2H), 2.77–2.73 (m, 2H), 1.96–1.91 (m, 1H), 1.77–1.72 (m, 1H), 1.53–1.37 (m, 4H), 1.33 (s, 3H), 1.22–1.17 (m, 1H), 1.01–0.75 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.1, 141.0, 134.2, 127.7, 123.2, 122.9, 117.0, 107.8, 47.7, 45.2, 35.8, 34.7, 34.3, 33.6, 26.2, 25.94, 25.92, 25.86, 16.1. HRMS (ESI) $[M + H]^+$ Calcd for $C_{19}H_{25}N_2O$: 297.1961, found: 297.1970.

1,3-Dimethyl-3-propylindolin-2-one (3w):[16] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28–7.24 (m, 1H), 7.17 (d, *J* = 7.2 Hz, 1H), 7.08–7.04 (m, 1H), 6.83 (d, *J* = 7.6 Hz, 1H), 3.21 (s, 3H), 1.88 (td, J_1 = 24.8 Hz, J_2 = 4.4 Hz, 1H), 1.74–1.67 (m, 1H), 1.35 (s, 3H), 1.04–0.94 (m, 1H), 0.91–0.83 (m, 1H), 0.77 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.9, 143.3, 134.3, 127.5, 122.5, 122.4, 107.8, 48.5, 40.8, 26.0, 23.7, 17.8, 14.1.

3-Butyl-1,3-dimethylindolin-2-one (3x): [16] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26 (td, J_1 = 15.2 Hz, J_2 = 1.2 Hz, 1H), 7.18–7.16 (m, 1H), 7.06 (td, J_1 = 14.8 Hz, J_2 = 0.4 Hz, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 3.21 (s, 3H), 1.89 (td, J_1 = 25.2 Hz, J_2 = 4.4 Hz, 1H), 1.76–1.72 (m, 1H), 1.35 (s, 3H), 1.22–1.15 (m, 2H), 1.01–0.92 (m, 1H), 0.91–0.80 (m, 1H), 0.77 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.8, 143.3, 134.3, 127.5, 122.43, 122.35, 107.8, 48.4, 38.3, 26.5, 26.0, 23.7, 22.8, 13.7.

1,3-Dimethyl-3-pentylindolin-2-one (3y):[16] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26 (td, J_1 = 15.2 Hz, J_2 = 1.2 Hz, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.06 (td, J_1 = 16.0 Hz, J_2 = 0.8 Hz, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 3.21 (s, 3H), 1.92–1.84 (m, 1H), 1.75–1.68 (m, 1H), 1.35 (s, 3H), 1.18–1.13 (m, 4H), 1.01–0.94 (m, 1H), 0.86–0.76 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.8, 143.3, 134.3, 127.5, 122.4, 122.3, 107.8, 48.4, 38.4, 31.8, 26.0, 24.0, 23.7, 22.2, 13.9.

3-Hexyl-1,3-dimethylindolin-2-one (3z): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26 (td, J_1 = 15.2 Hz, J_2 = 1.2 Hz, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.06 (td, J_1 = 14.8 Hz, J_2 = 0.8 Hz, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 3.21 (s, 3H), 1.88 (td, J_1 = 25.6 Hz, J_2 = 4.8 Hz, 1H), 1.72 (td, J_1 = 25.2 Hz, J_2 = 4.4 Hz, 1H), 1.34 (s, 3H), 1.21–1.11 (m, 6H), 1.01–0.92 (m, 1H), 0.80 (t, *J* = 6.8 Hz, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.8, 143.3, 134.3, 127.5, 122.4, 122.3, 107.8, 48.4, 38.5, 31.4, 29.3, 26.0, 24.3, 23.7, 22.5, 13.9. HRMS (ESI) $[M + H]^+$ Calcd for $C_{16}H_{24}NO$: 246.1852, found: 246.1853.

