Amides are important building blocks in pharmaceutical compounds, natural products, peptides, and proteins.\(^1\) Owing to the potential biological applications of amides, the methods for the synthesis of these compounds have received much attention and rely on a number of effective transformations from various starting materials.\(^2\) Among them, \(\alpha\)-oxygenated amides are valuable compounds, which represent a broad range of biologically active and natural products (Figure 1).\(^2a,b\) Several interesting methods have been used for the synthesis and application of \(\alpha\)-oxygenated amides.\(^2c-h\)

In this context, isocyanide-based multicomponent reactions (IMCRs) are tremendously powerful synthetic tools for the construction of structurally diverse complex amides.\(^1\) Although the history of IMCRs goes back to Passerini’s report in 1921,\(^1\) in the last few decades this technique has emerged as a highly interesting research topic in organic synthesis. While the Passerini three-component reaction (P-3CR) is highly effective and economical, it not only enriches the range of syntheses of \(\alpha\)-acyloxy amides but, more importantly, its post-condensation transformation could also play an important role in diverse syntheses of amide derivatives.\(^5\)

Access to \(\alpha\)-hydroxy amides has been opened by a modification on the Passerini reaction by using \(\text{TiCl}_4.\(^6\)\) The use of mineral acids and other Lewis acids was reviewed by Banfi et al.\(^5\) Moreover, the application of organic acids such as difenylborinic acid\(^7\) and boric acid\(^8\) has been reported. Marcos detailed a zinc-catalyzed solvolysis of a Passerini three-component reaction of glyoxyl amides or esters.\(^9\) A Passerini reaction–amine-deprotection–acyl-migration (PADAM) strategy in complex peptide-like structures containing an \(\alpha\)-hydroxy-\(\beta\)-amino acid unit was also

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**Figure 1**

![Diagram of amide synthesis](image-url)
The PADAM strategy was utilized in the synthesis of polyfunctionalized 2(1H)-pyrazinones.\textsuperscript{11} After formation of β-acylamino-α-hydroxy amides, the secondary alcohol oxidized to the corresponding ketone, followed by Boc deprotection; then spontaneous aromatization took place to form 2(1H)-pyrazinones. El Kaim et al. reported the synthesis of α-keto amides from Passerini adducts of cinnamaldehyde derivatives under basic microwave conditions.\textsuperscript{12}

As part of our interest in IMCRs,\textsuperscript{13} herein, we report the use of Passerini adducts with or without alkynyl groups, which in different ways selectively produced α-hydroxy, α-oxo, and α-oxo amides.

We initiated the investigation with the synthesis of the Passerini adduct 3a by using 4-chlorobenzaldehyde (1a), cyclohexyl isocyanide (2a), and acetic acid in MeOH, under reflux (Table 1). After 24 hours of reaction, K$_2$CO$_3$ (2 equiv) was added and the mixture was stirred for 8 hours at room temperature to generate 3a (entry 1). After a short screening of conditions (entries 2–12), including solvents, such as MeOH, EtOH, THF, CH$_2$Cl$_2$, and H$_2$O, and bases, such as K$_2$CO$_3$, Cs$_2$CO$_3$, KOH, NaHCO$_3$, pyridine, Et$_3$N, and DABCO, we found that the use of K$_2$CO$_3$ in MeOH provides the best conditions to provide 2-(4-chlorophenyl)-N-cyclohexyl-2-hydroxyacetamide (3a) in 83% yield (entry 1). Notably, the solvents were not dried before use. Although the reaction did not work well in water as solvent (entry 12), the presence of water in the solvents may have a considerable influence on the hydrolysis.

Having established the optimized conditions, we further explored the scope and generality of the reaction by using various aldehydes and isocyanides. The results are summarized in Figure 2. 4-Methyl and 2-chlorobenzaldehydes resulted in the corresponding α-hydroxy amides 3b and 3c. The Passerini adduct of 2-chloroquinoline-3-carbaldehyde bearing a relatively active chloro group selectively yielded α-hydroxy amide 3d in 85% yield in basic media without any side product. Notably, during the past two decades, 2-chloroquinoline-3-carbaldehydes have been widely used as versatile starting materials in the construction of quinoline derivatives.\textsuperscript{14} The yields obtained with 8-methyl-, 6-methyl-, and 6-methoxy-2-chloroquinoline-3-carbaldehydes are also comparable with those of other aldehydes. Additionally, primary and tertiary isocyanides also worked well to form the corresponding amides 3h–k (Figure 2).

