



N-acylation of amides through internal nucleophilic catalysis

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Abstract

An efficient method for *N*-acylation of amides is described using a pyridine ring as the internal nucleophilic catalyst to give imides in moderate to excellent yields. The methodology provides a facile, air insensitive, and environmentally friendly route to form diversified imide scaffolds, which exist widely in natural products and biologically active materials.

Keywords

imides, internal nucleophilic catalysis, Mumm rearrangement, *N,N*-diisopropylethylamine, pyridine

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Introduction

Imides are well represented as valuable intermediates in synthetic organic chemistry, and these structural motifs also occur in natural products and pharmaceuticals such as the antibiotic fumaramidmycin,^{1,2} palauimide,³ thalidomide,⁴ the antifeedant ypaoamide,⁵ and the platelet-activating factor (PAF) antagonist CV-6209^{6,7} (Figure 1). To date, various methods for imides have been developed. Imides can be prepared by the palladium-catalyzed three-component reaction between terminal alkynes, isonitriles, and sodium carboxylates.⁸ Yamaguchi reported a copper-catalyzed aerobic oxidative acylation of amides with alcohols for the synthesis of imides.⁹ Also, Nicolaou reported the oxidation of secondary amides to the corresponding imides using Dess–Martin periodinane.¹⁰

In spite of the above-mentioned methods, imides are usually prepared between amides and an excess of activated forms of carboxylic acids, such as acyl chlorides, anhydrides, and esters, under strong basic or acidic conditions (Scheme 1(a)).^{11–14} However, for some acid- or base-sensitive or biologically related compounds, metal-free and versatile conditions are in demand. Although there are several examples described using mild conditions with a weak base,^{15–19} for instance, the conversion of *N*H-Boc to *N*(Boc)₂ with 4-dimethylaminopyridine (DMAP),²⁰ the development of versatile and efficient methods for the preparation of imides is still challenging.

Using an internal nucleophilic catalyst may be the solution to this problem. Acylation is one type of basic organic transformation to form amides or esters, which can be accelerated using a nucleophilic catalyst. Pyridine can act as a nucleophile for activated carboxylic acids and is often

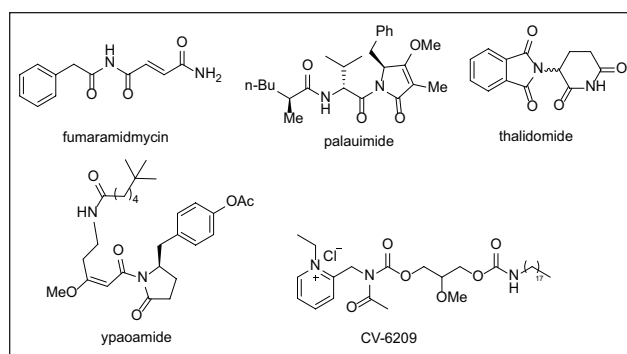


Figure 1. Compounds containing an imide core.

used as a catalyst in acylation reactions. Recently, Unsworth demonstrated a strategy for the synthesis of medium-sized rings readily from linear precursors mediated by an internal

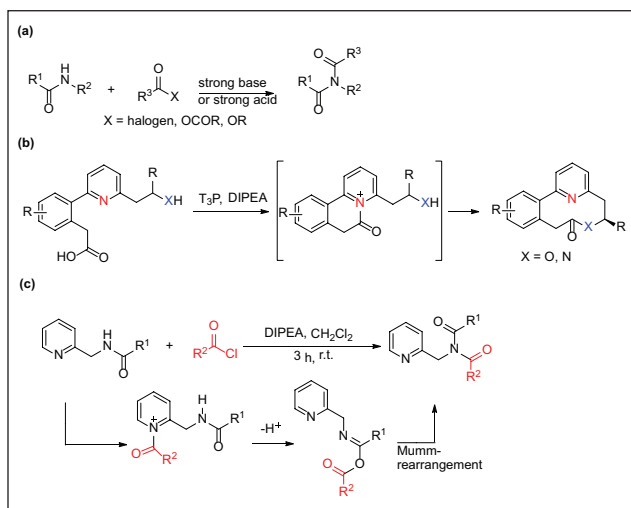
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Scheme 1. Strategies relevant to imides synthesis: (a) traditional work, (b) Unsworth's work, and (c) this work.

nucleophilic catalyst, a pyridine ring, which can capture the carboxylic acid to provide a reactive intermediate (Scheme 1(b)).^{21–23} Inspired by this work, we have taken advantage of internal catalysis to achieve mild *N*-acylation reactions of amides. Herein, we used the amides possessing a pyridine ring as substrates, the pyridine ring can form an active acylammonium salt with an acyl chloride. Thus, the original intermolecular reaction will be transformed into an intramolecular reaction. The imide will be obtained following a Mumm rearrangement of the intermediate isoimide (Scheme 1(c)).

Results and discussion

With *N*-(pyridin-2-ylmethyl)acetamide (**1a**) as the acyl acceptor and benzoyl chloride (**2a**) as the acyl donor, an initial study was performed with *N,N*-diisopropylethylamine (DIPEA) as the base in CH_2Cl_2 at room temperature for 3 h (Table 1, entry 1). To our delight, the desired product **3a** was obtained in 51% yield. It was found that a higher yield (83%) was achieved when using 1.5 equiv. of DIPEA (entries 2 and 3). Furthermore, the yield was improved to 94% when screening the amount of acyl chloride (entries 4 and 5). Three other bases were also tested (entries 6–8) but all led to a reduction in the yield of **3a**.

