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Oxone promoted dehydrogenative Povarov cyclization of *N*-aryl glycine derivatives: an approach towards quinoline fused lactones and lactams†

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Oxone promoted intramolecular dehydrogenative imino Diels–Alder reaction (Povarov cyclization) of alkyne tethered *N*-aryl glycine esters and amides has been explored, thus affording biologically significant quinoline fused lactones and lactams. The reaction is simple, scalable, and high yielding (up to 88%). The method was further extended to prepare biologically important luotonin-A analogues and the quinoline core of uncialamycin.

Introduction

Substituted quinolines are a ubiquitous heterocyclic motif present in a plethora of natural products and medicinal agents,[1] among which quinoline fused lactones and lactams are of great importance due to their presence in complex natural products and pharmaceutically relevant molecules. In addition, they serve as a valuable precursor in the synthesis of biologically active natural products and their analogues such as luotonin-A (cytotoxic alkaloid),[2] uncialamycin (antibiotic),[3] aza podophyllotoxin[4] analogues (antitumor agents) and quinoline carboxamides (radio ligands for molecular imaging).[5] (Fig. 1). Consequently, there is a great deal of attention on the synthesis of these privileged structures. In general, the synthesis of these frameworks is associated with multistep processes as well as usage of toxic reagents.[2e,g,6] Therefore, the development of a general, sustainable and efficient synthetic approach to achieve these functionalized quinoline-fused lactones/lactams is of high value, and it would provide an appropriate platform for the detail biological investigation of these valuable molecules.

In recent years, imino Diels–Alder reaction (Povarov reaction) has received a renewed interest to construct quinoline scaffolds, in which electron-rich alkenes (or alkynes) were added to the electron-deficient aromatic imines followed by oxidation.[7] However, an intramolecular variant of this transformation is less explored compared to intermolecular.[8] In 2009, Weghe *et al.* elegantly utilized intramolecular imino Diels–Alder reaction promoted by $BF_3 \cdot OEt_2$/DDQ for the construction of quinoline fused lactones employing an alkene or alkyne as a dienophile.[9] Despite the merits, there are certain drawbacks associated with this method such as, non-ready availability of the requisite starting materials, requiring a multistep reaction sequences for their synthesis, hazardous and expensive reagents, limited substrate scope, and the method have not been explored for the synthesis of quinoline fused lactams. Later, Jia and Zhang group also explored the concept of cross dehydrogenative coupling (CDC) for the construction quinoline fused lactone/lactam in the presence of TBPA radical cation salt and visible-light photoredox

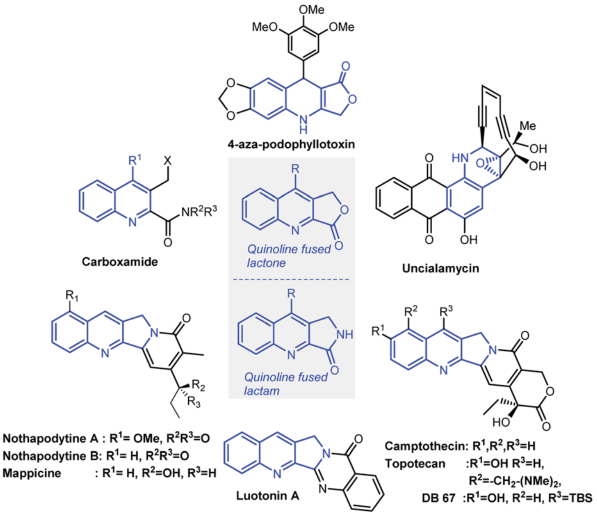

Fig. 1 Examples of pharmaceuticals and natural products containing quinoline-fused lactone/lactam moiety.

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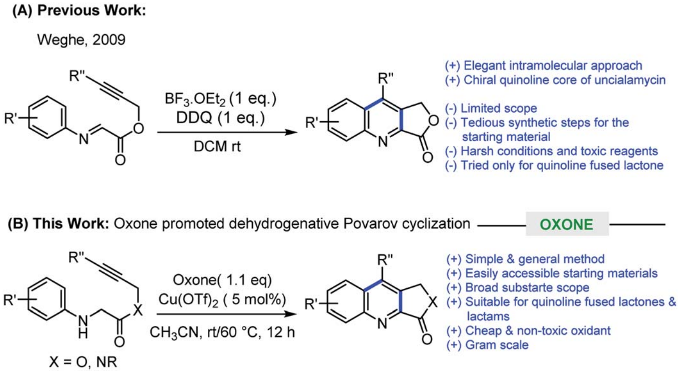


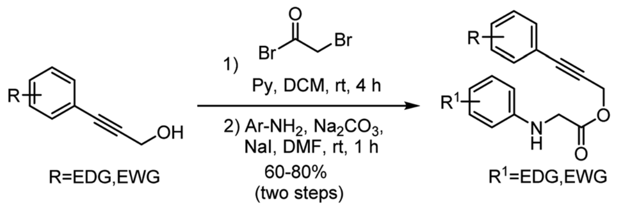
Scheme 1 Intramolecular Povarov cyclization for the synthesis of quinoline fused lactones and lactams.

conditions, respectively.[10] In the context of our ongoing research program dealing with drug discovery, we were encountered with a need for an efficient methodology for the synthesis of quinoline fused lactones and lactams for our internal screening program. While studying the suitability of Weghe's method for our library synthesis, we encountered a difficulty in the preparation of starting imine compounds as the procedures are lengthy along with issues pertinent to their stability. With regard to practicality, we envisioned that alkyne tethered *N*-aryl glycine derivatives would be an ideal substrate for our library synthesis as (i) these substrates are stable and can be easily prepared (ii) in suitable condition, these substrates can undergo oxidative dehydrogenation[11] followed by Povarov cyclization could lead to quinoline fused lactones/lactams.

In this manuscript, we wish to disclose the successful realization of this new strategy, which involves Oxone promoted oxidative dehydrogenation followed by intramolecular Povarov cyclization of alkyne tethered *N*-aryl glycine derivatives for the efficient synthesis of quinoline fused lactones/lactams (Scheme 1). Furthermore, to the best of our knowledge, Oxone promoted intramolecular Povarov cyclization of hitherto unknown alkyne tethered *N*-aryl glycine derivatives has not been reported.

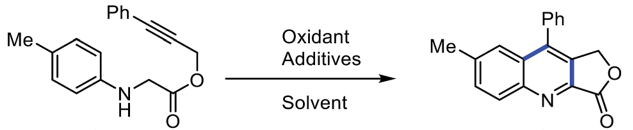
Results and discussion

Accordingly, the required starting material alkyne tethered *N*-aryl glycine derivatives were conveniently prepared in two steps from substituted propargyl alcohols (Scheme 2). Initially, we examined the dehydrogenative Povarov cyclization of *N*-aryl glycine ester **1a** as a model substrate by employing 5 mol% $BF_3 \cdot OEt_2$ as a Lewis acid in the presence of IBX as an oxidant at room temperature (Table 1, entry 1).[12] To our delight, as expected, the reaction proceeded smoothly to give the desired product **3a** in 58% yield. Inspired by this initial result, we screened other Lewis acids and found that $Cu(OTf)_2$ is the best among other Lewis acids tried (Table 1, entries 1–4). Next, we studied the effect of various oxidants and observed that peroxide-based oxidants also suitable for this transformation (Table 1, entries 5–8). Interestingly, the reaction proceeded well in the presence of Oxone and 5 mol% of $Cu(OTf)_2$ at room temperature afforded the required product **3a** in 88% yield (Table 1, entry 9). Notably, Oxone would be a favourable oxidant as it is cheap, non-toxic, and



Scheme 2 Synthesis of alkyne tethered *N*-aryl glycine derivatives.

Table 1 Optimization studies[a,b]



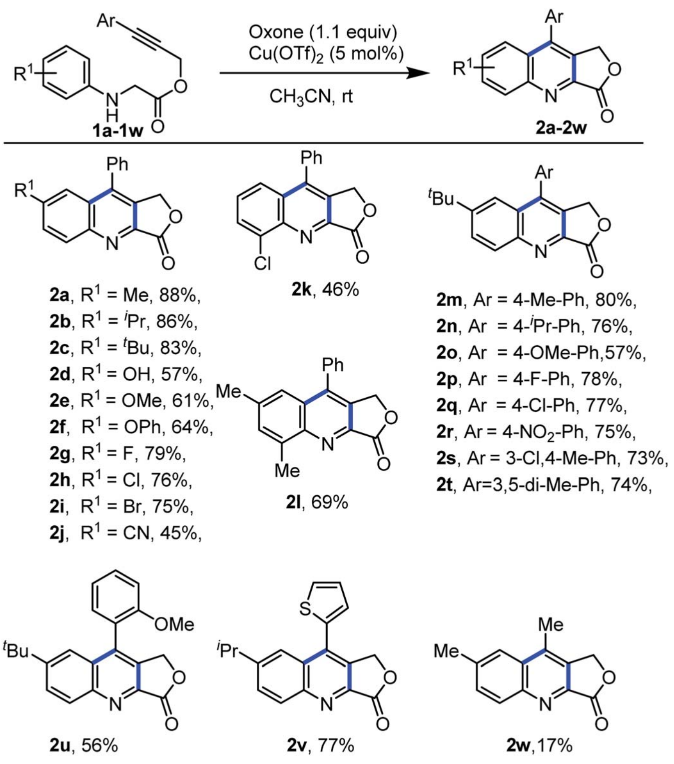
1a **2a**

Entry	Oxidant	Additives	Solvent	Yield (%) **3a**
1	IBX	$BF_3 \cdot OEt_2$	CH_3CN	58
2	IBX	$Sc(OTf)_3$	CH_3CN	53
3	IBX	$Cu(OTf)_2$	CH_3CN	68
4	IBX	$Cu(OAc)_2$	CH_3CN	51
5	$PhI(OAc)_2$	$Cu(OTf)_2$	CH_3CN	23
6	$PhI(OCOCF_3)_2$	$Cu(OTf)_2$	CH_3CN	21
7	$Na_2S_2O_8$	$Cu(OTf)_2$	CH_3CN	41
8	BPO	$Cu(OTf)_2$	CH_3CN	49
9	Oxone	$Cu(OTf)_2$	CH_3CN	88
10	Oxone	$Cu(OTf)_2$	THF	42
11	Oxone	$Cu(OTf)_2$	Toluene	Traces
12	Oxone	$Cu(OTf)_2$	$CHCl_3$	<5
13	Oxone	$Cu(OTf)_2$	CH_3CN	89[c]
14	Oxone	—	CH_3CN	60[d]
15	—	$Cu(OTf)_2$	CH_3CN	Traces

[a] Reaction conditions: (1) 0.18 mmol **1a**, 0.20 mmol oxidant, additive (5 mol%) solvent (3.0 mL), 12 h. [b] Isolated yields; rt. [c] 1.3 equiv. of Oxone was employed. [d] 24 h; IBX: 2-iodoxybenzoic acid.

easy to handle.[13] Screening of other solvents for this transformation reveals that CH_3CN is the best solvent of choice (Table 1, entry 9 *vs.* 10–12). Further, not significant improvement in the yield has been observed while using more equiv. of Oxone (Table 1, entry 13). Notably, when we use only Oxone, the desired product formed in a 60% yield albeit in 24 hours (entry 14), which indicates that the Oxone alone can trigger this transformation presumably due to the slightly acidic nature of Oxone ($2KHSO_5$-$\mathbf{KHSO_4}$-K_2SO_4). Finally, in the absence of Oxone there is only a trace amount of product formation has been observed, which reveals the crucial role of Oxone in this transformation (Table 1, entry 15).