Interestingly, amide 5a, the Passerini adduct of o-alkynyl aldehyde 4a, cyclohexyl isocyanide (2a), and acetic acid, in K$_2$CO$_3$ in MeOH provided 6a in 87% yield (Scheme 1). To extend the use of this reaction, quinoline-3-carbaldehydes bearing substituents on the quinoline ring and the arylxoy part were subjected to this cascade reaction with different types of isocyanides, involving methanolation and intramolecular hydroalkoxylation of the alkyne functionality (Scheme 1, Figure 3). Product 6b formed from the corresponding 6-methylquinoline via solvolysis and 5-exo-dig cyclization in 80% yield. By changing the isocyanide to n-butyl, isopropyl, and cyclopentyl isocyanides, the corresponding 1,3-dihydrofuro[3,4-b]quinoline-1-carboxamides 6c–i were isolated in good yields. Even utilizing a hindered tert-butyl isocyanide yielded the corresponding products 6j–n (Figure 3). From a mechanistic point of view, after decacylation, most probably the base assisted the generation of an O-allene, followed by cyclization with the hydroxy group in a 5-exo-dig fashion. Finally, 1,3-H migration furnished 6.

Furthermore, the structure of the product N-cyclohexyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6a) was confirmed by single-crystal X-ray analysis (Figure 4).\textsuperscript{15}
Less active 1,2-diarylalkyne 8a in basic methanol only solvolyzed to α-hydroxy amide 9a (Table 2). Surprisingly, 9a in the presence of I₂ and K₂CO₃ in MeCN afforded an unprecedented product 1-cyclohexyl-6-methyl-1H-pyrrolo[2,3-b]quinoline-2,3-dione (10a) (entry 1). Changing the solvent to dioxane, THF, or toluene did not improve the yield of product (entries 2–4). Increasing the amount of I₂ to 4 equivalents and using DMF improved the yield of 10a to 85% after 24 hours at 100 °C (entry 7). Removing the base discontinued the reaction (entry 8) and changing the base to Cs₂CO₃, NaOt-Bu, DABCO, or Et₃N did not provide a better yield. Notably, AgNO₃ only produced a small amount of desired product (entry 14).

Using tolylacetylene instead of phenylacetylene in this process afforded the same results. Using the optimized reaction conditions from Table 2, we examined the scope and limitations of the cyclization reaction. As shown in Figure 5, the reaction succeeded in the presence of an electron-withdrawing group such as chloro and electron-donating groups, including methyl and methoxy at various positions on the quinoline ring (10a–e). The reaction was also successful with benzoquinoline (10f). The reaction with cyclopentyl and n-butyl isocyanides proceeded well (10g–k), but hindered tert-butyl isocyanide did not work at all under our optimized conditions.

A possible mechanistic pathway is outlined in Scheme 2. First, it would involve the nucleophilic addition of a nitrogen of quinoline 9 to iodine to form intermediate I, followed by base-mediated intramolecular cyclization to give intermediate II. Aromatization of the quinoline ring via nitrogen-assisted elimination of phenylacetylene and I and,
then, iodination of the hydroxy group generate γ-lactam III. Finally, base-mediated HI elimination leads to 1-substituted 1H-pyrrolo[2,3-b]quinoline-2,3-dione 10 (Scheme 2). Notably, O-assisted dealkynylation during a retro-Favorskii reaction has been reported.16 To the best of our knowledge, this is the first N-assisted dealkynylation reaction.

In conclusion, we have developed effective methods for the synthesis of α-hydroxy, α-oxo, and α-oxo amides using Passerini adducts. The common α-acetoxy amides hydrolyze to the corresponding α-hydroxy amides in basic methanol. Employing 2-alkynyl-3-formylquinolines in the construction of the Passerini adduct, followed by treatment with basic methanol, results in cyclization to form dihydrofuro[3,4-b]quinolines via deacetylation and then intramolecular hydroalkoxylation. N-Alkyl-2-hydroxy-2-[2-(aryl-ethynyl)quinolin-3-yl]acetamides in the presence of molecular iodine afford pyrrolo[2,3-b]quinoline-2,3-diones via dealkynylative cyclization and oxidation of the secondary alcohol. This suggests that post-Passerini transformations are highly useful techniques for the synthesis of structurally complex molecules possessing useful and interesting properties.