Next, other aromatic substrates as internal nucleophilic catalysts were examined (Scheme 2). Thiophene and furan were less efficient leading to **3b** and **3c** in moderate yields. The 2-methylpyridine was found to be optimal when altering the carbon chain number between the pyridine ring and the amide (**3d** and **3e**). Moreover, replacing the pyridine ring with a phenyl ring did not give any product **3f**. Thus, the optimized reaction conditions with a pyridine ring as the internal nucleophilic catalyst were found to be 1.5 equiv. of DIPEA and 1.3 equiv. of **2a** in CH_2Cl_2 at room temperature for 3 h, from which compound **3a** was isolated in 94% yield (entry 4).

After determining the optimized reaction conditions, we then set out to investigate the substrate scope of the amides and the acid chlorides. The results are summarized in Scheme 3.

Table 1. Optimization of the reaction conditions.^a

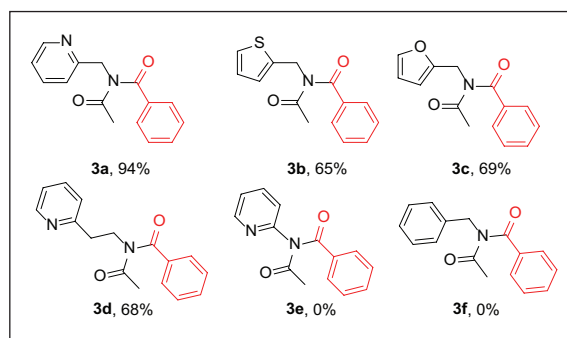
Entry	Base (equiv.)	2a (equiv.)	Yield ^b (%)
1	DIPEA (1.0)	1.0	51
2	DIPEA (1.5)	1.0	83
3	DIPEA (2.0)	1.0	82
4	DIPEA (1.5)	1.3	94
5	DIPEA (1.5)	1.5	93
6	Et_3N (1.5)	1.3	48
7	Na_2CO_3 (1.5)	1.3	23
8	CH_3COOK (1.5)	1.3	35

DIPEA: *N,N*-diisopropylethylamine.

^aConditions: **1a** (0.2 mmol), CH_2Cl_2 (2.0 mL), room temperature, 3 h.

^bIsolated yields.

Significance for bold value in table 1 was illustrated as the highlighted sentence.

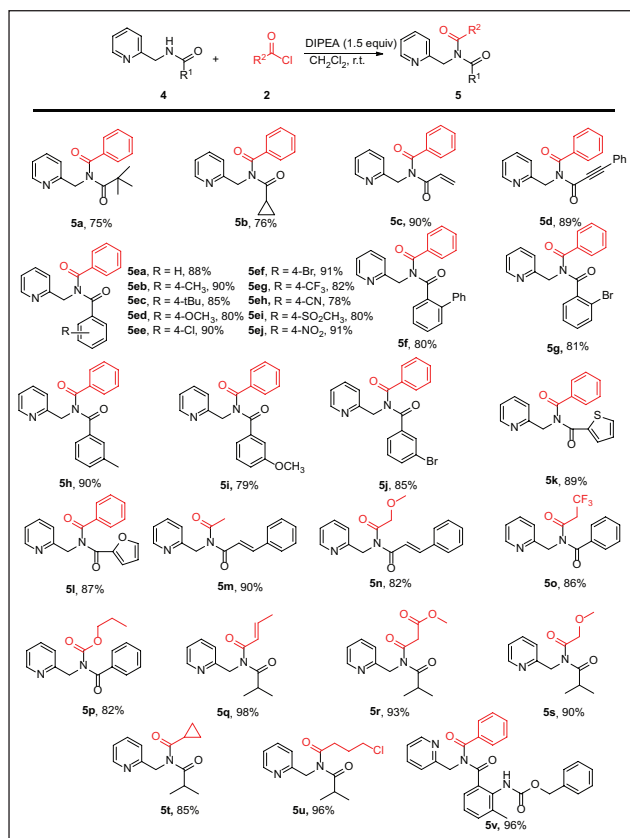


Scheme 2. Acyl transfer catalyst optimization.

Aliphatic and α,β -unsaturated amides, especially hindered *t*-butyryl amide, reacted smoothly to produce the target imides **5a–5d** in moderate to excellent yields. Amides bearing either electron-donating or electron-withdrawing groups on the phenyl ring successfully delivered the desired products **5ea–5ej** in good to excellent yields. Combined with the above results, the transformation still ran smoothly regardless of the presence of substituents at *ortho*, *meta*, or *para* positions on the phenyl ring (**5f–5j**). This transformation was also applicable to heteroaryl amides (**5k** and **5l**). Next, the scope of the acyl chlorides was examined. Acetyl chloride and 2-methoxyacetyl chloride reacted with cinnamamide to deliver the products **5m** and **5n** in 90% and 82% yields, respectively. As in the case of benzamide and isobutyramide, conjugated acyl chlorides and various functional-group-substituted alkyl acyl chlorides also reacted smoothly to provide the corresponding imides **5o–5u**. It was noteworthy that when a diamide was used as the substrate, product **5v** was obtained as the sole product.

Conclusion

In conclusion, we have developed a mild and robust *N*-acylation tactic for the preparation of imides from simple amides with acyl chlorides via internal nucleophilic catalysis. The method employs a mild readily available DIPEA as



Scheme 3. Substrate scope of the amides and acyl chlorides. Reaction conditions: **4** (0.2 mmol), **2** (0.26 mmol), DIPEA (0.3 mmol), CH₂Cl₂ (2.0 mL), room temperature, 3 h. Isolated yields are given.

the base and thus provides an inexpensive, environmentally friendly, and easy to operate route toward diverse imide derivatives with good substrate scope. Regarding the widespread distribution of imide fragments, the utility of this method in synthetic chemistry is being explored in our laboratory.