After the optimal reaction condition was established for the construction of quinoline-fused lactones, the scope and generality of this protocol were investigated (Scheme 3). Different electron-donating and electron-withdrawing functional groups on the aniline ring as well as the aryl alkyne part were well tolerated. For example, substrates bearing electron-donating groups such as 4-methyl, 4-isopropyl, and 4-^{t}butyl on aniline ring were compatible with the reaction conditions and provided the desired products **2a**, **2b** and **2c** in 88%, 86% and 83% yields, respectively. However, strong electron-donating such as hydroxy, methoxy, and phenoxy substrates resulted the desired products in moderate yield (**2d–2f**, 57–64%). Additionally, substrates bearing halogen atoms such as F, Cl, and Br successfully reacted under the optimized condition to give the desired product (**2g–2i**) in good yield (75–79%). However, the electron-withdrawing group at the aniline ring also gave the desired product in moderate yield (**2j**, 45%). Furthermore, substitution on the *ortho* position of the aniline ring provided the desired product in moderate yield (**2k**, 46%). Moreover, the di-substituted substrate also underwent smoothly, to achieve the desired product **2l** in 69% yield. Next, we examined the scope of our protocol by altering the substitution on aryl alkyne part of *N*-aryl glycine derivatives. Electron donating and withdrawing substituents on the aryl alkyne part of *N*-aryl glycine derivatives also underwent the reaction smoothly and afforded the product in good yield (**2m–2r**). Further, the present protocol is suitable for *ortho* substitution, disubstitution as well as heteroaryl substitution on aryl alkyne part of the glycine derivatives (**2s–2v**). Alkyl substitution on the alkyne moiety under optimal condition provided the required product **2w**, in less yield.

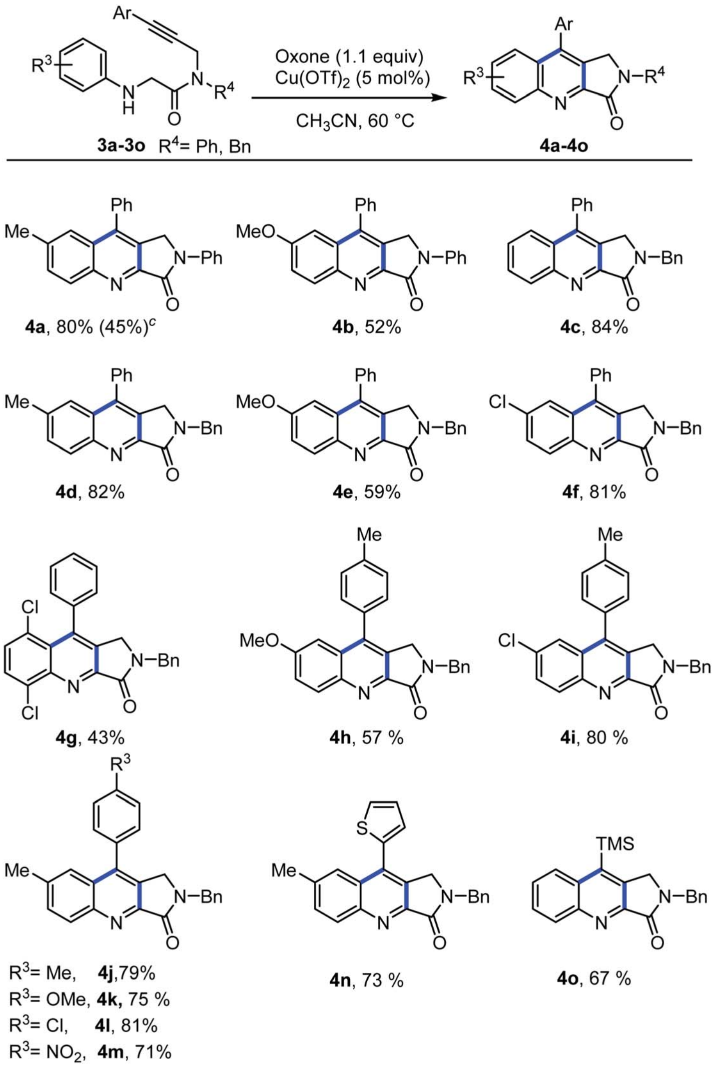


Scheme 3 Intramolecular Povarov cyclization of *N*-aryl glycine esters[a,b]. [a]Reaction conditions: 0.18 mmol **1a**, 0.20 mmol oxidant, 5 mol% of $Cu(OTf)_2$, CH_3CN (3.0 mL), rt, 12 h; [b]isolated yields.

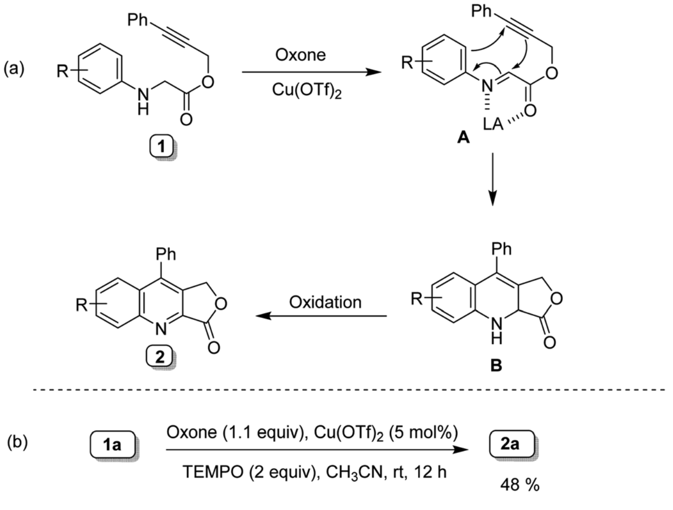
After successful synthesis of quinoline-fused lactones, we further explored the suitability of the present protocol for the synthesis of quinoline-fused lactams. Accordingly, we examined the intramolecular dehydrogenative Povarov cyclization of *N*-aryl glycine amide substrates under our optimized reaction condition and delighted to find that the present protocol is suitable for the construction of quinoline fused lactam as well. However, the reaction requires higher temperature (60 °C) for completion. Various electron donating as well as electron withdrawing substituents on the aniline ring as well as aryl alkyne part of N-protected glycine amide (Ph- and Bn-) such as methyl, methoxy, chloro, di chloro, nitro and thienyl underwent cyclization to furnish the corresponding quinoline-fused lactams in moderate to good yields (Scheme 4). It is noteworthy to mention here that, the substrate bearing a TMS group is also well tolerated (Scheme 4, **4o**) and the resulted product can conveniently be converted into the natural product luotonin A in two steps.[2] To demonstrate the synthetic practicality of the present protocol, we conducted a gram-scale experiment by employing **3c** (2.82 mmol, 1.0 g) under the optimal reaction conditions in which the desired product **4c** was obtained in 80% yield (0.79 g) showing that the present method could be easily adapted for the large-scale synthesis with high efficiency.

Although the mechanism of this transformation is not fully understood, however, based on the above experiments (Table 1. Entry 14 and 15) and previous literature reports,[14] a tentative reaction mechanism is proposed in Scheme 5a. The first step involves the *in situ* generation of highly reactive imine intermediate **A**, followed by intramolecular cycloaddition to form an intermediate **B**.[7q–t] Further, intermediate **B** undergoes oxidation to form the corresponding fused quinoline **2**. A radical trapping experiment was conducted by employing TEMPO as a radical scavenger and obtained **2a** in 48% yield (Scheme 5b), which indicates that the reaction proceeds *via* non-radical pathway.

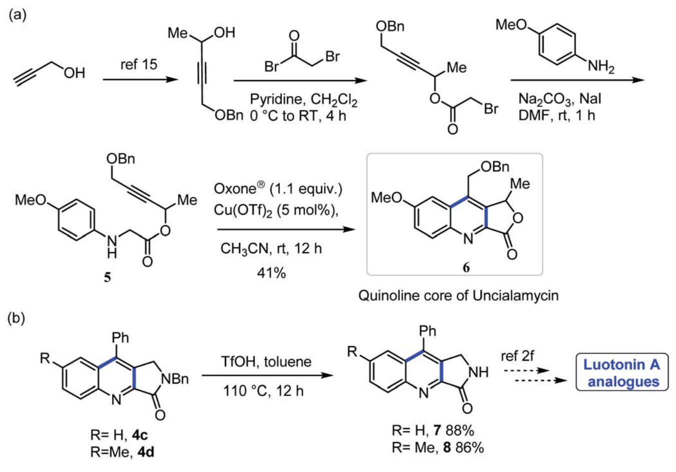
The quinoline fused lactone/lactam produced from the method described here may find utility in complex molecule synthesis. Some representative examples are shown in Scheme 6. We utilized this method for the preparation of quinoline core precursor of antitumor antibiotic uncialamycin **6**.[9] Also, compounds **4c**, **4d** can easily be converted into cytotoxic alkaloid luotonin-A analogues.[2f]



Scheme 4 Intramolecular Povarov cyclisation of *N*-aryl glycine amides.[a,b]. [a]Reaction conditions: 0.14 mmol **3a**, 0.15 mmol Oxidant, 5 mol% of $Cu(OTf)_2$, CH_3CN (3.0 mL), 60 °C, 12 h; [b]isolated yields; [c]reaction carried out at room temperature for 12 h.



Scheme 5 A plausible mechanism and control experiment.



Scheme 6 Utility of the reaction.

Conclusions

In conclusion, we have demonstrated Oxone promoted intramolecular dehydrogenative Povarov cyclization of various alkyne tethered *N*-aryl glycine derivatives to furnish biologically relevant quinoline-fused lactones and lactams. This operationally simple, scalable protocol utilizes non-toxic, inexpensive Oxone as an oxidant to furnish the required products in high yield. The method was further utilized for the preparation of cytotoxic alkaloid luotonin-A analogues and quinoline core of uncialamycin. Efforts are underway in our laboratory to extend the application of this method as well as the detail mechanistic investigation.