All purchased solvents and chemicals were of analytical grade and used without further purification. 2-Chloroquinoline-3-carbaldehydes17 and 2-alkynylquinoline-3-carbaldehydes18 were prepared by reported procedures. Melting points were measured with an Electro-thermal 9100 apparatus. IR spectra were recorded with a Shimadzu-IR 460 spectrophotometer. A Leco CHNS, model 932 was used for elemental analysis. The NMR spectra were acquired on a Bruker Avance spectrometer, running at 300 MHz for 1H NMR and 75 MHz for 13C NMR. Mass spectra were recorded on a Bruker Maxis Impact mass spectrometer using electrospray ionization (ESI+).

Table 2  Optimization of the Reaction Conditions for the Synthesis of 10a from 9a

| Entry | Base     | Reagent  | Solvent | Time (h) | Temp (°C) | Yield (%) |
|-------|----------|----------|---------|----------|-----------|-----------|
| 1     | K2CO3    | I2 (2)   | MeCN    | 24       | 80        | 58        |
| 2     | K2CO3    | I2 (2)   | dioxane | 24       | 80        | 41        |
| 3     | K2CO3    | I2 (2)   | THF     | 24       | 66        | 52        |
| 4     | K2CO3    | I2 (2)   | toluene | 24       | 80        | 32        |
| 5     | K2CO3    | I2 (2)   | DMF     | 24       | 100       | 65        |
| 6     | K2CO3    | I2 (3)   | DMF     | 24       | 100       | 73        |
| 7     | K2CO3    | I2 (4)   | DMF     | 24       | 100       | 85        |
| 8     | NaO-t-Bu | I2 (4)   | DMF     | 48       | 100       | –         |
| 9     | K2CO3    | I2 (4)   | DMSO    | 48       | 100       | 53        |
| 10    | Cs2CO3   | I2 (4)   | DMF     | 48       | 100       | 78        |
| 11    | NaO-t-Bu | I2 (4)   | DMF     | 48       | 100       | 23        |
| 12    | DABCO    | I2 (4)   | DMF     | 48       | 100       | 15        |
| 13    | Et3N     | I2 (4)   | DMF     | 48       | 100       | –         |
| 14    | K2CO3    | AgNO3 (1)| DMF     | 48       | 100       | 10        |
| 15    | K2CO3    | I2 (4)   | DMF     | 24       | 120       | 30        |

Figure 5

Amides 3, 6, and 9; General Procedure

The aldehyde (1 mmol), acetic acid (1 mmol), and the isocyanide (1 mmol) were dissolved in MeOH (5 mL). The mixture was refluxed for 24 h, while progression of the reaction was monitored by TLC. After com-
pletion of the reaction, $K_2CO_3$ (350 mg, 2.5 mmol) was added and the mixture was stirred for the appropriate time at rt. The solvent was evaporated and the residue was purified by chromatography (silica gel, n-hexane/EtOAc).

2-(4-Chlorophenyl)-N-cyclohexyl-2-hydroxyacetamide (3a)
Yield: 235.57 mg (88%); white solid; mp 121–123 °C.
IR (KBr): 3278, 2931, 2854, 1648, 1622, 1560, 1393, 1229, 1093 cm$^{-1}$.
$^1$H NMR (300 MHz, DMSO-$d_6$): $\delta$ = 8.40 (s, 1 H), 7.88–7.96 (m, 2 H), 7.67 (d, $J = 7.2$ Hz, 1 H), 7.55 (t, $J = 7.2$ Hz, 1 H), 7.52–7.68 (m, 2 H), 6.54 (d, $J = 5.7$ Hz, 1 H), 5.38 (d, $J = 5.4$ Hz, 1 H), 3.62 (m, 1 H), 2.68 (s, CH$_3$), 1.16–1.80 (m, 10 H).
$^{13}$C NMR (75 MHz, DMSO-$d_6$): $\delta$ = 169.9, 149.0, 145.9, 138.7, 135.8, 133.8, 131.1, 127.6, 127.4, 126.4, 71.4, 48.1, 32.7, 25.6, 25.1, 17.8.
HRMS (ESI): $m/z$ [M + H]$^+$ calcd for C$_{18}$H$_{21}$ClNO$_2$: 333.1372; found: 333.1370.