3-Heptyl-1,3-dimethylindolin-2-one (3aa): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.27–7.23 (m, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.07–7.04 (m, 1H), 6.83 (d, *J* = 7.6 Hz, 1H), 3.21 (s, 3H), 1.92−1.85 (m, 1H), 1.75–1.68 (m, 1H), 1.34 (s, 3H), 1.22–1.14 (m, 8H), 1.01–0.94 (m, 1H), 0.82 (t, *J* = 6.8 Hz, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.8, 143.3, 134.3, 127.5, 122.4, 122.3, 107.7, 48.3, 38.5, 31.7, 29.6, 28.9, 26.0, 24.4, 23.7, 22.5, 13.9. HRMS (ESI) $[M + H]^+$ Calcd for $C_{17}H_{26}NO$: 260.2009, found: 260.2009.

3-Isobutyl-1,3-dimethylindolin-2-one (3ab):[16] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28–7.24 (m, 1H), 7.16 (dd, J_1 = 7.6 Hz, J_2 = 0.8 Hz, 1H), 7.08–7.04 (m, 1H), 6.85 (d, *J* = 8.0 Hz, 1H), 3.22 (s, 3H), 1.97–1.92 (m, 1H), 1.79–1.74 (m, 1H), 1.32 (s, 3H), 1.28–1.22 (m, 1H), 0.65 (d, *J* = 6.8 Hz, 3H), 0.61 (d, *J* = 10.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.0, 143.2, 134.2, 127.5, 122.8, 122.3, 107.9, 48.0, 46.7, 26.14, 26.08, 25.5, 24.1, 22.8.

3-Isopentyl-1,3-dimethylindolin-2-one (3ac): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.26 (td, J_1 = 15.2 Hz, J_2 = 1.2 Hz, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.06 (td, J_1 = 14.8 Hz, J_2 = 0.8 Hz, 1H), 6.84 (d, *J* = 7.6 Hz, 1H), 3.21 (s, 3H), 1.89 (td, J_1 = 25.6 Hz, J_2 = 4.4 Hz, 1H), 1.73 (td, J_1 = 25.6 Hz, J_2 = 4.0 Hz, 1H), 1.44–1.38 (m, 1H), 1.35 (s, 3H), 0.92–0.84 (m, 1H), 0.77 (t, *J* = 6.8 Hz, 6H), 0.73–0.65 (m, 1H);

^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.8, 143.3, 134.3, 127.5, 122.4, 122.3, 107.8, 48.3, 36.3, 33.1, 28.1, 26.0, 23.8, 24.4, 22.2. HRMS (ESI) $[M + H]^+$ Calcd for $C_{15}H_{22}NO$: 232.1696, found: 232.1697.

3-(Cyclobutylmethyl)-1,3-dimethylindolin-2-one (3ad):[16] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.27–7.23 (m, 1H), 7.16 (dd, J_1 = 7.2 Hz, J_2 = 0.8 Hz, 1H), 7.06–7.02 (m, 1H), 6.82 (d, J = 8.0 Hz, 1H), 3.19 (s, 3H), 2.07–2.02 (m, 1H), 1.91–1.83 (m, 2H), 1.73–1.68 (m, 1H), 1.63–1.55 (m, 3H), 1.48–1.37 (m, 2H), 1.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 180.7, 143.2, 134.1, 127.5, 122.7, 122.1, 107.7, 47.8, 45.6, 32.9, 29.4, 28.8, 26.0, 23.9, 18.8.

3-(Cyclopentylmethyl)-1,3-dimethylindolin-2-one (3ae):[17a] Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28–7.24 (m, 1H), 7.18–7.6 (m, 1H), 7.08–7.04 (m, 1H), 6.84 (d, J = 7.6 Hz, 1H), 3.22 (s, 3H), 2.09–2.04 (m, 1H), 1.92–1.87 (m, 1H), 1.78–1.68 (m, 1H), 1.47–1.38 (m, 3H), 1.34 (s, 3H), 1.29–1.21 (m, 3H), 1.03–0.96 (m, 1H), 0.85–0.79 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 181.0, 143.3, 134.4, 127.5, 122.8, 122.2, 107.8, 48.4, 44.5, 37.2, 33.7, 32.7, 26.1, 25.2, 24.9 (d, J = 6.1Hz).