Experimental section

General information

Where stated, all reagents were purchased from commercial sources and used without further purification. All the substituted propargyl alcohols were prepared using a known literature procedure.[15,16] ^{1}H NMR, ^{13}C NMR, and ^{19}F NMR spectra were recorded on Bruker AV, 200/400/500, JEOL 400 MHz spectrometers in appropriate solvents using TMS as an internal standard or the solvent signals as secondary standards and the chemical shifts are shown in δ scales. Chemical shifts (δ) are quoted in parts per million (ppm). The residual solvent peak, ^{1}H NMR δ_H 7.26 and ^{13}C {^{1}H} NMR δ_C 77.0 for $CDCl_3$ were used as a reference. Coupling constants (*J*) are reported in Hertz (Hz) to the nearest 0.1 Hz. Multiplicities of ^{1}H NMR signals are designated as s (singlet), d (doublet), dd (doublet of doublet), t (triplet), quin (quintet), sept (septet) bs (broad singlet), m (multiplet), *etc.* Melting points were determined using digital Buchi Melting Point Apparatus B-540 and are uncorrected. Thin layer chromatography was carried out on Merck silica gel 60F254 pre-coated aluminum foil sheets and was visualized using UV light (254 nm) and stained with Ninhydrin. Flash column chromatography was carried out through silica gel (100–200 mesh) using ethyl acetate/hexane as eluent. Structures of the products were identified by ^{1}H NMR, ^{13}C {^{1}H} NMR, ^{19}F NMR, HRMS.

General procedure for the synthesis of substituted phenyl propargyl bromo acetate

To a solution of substituted propargyl alcohol (7.56 mmol) and pyridine (9.0 mmol) in anhydrous DCM (15 mL) was added 2-bromoacetyl bromide (8.31 mmol) in DCM (5 mL) at 0 °C under N_2 atmosphere over 30 min. After the addition was complete, the reaction mixture was stirred at room temperature for 4 h. After completion of the reaction (monitored by TLC), the crude reaction mixture was then poured into water (30 mL) and extracted with DCM (3 × 20 mL). The organic extracts were dried over Na_2SO_4 and concentrated *in vacuo*, affording the substituted phenyl propargyl bromoacetate which was used directly without further purification.

General procedure for the synthesis of substituted *N*-aryl glycine ester (1a–1w)

A 5 mL Screw Top V vial® was charged with substituted bromoacetate (0.39 mmol), Na_2CO_3 (0.47 mmol), NaI (0.08 mmol), and substituted aniline (0.38 mmol), DMF (2 mL). The solution was stirred at room temperature. After 1 h, the reaction mixture was poured into ice water (10 mL) and extracted with EtOAc (2 × 20 mL). The organic extracts were combined, washed with brine (15 mL), dried over Na_2SO_4, concentrated *in vacuo* and purified by flash column chromatography by eluting 5–15% of ethyl acetate/petroleum ether (silica gel, 100–200 mesh), to afford substituted *N*-aryl glycine esters (**1a–1w**).

General procedure for the synthesis of substituted *N*-aryl glycine amide (3a–3o)

A 5 mL glass vial® was charged with substituted bromo acetamide (0.3 mmol), Na_2CO_3 (0.36 mmol), NaI (0.061 mmol), substituted aniline (0.29 mmol), DMF (3 mL). The mixture was stirred for 12 h at 60 °C. After completion of the reaction (monitored by TLC), the reaction mixture was cooled to room temperature, then poured into ice water, extracted with ethyl acetate (20 mL × 2). The organic extracts were combined, washed with brine (15 mL), dried over Na_2SO_4, concentrated *in vacuo* and purified by flash column chromatography by eluting 10–20% of ethyl acetate/petroleum ether (silica gel, 100–200 mesh), to afford the substituted *N*-aryl glycine amides (**3a–3o**).

General procedure for the synthesis of quinoline fused lactones (2a–2w)

To a 5 mL Screw Top V vial® containing a stirring mixture of substituted *N*-aryl glycine ester (0.18 mmol), Oxone® (122 mg, 0.20 mmol) in CH_3CN (3 mL) was added $Cu(OTf)_2$ (3.25 mg, 0.009 mmol) and the vial cap was wrapped tightly with a Teflon. The solution was then stirred at room temperature. After 12 h (colorless to dark brown color was observed), the solvent was removed under reduced pressure. The crude reaction mixture was purified by flash column chromatography by eluting 20–30% of ethyl acetate/petroleum ether (silica gel, 100–200 mesh), to afford the pure substituted quinoline fused lactones (**2a–2w**).

General procedure for the synthesis of quinoline fused lactams (4a–4o)

To a 5 mL Screw Top V vial® containing a stirring mixture of substituted *N*-aryl glycine amide (0.14 mmol), oxone® (92 mg, 0.15 mmol) in CH_3CN (3 mL) was added $Cu(OTf)_2$ (2.64 mg, 0.0073 mmol) and the vial cap was wrapped tightly with a Teflon. The solution was stirred at 60 °C. After 12 h (colorless to dark brown color was observed), the solvent was removed under reduced pressure. The crude reaction mixture was purified by flash column chromatography by eluting 25–40% of ethyl acetate/petroleum ether (silica gel, 100–200 mesh), to afford the pure substituted quinoline fused lactams (**4a–4o**).

3-Phenylprop-2-yn-1-yl *p*-tolylglycinate (1a). Compound **1a** was isolated in 84% yield (93 mg, yellow solid); mp = 88–90 °C; $R_f = 0.55$ ($V_{PE}/V_{EA} = 90/10$); ^{1}H NMR (500 MHz, $CDCl_3$) $\delta = 7.48$

(d, J = 7.3 Hz, 2H), 7.38–7.33 (m, 3H), 7.03 (d, J = 7.8 Hz, 2H), 6.58 (d, J = 8.1 Hz, 2H), 5.02 (s, 2H), 4.18 (bs, 1H), 3.99 (s, 2H), 2.26 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.7, 144.6, 131.9, 129.8, 128.8, 128.3, 127.6, 121.9, 113.2, 86.9, 82.3, 53.4, 46.1, 20.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{18}H_{18}NO_2$ 280.1332; found 280.1325.

3-Phenylprop-2-yn-1-yl(4-*iso*-propylphenyl)glycinate (1b). Compound **1b** was isolated in 81% yield (98 mg, viscous liquid); R_f = 0.55 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.48 (d, J = 6.3 Hz, 2H), 7.34 (m, 3H), 7.09 (d, J = 8.0 Hz, 2H), 6.61 (d, J = 8.0 Hz, 2H), 5.03 (s, 2H), 4.07 (bs, 1H), 4.00 (s, 2H), 2.83 (sept, J = 6.8 Hz, 1H), 1.23 (d, J = 6.8 Hz, 6H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.7, 144.7, 138.9, 131.8, 128.8, 128.3, 127.1, 121.9, 113.2, 86.9, 82.3, 53.4, 46.1, 33.1, 24.1; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{20}H_{22}NO_2$ 308.1645; found 308.1646.

3-Phenylprop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1c). Compound **1c** was isolated in 80% yield (102 mg, yellow solid); mp = 89–91 °C; R_f = 0.55 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.43 (dd, J = 7.7, 1.7 Hz, 2H), 7.29 (m, 3H), 7.20 (d, J = 8.7 Hz, 2H) 6.55 (d, J = 8.7 Hz, 2H), 4.96 (s, 2H), 4.20 (bs, 1H), 3.93 (s, 2H), 1.26 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.7, 144.4, 140.9, 131.8, 128.8, 128.2, 125.9, 121.8, 112.7, 86.9, 82.4, 53.3, 45.9, 33.7, 31.39; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{21}H_{24}NO_2$ 322.1802; found 322.1801.

3-Phenylprop-2-yn-1-yl(4-hydroxyphenyl)glycinate (1d). Compound **1d** was isolated in 70% yield (78 mg, viscous liquid); R_f = 0.40 (V_{PE}/V_{EA} = 60/40); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.46–7.42 (m, 2H), 7.36–7.30 (m, 3H), 6.68 (d, J = 8.7 Hz, 2H), 6.52 (d, J = 8.7 Hz, 2H), 4.99 (s, 2H), 3.92 (s, 2H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 171.2, 148.7, 140.6, 131.8, 128.8, 128.3, 121.8, 116.2, 114.9, 86.9, 82.3, 53.5, 46.8; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{16}NO_3$ 282.1125; found 282.1126.

3-Phenylprop-2-yn-1-yl(4-methoxyphenyl)glycinate (1e). Compound **1e** was isolated in 60% yield (note: decomposition was observed after keeping prolong time in column; brown liquid); R_f = 0.40 (V_{PE}/V_{EA} = 80/20); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.47–7.44 (m, 2H), 7.37–7.31 (m, 3H), 6.80 (d, J = 8.8 Hz, 2H), 6.61 (d, J = 8.8 Hz, 2H), 5.00 (s, 2H), 3.96 (s, 2H), 3.74 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.8, 152.7, 141.0, 131.8, 128.8, 128.3, 121.9, 114.9, 114.4, 86.9, 82.4, 55.6, 53.4, 46.7; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{18}H_{18}NO_3$ 296.1281; found 296.1280.

3-Phenylprop-2-yn-1-yl(4-phenoxyphenyl)glycinate (1f). Compound **1f** was isolated in 75% yield (106 mg, off white solid); mp = 109–111 °C; R_f = 0.40 (V_{PE}/V_{EA} = 80/20); ^{1}H NMR (400 MHz, CDCl$_3$) δ = 7.48 (dd, J = 7.6, 1.7 Hz, 2H), 7.39–7.27 (m, 5H), 7.04 (t, J = 7.4 Hz, 1H), 6.95 (dt, J = 7.7, 3.4 Hz, 4H), 6.66–6.61 (m, 2H), 5.04 (s, 2H), 4.26 (bs, 1H), 4.00 (s, 2H); ^{13}C{^{1}H} NMR (100 MHz, CDCl$_3$) δ = 170.6, 158.7, 148.4, 143.3, 131.8, 129.4, 128.9, 128.3, 122.0, 121.8, 121.1, 117.2, 114.1, 87.0, 82.3, 53.5, 46.2; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{23}H_{20}NO_3$ 358.1438; found 358.1429.

3-Phenylprop-2-yn-1-yl(4-fluorophenyl)glycinate (1g). Compound **1g** was isolated in 78% yield (87 mg, off white solid); mp = 86–88 °C; R_f = 0.40 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, CDCl$_3$) δ = 7.48 (d, J = 7.3 Hz, 2H), 7.38–7.34 (m, 3H), 6.92 (t, J = 8.6 Hz, 2H), 6.56 (dd, J = 8.7 Hz, 4.2 Hz, 2H), 5.02 (s, 2H), 4.15 (bs, 1H), 3.95 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) δ = 170.5, 156.2 (d, J = 235.9 Hz) 143.2, 131.8, 128.8, 128.3, 121.8, 115.7 (d, J = 22.5 Hz), 113.9 (d, J = 7.5 Hz), 86.9, 82.3, 53.4, 46.2; ^{19}F NMR (376 MHz, CDCl$_3$) δ = −126.8; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{15}FNO_2$ 284.1081; found 284.1075.