2-(2-Chloro-8-methylquinolin-3-yl)-N-cyclohexyl-2-hydroxyacetamide (3f)
Yield: 272.89 mg (82%); white solid; mp 156–158 °C.
IR (KBr): 3278, 2933, 2854, 1648, 1600, 1555, 1346, 1246, 1096 cm$^{-1}$.
$^1$H NMR (300 MHz, DMSO-$d_6$): $\delta$ = 8.31 (s, 1 H), 7.94 (d, $J = 8.4$ Hz, 1 H), 7.85–7.88 (m, 2 H), 7.65 (dd, $J = 1.8$, 1.8 Hz, 1 H), 6.52 (d, $J = 5.4$ Hz, 1 H), 5.34 (d, $J = 5.4$ Hz, 1 H), 3.62 (m, 1 H), 2.52 (s, CH$_3$), 1.16–1.80 (m, 10 H).
$^{13}$C NMR (75 MHz, DMSO-$d_6$): $\delta$ = 169.9, 149.0, 145.4, 137.7, 137.6, 133.9, 133.4, 127.7, 127.3, 127.1, 71.4, 48.1, 32.7, 25.6, 21.6.
HRMS (ESI): $m/z$ [M + H]$^+$ calcd for C$_{18}$H$_{21}$ClNO$_2$: 333.1372; found: 333.1363.

2-(2-Chloro-6-methoxyquinolin-3-yl)-N-cyclohexyl-2-hydroxyacetamide (3g)
Yield: 272.06 mg (78%); white solid; mp 184–186 °C.
IR (KBr): 3280, 2934, 2854, 1646, 1555, 1496, 1350, 1247, 1095 cm$^{-1}$.
$^1$H NMR (300 MHz, DMSO-$d_6$): $\delta$ = 8.31 (s, 1 H), 7.86–7.95 (m, 2 H), 7.44–7.51 (m, 2 H), 6.51 (d, $J = 5.7$ Hz, 1 H), 5.34 (d, $J = 5.4$ Hz, 1 H), 3.93 (s, CH$_3$), 3.65 (m, 1 H), 1.23–1.79 (m, 10 H).
$^{13}$C NMR (75 MHz, DMSO-$d_6$): $\delta$ = 169.9, 158.3, 147.3, 142.7, 137.2, 134.1, 129.4, 128.6, 123.5, 106.5, 71.4, 56.2, 48.1, 32.7, 25.6, 25.2.
Anal. Calcd for C$_{18}$H$_{21}$ClNO$_2$: (348.83): C, 61.98; H, 6.07; N, 8.08. Found: C, 61.87; H, 6.12; N, 8.91.

N-Butil-2-(4-chlorophenyl)-2-hydroxyacetamide (3h)
Yield: 210.27 mg (87%); white solid; mp 188–190 °C.
IR (KBr): 3299, 2931, 2853, 1641, 1551, 1488, 1403, 1247, 1091 cm$^{-1}$.
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ = 6.90 (d, $J = 7.8$ Hz, 1 H), 7.20–7.32 (m, 4 H), 6.47 (s, 1 H), 5.61 (d, $J = 6.6$ Hz, 1 H), 3.71–3.83 (m, 2 H), 1.16–1.35 (m, 4 H), 0.85 (t, $J = 6.7$ Hz, 3 H).
$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 169.2, 136.7, 134.5, 133.1, 131.7, 129.0, 128.4, 127.9, 43.7, 31.2, 19.9, 13.6.
Anal. Calcd for C$_{18}$H$_{15}$NO$_2$: (241.71): C, 59.63; H, 6.67; N, 5.79. Found: C, 59.55; H, 6.61; N, 5.73.

N-tert-Butyl-2-(4-chlorophenyl)-2-hydroxyacetamide (3i)
Yield: 193.36 mg (80%); white solid; mp 131–133 °C.
IR (KBr): 3238, 2970, 2902, 1647, 1596, 1538, 1364, 1230, 1087 cm$^{-1}$.
$^1$H NMR (300 MHz, CDCl$_3$): $\delta$ = 7.30–7.37 (m, 4 H), 6.15 (s, 1 H), 4.85 (s, 1 H), 4.12 (s, 1 H), 1.34 (s, 9 H).
$^{13}$C NMR (75 MHz, CDCl$_3$): $\delta$ = 170.9, 138.4, 134.3, 128.9, 128.1, 73.5, 51.5, 28.6.
Anal. Calcd for C$_{18}$H$_{21}$NO$_2$: (241.71): C, 59.63; H, 6.67; N, 5.79. Found: C, 59.52; H, 6.60; N, 5.64.
N-tert-Butyl-2-(2-chlorophenyl)-2-hydroxyacetamide (3j)

Yield: 310 mg (83%); beige solid; mp 138–140 °C.