Experimental analysis

Reagents and solvents were purchased from commercial suppliers unless otherwise specified. All reactions were carried out under an air atmosphere. Anhydrous solvents were purified and dried following standard procedures. Purification was generally done by flash column chromatography on brand silica gel (200–300 mesh size). Thin-layer chromatography (TLC) analysis was performed on brand precoated, glass-backed silica gel plates. Nuclear magnetic resonance (NMR) spectra were recorded on a 400-MHz Bruker spectrometer (400 MHz for ¹H NMR, 100 MHz for ¹³C NMR). Chemical shifts (¹H and ¹³C) are given in ppm relative to the residual solvent peak (CDCl₃, 7.26 ppm, 77.0 ppm, respectively). High-resolution mass spectra (HRMS) were obtained on a Thermo Fisher LC-LTQ-Orbitrap XL spectrometer. For more information about chemical spectra, please see the supplemental material.

General procedure for the synthesis of imides **5.** To a mixture of amide **4** (0.2 mmol) and DIPEA (0.3 mmol) in

CH₂Cl₂ (2 mL) was added acyl chloride **2** (0.26 mmol) dropwise at 0 °C. The reaction mixture was stirred at room temperature for 3 h. After completion of the reaction, the mixture was poured into water (20 mL) and extracted with CH₂Cl₂ (3 × 20 mL). The combined organic layers were dried with anhydrous Na₂SO₄. After removal of the solvents in vacuo, the obtained crude product was further purified by column chromatography on silica gel, eluting with a mixture of petroleum and ethyl acetate (5:1) to give the desired products.

N-Acetyl-*N*-(pyridin-2-ylmethyl)benzamide (**3a**): colorless oil; yield: 47.8 mg, (94%). ¹H NMR (400 MHz, CDCl₃): δ 8.51 (d, *J* = 4.7 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 2H), 7.62 (t, *J* = 7.1 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.22 (d, *J* = 7.8 Hz, 1H), 7.17–7.11 (m, 1H), 5.09 (s, 2H), 2.26 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 174.3, 173.5, 156.5, 149.2, 136.6, 135.7, 132.2, 128.6, 128.5, 122.1, 121.4, 50.8, 26.2; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₅H₁₄N₂O₂Na: 277.0947; found: 277.0944.

N-Acetyl-*N*-(thiophen-2-ylmethyl)benzamide (**3b**): colorless oil; yield: 33.7 mg, (65%). ¹H NMR (400 MHz, CDCl₃): δ 7.60–7.53 (m, 3H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.21 (dd, *J* = 5.0, 1.4 Hz, 1H), 6.93–6.87 (m, 2H), 5.15 (s, 2H), 2.10 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 173.9, 173.0, 139.3, 135.7, 132.6, 128.9, 128.5, 127.3, 126.5, 125.8, 44.0, 26.5; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₃NO₂SNa: 282.0559; found: 282.0569.

N-Acetyl-*N*-(furan-2-ylmethyl)benzamide (**3c**): colorless oil; yield: 33.5 mg, (69%). ¹H NMR (400 MHz, CDCl₃): δ 7.59 (d, *J* = 8.0 Hz, 2H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.29 (s, 1H), 6.27 (s, 1H), 6.20 (d, *J* = 3.1 Hz, 1H), 4.96 (s, 2H), 2.17 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 174.0, 172.8, 150.4, 142.1, 135.5, 132.5, 128.8, 128.4, 110.4, 108.4, 42.3, 26.1; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₃NO₃Na: 266.0788; found: 266.0782.

N-Acetyl-*N*-(2-(pyridin-2-yl)ethyl)benzamide (**3d**): colorless oil; yield: 36.5 mg, (68%). ¹H NMR (400 MHz, CDCl₃): δ 8.43 (d, *J* = 4.2 Hz, 1H), 7.57 (td, *J* = 7.65, 1.32 Hz, 1H), 7.51–7.47 (m, 3H), 7.40 (t, *J* = 7.6 Hz, 2H), 7.15–7.07 (m, 2H), 4.19 (t, *J* = 6.9 Hz, 2H), 3.11 (t, *J* = 6.9 Hz, 2H), 2.15 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 174.4, 173.3, 158.5, 149.1, 136.6, 135.4, 132.3, 128.7, 128.5, 123.8, 121.6, 46.3, 37.1, 25.9; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₆H₁₆N₂O₂Na: 291.1104; found: 291.1121.

N-Pivaloyl-*N*-(pyridin-2-ylmethyl)benzamide (**5a**): colorless oil; yield: 44.4 mg, (75%). ¹H NMR (400 MHz, CDCl₃): δ 8.54 (d, *J* = 4.7 Hz, 1H), 7.80 (d, *J* = 7.1 Hz, 2H), 7.62 (t, *J* = 6.9 Hz, 1H), 7.51 (t, *J* = 6.7 Hz, 1H), 7.41 (t, *J* = 7.4 Hz, 2H), 7.22–7.12 (m, 2H), 4.92 (s, 2H), 1.31 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 187.4, 174.8, 156.5, 149.2, 136.6, 134.6, 132.3, 129.0, 128.6, 122.2, 121.6, 52.6, 43.4, 28.5; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₈H₂₀N₂O₂Na: 319.1417; found: 319.1424.

N-(Cyclopropanecarbonyl)-*N*-(pyridin-2-ylmethyl)benzamide (**5b**): colorless oil; yield: 42.6 mg, (76%). ¹H NMR (400 MHz, CDCl₃): δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.80–7.75 (m, 2H), 7.64 (td, *J* = 7.7, 1.7 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.28 (d, *J* = 7.85, 1H), 7.15 (dd, *J* = 7.2, 5.2 Hz, 1H), 5.21 (s, 2H), 1.59 (ddd, *J* = 12.4, 7.8, 4.6 Hz, 1H), 1.07–1.00 (m, 2H), 0.65 (m, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 177.9, 173.9, 156.9, 149.3, 136.6,

136.2, 132.2, 129.0, 128.5, 122.1, 121.4, 50.7, 18.3, 11.7; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₇H₁₆N₂O₂Na: 303.1104; found: 303.1115.

