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FACILE SYNTHESIS OF 5- to 7-MEMBERED BENZOLACTAM COMPOUNDS VIA STRONGLY FACILITATED ELECTROPHILIC AROMTIC SUBSTITUTION REACTION†

Hiroaki Kurouchi, Yuko Otani, and Tomohiko Ohwada*

Graduate School of Pharmaceutical Sciences, The University of Tokyo, 7-3-1 Hongo, Bunkyo-ku, Tokyo 113-0033, Japan
E-mail: ohwada@mol.f.u-tokyo.ac.jp

Abstract — We employed our system to activate aromatic ring-tethered carbamate compounds with trifluoromethanesulfonic acid to obtain benzolactams with 5- to 7-membered rings, and examined the substrate scope and limitations of this activation method. In 5-membered ring formation, a halogen group on the aromatic ring did not greatly affect the reaction yield, but other electron-donating groups inhibited the cyclization reaction, and various side-reactions occurred. In 7-membered ring formation, eletron-donating groups on aromatic ring promoted the cyclization reaction, but cyclization of electron-deficient aromatic rings did not proceed well. The 6-membered ring formation reaction showed the greatest substrate generality.

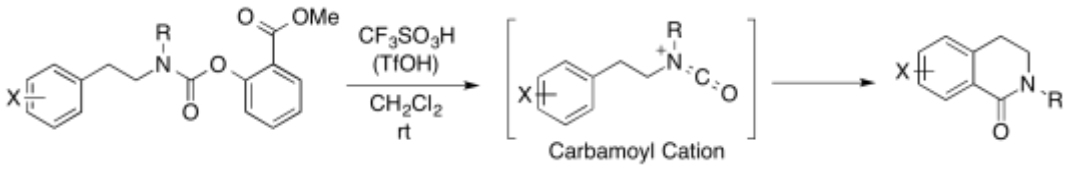
†Dedicated to Professor Dr. Lutz F. Tietze on the occasion of his 75th Birthday

INTRODUCTION

Benzolactam is an important structural component of bioactive compounds, and is often found in natural products and pharmaceuticals. A variety of synthetic methods for this structure have been reported, including simple lactamization, metal-catalyzed CO insertion,[1] radical insertion,[2] Schmidt rearrangement,[3] transition metal-catalyzed C-H activation,[4] cyclization of carbamates promoted by dehydrating reagents[5] and acid-catalyzed cyclization of carbamates.[6] In particular, direct amidation of aromatic rings provides facile access to benzolactam compounds; activation of isocyanate by Brønsted/Lewis acids is one of the classical methods for the synthesis of 6-membered ring benzolactams[7] and a few examples of 7-membered ring formation have been reported.[8] However, the yield depends strongly on the electron density of the aromatic ring, which is attacked by the isocyanate functionality. Thus, the conventional methods are not applicable to the synthesis of substrates in which the aromatic rings are deactivated by

halogen substituents. Recently, several synthetic methods using a carbamate functionality as a precursor have been reported, with phosphorus pentoxide[9,10] or trifluoromethanesulfonic acid (TfOH)[11] as an activating reagent; these methods enable us to modify aromatic rings bearing halogen substituents and also to synthesize 5-membered benzolactam derivatives. A similar reaction of urea-type precursors activated with trifluoromethanesulfonic acid was also reported.[12]

We recently developed a system to activate carbamate compounds at room temperature, which is applicable to efficient cyclization of phenethylcarbamates, affording 6-membered dihydroisoquinolone derivatives in high yields (Scheme 1 (a)).[13]



Scheme 1. Superacid-promoted activation of carbamate functionality and 6-membered ring formation

We subsequently applied this method for intermolecular direct amidation reaction.[14] Because the method does not require heating, transition metal catalyst or strong nucleophile, many kinds of functionalities are tolerated, including ether, ester, amide, nitro, alkyl chloride, and haloaryl (ArX: X = F, Cl, Br, I) groups. Moreover, amino acid derivatives do not racemize under the conditions used. In this article, to further clarify the scope of this method, we examined its applicability to the synthesis of 5- and 7-membered-ring benzolactam derivatives. We also examined the substituent compatibility of the present method for synthesis of a wide variety of 6-membered-ring derivatives.