IR (KBr): 2924, 2870, 1763, 1543, 1477, 1364, 1226, 1074 cm⁻¹.

1H NMR (300 MHz, CDCl₃): 6 = 8.50 (s, 1 H), 8.14 (d, J = 8.4 Hz, 1 H), 7.90 (d, J = 9.3 Hz, 1 H), 7.74–7.80 (m, 2 H), 7.57–7.62 (m, 2 H), 7.30–7.37 (m, 2 H), 7.00–7.07 (m, 3 H), 6.58 (t, J = 5.4 Hz, 1 H), 6.16 (t, J = 6.9 Hz, 1 H), 5.97 (s, 1 H), 4.88–5.10 (m, 2 H), 3.18–3.36 (m, 2 H), 1.42–1.50 (m, 2 H), 1.27–1.35 (m, 2 H), 0.91 (t, J = 7.2 Hz, 3 H).

13C NMR (75 MHz, CDCl₃): 132.8, 130.2, 129.6, 129.3, 128.2, 127.3, 120.9, 114.9, 95.4, 80.8, 62.5, 39.1, 31.5, 20.0, 13.7.

Anal. Calcd for C₂₃H₂₄N₂O₃: 402.49 g/mol; found: C, 74.47; H, 6.57; N, 6.84.

N-Butyl-7-methyl-3-(2-phenoxyethylenide)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6d)

Yield: 349 mg (87%); white solid; mp 80–82 °C.

IR (KBr): 3428, 3025, 2954, 2856, 1675 cm⁻¹.

1H NMR (300 MHz, CDCl₃): 6 = 8.49 (s, 1 H), 8.13 (d, J = 8.4 Hz, 1 H), 7.90 (d, J = 8.1 Hz, 1 H), 7.77 (t, J = 7.8 Hz, 1 H), 7.59 (t, J = 7.5 Hz, 1 H), 7.14 (d, J = 8.1 Hz, 2 H), 6.95 (d, J = 8.1 Hz, 2 H), 6.58 (s, 1 H), 6.15 (t, J = 6.9 Hz, 1 H), 5.96 (s, 1 H), 4.85–5.08 (m, 2 H), 3.19–3.32 (m, 1 H), 2.33 (s, 3 H), 1.45 (d, J = 7.5 Hz, 2 H), 1.27–1.32 (m, 2 H), 0.90 (t, J = 7.2 Hz, 3 H).

13C NMR (75 MHz, CDCl₃): 132.8, 130.2, 129.6, 129.3, 128.2, 127.3, 120.9, 114.9, 95.4, 80.8, 62.5, 39.1, 31.5, 20.0, 13.7.

Anal. Calcd for C₂₃H₂₄N₂O₃: 402.49 g/mol; found: C, 74.47; H, 6.57; N, 6.84.

N-Butyl-7-methyl-3-(2-phenoxyethylenide)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6c)

Yield: 281 mg (70%); white solid; mp 172–174 °C.

IR (KBr): 3294, 2930, 2866, 1672 cm⁻¹.

1H NMR (300 MHz, CDCl₃): 6 = 8.40 (s, 1 H), 8.02 (d, J = 8.7 Hz, 1 H), 7.65 (s, 1 H), 7.62 (dd, J = 1.8, 1.5 Hz, 1 H), 7.30–7.37 (m, 3 H), 6.98–7.07 (m, 3 H), 6.57 (t, J = 5.7 Hz, 1 H), 6.12 (t, J = 7.2 Hz, 1 H), 5.95 (s, 1 H), 4.88–5.10 (m, 2 H), 3.21–3.36 (m, 2 H), 2.58 (s, 3 H), 1.42–1.50 (m, 2 H), 1.28–1.35 (m, 2 H), 0.91 (t, J = 7.2 Hz, 3 H).

13C NMR (75 MHz, CDCl₃): 132.8, 130.2, 129.6, 129.3, 128.2, 127.3, 120.9, 114.9, 95.4, 80.8, 62.5, 39.1, 31.5, 20.0, 13.7.