N-Acryloyl-*N*-(pyridin-2-ylmethyl)benzamide (**5c**): colorless oil; yield: 47.9 mg, (90%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.7 Hz, 1H), 7.72 (d, *J*=8.2 Hz, 2H), 7.64 (t, *J*=7.7 Hz, 1H), 7.53 (t, *J*=7.5 Hz, 1H), 7.41 (t, *J*=7.6 Hz, 2H), 7.29 (d, *J*=7.8 Hz, 1H), 7.18–7.12 (m, 1H), 6.31–6.17 (m, 2H), 5.51 (dd, *J*=9.1, 2.7 Hz, 1H), 5.21 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 173.7, 169.2, 156.4, 149.3, 136.6, 135.8, 132.5, 130.8, 129.1, 128.8, 128.6, 122.2, 121.5, 50.6; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₆H₁₄N₂O₂Na: 289.0947; found: 289.0951.

N-(3-Phenylpropionyl)-*N*-(pyridin-2-ylmethyl)benzamide (**5d**): colorless oil; yield: 60.5 mg, (89%). ¹H NMR (400 MHz, CDCl₃): δ 8.55 (d, *J*=4.9 Hz, 1H), 7.88 (d, *J*=7.5 Hz, 2H), 7.67 (t, *J*=7.7 Hz, 1H), 7.53 (t, *J*=7.4 Hz, 1H), 7.45 (t, *J*=7.5 Hz, 2H), 7.35 (t, *J*=7.8 Hz, 2H), 7.24 (m, 2H), 7.21–7.13 (m, 1H), 7.09 (d, *J*=7.7 Hz, 2H), 5.34 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 173.4, 156.1, 155.0, 149.5, 136.6, 136.0, 132.7, 132.6, 130.6, 129.7, 128.5, 128.3, 122.3, 121.5, 119.5, 95.9, 82.7, 49.9; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₂H₁₆N₂O₂Na: 363.1104; found: 363.1113.

N-Benzoyl-*N*-(pyridin-2-ylmethyl)benzamide (**5ea**): colorless oil; yield: 55.6 mg, (88%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.7 Hz, 1H), 7.66 (td, *J*=7.7, 1.4 Hz, 1H), 7.54 (d, *J*=7.3 Hz, 4H), 7.39 (d, *J*=7.8 Hz, 1H), 7.25 (t, *J*=7.20, 3H), 7.14 (t, *J*=7.5 Hz, 5H), 5.34 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 174.2, 156.6, 149.3, 136.6, 136.5, 131.7, 129.1, 128.1, 122.3, 121.8, 51.4; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₀H₁₆N₂O₂Na: 339.1104; found: 311.1111.

N-Benzoyl-4-methyl-*N*-(pyridin-2-ylmethyl)benzamide (**5eb**): colorless oil; yield: 59.3 mg, (90%). ¹H NMR (400 MHz, CDCl₃): δ 8.53 (d, *J*=4.9 Hz, 1H), 7.67 (t, *J*=7.7 Hz, 1H), 7.56 (d, *J*=7.4 Hz, 2H), 7.47 (d, *J*=7.9 Hz, 2H), 7.40 (d, *J*=7.8 Hz, 1H), 7.25 (d, *J*=7.0 Hz, 1H), 7.17 (t, *J*=7.9 Hz, 3H), 6.96 (d, *J*=7.9 Hz, 2H), 5.34 (s, 2H), 2.23 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 174.3, 174.2, 156.7, 149.3, 142.5, 136.6, 136.5, 133.6, 131.6, 129.3, 129.0, 128.8, 128.0, 122.2, 121.8, 51.6, 21.4; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₁H₁₈N₂O₂Na: 353.1260; found: 353.1262.

N-Benzoyl-4-(tert-butyl)-*N*-(pyridin-2-ylmethyl)benzamide (**5ec**): colorless oil; yield: 63.3 mg, (85%). ¹H NMR (400 MHz, CDCl₃): δ 8.54 (d, *J*=4.4 Hz, 1H), 7.67 (t, *J*=7.7 Hz, 1H), 7.53 (d, *J*=7.5 Hz, 2H), 7.49 (d, *J*=8.2 Hz, 2H), 7.40 (d, *J*=7.8 Hz, 1H), 7.22 (t, *J*=7.2 Hz, 1H), 7.17–7.12 (m, 5H), 5.35 (s, 2H), 1.19 (s, 9H); ¹³C NMR (100 MHz, CDCl₃): δ 174.4, 174.2, 156.7, 155.3, 149.4, 136.6, 133.5, 131.4, 129.1, 129.0, 128.0, 125.0, 122.2, 121.8, 51.4, 34.9, 30.9; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₄H₂₄N₂O₂Na: 395.1730; found: 395.1725.

N-Benzoyl-4-methoxy-*N*-(pyridin-2-ylmethyl)benzamide (**5ed**): colorless oil; yield: 55.4 mg, (80%). ¹H NMR (400 MHz, CDCl₃): δ 8.59 (d, *J*=4.9 Hz, 1H), 7.72 (t, *J*=7.6 Hz, 1H), 7.63 (dd, *J*=8.4, 2.5 Hz, 4H), 7.46 (d, *J*=7.8 Hz, 1H), 7.33 (t, *J*=7.2 Hz, 1H), 7.23 (q, *J*=7.7 Hz,

3H), 6.73 (d, *J*=8.6 Hz, 2H), 5.40 (s, 2H), 3.79 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 174.1, 173.7, 162.4, 156.7, 149.3, 136.5, 131.5, 131.4, 128.9, 128.6, 128.1, 122.1, 121.7, 113.4, 55.3, 51.6; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₁H₁₈N₂O₃Na: 369.1210; found: 369.1218.