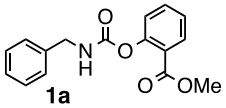
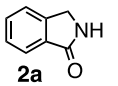
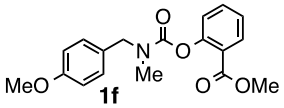
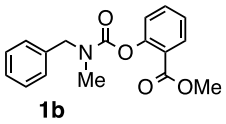
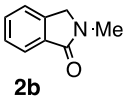
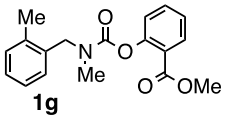
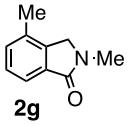
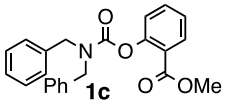
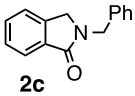
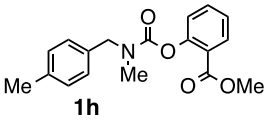
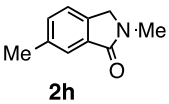
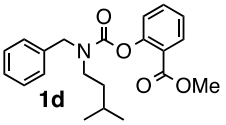
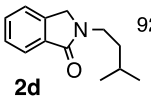
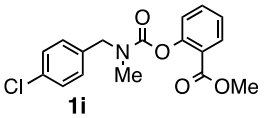
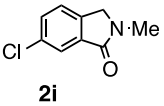
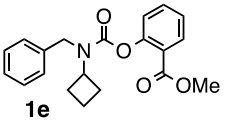
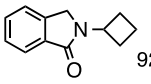
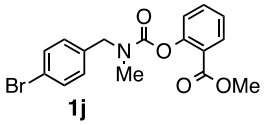
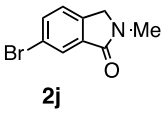
RESULTS AND DISCUSSIONS

Synthesis of 5-membered-ring derivatives

Substrate generality of 5-membered ring formation was examined under the previously optimized conditions[13] (Table 1).

Substrate **1a**, in which the carbamate nitrogen atom is not alkylated, afforded the cyclized product in moderate yield (56%, Table 1, Entry 1). This result is similar to that reported by Klummp, who used ureas as precursors.[12] Thus, both methods may involve a common electrophile generated by C-N or C-O bond cleavage. Introduction of a methyl group on the carbamate nitrogen atom increased the yield to 76% (Entry 2).

Table 1. Substrate generality of 5-membered ring formation reaction

Entry[a]	Substrate	Product	Yield	Entry[a]	Substrate	Product	Yield
1	 **1a**	 **2a**	56%	6	 **1f**	Complex Mixture	
2	 **1b**	 **2b**	76%	7	 **1g**	 **2g**	10%
3	 **1c**	 **2c**	83%	8 [b] 9 [c]	 **1h**	 **2h**	31%
4	 **1d**	 **2d**	92%	10	 **1i**	 **2i**	76%
5	 **1e**	 **2e**	92%	11	 **1j**	 **2j**	79%

[a] General procedures: substrate (0.60 mmol) was dissolved in dichloromethane (3.0 mL) and TfOH (10 eq.) was added at 0 ºC. The reaction mixture was stirred at rt for 1-2 hours.
[b] **3h** (shown in Scheme 2) was obtained in 7% yield. [c] 5 eq. of TfOH was used.

Other alkyl groups on the nitrogen also improved the reaction yield (Entries 2-5), possibly because the bulky alkyl group sterically protects the carbamoyl cation from the attack of triflate anion (Figure 1).

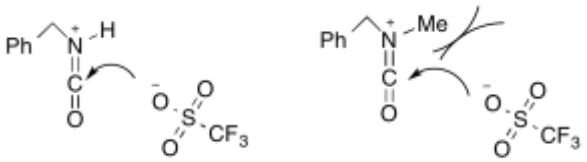
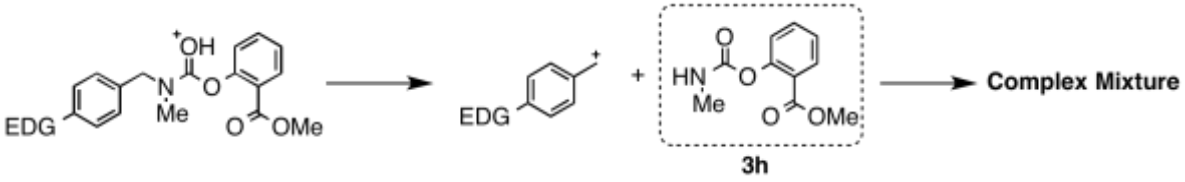