Anal. Calcd for C₂₃H₂₄N₂O₃: 402.49 g/mol; found: C, 74.67; H, 6.44; N, 6.82.
N-Isopropyl-7-methyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6j)
Yield: 267 mg (69%); beige solid; mp 147–149°C.
IR (KBr): 3428, 2961, 2856, 1667 cm–1

N-Cyclopentyl-7-methyl-3-[2-(p-tolloyloxy)ethylidene]-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 291 mg (68%); white solid; mp 132–134°C.
IR (KBr): 3226, 3085, 2883, 1653 cm–1

N-Cyclopentyl-7-methyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 281 mg (68%); white solid; mp 198–200°C.
IR (KBr): 3276, 2956, 2854, 1663 cm–1

N-tert-Butyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 271 mg (71%); white solid; mp 180–182°C.
IR (KBr): 3383, 3069, 2987, 2928, 1675 cm–1

N-tert-Butyl-7-methyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 317 mg (79%); beige solid; mp 182–184°C.
IR (KBr): 3388, 2988, 2917, 1676 cm–1

HRMS (ESI): m/z [M + H]+ calc for C26H28N2O3: 403.2016; found: 403.2030.

N-tert-Butyl-7-methyl-3-[2-(p-tolloyloxy)ethylidene]-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 324 mg (78%); beige solid; mp 95–97°C.
IR (KBr): 3418, 2927, 2858, 1683 cm–1

N-tert-Butyl-7-methyl-3-[2-(p-tolloyloxy)ethylidene]-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 326 mg (78%); beige solid; mp 128–130°C.
IR (KBr): 3393, 2923, 2853, 1655 cm–1

N-tert-Butyl-7-methyl-3-(2-phenoxyethylidene)-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 309 mg (77%); white solid; mp 132–134°C.
IR (KBr): 3393, 2923, 2853, 1676 cm–1

N-tert-Butyl-3-[2-(p-tolloyloxy)ethylidene]-1,3-dihydrofuro[3,4-b]quinoline-1-carboxamide (6i)
Yield: 309 mg (77%); white solid; mp 132–134°C.
IR (KBr): 3393, 2923, 2853, 1676 cm–1
1H NMR (300 MHz, CDCl₃): \( \delta = 8.65 \) (s, 1 H), 8.45 (d, \( J = 8.7 \) Hz, 1 H), 8.08 (d, \( J = 8.1 \) Hz, 1 H), 7.93–7.99 (m, 1 H), 7.76–7.81 (m, 1 H), 4.29–4.37 (m, 1 H), 2.27–2.39 (m, 2 H), 1.74–1.95 (m, 5 H), 1.35–1.47 (m, 3 H).

13C NMR (75 MHz, CDCl₃): \( \delta = 166.3, 166.2, 150.9, 132.6, 132.4, 131.4, 129.9, 129.4, 128.9, 122.9, 51.6, 29.7, 26.0, 25.0.

HRMS (ESI): \( m/z \) [M + H⁺] calcd for C₁₉H₁₈N₂O₂: 281.1285; found: 281.1268.

1-Cyclohexyl-6-methoxy-1H-pyrrolo[2,3-b]quinoline-2,3-dione (10d)

Yield: 279 mg (90%); white solid; mp 200–202 °C.

IR (KBr): 3381, 3197, 2208, 1656 cm⁻¹.

1H NMR (300 MHz, CDCl₃): \( \delta = 8.48 \) (s, 1 H), 8.30 (d, \( J = 9.3 \) Hz, 1 H), 7.56 (dd, \( J = 2.7, 2.7 \) Hz, 1 H), 7.29 (t, \( J = 3 \) Hz, 1 H), 4.25–4.34 (m, 1 H), 4.02 (s, 3 H), 2.24–2.37 (m, 2 H), 1.76–1.94 (m, 5 H), 1.27–1.46 (m, 3 H).

13C NMR (75 MHz, CDCl₃): \( \delta = 166.5, 166.4, 159.9, 147.9, 132.7, 130.6, 130.5, 125.3, 125.3, 107.3, 55.9, 51.5, 29.8, 25.9, 25.0.

Anal. Calcd for C₁₉H₁₆N₂O₂: 281.0832; C: 76.00; H, 5.85; N, 9.06. Found: C: 76.01; H, 5.77; N, 9.11.

6-Chloro-1-cyclohexyl-1H-pyrrolo[2,3-b]quinoline-2,3-dione (10e)

Yield: 235 mg (75%); white solid; mp 210–212 °C.