3-Phenylprop-2-yn-1-yl(4-chlorophenyl)glycinate (1h). Compound **1h** was isolated in 79% yield (93 mg, off white solid); mp = 83–85 °C; R_f = 0.40 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, CDCl$_3$) δ = 7.45 (d, J = 7.3 Hz, 2H), 7.37–7.31 (m, 3H), 7.14 (d, J = 8.6 Hz, 2H), 6.55 (d, J = 8.6 Hz, 2H), 5.02 (s, 2H), 4.31 (bs, 1H), 3.97 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) δ = 170.3, 145.4, 131.9, 129.2, 128.9, 128.3, 123.1, 121.8, 114.1, 87.1, 82.2, 53.6, 45.8; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{15}ClNO_2$ 300.0786; found 300.0780.

3-Phenylprop-2-yn-1-yl(4-bromophenyl)glycinate (1i). Compound **1i** was isolated in 78% yield (107 mg, brown solid); mp = 86–88 °C; R_f = 0.40 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.44 (d, J = 6.8 Hz, 2H), 7.37–7.29 (m, 3H), 7.27 (d, J = 8.5 Hz, 2H), 6.48 (d, J = 8.5 Hz, 2H), 5.00 (s, 2H), 4.31 (bs, 1H), 3.94 (s, 2H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.2, 145.8, 132.0, 131.9, 128.9, 128.3, 121.8, 114.6, 110.1, 87.1, 82.2, 53.6, 45.6; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{15}BrNO_2$ 344.0281; found 344.0284.

3-Phenylprop-2-yn-1-yl(4-cyanophenyl)glycinate (1j). Compound **1j** crude (90 mg, viscous liquid); R_f = 0.40 (V_{PE}/V_{EA} = 80/20) R_f = 0.40 (V_{PE}/V_{EA} = 80/20); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.44 (d, J = 7.2 Hz, 4H), 7.34 (t, J = 8.1 Hz, 3H), 6.58 (d, J = 8.2 Hz, 2H), 5.03 (s, 2H), 4.87 (s, 1H), 4.01 (d, J = 4.9 Hz, 2H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 169.5, 149.9, 133.7, 131.8, 129.0, 128.3, 121.6, 120.0, 112.5, 100.0, 87.2, 81.9, 53.9, 44.7; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{18}H_{15}N_2O_2$ 291.1178; found 291.1140.

3-Phenylprop-2-yn-1-yl(2-chlorophenyl)glycinate (1k). Compound **1k** was isolated in 68% yield (80 mg, off white solid); mp = 69–71 °C; R_f = 0.40 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, CDCl$_3$) δ = 7.54–7.44 (m, 2H), 7.43–7.27 (m, 4H), 7.15 (t, J = 7.7 Hz, 1H), 6.71 (t, J = 7.6 Hz, 1H), 6.56 (d, J = 8.1 Hz, 1H), 5.04 (s, 2H), 4.98 (bs, 1H), 4.05 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) δ = 169.9, 142.7, 131.8, 129.3, 128.9, 128.3, 127.8, 121.8, 119.5, 118.2, 111.2, 87.0, 82.2, 53.6, 45.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{15}ClNO_2$ 300.0778; found 300.0796.

3-Phenylprop-2-yn-1-yl(2,4-dimethylphenyl)glycinate (1l). Compound **1l** was isolated in 72% yield (83 mg, viscous liquid); R_f = 0.50 (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, CDCl$_3$) δ = 7.47 (d, J = 7.7 Hz, 2H), 7.38–7.31 (m, 3H), 6.94 (s, 1H), 6.92 (s, 1H), 6.43 (d, J = 7.9 Hz, 1H), 5.02 (s, 2H), 4.03 (s, 2H) 2.24 (s, 3H), 2.21 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) δ = 170.9, 142.6, 131.9, 131.2, 128.9, 128.3, 127.3, 127.2, 122.8, 121.9, 110.2, 86.9, 82.3, 53.5, 46.1, 20.3, 17.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{19}H_{20}NO_2$ 294.1489, found 294.1476.

3-(*p*-Tolyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1m). Compound **1m** was isolated in 77% yield (97 mg, off white solid); mp = 85–87 °C; $R_f = 0.55$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.34 (d, *J* = 7.8 Hz, 2H), 7.21 (d, *J* = 8.3 Hz, 2H), 7.11 (d, *J* = 7.7 Hz, 2H), 6.57 (d, *J* = 8.3 Hz, 2H), 4.99 (s, 2H), 3.96 (s, 2H), 2.34 (s, 3H), 1.27 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.8, 144.4, 141.1, 139.1, 131.8, 129.0, 126.1, 118.8, 112.8, 87.2, 81.7, 53.6, 46.0, 33.8, 31.5, 21.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{26}NO_2$ 336.1958; found 336.1969.

3-(4-*iso*-Propylphenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1n). Compound **1n** was isolated in 76% yield (93 mg, off white solid); mp = 86.5–88.5 °C; $R_f = 0.55$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.38 (d, *J* = 8.2 Hz, 2H), 7.21 (d, *J* = 8.6 Hz, 2H), 7.17 (d, *J* = 8.1 Hz, 2H), 6.58 (d, *J* = 8.6 Hz, 2H), 4.99 (s, 2H), 3.96 (s, 2H), 3.86 (bs, 1H), 2.89 (sept, *J* = 6.9 Hz, 1H), 1.26 (s, 9H), 1.23 (d, *J* = 6.9 Hz, 6H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.8, 149.9, 144.4, 141.1, 131.9, 126.4, 126.1, 119.2, 112.8, 87.2, 81.6, 53.6, 46.0, 34.0, 33.8, 31.4, 23.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{30}NO_2$ 364.2271; found 364.2272.

3-(4-Methoxyphenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1o). Compound **1o** was isolated in 75% yield (93 mg, viscous liquid); $R_f = 0.45$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.49 (d, *J* = 7.7 Hz, 2H), 7.29 (d, *J* = 7.5 Hz, 2H), 6.93 (d, *J* = 7.9 Hz, 2H), 6.67 (d, *J* = 8.1 Hz, 2H), 5.08 (s, 2H), 4.31 (bs, 1H), 4.05 (s, 2H), 3.86 (s, 3H), 1.38 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 170.7, 159.9, 144.4, 140.9, 133.3, 125.9, 114.7, 113.8, 112.7, 86.9, 81.0, 55.1, 53.5, 45.8, 33.7, 31.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{26}NO_3$ 352.1907; found 352.1906.

3-(4-Fluorophenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1p). Compound **1p** was isolated in 72% yield (90 mg, off white solid); mp = 78.5–80.5 °C; $R_f = 0.50$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.42 (dd, *J* = 8.7, 5.4 Hz, 2H), 7.21 (d, *J* = 8.6 Hz, 2H), 7.00 (t, *J* = 8.7 Hz, 2H), 6.58 (d, *J* = 8.6 Hz, 2H), 4.98 (s, 2H), 3.97 (s, 2H), 1.27 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.8, 162.8 (d, *J* = 250.2 Hz), 144.4, 141.2, 133.9 (d, *J* = 8.5 Hz), 126.1, 117.9 (d, *J* = 3.4 Hz), 115.6 (d, *J* = 22.2 Hz), 112.8, 85.9, 82.1, 53.3, 45.9, 33.8, 31.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = −109.8; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{23}FNO_2$ 340.1707; found 340.1709.

3-(4-Chlorophenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1q). Compound **1q** was isolated in 72% yield (89 mg, off white solid); mp = 76–78 °C; $R_f = 0.52$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.39 (d, *J* = 8.6 Hz, 2H), 7.30 (d, *J* = 8.5 Hz, 2H), 7.23 (d, *J* = 8.7 Hz, 2H), 6.59 (d, *J* = 8.7 Hz, 2H), 5.00 (s, 2H), 4.00 (s, 2H), 1.28 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 170.8, 144.4, 141.2, 135.0, 133.1, 128.7, 126.1, 120.4, 112.8, 85.8, 83.3, 53.3, 46.0, 33.9, 31.5; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{23}ClNO_2$ 356.1412; found 356.1405.

3-(4-Nitrophenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1r). Compound **1r** was isolated in 70% yield (86 mg, yellow solid); mp = 76.5–78.5 °C; $R_f = 0.45$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.17 (d, *J* = 8.6 Hz, 2H), 7.57 (d, *J* = 8.6 Hz, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 6.58 (d, *J* = 8.4 Hz, 2H), 5.02 (s, 2H), 4.00 (s, 2H), 1.27 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 170.7, 147.4, 144.3, 141.2, 132.5, 128.7, 126.1, 123.5, 112.8, 87.6, 84.8, 52.9, 45.9, 33.8, 31.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{23}N_2O_4$ 367.1652; found 367.1658.

3-(3-Chloro-4-methylphenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1s). Compound **1s** was isolated in 68% yield (83 mg, off white solid); mp = 66.5–68.5 °C; $R_f = 0.55$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.47 (d, *J* = 1.0 Hz, 1H), 7.29–7.24 (m, 3H), 7.20 (d, *J* = 7.8 Hz, 1H), 6.62 (d, *J* = 8.6 Hz, 2H), 5.02 (s, 2H), 4.01 (s, 2H), 3.46 (bs, 1H), 2.40 (s, 3H), 1.30 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.8, 144.4, 141.2, 137.3, 134.2, 132.2, 130.8, 130.0, 126.1, 120.9, 112.8, 85.7, 82.8, 53.4, 46.0, 33.9, 31.5, 20.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{25}ClNO_2$ 370.1568; found 370.1570.

3-(3,5-Dimethylphenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1t). Compound **1t** was isolated in 69% yield (86 mg, off white solid); mp = 89–91 °C; $R_f = 0.55$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.21 (d, *J* = 8.2 Hz, 2H), 7.08 (s, 2H), 6.96 (s, 1H), 6.57 (d, *J* = 8.1 Hz, 2H), 4.97 (s, 2H), 3.95 (s, 2H), 2.27 (s, 6H), 1.26 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 170.7, 144.4, 141.0, 137.8, 130.7, 129.5, 126.0, 121.5, 112.8, 87.3, 81.6, 53.5, 45.9, 33.8, 31.4, 20.9; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{28}NO_2$ 350.2115; found 350.2109.

3-(2-Methoxyphenyl)prop-2-yn-1-yl(4-(*tert*-butyl)phenyl)glycinate (1u). Compound **1u** was isolated in 69% yield (86 mg, yellow solid); mp = 96–98 °C; $R_f = 0.45$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.42 (d, *J* = 7.5 Hz, 1H), 7.30 (t, *J* = 7.9 Hz, 1H), 7.21 (d, *J* = 8.4 Hz, 2H), 6.88 (dd, *J* = 7.5 Hz, 8.5 Hz, 2H), 6.57 (d, *J* = 8.3 Hz, 2H), 5.05 (s, 2H), 4.18 (bs, 1H), 3.96 (s, 2H), 3.86 (s, 3H), 1.27 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 170.7, 160.2, 144.4, 140.9, 133.9, 130.4, 126.0, 120.4, 112.7, 110.9, 110.6, 86.2, 83.4, 55.7, 53.7, 45.9, 33.8, 31.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{26}NO_3$ 352.1907; found 352.1899.