4-(Cyclohexylmethyl)-2,4-dimethylisoquinoline-1,3(2H,4H)-dione (3af): Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.26 (d, J = 7.8 Hz, 1H), 7.66–7.61 (m, 1H), 7.45–7.41 (m, 2H), 3.39 (s, 3H), 2.36–2.31 (m, 1H), 1.93–1.89 (m, 1H), 1.57 (s, 3H), 1.51–1.44 (m, 3H), 1.27–1.24 (m, 1H), 1.17–1.14 (m, 1H), 1.00–0.74 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 176.7, 164.4, 143.8, 133.6, 128.7, 127.0, 125.6, 124.5, 49.5, 46.6, 34.8, 34.2, 32.9, 31.5, 27.0, 25.91, 25.88, 25.85. HRMS (ESI) $[M + H]^+$ Calcd for $C_{18}H_{24}NO_2$: 286.1802, found: 286.1805.

1,3-Dimethyl-3-(3-phenylpropyl)indolin-2-one (3ag): Colorless oil. ^{1}H NMR (600 MHz, $CDCl_3$) δ: 7.25–7.23 (m, 1H), 7.22–7.19 (m, 2H), 7.14–7.11 (m, 2H), 7.06–7.03 (m, 3H), 6.81 (d, J = 7.8 Hz, 1H), 3.19 (s, 3H), 2.55–2.50 (m, 1H), 2.46–2.41 (m, 1H), 1.98–1.93 (m, 1H), 1.80–1.75 (m, 1H), 1.34 (s, 3H), 1.31–1.26 (m, 1H), 1.18–1.13 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ: 180.6, 143.2, 141.8, 134.0, 128.3, 128.2, 127.6, 125.7, 122.41, 122.39, 107.9, 48.3, 38.1, 35.9, 26.3, 26.1, 23.8. HRMS (ESI) $[M + H]^+$ Calcd for $C_{19}H_{22}NO$: 280.1696, found: 280.1699.

3-(Cyclohex-1-en-1-ylmethyl)-1,3-dimethylindolin-2-one (3ah): Colorless oil. ^{1}H NMR (600 MHz, $CDCl_3$) δ: 7.25–7.23 (m, 1H), 7.17–7.16 (m, 1H), 7.05–7.02 (m, 1H), 6.80 (d, J = 7.2 Hz, 1H), 5.22 (s, 1H), 3.17 (s, 3H), 2.59 (d, J = 13.2 Hz, 1H), 2.35 (d, J = 13.2 Hz, 1H), 1.78–1.76 (m, 2H), 1.53–1.51 (m, 1H), 1.37 (s, 3H), 1.31–1.24 (m, 5H); ^{13}C NMR (150 MHz, $CDCl_3$) δ: 180.6, 143.3, 134.0, 133.2, 127.5, 125.3, 123.1, 122.0, 107.6, 49.0, 46.6, 29.7, 26.0, 25.2, 23.8, 22.9, 22.0. HRMS (ESI) $[M + H]^+$ Calcd for $C_{17}H_{22}NO$: 256.1696, found: 256.1694.

Conflicts of interest

The authors declare no competing financial interest.

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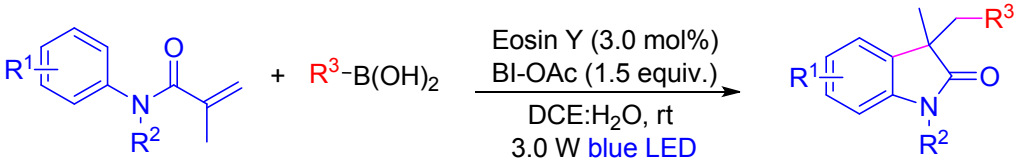

31 examples
37-81% yield

A visible-light-induced deboronative alkylarylation of acrylamides with boronic acids was developed via tandem reaction process.