Figure 1. Plausible mechanism of the protective effect of alkyl group against attack of triflate anion

We also examined substituent effects on the aromatic ring (Entries 6-11). Introduction of electron-donating groups on the aromatic ring tended to result in complex reactions, possibly via generation of a benzyl cation (Scheme 2). In Entry 8, compound **3h** was obtained as a by-product (7% yield). Decrease of the amount of acid to 5 eq. enhanced the product yield to 31%. This may indicate that side reaction is accelerated by diprotonation of the substrate; the diprotonated methyl salicylate moiety would be an efficient leaving group. However, carbamoyl cation can also act as a leaving group, judging from the similar side reaction reported by Nakata et al.[10]



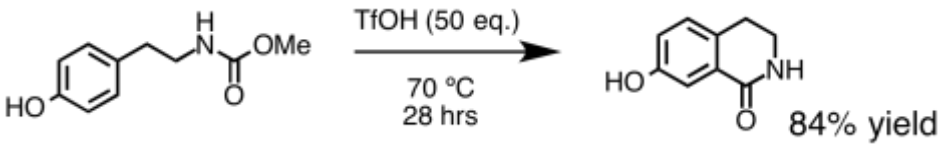
Scheme 2. Generation of benzyl cation in the reaction of substrates bearing an electron-donating group (EDG)

Thus, these reactions seemed to be disturbed by multiple side-reaction pathways. On the other hand, introduction of a halogen atom, which destabilizes the arylmethyl cation, increased the reaction yield (Entries 10-11).

Synthesis of 6-membered ring derivatives

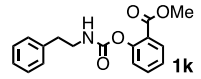
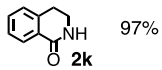
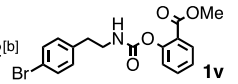
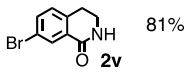
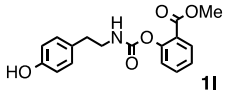
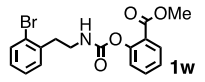
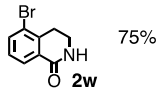
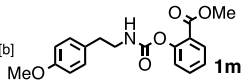
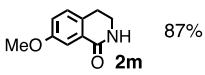
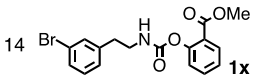
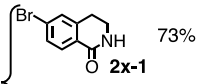
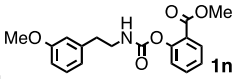
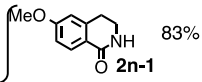
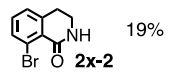
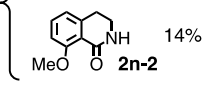
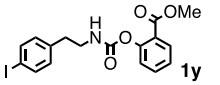
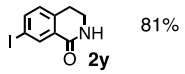
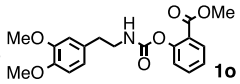
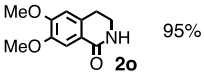
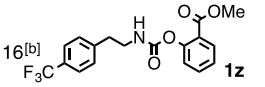
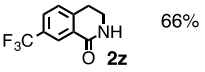
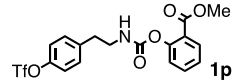
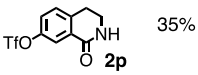
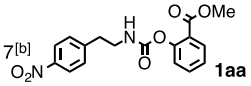
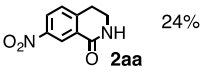
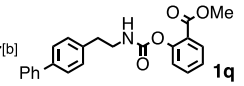
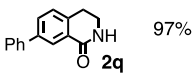
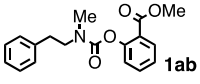
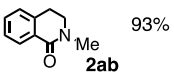
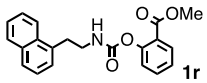
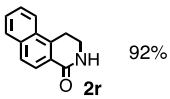
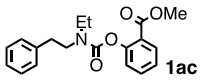
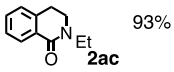
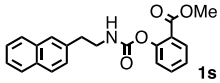
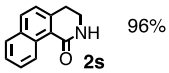
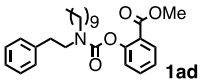
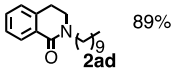
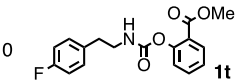
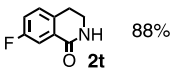
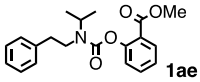
Substituent compatibility of the present method was examined in the 6-membered ring formation reaction (Table 2). Previously reported results[13] are also compiled in Table 2. Substrate **1k**, in which the nitrogen is non-alkylated, still afforded the cyclized product in high yield (Entry 1). The yield is much larger than in the case of 5-membered **1a**, indicating that 6-membered ring formation is more favorable than 5-membered ring formation because of kinetic reasons. Indeed, 6-membered ring formation showed a much broader range of substrate generality and gave higher yields.