3-(Thiophen-2-yl)prop-2-yn-1-yl(4-*iso*-propylphenyl)glycinate (1v). Compound **1v** was isolated in 69% yield (84 mg, viscous liquid); $R_f = 0.50$ (V_{PE}/V_{EA} = 95/5); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.28 (d, *J* = 5.1 Hz, 1H), 7.25 (d, *J* = 3.8 Hz, 1H), 7.06 (d, *J* = 8.4 Hz, 2H), 6.97 (dd, *J* = 4.9, 3.9 Hz, 1H), 6.57 (d, *J* = 8.4 Hz, 2H), 5.01 (s, 2H), 3.97 (s, 2H), 3.54 (bs, 1H), 2.80 (sept, *J* = 6.9 Hz, 1H), 1.20 (d, *J* = 6.9 Hz, 6H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.7, 144.8, 138.9, 133.1, 128.0, 127.2, 126.9, 121.8, 113.2, 86.4, 80.4, 53.5, 46.1, 33.1, 24.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{18}H_{20}NO_2S$ 314.1209; found 314.1210.

But-2-yn-1-yl *p*-tolylglycinate (1w). Compound **1w** was isolated in 60% yield (94 mg, viscous liquid); $R_f = 0.5$ (V_{PE}/V_{EA} = 90/10); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 6.99 (d, *J* = 8.1 Hz, 2H), 6.52 (d, *J* = 8.3 Hz, 2H), 4.72 (s, 2H), 4.09 (bs, 1H), 3.91 (s, 2H), 2.23 (s, 3H), 1.85 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 170.7, 144.5, 129.7, 127.4, 113.1, 83.7, 72.6, 53.4, 45.9, 20.3, 3.5; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{13}H_{16}NO_2$ 218.1178.; found 218.1176.

***N*-Phenyl-*N*-(3-phenylprop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3a).** Compound **3a** was isolated in 73% yield (79 mg, off white solid); mp = 94.2–96.2 °C; $R_f = 0.40$ (V_{PE}/V_{EA} = 70/30); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 7.55–7.47 (m, 3H), 7.38 (d, *J* = 7.0 Hz, 2H), 7.35 (d, *J* = 7.3 Hz, 2H), 7.32–7.26 (m, 3H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.39 (d, $J = 8.0$ Hz, 2H), 4.77 (s, 2H), 4.59 (bs, 1H), 3.58 (s, 2H), 2.21 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) $\delta = 169.3$, 145.1, 140.0, 131.6, 129.9, 129.6, 129.1, 128.4, 128.3, 128.2, 126.9, 122.6, 113.1, 84.5, 83.9, 46.6, 39.4, 20.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{24}H_{23}N_2O$ 355.1805; found 355.1807.

2-((4-Methoxyphenyl)amino)-*N*-phenyl-*N*-(3-phenylprop-2-yn-1-yl)acetamide (3b). Compound **3b** was isolated in 41% yield (46 mg, brown liquid); $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, CDCl$_3$, starting material was not stable) $\delta = 7.49$ (d, $J = 7.3$ Hz, 2H), 7.39–7.33 (m, 5H), 7.32–7.25 (m, 3H), 6.71 (d, $J = 8.8$ Hz, 2H), 6.43 (d, $J = 8.8$ Hz, 2H), 4.75 (s, 2H), 3.69 (s, 3H), 3.55 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 169.4$, 152.3, 141.6, 139.9, 131.7, 131.6, 129.9, 129.1, 128.8, 128.4, 128.3, 128.2, 114.7, 114.3, 84.4, 83.9, 55.7, 47.1, 39.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{24}H_{23}N_2O_2$ 371.1754; found 371.1755.

***N*-Benzyl-2-(phenylamino)-*N*-(3-phenylprop-2-yn-1-yl)acetamide (3c).**[17,18] Compound **3c** was isolated in 76% yield (79 mg, off white solid); mp = 95.5–97.5 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (500 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.98 ratio) $\delta =$ 7.44–7.39 (rotameric m, 6H, aromatic) 7.34 (rotameric m, 14H, aromatic), 7.21 (rotameric quin, 4H, aromatic), 6.79–6.73 (rotameric m, 2H, aromatic), 6.71 (d, $J = 8.0$ Hz, 2H, aromatic) and 6.61 (d, $J = 7.9$ Hz, 2H, aromatic) (rotameric), 4.93 (rotameric bs, 2H, **NH**), 4.84 (s, 2H, **CH$_2$**) and 4.76 (s, 2H, **CH$_2$**) (rotameric), 4.56 (s, 2H, **CH$_2$**) and 4.00 (s, 2H, **CH$_2$**) (rotameric), 4.21 (s, 2H, **CH$_2$**) and 4.16 (s, 2H, **CH$_2$**) (rotameric); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) $\delta =$ 169.5 and 162.4 (rotameric **C═O**), 147.4 and 147.3 (rotameric), 136.5 and 135.6 (rotameric), 131.9 (rotameric), 129.4 and 129.4 (rotameric), 129.2 and 128.9 (rotameric), 128.8 and 128.6 (rotameric), 128.5 and 128.4 (rotameric), 128.2 and 127.9 (rotameric), 126.8 (rotameric), 122.6 and 122.0 (rotameric), 117.8 (rotameric), 113.2 and 113.1 (rotameric), 85.2 and 84.5 (rotameric **C**alkyne), 83.7 and 82.7 (rotameric **C**alkyne), 49.4 and 49.3 (rotameric **C**H$_2$), 45.6 and 45.5 (rotameric **C**H$_2$), 36.4 and 35.7 (rotameric **C**H$_2$); HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{24}H_{23}N_2O$; 355.1805 found 355.1798.

***N*-Benzyl-*N*-(3-phenylprop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3d).** Compound **3d** was isolated in 74% yield (80 mg, off white solid); mp = 93–95 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (500 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.98 ratio) $\delta = 7.43$ (rotameric m, 6H, aromatic), 7.35 (rotameric m, 14H, aromatic), 7.06 (d, $J = 8.0$ Hz, 2H, aromatic) and 7.02 (d, $J = 8.0$ Hz, 2H, aromatic) (rotameric), 6.66 (d, $J = 8.1$ Hz, 2H, aromatic) and 6.56 (d, $J = 8.1$ Hz, 2H, aromatic) (rotameric), 4.85 (s, 2H, **CH$_2$**) and 4.76 (s, 2H, **CH$_2$**) (rotameric), 4.57 (s, 2H, **CH$_2$**) and 4.00 (s, 2H, **CH$_2$**) (rotameric) 4.21 (s, 2H, **CH$_2$**) and 4.15 (s, 2H, **CH$_2$**) (rotameric), 2.29 (s, 3H, 4-**CH$_3$** aniline) and 2.27 (s, 3H, 4-**CH$_3$** aniline) (rotameric); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$ rotamers) $\delta = 169.5$ and 169.4 (rotameric **C═O**), 145.1 and 145.0 (rotameric) 136.4 and 135.5 (rotameric), 131.7, 129.7 and 129.6 (rotameric), 129.0 and 128.7 (rotameric), 128.6 and 128.4 (rotameric), 128.3 and 128.2 (rotameric), 127.9 and 127.7 (rotameric), 126.8 and 128.6 (rotameric), 122.4 and 121.9 (rotameric), 113.1, 84.9 and 84.2 (rotameric **C**alkyne), 83.6 and 82.6 (rotameric **C**alkyne), 49.2 and 49.1 (rotameric **C**H$_2$), 45.8 and 45.7 (rotameric **C**H$_2$), 36.2 and 35.5 (rotameric **C**H$_2$), 20.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{25}H_{25}N_2O$ 369.1961; found 369.1958.

***N*-Benzyl-2-((4-methoxyphenyl)amino)-*N*-(3-phenylprop-2-yn-1-yl)acetamide (3e).** Compound **3e** crude (note: crude was recrystallize in *n*-hexane) mp = 79–81 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.97 ratio) δ = 7.45–7.26 (m, 20H, aromatic) (rotameric), 6.85–6.72 (m, 4H, aromatic) (rotameric), 6.66 (d, $J = 8.6$ Hz, 2H, aromatic) and 6.56 (d, $J = 8.5$ Hz 2H, aromatic) (rotameric), 4.80 (s, 2H, **CH$_2$**) and 4.74 (s, 2H, **CH$_2$**) (rotameric), 4.53 (s, 2H, **CH$_2$**) and 3.95 (s, 2H, **CH$_2$**), (rotameric), 4.19 (s, 2H, **CH$_2$**) and 4.11 (s, 2H, **CH$_2$**) (rotameric), 3.75 (s, 3H, **OMe**) and 3.73 (s, 3H, **OMe**) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$, rotamers) $\delta =$ 169.7 and 169.6 (rotameric **C═O**), 152.3 and 152.2 (rotameric), 141.7 and 141.6 (rotameric), 136.4 and 135.5 (rotameric), 131.7 (rotameric), 129.1 and 128.3 (rotameric), 128.8 and 128.7 (rotameric), 128.5 and 128.4 (rotameric), 128.0 and 127.8 (rotameric), 126.7 (rotameric), 122.5 and 121.9 (rotameric), 114.9 and 114.9 (rotameric), 114.4 (rotameric), 84.9 and 84.3 (rotameric **C**alkyne), 83.6 and 82.6 (rotameric **C**alkyne), 55.8 (**OMe**) 49.2 and 49.1 (rotameric **C**H$_2$), 46.5 and 46.4 (rotameric **C**H$_2$), 36.3 and 35.5 (rotameric **C**H$_2$); HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{25}H_{25}N_2O_2$ 385.1911; found 385.1911.

***N*-Benzyl-2-((4-chlorophenyl)amino)-*N*-(3-phenylprop-2-yn-1-yl)acetamide (3f).** Compound **3f** was isolated in 74% yield (42 mg, brown solid); mp = 91–93 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.98 ratio) δ = 7.40–7.26 (m, 20H, aromatic) (rotameric), 7.11 (dd, $J = 11.5$, 8.6 Hz, 4H, aromatic) (rotameric), 6.59 (d, $J =$ 8.3 Hz, 2H) and 6.48 (d, $J = 8.4$ Hz, 2H, aromatic) (rotameric), 4.80 (s, 2H, **CH$_2$**) and 4.73 (s, 2H, **CH$_2$**) (rotameric), 4.53 (s, 2H, **CH$_2$**) and 3.93 (s, 2H, **CH$_2$**) (rotameric), 4.17 (s, 2H, **CH$_2$**) and 4.09 (s, 2H, **CH$_2$**) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$, rotamers) δ = 169.0 and 168.8 (rotameric **C═O**), 145.8 and 145.7 (rotameric), 136.2 and 135.3 (rotameric), 131.7 (rotameric), 129.1 (rotameric) 129.1 and 129.0 (rotameric), 128.8 and 128.7 (rotameric), 128.5 and 128.3 (rotameric), 128.4 and 128.3 (rotameric), 128.1 and 127.8 (rotameric), 126.6 (rotameric), 122.4 and 122.2 (rotameric), 114.0 and 114.0 (rotameric), 85.1 and 84.5 (rotameric **C**alkyne), 83.4 and 82.4 (rotameric **C**alkyne), 49.2 (rotameric **C**H$_2$), 45.4 (rotameric **C**H$_2$), 36.3 and 35.6 (rotameric **C**H$_2$); HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{24}H_{22}ClN_2O$ 389.1415; found 389.1422.