IR (KBr): 3075, 2927, 2853, 1686 cm⁻¹.

1H NMR (300 MHz, CDCl₃): \( \delta = 8.57 \) (s, 1 H), 8.31 (d, \( J = 9.3 \) Hz, 1 H), 8.07 (d, \( J = 2.1 \) Hz, 1 H), 7.29 (dd, \( J = 2.4, 2.4 \) Hz, 1 H), 4.29–4.37 (m, 1 H), 2.26–2.38 (m, 2 H), 1.75–1.96 (m, 5 H), 1.37–1.47 (m, 3 H).

13C NMR (75 MHz, CDCl₃): \( \delta = 165.9, 165.7, 149.2, 135.7, 133.5, 132.8, 131.3, 129.6, 128.5, 123.8, 51.8, 29.7, 25.9, 25.0.

HRMS (ESI): \( m/z \) [M + H⁺] calcd for C₁₉H₁₆ClN₂O₂: 315.0895; found: 315.0892.

10-Cyclohexyl-8H-benzo[b]pyrrolo[2,3-b]quinoline-8,9(10H)-dione (10f)

Yield: 240 mg (73%); white solid; mp 215–217 °C.

IR (KBr): 2928, 2852, 1745 cm⁻¹.

1H NMR (300 MHz, CDCl₃): \( \delta = 9.55–9.58 \) (m, 1 H), 8.64 (s, 1 H), 8.00–8.06 (m, 2 H), 7.91 (s, 1 H), 7.84–7.88 (m, 2 H), 4.30–4.38 (m, 1 H), 2.29–2.41 (m, 2 H), 1.80–1.98 (m, 5 H), 1.37–1.07 (m, 3 H).

13C NMR (75 MHz, CDCl₃): \( \delta = 166.6, 166.5, 150.4, 149.3, 136.9, 134.6, 131.6, 131.2, 130.8, 129.1, 128.4, 127.9, 126.0, 125.7, 124.1, 124.0, 51.5, 29.9, 26.0, 25.1.

Anal. Calcd for C₁₉H₁₆N₂O₂ (330.38): C: 76.34; H, 5.49; N, 8.48. Found: C: 76.42; H, 5.42; N, 8.43.

1-Cyclopentyl-1H-pyrrolo[2,3-b]quinoline-2,3-dione (10g)

Yield: 194 mg (73%); white solid; mp 202–204 °C.

IR (KBr): 3064, 2924, 2853, 1731 cm⁻¹.

1H NMR (300 MHz, CDCl₃): \( \delta = 8.65 \) (s, 1 H), 8.45 (d, \( J = 8.4 \) Hz, 1 H), 8.05 (d, \( J = 8.1 \) Hz, 1 H), 7.93–7.99 (m, 1 H), 7.76–7.81 (m, 1 H), 4.77–4.89 (m, 1 H), 2.26–2.38 (m, 4 H), 0.80–2.00 (m, 8 H).

13C NMR (75 MHz, CDCl₃): \( \delta = 166.3, 166.2, 150.5, 132.6, 132.3, 131.5, 130.9, 129.9, 128.9, 123.0, 51.7, 31.9, 25.1.

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IR (KBr): 2953, 2872, 1702 cm\(^{-1}\).

IR (KBr): 3033, 2954, 2889, 1702 cm\(^{-1}\).

Yield: 208 mg (82%); white solid; mp 148–150 °C.

IR (KBr): 1663, 1661, 1508, 1506, 1327, 1325, 1315, 1299, 1295, 1288, 1230, 384, 305, 20, 13.6.

Yield: 209 mg (78%); beige solid; mp 98–100 °C.

IR (KBr): 1665, 1664, 1601, 1482, 1468, 1327, 1306, 1305, 1254, 1236, 1073, 559, 383, 306, 20, 13.6.

Yield: 209 mg (78%); beige solid; mp 98–100 °C.

IR (KBr): 1665, 1663, 1499, 1496, 1400, 1329, 1326, 1292, 1279, 1228, 38.3, 305, 20, 18, 13, 13.6.

Yield: 209 mg (78%); beige solid; mp 98–100 °C.

IR (KBr): 1665, 1663, 1499, 1496, 1400, 1329, 1326, 1292, 1279, 1228, 38.3, 305, 20, 18, 13, 13.6.
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