N-Benzoyl-4-chloro-*N*-(pyridin-2-ylmethyl)benzamide (**5ee**): colorless oil; yield: 63.0 mg, (90%). ¹H NMR (400 MHz, CDCl₃): δ 8.51 (d, *J*=4.9 Hz, 1H), 7.67 (t, *J*=7.7 Hz, 1H), 7.56 (d, *J*=7.6 Hz, 2H), 7.52 (d, *J*=8.3 Hz, 2H), 7.37 (d, *J*=7.9 Hz, 1H), 7.30 (t, *J*=7.4 Hz, 1H), 7.22–7.11 (m, 5H), 5.33 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 174.0, 173.3, 156.3, 149.4, 137.8, 136.6, 136.3, 135.0, 132.0, 130.4, 129.1, 128.4, 128.3, 122.3, 121.8, 51.4; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₀H₁₅ClN₂O₂Na: 373.0714; found: 373.0712.

N-Benzoyl-4-bromo-*N*-(pyridin-2-ylmethyl)benzamide (**5ef**): colorless oil; yield: 71.7 mg, (91%). ¹H NMR (400 MHz, CDCl₃): δ 8.51 (d, *J*=4.4 Hz, 1H), 7.67 (td, *J*=7.7, 1.8 Hz, 1H), 7.58–7.53 (m, 2H), 7.47–7.42 (m, 2H), 7.37 (d, *J*=7.8 Hz, 1H), 7.30 (m, 3H), 7.23–7.14 (m, 3H), 5.33 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 174.0, 173.4, 156.2, 149.4, 136.5, 136.2, 135.4, 132.0, 131.3, 130.5, 129.1, 128.3, 126.4, 122.3, 121.7, 51.4; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₀H₁₅BrN₂O₂Na: 417.0209; found: 417.0208.

N-Benzoyl-*N*-(pyridin-2-ylmethyl)-4-(trifluoromethyl)benzamide (**5eg**): colorless oil; yield: 63.0 mg, (82%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.9 Hz, 1H), 7.69 (d, *J*=7.8 Hz, 3H), 7.56 (d, *J*=7.5 Hz, 2H), 7.43 (d, *J*=7.7 Hz, 2H), 7.38 (d, *J*=7.6 Hz, 1H), 7.33–7.25 (m, 1H), 7.19 (t, *J*=6.9 Hz, 3H), 5.35 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 174.0, 173.0, 156.0, 149.4, 139.9, 136.6, 136.0, 132.8 (q, *J*=32.0 Hz), 132.09, 129.2, 129.1, 128.3, 125.3 (q, *J*=4.0 Hz), 123.4 (d, *J*=271.0 Hz), 122.4, 121.8, 51.2; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₁H₁₅F₃N₂O₂Na: 407.0978; found: 407.0970.

N-Benzoyl-4-cyano-*N*-(pyridin-2-ylmethyl)benzamide (**5eh**): colorless oil; yield: 53.2 mg, (78%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.7 Hz, 1H), 7.72–7.65 (m, 3H), 7.58–7.53 (m, 2H), 7.46 (d, *J*=8.3 Hz, 2H), 7.37 (d, *J*=7.8 Hz, 1H), 7.32 (t, *J*=7.4 Hz, 1H), 7.23–7.17 (m, 3H), 5.35 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 173.7, 172.5, 155.7, 149.3, 140.6, 136.8, 135.8, 132.3, 131.8, 129.3, 129.1, 128.5, 122.5, 121.8, 117.8, 114.6, 51.1; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₁H₁₅N₃O₂Na: 364.1056; found: 364.1060.

N-Benzoyl-4-(methylsulfonyl)-*N*-(pyridin-2-ylmethyl)benzamide (**5ei**): colorless oil; yield: 63.1 mg, (80%). ¹H NMR (400 MHz, CDCl₃): δ 8.53 (d, *J*=4.7 Hz, 1H), 7.79–7.67 (m, 5H), 7.57 (d, *J*=7.3 Hz, 2H), 7.38 (d, *J*=7.8 Hz, 1H), 7.31 (t, *J*=7.4 Hz, 1H), 7.20 (t, *J*=7.8 Hz, 3H), 5.36 (s, 2H), 2.93 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 173.8, 172.5, 155.7, 149.3, 142.4, 141.5, 136.8, 135.9, 132.3, 129.7, 129.2, 128.4, 127.2, 122.5, 121.8, 51.1, 44.2; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₁H₁₈N₂O₄SNa: 417.0879; found: 417.0881.

N-Benzoyl-4-nitro-*N*-(pyridin-2-ylmethyl)benzamide (**5ej**): colorless oil; yield: 65.7 mg, (91%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.5 Hz, 1H), 8.02 (d, *J*=8.6 Hz, 2H), 7.74 (d, *J*=8.6 Hz, 2H), 7.69 (t, *J*=7.7 Hz, 1H), 7.58 (d, *J*=7.8 Hz, 2H), 7.37 (d, *J*=7.8 Hz, 1H), 7.31

(t, $J=7.4$ Hz, 1H), 7.20 (t, $J=7.7$ Hz, 3H), 5.36 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 173.7, 172.3, 155.7, 149.4, 148.9, 142.3, 136.7, 135.8, 132.4, 129.8, 129.2, 128.5, 123.2, 122.5, 121.8, 51.2; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{20}\text{H}_{15}\text{N}_3\text{O}_4\text{Na}$: 384.0955; found: 384.0956.