***N*-Benzyl-2-((2,5-dichlorophenyl)amino)-*N*-(3-phenylprop-2-yn-1-yl)acetamide (3g).** Compound **3g** was isolated in 61% yield (76 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.97 ratio) $\delta =$ 7.49–7.28 (m, 20H, aromatic) (rotameric), 7.18 (t, $J = 7.9$ Hz, 2H, aromatic), (rotameric), 6.62 (t, $J = 8.1$ Hz, 2H, aromatic) (rotameric), 6.58 (s, 1H, aromatic) and 6.41 (s, 1H, aromatic) (rotameric), 5.72 (bs, 2H, **NH**) (rotameric), 4.83 (s, 2H, **CH$_2$**) and 4.76 (s, 2H, **CH$_2$**) (rotameric), 4.56 (s, 2H, **CH$_2$**) and 4.20 (s, 2H, **CH$_2$**) (rotameric), 4.13 (s, 2H, **CH$_2$**) and 3.98 (s, 2H, **CH$_2$**) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$, rotamers) $\delta = 168.4$ and 168.3 (rotameric **C═O**), 144.0, 136.3 and 135.3 (rotameric), 133.6 and 133.5 (rotameric), 131.9, 130.1 and 129.4 (rotameric), 129.0, 128.9 and 128.7 (rotameric), 128.6 and 128.4 (rotameric), 128.3 and 128.1 (rotameric), 126.8, 122.5 and 121.9 (rotameric), 118.0, 117.3, 111.3 and 111.2 (rotameric), 85.5 and 84.6 (rotameric **C**alkyne), 83.4 and 82.4 (rotameric **C**alkyne), 49.5 and 49.4 (rotameric **C**H_2), 45.0, 36.5 and 35.9 (rotameric **C**H_2); HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{21}Cl_2$ N_2O $(M + H)^+$ 423.1025; found 423.1016.

***N*-Benzyl-2-((4-methoxyphenyl)amino)-*N*-(3-(*p*-tolyl)prop-2-yn-1-yl)acetamide (3h).** Compound **3i** was isolated in 68% yield (76 mg, off white solid); mp = 115.5–117.5 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (500 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.98 ratio) δ = 7.41–7.31 (m, 14H aromatic) (rotameric), 7.15 (d, J = 7.9 Hz, 4H, aromatic) (rotameric), 6.81 (dd, J = 9.0, 8.1 Hz, 4H, aromatic) (rotameric), 6.69 (d, J = 7.8 Hz, 2H, aromatic) and 6.58 (d, J = 7.8 Hz, 2H, aromatic) (rotameric), 4.83 (s, 2H, **C**$\mathbf{H_2}$) and 4.75 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.63 (bs, 2H, **NH**) (rotameric), 4.55 (s, 2H, **C**$\mathbf{H_2}$) and 3.96 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.19 (s, 2H, **C**$\mathbf{H_2}$) and 4.12 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 3.76 (s, 3H, **OC**$\mathbf{H_3}$) and 3.75 (s, 3H, **OC**$\mathbf{H_3}$) (rotameric), 2.37 (s, 3H, 4-**Me**Ph) and 2.36, (s, 3H, 4-**Me**Ph), (rotameric); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$ rotamers) δ = 169.6 and 169.5 (rotameric **C═O**), 152.2, 141.7 and 141.6 (rotameric), 138.9 and 138.5 (rotameric), 136.4 and 135.5 (rotameric), 131.5, 129.0 and 128.9 (rotameric), 128.6 and 128.3 (rotameric), 127.8 and 127.6 (rotameric), 126.6, 119.3 and 118.8 (rotameric), 114.8 and 114.8 (rotameric), 114.2, 85.0 and 84.3 (rotameric **C**alkyne), 82.8 and 81.9 (rotameric **C**alkyne), 55.6, 49.1 and 49.0 (rotameric CH_2), 46.4 and 46.3 (rotameric CH_2), 36.3 and 35.5 (rotameric **C**H_2), 21.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{27}N_2O_2$ 399.2067; found 399.2065.

***N*-Benzyl-2-((4-chlorophenyl)amino)-*N*-(3-(*p*-tolyl)prop-2-yn-1-yl)acetamide (3i).** Compound **3j** was isolated in 71% yield (80 mg, off white solid); mp = 96–98 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.9 ratio) δ = ^{1}H NMR (400 MHz, DMSO) δ 7.44–7.28 (m, 14H, aromatic) (rotameric), 7.13 (t, J = 8.5 Hz, 8H, aromatic) (rotameric), 6.61 (d, J = 8.5 Hz, 2H, aromatic) and 6.50 (d, J = 8.5 Hz, 2H, aromatic) (rotameric), 4.96 (bs, 2H, **NH**) (rotameric), 4.82 (s, 2H, **C**$\mathbf{H_2}$) and 4.74 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.54 (s, 2H, **C**$\mathbf{H_2}$) and 3.93 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.18 (s, 2H, **C**$\mathbf{H_2}$) and 4.10 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 2.36 (s, 6H, $NHCH_2$ 4-**Me**Ph) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$, rotamers) δ = 169.0 and 168.9 (rotameric **C═O**), 145.8 and 145.7 (rotameric), 139.0 and 138.6 (rotameric), 136.3 and 135.4 (rotameric), 131.6, 129.1 and 129.0 (rotameric), 128.7 and 128.4 (rotameric), 128.0 and 127.8 (rotameric), 126.6, 122.2, 119.3 and 118.7 (rotameric), 114.0, 85.3 and 84.5 (rotameric **C**alkyne), 82.6 and 81.7 (rotameric **C**alkyne), 49.2, 45.4 and 45.3 (rotameric **C**H_2), 36.3 and 35.7 (rotameric **C**H_2), 21.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{24}ClN_2O$ 403.1572; found 403.1570.

***N*-Benzyl-*N*-(3-(*p*-tolyl)prop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3j).** Compound **3h** was isolated in 77% yield (83 mg, off white solid); mp = 106–108 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.97 ratio) δ = 7.42–7.29 (m, 14H, aromatic) (rotameric), 7.14 (t, J = 7.1 Hz, 4H, aromatic) (rotameric), 7.03 (dd, J = 12.4, 8.1 Hz, 4H, aromatic) (rotameric), 6.65 (d, J = 7.9 Hz, 2H, aromatic) and 6.54 (d, J = 7.9 Hz, 2H, aromatic) (rotameric), 4.83 (s, 2H, **C**H_2) and 4.76 (s, 2H, **C**H_2) (rotameric), 4.55 (s, 2H, **C**H_2) and 3.98 (s, 2H, **C**H_2) (rotameric), 4.20 (s, 2H, **C**H_2) and 4.14 (s, 2H, **C**H_2) (rotameric), 2.38 (s, 3H, $NHCH_2$ 4-**Me**Ph) and 2.37 (s, 3H, $NHCH_2$ 4-**Me**Ph) (rotameric), 2.28 (s, 3H, 4-**Me** aniline) and 2.26 (s, 3H, 4-**Me** aniline) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$ rotamers) δ = 169.5 and 169.4 (rotameric C═O), 145.1 and 145.0 (rotameric), 138.9 and 138.5 (rotameric), 136.4 and 135.5 (rotameric), 131.6, 129.7 and 129.7 (rotameric), 129.1 and 129.0 (rotameric), 128.9, 128.6 and 128.4 (rotameric), 127.9 and 128.4 (rotameric), 126.8 and 126.7 (rotameric), 119.3 and 118.8 (rotameric), 113.2, 85.1 and 84.4 (rotameric **C**alkyne), 82.8 and 81.9 (rotameric **C**alkyne), 49.1 and 49.0 (rotameric **C**H_2), 45.8 and 45.8 (rotameric **C**H_2), 36.3 and 35.5 (rotameric **C**H_2), 21.4 and 20.3 (rotameric, N–CH_2, 4-PhCH_3); HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{27}N_2O$ 383.2118; found 383.2115.

***N*-Benzyl-*N*-(3-(4-methoxyphenyl)prop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3k).** Compound **3k** was isolated in 71% yield (76 mg, viscous liquid); $R_f = 0.35$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.96 ratio) δ = 7.39–7.24 (m, 14H, aromatic) (rotameric), 6.98 (dd, J = 13.3, 8.1 Hz, 4H, aromatic) (rotameric), 6.80 (dd, J = 7.9, 6.0 Hz, 4H, aromatic) (rotameric), 6.60 (d, J = 8.0 Hz, 2H, aromatic) and 6.49 (d, J = 8.0 Hz, 2H, aromatic) (rotameric), 4.78 (s, 2H, **C**$\mathbf{H_2}$) and 4.70 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.50 (s, 2H, **C**$\mathbf{H_2}$) and 3.93 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.13 (s, 2H, **C**$\mathbf{H_2}$) and 4.09 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 3.76 (s, 6H, **OC**$\mathbf{H_3}$) (rotameric), 2.23 (s, 3H, Ph**C**$\mathbf{H_3}$) and 2.21 (s, 3H, Ph**C**$\mathbf{H_3}$) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$, rotamers) δ = 169.5 and 169.3 (rotameric), 159.8 and 159.6 (rotameric), 145.0 and 144.9 (rotameric), 136.4 and 135.5 (rotameric), 133.1, 129.7 and 129.6 (rotameric), 128.9 and 128.7 (rotameric), 128.6 and 128.3 (rotameric), 127.8 and 127.6 (rotameric), 126.7 and 126.6 (rotameric), 114.4 and 114.3 (rotameric), 113.9 and 113.8 (rotameric), 113.2 and 113.1 (rotameric), 84.8 and 84.1 (rotameric **C**alkyne), 82.0 and 81.2 (rotameric **C**alkyne), 55.14 (rotameric OCH_3), 49.1 and 49.0 (rotameric **C**$\mathbf{H_2}$), 45.8 and 45.7 (rotameric CH_2), 36.3 and 35.5 (rotameric **C**H_2), 20.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{27}N_2O_2$ $(M + H)^+$ 399.2067; found 399.2075.