The substrate bearing a hydroxyl group **1l** afforded a complex mixture (Entry 2). Because such a side reaction did not occur in the case of methanol as a leaving group (Scheme 2),[11] it is likely that the methyl salicylate moiety contributed to the side reaction, though the details of the mechanism are unclear. With the methoxy-substituted compounds **1m**, **1n** and **1o**, the reactions afforded cyclized products in high yields (Entries 3-5). However, a triflyloxy group (σ_m = 0.56), which is strongly electron-withdrawing, significantly retarded the reaction and the yield was only 35% (Entry 6). Biphenyl substrate **1q** and naphthalene substrates **1r** and **1s** afforded products in high yields (Entries 7-9).



Scheme 3. Cyclization reaction of a substrate bearing a hydroxyl group on the aromatic ring and methanol leaving group

Table 2. Substrate generality of 6-membered ring formation reaction

Entry[a]	Substrate	Product	Yield	Entry[a]	Substrate	Product	Yield
1[b]	 **1k**	 **2k**	97%	12[b]	 **1v**	 **2v**	81%
2	 **1l**	Complex Mixture[c]		13	 **1w**	 **2w**	75%
3[b]	 **1m**	 **2m**	87%	14	 **1x**	 **2x-1**	73%
4	 **1n**	 **2n-1**	83%			 **2x-2**	19%
		 **2n-2**	14%	15[b]	 **1y**	 **2y**	81%
5	 **1o**	 **2o**	95%	16[b]	 **1z**	 **2z**	66%
6	 **1p**	 **2p**	35%	17[b]	 **1aa**	 **2aa**	24%
7[b]	 **1q**	 **2q**	97%	18[b]	 **1ab**	 **2ab**	93%
8	 **1r**	 **2r**	92%	19	 **1ac**	 **2ac**	93%
9	 **1s**	 **2s**	96%	20	 **1ad**	 **2ad**	89%
10	 **1t**	 **2t**	88%	21[b]	 **1ae**	**2ae**	93%
11	**1u**	**2u**	88%	22	**1af**	**2af**	68%

[a] General procedure: Substrate (0.60 mmol) was dissolved in dichloromethane (3.0 mL) and TfOH (10 eq.) was added at 0 ºC. The reaction mixture was stirred at rt for 1-2 hours. [b] Data from ref. 13.

It is noteworthy that **1s** reacted at only one position of the naphthalene ring; this strongly suggests that the selectivity of this reaction is kinetically determined. This result indicates that the cyclization step is not reversible. Introduction of halogen groups ($\sigma_{m,\,p}$ = 0.23 ~ 0.39) did not greatly disturb the cyclization reaction (Entries 10-15). In the case of substrates with strong deactivating groups, i.e., a trifluoromethyl group (σ_m = 0.43) and a nitro group (σ_m = 0.71), cyclized products were obtained in moderate or low yield, respectively (Entries 16 and 17). Introduction of an alkyl group on the nitrogen atom (Entries 18-21) did not greatly affect the reaction yield as compared with the non-alkylated substrate (Entry 1). However, introduction of an alkyl group improved the reaction yield dramatically when the aromatic ring was deactivated by a strongly electron-withdrawing group such as a nitro group: nitro-substituted benzene