N-Benzoyl-*N*-(pyridin-2-ylmethyl)-[1,1'-biphenyl]-2-carboxamide (**5f**): colorless oil; yield: 40.5 mg, (80%). ^1H NMR (400 MHz, CDCl_3): δ 8.47 (d, $J=4.5$ Hz, 1H), 7.56 (td, $J=7.7$, 1.7 Hz, 1H), 7.43–7.40 (m, 3H), 7.39–7.33 (m, 3H), 7.27–7.22 (m, 4H), 7.21 (d, $J=11.7$ Hz, 1H), 7.18–7.16 (m, 1H), 7.15–7.12 (m, 1H), 7.12–7.07 (m, 3H), 4.87 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.4, 172.9, 156.4, 149.3, 139.7, 139.4, 136.6, 136.0, 135.8, 131.6, 130.3, 129.8, 129.8, 128.7, 128.6, 128.5, 127.9, 127.2, 122.2, 121.7, 51.0; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{26}\text{H}_{20}\text{N}_2\text{O}_2\text{Na}$: 415.1417; found: 415.1429.

N-Benzoyl-2-bromo-*N*-(pyridin-2-ylmethyl)benzamide (**5g**): colorless oil; yield: 63.9 mg, (81%). ^1H NMR (400 MHz, CDCl_3): δ 8.55 (d, $J=4.8$ Hz, 1H), 7.67 (t, $J=7.8$ Hz, 1H), 7.57 (d, $J=7.6$ Hz, 2H), 7.46 (d, $J=7.6$ Hz, 1H), 7.39 (d, $J=7.9$ Hz, 1H), 7.28 (t, $J=8.45$, 2H), 7.23–7.16 (m, 3H), 7.10 (t, $J=7.5$ Hz, 1H), 7.01 (t, $J=7.8$ Hz, 1H), 5.35 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.0, 171.1, 156.2, 149.4, 137.8, 136.5, 136.4, 133.3, 131.6, 131.3, 130.3, 128.6, 128.1, 126.8, 122.3, 121.9, 120.8, 50.5; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{20}\text{H}_{15}\text{BrN}_2\text{O}_2\text{Na}$: 417.0209; found: 417.0205.

N-Benzoyl-3-methyl-*N*-(pyridin-2-ylmethyl)benzamide (**5h**): colorless oil; yield: 59.4 mg, (90%). ^1H NMR (400 MHz, CDCl_3): δ 8.53 (d, $J=4.7$ Hz, 1H), 7.67 (t, $J=7.6$ Hz, 1H), 7.54 (d, $J=7.7$ Hz, 2H), 7.40 (d, $J=7.8$ Hz, 1H), 7.35 (d, $J=4.5$ Hz, 1H), 7.33 (s, 1H), 7.24 (d, $J=7.3$ Hz, 1H), 7.16 (t, $J=7.6$ Hz, 3H), 7.04 (d, $J=4.9$ Hz, 2H), 5.35 (s, 2H), 2.21 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.4, 174.3, 156.7, 149.4, 137.9, 136.6, 136.6, 136.3, 132.4, 131.6, 129.7, 129.0, 128.1, 128.0, 126.3, 122.3, 121.8, 51.5, 21.1; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{21}\text{H}_{18}\text{N}_2\text{O}_2\text{Na}$: 353.1260; found: 353.1257.

N-Benzoyl-3-methoxy-*N*-(pyridin-2-ylmethyl)benzamide (**5i**): colorless oil; yield: 54.7 mg, (79%). ^1H NMR (400 MHz, CDCl_3): δ 8.54 (d, $J=4.6$ Hz, 1H), 7.67 (t, $J=7.6$ Hz, 1H), 7.56 (d, $J=7.7$ Hz, 2H), 7.40 (d, $J=7.9$ Hz, 1H), 7.30–7.24 (m, 1H), 7.21–7.13 (m, 4H), 7.07 (dd, $J=14.7$, 6.7 Hz, 2H), 6.79 (d, $J=8.1$ Hz, 1H), 5.35 (s, 2H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.2, 174.1, 159.2, 156.6, 149.3, 137.7, 136.7, 136.5, 131.7, 129.2, 129.1, 128.1, 122.3, 121.8, 121.6, 118.4, 113.7, 55.4, 51.4; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{21}\text{H}_{18}\text{N}_2\text{O}_3\text{Na}$: 369.1210; found: 269.1214.

N-Benzoyl-3-bromo-*N*-(pyridin-2-ylmethyl)benzamide (**5j**): colorless oil; yield: 67.0 mg, (85%). ^1H NMR (400 MHz, CDCl_3): δ 8.53 (d, $J=4.5$ Hz, 1H), 7.69 (m, 2H), 7.55 (d, $J=7.5$ Hz, 2H), 7.49 (d, $J=7.4$ Hz, 1H), 7.36 (t, $J=8.3$ Hz, 2H), 7.29 (t, $J=7.29$, 1H), 7.23–7.15 (m, 3H), 7.02 (t, $J=7.8$ Hz, 1H), 5.34 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.0, 172.7, 156.2, 149.4, 138.4, 136.6, 136.3, 134.3, 132.0, 131.9, 129.6, 129.0, 128.3, 127.5, 122.3, 122.1, 121.7, 51.3; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{20}\text{H}_{15}\text{BrN}_2\text{O}_2$: 417.0209; found: 417.0214.