***N*-Benzyl-*N*-(3-(4-chlorophenyl)prop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3l).** Compound **3l** was isolated in 73% yield (81 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.88 ratio) δ = 7.42–7.21 (m, 18H, aromatic) (rotameric), 6.99 (dd, J = 12.0, 8.2 Hz, 4H, aromatic) (rotameric), 6.60 (d, J = 8.1 Hz, 2H, aromatic) and 6.51 (d, J = 8.1 Hz, 2H, aromatic) (rotameric), 4.78 (s, 2H, **C**$\mathbf{H_2}$) and 4.71 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.50 (s, 2H, **C**$\mathbf{H_2}$) and 3.96 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 4.16 (s, 2H, **C**$\mathbf{H_2}$) and 4.09 (s, 2H, **C**$\mathbf{H_2}$) (rotameric), 2.24 (s, 3H, NHPh**C**$\mathbf{H_3}$) and 2.22 (s, 3H, NHPh**C**$\mathbf{H_3}$) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$, rotamers) δ = 169.5, 145.0 and 144.9 (rotameric), 136.3 and 135.4 (rotameric), 134.8 and 134.4 (rotameric), 132.9, 129.7 and 129.6 (rotameric), 129.0, 128.7, 128.6 and 128.4 (rotameric), 128.0 and 127.7 (rotameric), 126.9 and 126.6 (rotameric), 120.9 and 120.3 (rotameric), 113.16, 84.7 and 83.0 (rotameric **C**alkyne), 83.8 and 83.7 (rotameric **C**alkyne), 49.3 and 49.2 (rotameric **C**H_2), 45.81, 36.2 and 35.5 (rotameric **C**H_2), 20.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{24}ClN_2O$ $(M + H)^+$ 403.1572; found 403.1584.

***N*-Benzyl-*N*-(3-(4-nitrophenyl)prop-2-yn-1-yl)-2-(*p*-tolylamino)acetamide (3m).** Compound **3m** was isolated in 65% yield (69 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (500 MHz, $CDCl_3$, rotameric mixture found in 1 : 0.86 ratio) δ = 8.20 (m, 4H, aromatic) (rotameric), 7.52 (d, $J = 7.5$ Hz, 4H, aromatic) (rotameric), 7.46–7.29 (m, 10H, aromatic) (rotameric), 7.08–6.99 (m, 4H, aromatic) (rotameric), 6.65 (d, $J = 6.8$ Hz, 2H, aromatic) and 6.56 (d, $J = 7.4$ Hz, 2H, aromatic) (rotameric), 4.84 (s, 2H, $\mathbf{CH_2}$) and 4.77 (s, 2H, $\mathbf{CH_2}$) (rotameric), 4.58 (s, 2H, $\mathbf{CH_2}$) and 4.28 (s, 2H, $\mathbf{CH_2}$), (rotameric), 4.15 (s, 2H, $\mathbf{CH_2}$) and 4.03 (s, 2H, $\mathbf{CH_2}$) (rotameric), 2.27 (s, 6H, NHPh$\mathbf{CH_3}$) (rotameric). ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$, rotamers) $\delta = 169.7$ and 169.5 (rotameric **C═O**), 147.4 and 147.2 (rotameric), 145.0 and 144.9 (rotameric), 136.1 and 135.2 (rotameric), 132.5 and 132.4 (rotameric), 129.8 and 129.7 (rotameric), 129.3 and 129.1 (rotameric), 128.8 and 128.4 (rotameric), 128.2 and 127.9 (rotameric), 127.2 and 127.1 (rotameric), 126.8 and 126.7 (rotameric), 123.6 and 123.5 (rotameric), 113.2 (rotameric), 89.3 and 88.1 (rotameric **C**alkyne), 83.1 and 82.3 (rotameric **C**alkyne), 49.7 and 49.1 (rotameric **C**H$_2$), 45.9 and 45.8 (rotameric **C**H$_2$), 36.3 and 35.7 (rotameric **C**H$_2$), 20.3; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{25}H_{24}N_3O_3$ (M + H)$^+$ 414.1812; found 414.1817.

***N*-Benzyl-*N*-(3-(thiophen-2-yl)prop-2-yn-1-yl)-2-(*p*-tolylamino) acetamide (3n).** Compound **3n** was isolated in 74% yield (79 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (500 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.98 ratio) $\delta = 7.36$–7.26 (m, 8H) (rotameric), 7.20 (dd, $J = 13.2$, 6.2 Hz, 3H, aromatic) (rotameric), 7.15 (dd, $J = 9.0$, 4.6 Hz, 3H, aromatic) (rotameric), 6.98 (d, $J = 7.9$ Hz, 2H, aromatic) and 6.94 (d, $J = 7.9$ Hz, 2H, aromatic) (rotameric), 6.92–6.88 (m, 2H, aromatic) (rotameric), 6.58 (d, $J = 8.0$ Hz, 2H, aromatic) and 6.47 (d, $J = 8.0$ Hz, 2H, aromatic) (rotameric), 4.74 (s, 2H, $\mathbf{CH_2}$) and 4.61 (s, 2H, $\mathbf{CH_2}$) (rotameric), 4.47 (s, 2H, $\mathbf{CH_2}$) and 3.89 (s, 2H, $\mathbf{CH_2}$) (rotameric), 4.11 (s, 2H, $\mathbf{CH_2}$) and 4.03 (s, 2H, $\mathbf{CH_2}$) (rotameric), 2.22 (s, 3H, NHPh$\mathbf{CH_3}$) and 2.20 (s, 3H, NHPh$\mathbf{CH_3}$) (rotameric); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$, rotamers) $\delta = 169.7$ and 169.6 (rotameric **C═O**), 145.3 and 145.2 (rotameric), 136.5 and 135.6 (rotameric), 132.9 and 132.6 (rotameric), 129.9 and 129.9 (rotameric), 129.2 and 129.0 (rotameric), 128.9 and 128.6 (rotameric), 128.2 and 127.5 (rotameric), 127.92 and 127.84 (rotameric), 127.2 and 127.1 (rotameric), 126.9 and 126.9 (rotameric), 122.6 and 121.9 (rotameric), 113.4 and 113.3 (rotameric), 88.0 and 86.9 (rotameric **C**alkyne), 78.5 and 77.7 (rotameric **C**alkyne), 49.5 and 49.4 (rotameric **C**H$_2$), 45.9, 36.6 and 35.8 (rotameric **C**H$_2$), 20.6; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{23}H_{23}N_2OS$ (M + H)$^+$ 375.1526; found 375.1533.

***N*-Benzyl-2-(phenylamino)-*N*-(3-(trimethylsilyl)prop-2-yn-1-yl) acetamide (3o).** Compound **3k** was isolated in 65% yield (64 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 80/20$); ^{1}H NMR (400 MHz, CDCl$_3$, rotameric mixture found in 1 : 0.96 ratio) δ = ^{1}H NMR (400 MHz, CDCl$_3$) δ 7.21–6.96 (m, 14H) (rotameric), 6.59–6.54 (m, 2H) (rotameric), 6.50 (d, $J = 7.8$ Hz, 2H) and 6.39 (d, $J = 7.6$ Hz, 2H) (rotameric), 4.71 (bs, 2H, **NH**) (rotameric), 4.57 (s, 2H, $\mathbf{CH_2}$) and 4.50 (s, 2H, $\mathbf{CH_2}$) (rotameric), 4.17 (s, 2H, $\mathbf{CH_2}$) and 3.88 (s, 2H, $\mathbf{CH_2}$) (rotameric), 3.79 (s, 2H, $\mathbf{CH_2}$) and 3.75 (s, 2H, $\mathbf{CH_2}$) (rotameric), 0.00 (s, 9H, **TMS**) and 0.00 (s, 9H, **TMS**) (rotameric); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$, rotamers) $\delta = 169.3$ and 169.1 (rotameric **C═O**), 147.3 and 147.2 (rotameric), 136.3 and 135.4 (rotameric), 129.2 and 129.1 (rotameric), 128.9 and 126.6 (rotameric), 128.6 and 128.4 (rotameric), 127.9 and 127.7 (rotameric), 117.6 (rotameric), 112.9 (rotameric), 99.7 and 98.8 (rotameric), 90.5 and 89.6 (rotameric), 49.1 and 49.0 (rotameric), 45.4 and 45.3 (rotameric), 36.4 and 35.7 (rotameric), −0.2 and 0.3 (rotameric **TMS**); HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{21}H_{27}N_2OSi$ 351.1878; found 351.1895.

5-(Benzyloxy)pent-3-yn-2-yl(4-methoxyphenyl)glycinate (5). Compound **5** was isolated in 62% yield (70 mg, viscous liquid); $R_f = 0.35$ ($V_{PE}/V_{EA} = 70/30$); ^{1}H NMR (400 MHz, CDCl$_3$) $\delta = 7.33$–7.27 (m, 5H), 6.76 (d, $J = 8.9$ Hz, 2H), 6.62 (d, $J = 8.9$ Hz, 2H), 5.56 (q, $J = 6.7$ Hz, 1H), 4.73 (bs, 1H), 4.54 (s, 2H), 4.16 (d, $J = 1.6$ Hz, 2H), 3.87 (s, 2H), 3.68 (s, 3H), 1.50 (d, $J = 6.7$ Hz, 3H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 169.9$, 152.9, 139.9, 137.0, 128.2, 127.9, 127.7, 114.9, 114.6, 84.2, 81.1, 71.4, 61.1, 56.9, 55.4, 46.9, 21.0; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{21}H_{24}NO_4$ 354.1700; found 354.1692.

7-Methyl-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2a). Compound **2a** was isolated in 88% yield (43 mg, off white solid); mp = 198–200 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, CDCl$_3$) δ = 8.30 (d, $J = 8.7$ Hz, 1H), 7.67 (dd, $J = 8.7$, 1.7 Hz, 1H), 7.64–7.57 (m, 4H), 7.46–7.42 (m, 2H), 5.35 (s, 2H), 2.50 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 168.9$, 149.3, 143.2, 142.8, 139.9, 133.7, 133.1, 132.5, 130.9, 129.4, 129.3, 128.8, 127.9, 124.2, 67.8, 22.1; HRMS (ESI-TOF) *m*/*z*: [M + H]+ calcd for $C_{18}H_{14}NO_2$ 276.1019; found 276.1016.

7-*iso*-Propyl-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2b). Compound **2b** was isolated in 86% yield (43 mg, off white solid); mp = 197–199 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, CDCl$_3$) $\delta = 8.37$ (d, $J = 8.8$ Hz, 1H), 7.77 (d, $J = 8.8$ Hz, 1H), 7.67–7.58 (m, 4H), 7.45 (d, $J = 6.7$ Hz, 2H), 5.37 (s, 2H), 3.05 (Sept, $J = 6.9$ Hz, 1H), 1.28 (d, $J = 6.9$ Hz, 6H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 168.9$, 150.5, 149.7, 143.4, 143.1, 133.8, 132.4, 131.3, 130.5, 129.4, 129.3, 128.8, 127.9, 121.7, 67.8, 34.5, 23.6; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{20}H_{18}NO_2$ 304.1332; found 304.1328.