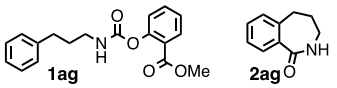
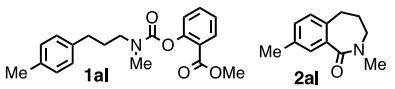
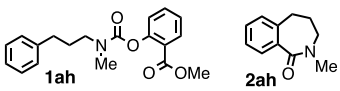
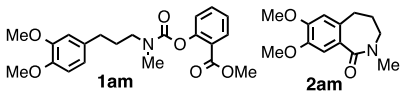
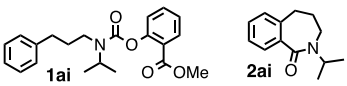
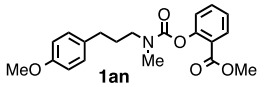
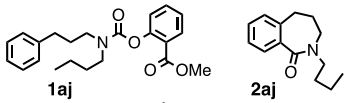
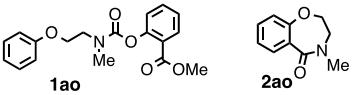
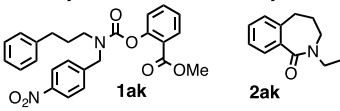
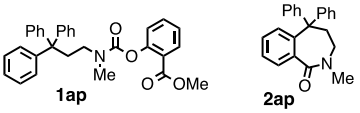
gave the cyclized product in 68% yield (Entry 22); a similar improvement was also observed in the 5-membered ring case (Table 1, entry 1 and entries 2-5).

Synthesis of 7-membered ring derivatives

The substrate generality of 7-membered ring formation was examined under conditions similar to those used for the 5-membered cyclization (Table 3).

As in the case of 5-membered ring formation, substrate **1ag**, in which the nitrogen is non-substituted, afforded the cyclized product in low yield (Entry 1). Introduction of a methyl group improved the reaction yield (Entry 2). Improvement of the yield was also found when other alkyl groups were introduced (Entries 3-5). Introduction of an electron-donating group did not influence the cyclization (Entries 6 and 7). However, in the case of a methoxy group at the para-position, the reaction afforded a complex mixture (Entry 8), possibly because the methoxy group at the para position serves as an electron-withdrawing group with respect to the cyclizing position. Other deactivating groups, such as 4-fluoro and 4-chloro groups, also disturbed the cyclization reaction (data not shown). The oxygen-linked compound **1ao** (Entry 9) and triphenyl compound **1ap** (Entry 10) also afforded cyclized products in high yields.

Table 3. Substrate generality of 7-membered ring formation reaction

Entry[a]	Substrate	Product	Yield	Entry[a]	Substrate	Product	Yield
1	 **1ag**	**2ag**	< 40%[b]	6	 **1al**	**2al**	98%
2	 **1ah**	**2ah**	81%	7	 **1am**	**2am**	88%
3	 **1ai**	**2ai**	80%	8	 **1an**	Complex mixture	
4	 **1aj**	**2aj**	87%	9	 **1ao**	**2ao**	73%
5	 **1ak**	**2ak**	92%	10	 **1ap**	**2ap**	88%

[a] General procedure: substrate (0.60 mmol) was dissolved in dichloromethane (3.0 mL) and TfOH (10 eq.) was added at 0 ºC. The reaction mixture was stirred at rt for 1-2 hours. [b] The product included inseparable by-products.

CONCLUSION

We applied our method for activation of the carbamoyl functionality to synthesize 5- to 7- membered benzolactams via electrophilic aromatic substitution reaction. We report the substrate generality and limitations of the present activation method. For 5-membered ring formation, a halogen group on the aromatic ring did not greatly affect the reaction yield, but an electron-donating group inhibited the

cyclization reaction, probably owing to generation of the arylmethyl cation, and side reactions occurred. In the case of 7-membered ring formation, arylmethyl cation formation was suppressed owing to the structural character of the substrate, but cyclization of electron-deficient aromatic rings did not proceed well, presumably because the cyclization reaction is very slow. The 6-membered ring formation reaction showed the greatest substrate generality; this ring-size is strongly favored in this reaction among 5- to 7-membered rings.

EXPERIMENTAL

I. General methods

Melting points were determined with a Yanaco micro melting point apparatus without correction. ^{1}H (400 MHz) – and ^{13}C (100 MHz) -NMR spectra were recorded on a Bruker Avance400. Chemical shifts were calibrated with tetramethylsilane as an internal standard or with the solvent peak, and are shown in ppm values, and coupling constants are shown in hertz (Hz). The following abbreviations are used: s = singlet, d = doublet, t = triplet, q = quartet, dd = double doublet, ddd = doublet of double doublet, dt = double triplet, dq = double quartet, h = heptet, m = multiplet, and brs = broad singlet. The NMR spectra are measured at 25 ºC if not mentioned. Electron spray ionization time-of-flight mass spectra (ESI-TOF MS) were recorded on a Bruker micrOTOF-05 to give high-resolution mass spectra (HRMS). All of the trifluoromethanesulfonic acid promoted cyclization reactions were performed using heat gun-dried or oven-dried glassware. Trifluoromethanesulfonic acid (TfOH) was dried with trifluoromethanesulfonic acid anhydride and purified with vacuum distillation prior to use. Other commercially available compounds and solvents were used as received. All microwave reactions were carried out in a single-mode microwave (Biotage Initiator^{TM} Eight Synthesizer programmed to heat constantly at the specified power). Reaction temperatures were determined using the built-in, on-line IR-sensor.