N-Benzoyl-*N*-(pyridin-2-ylmethyl)thiophene-2-carboxamide (**5k**): colorless oil; yield: 57.3 mg, (89%). ^1H NMR

(400 MHz, CDCl_3): δ 8.52 (d, $J=4.7$ Hz, 1H), 7.71–7.61 (m, 3H), 7.44–7.36 (m, 3H), 7.32 (t, $J=7.3$ Hz, 1H), 7.24 (t, $J=7.32$, 2H), 7.20–7.14 (m, 1H), 6.81 (t, $J=4.4$ Hz, 1H), 5.35 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 173.5, 167.5, 156.5, 149.1, 139.5, 136.8, 136.2, 133.3, 133.0, 131.8, 129.0, 128.3, 127.2, 122.3, 121.8, 51.8; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_2\text{SNa}$: 345.0668; found: 345.0678.

N-Benzoyl-*N*-(pyridin-2-ylmethyl)furan-2-carboxamide (**5l**): colorless oil; yield: 53.3 mg, (87%). ^1H NMR (400 MHz, CDCl_3): δ 8.51 (d, $J=4.7$ Hz, 1H), 7.66 (dd, $J=15.9$, 7.7 Hz, 3H), 7.40 (d, $J=7.7$ Hz, 1H), 7.33 (t, $J=6.1$ Hz, 1H), 7.29–7.19 (m, 3H), 7.17–7.11 (m, 1H), 6.95 (s, 1H), 6.22 (s, 1H), 5.32 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 173.3, 162.9, 156.6, 149.4, 147.9, 145.3, 136.6, 136.0, 131.8, 128.7, 128.1, 122.2, 121.4, 118.8, 112.3, 51.3; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_3\text{Na}$: 329.0897; found: 329.0902.

N-Acetyl-*N*-(pyridin-2-ylmethyl)cinnamamide (**5m**): colorless oil; yield: 50.4 mg, (90%). ^1H NMR (400 MHz, CDCl_3): δ 8.56 (d, $J=4.9$ Hz, 1H), 7.76 (d, $J=15.5$ Hz, 1H), 7.67 (d, $J=6.36$ Hz, 1H), 7.52 (s, 2H), 7.37 (s, 3H), 7.28 (d, $J=13.6$ Hz, 2H), 7.20 (s, 1H), 5.14 (s, 2H), 2.53 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 173.8, 169.4, 156.7, 149.4, 145.2, 136.9, 134.7, 130.4, 128.8, 128.3, 122.5, 121.7, 120.5, 49.5, 26.3; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{17}\text{H}_{16}\text{N}_2\text{O}_2\text{Na}$: 303.1104; found: 303.1115.

N-(2-Methoxyacetyl)-*N*-(pyridin-2-ylmethyl)cinnamamide (**5n**): colorless oil; yield: 50.9 mg, (82%). ^1H NMR (400 MHz, CDCl_3): δ 8.57 (d, $J=4.7$ Hz, 1H), 7.76 (d, $J=15.5$ Hz, 1H), 7.67 (td, $J=1.9$, 7.6 Hz, 1H), 7.51 (dd, $J=6.6$, 2.9 Hz, 2H), 7.37 (dd, $J=5.1$, 1.8 Hz, 3H), 7.32 (d, $J=7.9$ Hz, 1H), 7.26 (d, $J=15.5$ Hz, 2H), 7.21 (dd, $J=7.1$, 5.3 Hz, 1H), 5.18 (s, 2H), 4.66 (s, 2H), 3.49 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.0, 169.1, 156.3, 149.4, 146.3, 137.0, 134.4, 130.6, 128.9, 128.4, 122.7, 122.2, 119.3, 74.6, 59.3, 48.8; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_3\text{Na}$: 333.1210; found: 333.1214.

N-(Pyridin-2-ylmethyl)-*N*-(3,3,3-trifluoropropanoyl)benzamide (**5o**): colorless oil; yield: 55.4 mg, (86%). ^1H NMR (400 MHz, CDCl_3): δ 8.52 (d, $J=4.6$ Hz, 1H), 7.71–7.67 (m, 2H), 7.64 (td, $J=7.7$, 1.6 Hz, 1H), 7.54 (t, $J=7.5$ Hz, 1H), 7.43 (t, $J=7.6$ Hz, 2H), 7.18 (t, $J=6.4$ Hz, 2H), 5.05 (s, 2H), 3.74 (q, $J=9.9$ Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 174.1, 166.9 (t, $J=3.0$ Hz), 155.3, 149.2, 136.8, 134.4, 132.5, 128.8, 128.4, 123.7 (d, $J=276.0$ Hz), 122.5, 121.6, 51.3, 41.9 (q, $J=30.0$ Hz); HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{16}\text{H}_{13}\text{F}_3\text{N}_2\text{O}_2\text{Na}$: 345.0821; found: 345.0825.

Propyl Benzoyl(pyridin-2-ylmethyl)carbamate (**5p**): colorless oil; yield: 48.8 mg, (82%). ^1H NMR (400 MHz, CDCl_3): δ 8.56 (d, $J=4.2$ Hz, 1H), 7.70–7.62 (m, 3H), 7.48 (t, $J=7.3$ Hz, 1H), 7.41 (t, $J=7.5$ Hz, 2H), 7.30 (d, $J=7.8$ Hz, 1H), 7.20–7.13 (m, 1H), 5.18 (s, 2H), 3.92 (t, $J=6.6$ Hz, 2H), 1.27 (h, $J=7.1$ Hz, 2H), 0.58 (t, $J=7.4$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 173.0, 156.9, 155.1, 149.4, 136.9, 136.6, 131.3, 128.1, 127.8, 122.2, 121.0, 68.7, 50.4, 21.5, 10.0; HRMS (ESI⁺): m/z [M + Na]⁺ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_2\text{O}_3\text{Na}$: 321.1210; found: 321.1225.