II. Synthesis of substrates

Synthesis of dimethyl 2,2'-(carbonylbis(oxy))dibenzoate

This compound was synthesized according to our previous literature.[13]

Substrates:

Typical Procedure of the Synthesis of Carbamoyl Salicylates: Synthesis of methyl 2-((benzylcarbamoyl)oxy)benzoate (1a)

A solution of benzylamine (386 mg, 3.14 mmol), dimethyl 2,2'-(carbonylbis(oxy))dibenzoate) (1009 mg, 3.06 mmol) in tetrahydrofuran (10 mL) was stirred at 20 ºC for 2 hrs. The solvent of the reaction mixture was evaporated under reduced pressure to give a crude oil. The crude product was purified by column chromatography (eluent: ethyl acetate : dichloromethane = 1 : 10) to afford methyl 2-((benzylcarbamoyl)oxy)benzoate (770 mg, 2.70 mmol, 88% yield (based on dimethyl 2,2'-(carbonylbis(oxy))dibenzoate))) as white powder.

Mp. 74.0 - 75.0 ºC (colorless needles, recrystallized from EtOAc/n-hexane). ^{1}H-NMR (400 MHz, $CDCl_3$), two rotamers (A and B) with respect to the amide bond were observed (approximately A : B = 9 : 1 ratio at 25ºC), δ (ppm): 7.94 (dd, J = 7.6, 0.8 Hz, 1H, rotamer A and B), 7.50 (ddd, J = 8.0, 8.0, 2.0 Hz, 1H, rotamer A and B), 7.36 - 7.23 (m, 6 H, rotamer A and B), 7.14 (d, J = 8.0 Hz, 1H, rotamer A and B), 5.68 (brs, 0.9H, rotamer A), 5.38 (brs, 0.1H, rotamer B), 4.53 (brs, 0.2H, rotamer B), 4.41 (d, J = 6.4 Hz, 1.8H, rotamer A) 3.75 (s, 3H, rotamer A and B). ^{13}C-NMR (100 MHz, $CDCl_3$) δ (ppm): 165.3, 154.4, 150.5, 138.1, 133.4, 131.4, 128.5, 127.6, 127.4, 125.5, 124.0, 123.9, 51.9, 45.2. ESI-HRMS: Calcd. for $C_{16}H_{15}NNaO_4^+$ $[M+Na]^+$: 308.08933. Found: 308.09035.

III. Cyclization reactions

A typical procedure: Synthesis of isoindolin-1-one (2a)

To a solution of methyl 2-((benzylcarbamoyl)oxy)benzoate (282 mg, 0.988 mmol) in dry dichloromethane (4.94 mL, 0.2 M), trifluoromethanesulfonic acid (0.88 mL, 10 eq) was added at 0 ºC. The mixture was stirred at 20 ºC under argon atmosphere for 1 hr. Then the mixture was quenched with 20 mL of ice water and the whole was extracted with dichloromethane (50 mL x 2). The organic layer was dried over sodium sulfate and the solvent was evaporated to give a crude oil mixture. The crude product was purified by column chromatography (eluent: ethyl acetate: chloroform = 1 : 2) to afford isoindolin-1-one (74.1 mg, 0.557 mmol, 56% yield) as colorless oil.

^{1}H-NMR (400 MHz, $CDCl_3$), *d* (ppm): 7.99 (brs, 1H), 7.87 (d, *J* = 7.6 Hz, 1H), 7.57 (ddd, *J* = 8.0, 6.8, 1.2 Hz, 1H), 7.50-7.46 (m, 2H), 4.46 (s, 2H). ^{13}C-NMR (100 MHz, $CDCl_3$) *d* (ppm): 172.2, 143.7, 132.2, 131.7, 127.9, 123.6, 123.1, 45.8. ESI-HRMS: Calcd for $C_8H_7NNaO^+$ $[M+Na]^+$: 156.04198. Found: 156.04153.

Other experimental details were compiled in Supporting Information.

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