(*E*)-*N*-Isobutyryl-*N*-(pyridin-2-ylmethyl)but-2-enamide (**5q**): colorless oil; yield: 48.3 mg, (98%). ¹H NMR (400 MHz, CDCl₃): δ 8.52(d, *J*=4.8 Hz, 1H), 7.63 (t, *J*=7.6 Hz, 1H), 7.17 (d, *J*=7.3 Hz, 2H), 7.07–6.98 (m, 1H), 6.48 (d, *J*=14.9, 1H), 5.04 (s, 2H), 3.32 (p, *J*=6.1, 5.6 Hz, 1H), 1.89 (d, *J*=6.9 Hz, 3H), 1.15 (d, *J*=6.6 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃): δ 181.5, 169.5, 156.9, 149.3, 144.9, 136.8, 125.2, 122.3, 121.2, 49.2, 34.8, 19.5, 18.3; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₈N₂O₂Na: 269.1260; found: 269.1256.

Methyl 3-Oxo-3-(*N*-(pyridin-2-ylmethyl)isobutyramido)propanoate (**5r**): colorless oil; yield: 51.7 mg, (93%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=4.8 Hz, 1H), 7.67 (t, *J*=7.6 Hz, 1H), 7.29 (d, *J*=7.8 Hz, 1H), 7.19 (t, *J*=5.0 Hz, 1H), 5.12 (s, 2H), 3.93 (s, 2H), 3.73 (s, 3H), 3.05 (p, *J*=6.6 Hz, 1H), 1.10 (d, *J*=6.6 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃): δ 181.1, 169.2, 168.0, 156.3, 149.3, 137.1, 122.5, 121.2, 52.3, 48.7, 46.0, 34.2, 19.2; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₈N₂O₄Na: 301.1159; found: 301.1158.

N-(2-Methoxyacetyl)-*N*-(pyridin-2-ylmethyl)isobutyramide (**5s**): colorless oil; yield: 45.0 mg, (90%). ¹H NMR (400 MHz, CDCl₃): δ 8.50 (d, *J*=4.7 Hz, 1H), 7.64 (td, *J*=7.7, 1.7 Hz, 1H), 7.23–7.15 (m, 2H), 5.08 (s, 2H), 4.56 (s, 2H), 3.45 (s, 3H), 3.13 (hept, *J*=6.7 Hz, 1H), 1.12 (d, *J*=6.7 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃): δ 181.0, 174.1, 156.2, 149.3, 136.9, 122.5, 121.5, 74.7, 59.2, 48.2, 34.2, 19.3; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₃H₁₈N₂O₃Na: 273.1210; found: 273.1218.

N-Isobutyryl-*N*-(pyridin-2-ylmethyl)cyclopropanecarboxamide (**5t**): colorless oil; yield: 41.8 mg, (85%). ¹H NMR (400 MHz, CDCl₃): δ 8.53 (d, *J*=3.8 Hz, 1H), 7.66 (td, *J*=7.7, 1.7 Hz, 1H), 7.18 (dd, *J*=7.6, 4.0 Hz, 2H), 5.16 (s, 2H), 3.41 (p, *J*=6.7 Hz, 1H), 2.21–2.15 (m, 1H), 1.17 (d, *J*=6.7 Hz, 6H), 1.10–1.06 (m, 2H), 0.92–0.87 (m, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 181.5, 177.8, 157.1, 149.2, 137.0, 122.4, 121.1, 49.2, 35.1, 19.6, 15.8, 10.5; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₈N₂O₂Na: 269.1260; found: 269.1259.

4-Chloro-*N*-isobutyryl-*N*-(pyridin-2-ylmethyl)butanamide (**5u**): colorless oil; yield: 54.2 mg, (96%). ¹H NMR (400 MHz, CDCl₃): δ 8.52 (d, *J*=33.8 Hz, 1H), 7.65 (t, *J*=7.6 Hz, 1H), 7.17 (d, *J*=7.3 Hz, 2H), 5.06 (s, 2H), 3.60 (t, *J*=6.2 Hz, 2H), 3.27 (p, *J*=6.6 Hz, 1H), 2.98 (t, *J*=6.8 Hz, 2H), 2.13 (p, *J*=6.56 Hz, 2H), 1.15 (d, *J*=6.6 Hz, 6H); ¹³C NMR (100 MHz, CDCl₃): δ 181.3, 175.7, 156.6, 149.3, 136.9, 122.5, 121.2, 48.8, 44.2, 35.1, 34.9, 27.7, 19.4; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₁₄H₁₉ClN₂O₂Na: 307.0998; found: 307.0997.

Benzyl(2-(Benzoyl(pyridin-2-ylmethyl)carbamoyl)-6-methylphenyl)carbamate (**5v**): colorless oil; yield: 92.0 mg (96%). ¹H NMR (400 MHz, CDCl₃): δ 8.28 (d, *J*=4.9 Hz, 1H), 7.72 (dd, *J*=8.2, 1.3 Hz, 2H), 7.43 (td, *J*=7.7, 1.6 Hz, 1H), 7.34 (d, *J*=7.4 Hz, 1H), 7.29–7.21 (m, 5H), 7.16–7.07 (m, 4H), 7.04–6.96 (m, 2H), 6.86 (d, *J*=6.6 Hz, 2H), 5.06 (s, 2H), 4.91 (s, 2H), 2.24 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 171.2, 166.2, 155.8, 154.2, 148.7, 137.0, 135.7, 134.8, 134.5, 133.3, 133.2, 131.5, 128.5, 128.4, 128.2, 128.2, 127.7, 126.0, 122.1, 121.6, 69.2, 49.8, 19.0; HRMS (ESI⁺): *m/z* [M + Na]⁺ calcd for C₂₉H₂₅N₃O₄Na: 502.1737; found: 502.1746.

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Supplemental material

Supplemental material for this paper is available online.

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