7-(*tert*-Butyl)-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2c). Compound **2c** was isolated in 83% yield (41 mg, off white solid); mp = 236–238 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (500 MHz, CDCl$_3$) $\delta = 8.33$ (d, $J = 8.9$ Hz, 1H), 7.93 (dd, $J = 8.9$, 1.9 Hz, 1H), 7.82 (d, $J = 1.9$ Hz, 1H), 7.64–7.57 (m, 3H), 7.46 (dd, $J = 5.0$, 2.8 Hz, 2H), 5.37 (s, 2H), 1.32 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, CDCl$_3$) $\delta = 168.9$, 152.6, 149.2, 143.4, 133.6, 132.4, 130.7, 129.8, 129.4, 129.2, 128.8, 127.5, 120.3, 67.8, 35.3, 30.8; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{21}H_{20}NO_2$ 318.1489; found 318.1483.

7-Hydroxy-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2d). Compound **2d** was isolated in 57% yield (28 mg, off white solid); mp = 259–261 °C; $R_f = 0.30$ ($V_{PE}/V_{EA} = 50/50$); ^{1}H NMR (400 MHz, CDCl$_3$) $\delta = 9.73$ (s, 1H), 8.16 (d, $J = 9.2$ Hz, 1H), 7.64 (m, 2H), 7.61–7.57 (m, 3H), 7.54 (dd, $J = 9.2$, 2.7 Hz, 1H), 7.17 (d, $J = 2.7$ Hz, 1H), 5.43 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 169.1$, 158.9, 146.6, 142.4, 141.4, 134.8, 134.3, 133.3, 130.3, 129.8, 129.7, 129.6, 124.0, 106.8, 68.0; HRMS (ESI-TOF) *m*/*z*: [M + H]$^+$ calcd for $C_{17}H_{12}NO_3$ 278.0812; found 278.0809.

7-Methoxy-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2e). Compound **2e** was isolated in 61% yield (30 mg, off white solid); mp = 242.5–244.5 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (500 MHz, CDCl$_3$) $\delta = 8.31$ (d, $J = 9.3$ Hz, 1H), 7.61 (m, 3H), 7.49 (dd, $J = 9.3$, 2.6 Hz, 1H), 7.45 (d, $J = 7.1$ Hz, 2H), 7.10 (d, $J = 2.6$ Hz, 1H), 5.34 (s, 2H), 3.80 (s, 3H); $^{13}C\ \{^1H\}$ NMR (125 MHz, $CDCl_3$) $\delta = 168.9$, 160.1, 147.0, 141.8, 141.7, 133.9, 133.1, 132.8, 129.5, 129.4 (two ^{13}C), 128.6, 123.9, 102.9, 67.7, 55.6; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{18}H_{14}NO_3$ 292.0968; found 292.0965.

7-Phenoxy-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2f). Compound **2f** was isolated in 64% yield (32 mg, off white solid); mp = 232–234 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 50/50$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.39$ (d, $J = 9.3$ Hz, 1H), 7.55 (m, 4H), 7.43–7.33 (m, 5H), 7.16 (t, $J = 7.4$ Hz, 1H), 7.04 (d, $J = 7.9$ Hz, 2H), 5.38 (s, 2H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 168.7$, 158.0, 155.7, 147.5, 143.0, 142.4, 133.3, 133.3, 132.9, 130.0, 129.5, 129.3 (two ^{13}C), 128.7, 124.5, 123.9, 119.6, 110.9, 67.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{16}NO_3$ 354.1125; found 354.1121.

7-Fluoro-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2g). Compound **2g** was isolated in 79% yield (39 mg, off white solid); mp = 218–220 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta =$ 8.40 (dd, $J = 9.4$, 5.6 Hz, 1H), 7.65–7.58 (m, 4H), 7.49 (dd, $J = 9.8$, 2.8 Hz, 1H), 7.46–7.42 (m, 2H), 5.39 (s, 2H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 168.4$, 162.2 (d, $J = 253.1$ Hz), 147.7, 143.8 (d, $J = 2.8$ Hz), 143.3 (d, $J = 6.4$ Hz), 133.9 (d, $J = 9.6$ Hz), 132.9 (d, $J = 5.9$ Hz), 129.8, 129.5, 129.0 (d, $J = 9.9$ Hz), 128.6, 121.4 (d, $J = 26.5$ Hz), 109.1 (d, $J = 23.6$ Hz), 67.7; ^{19}F NMR (376 MHz, $CDCl_3$) $\delta = -107.1$; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{17}H_{11}FNO_2$ 280.0768; found 280.0765.

7-Chloro-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2h). Compound **2h** was isolated in 76% yield (38 mg, off white solid); mp = 216–218 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.23$ (d, $J = 9.1$ Hz, 1H), 7.80 (s, 1H), 7.72–7.65 (m, 1H), 7.65–7.55 (m, 3H), 7.47–7.42 (m, 2H), 5.36 (s, 2H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 168.2$, 148.7, 144.3, 143.0, 135.6, 133.1, 132.7, 132.5, 131.6, 129.7, 129.4, 128.7, 128.3, 124.4, 67.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{17}H_{11}ClNO_2$ 296.0473; found 296.0469.

7-Bromo-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2i). Compound **2i** was isolated in 75% yield (37 mg, yellow solid); mp = 219–221 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (500 MHz, $CDCl_3$) $\delta = 8.26$ (d, $J = 9.1$ Hz, 1H), 8.03 (d, $J = 1.2$ Hz, 1H), 7.90 (d, $J = 9.0$ Hz, 1H), 7.65–7.59 (m, 3H), 7.44 (d, $J = 7.4$ Hz, 2H), 5.39 (s, 2H); $^{13}C\ \{^1H\}$ NMR (125 MHz, $CDCl_3$) $\delta = 168.2$, 149.2, 144.6, 143.1, 134.3, 133.1, 132.8, 129.9, 129.5, 128.9, 128.7, 127.9, 124.2, 67.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{17}H_{11}BrNO_2$ 339.9968; found 339.9963.

3-Oxo-9-phenyl-1,3-dihydrofuro[3,4-*b*]quinoline-7-carbonitrile (2j). Compound **2j** was isolated in 45% yield (23 mg, viscous liquid); $R_f = 0.40$ ($V_{PE}/V_{EA} = 50/50$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.51$ (d, $J = 8.8$ Hz, 1H), 8.30 (d, $J = 1.5$ Hz, 1H), 7.97 (dd, $J = 8.7$, 1.7 Hz, 1H), 7.71–7.62 (m, 3H), 7.47–7.42 (m, 2H), 5.45 (s, 2H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 167.7$, 151.2, 146.9, 145.1, 133.5, 132.8, 132.4, 131.9, 130.9, 130.4, 129.7, 128.7, 127.2, 117.9, 112.9, 67.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{18}H_{11}N_2O_2$ 287.0778.; found 287.0824.

5-Chloro-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2k). Compound **2k** was isolated in 51% yield (25 mg, off white solid); mp = 246–248 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 50/50$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 7.96$ (dd, $J = 7.4$, 0.8 Hz, 1H), 7.86–7.80 (m, 1H), 7.64–7.55 (m, 4H), 7.45 (dd, $J = 7.7$, 1.6 Hz, 2H), 5.39 (s, 2H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 167.9$, 147.1, 144.7, 135.8, 133.2, 130.8, 129.8, 129.4, 129.3, 128.9, 128.8 (two ^{13}C), 124.9, 67.5; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{17}H_{11}ClNO_2$ 296.0478.; found 296.0480.

5,7-Dimethyl-9-phenylfuro[3,4-*b*]quinolin-3(1*H*)-one (2l). Compound **2l** was isolated in 69% yield (34 mg, off white solid); mp = 177–179 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 7.63$–7.54 (m, 3H), 7.52 (s, 1H), 7.45 (s, 1H), 7.43–7.41 (m, 2H), 5.33 (s, 2H), 2.90 (s, 3H), 2.45 (s, 3H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 169.3$, 148.6, 142.7, 142.0, 139.5, 139.1, 134.1, 133.1, 132.4, 129.2, 129.1, 128.8, 128.0, 122.2, 67.7, 22.1, 18.5; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{19}H_{16}NO_2$ 290.1176; found 290.1173.

7-(*tert*-Butyl)-9-(*p*-tolyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2m). Compound **2m** was isolated in 80% yield (39 mg, pale yellow solid); mp = 205–207 °C; $R_f = 0.40$($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.33$ (d, $J = 8.8$ Hz, 1H), 7.93 (dd, $J = 9.0$, 2.1 Hz, 1H), 7.86 (d, $J = 2.0$ Hz, 1H), 7.41 (d, $J = 8.0$ Hz, 2H), 7.35 (d, $J = 8.0$ Hz, 2H), 5.37 (s, 2H), 2.49 (s, 3H), 1.33 (s, 9H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 169.0$, 152.4, 149.3, 143.6, 143.4, 139.5, 132.4, 130.7, 130.6, 129.9, 129.7, 128.7, 127.6, 120.4, 67.9, 35.4, 30.9, 21.4; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{22}NO_2$ 332.1645; found 332.1642.

7-(*tert*-Butyl)-9-(4-isopropylphenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2n). Compound **2n** was isolated in 76% yield (38 mg, off white solid); mp = 239–241 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (500 MHz, $CDCl_3$) $\delta = 8.35$ (d, $J = 9.0$ Hz, 1H), 7.94 (d, $J = 9.1$ Hz, 1H), 7.89 (s, 1H), 7.46 (d, $J = 7.8$ Hz, 2H), 7.38 (d, $J = 7.9$ Hz, 2H), 5.40 (s, 2H), 3.05 (sept, $J = 6.8$ Hz, 1H), 1.36 (d, $J = 7.1$ Hz, 6H), 1.35 (s, 9H); $^{13}C\ \{^1H\}$ NMR (125 MHz, $CDCl_3$) $\delta = 169.1$, 152.4, 150.3, 149.4, 143.6, 143.5, 132.5, 131.0, 130.8, 129.7, 128.9, 127.7, 127.3, 120.5, 68.0, 35.4, 34.0, 30.9, 23.8; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{26}NO_2$ 360.1958; found 360.1953.

7-(*tert*-Butyl)-9-(4-methoxyphenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2o). Compound **2o** was isolated in 57% yield (28 mg, off white solid); mp = 262–264 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.34$ (d, $J = 9.0$ Hz, 1H), 7.93 (dd, $J = 9.0$, 1.7 Hz, 1H), 7.88 (s, 1H), 7.40 (d, $J = 8.5$ Hz, 2H), 7.13 (d, $J = 8.5$ Hz, 2H), 5.39 (s, 2H), 3.94 (s, 3H), 1.35 (s, 9H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta = 169.1$, 160.4, 152.4, 149.3, 143.5, 143.3, 132.5, 130.8, 130.3, 129.7, 127.8, 125.7, 120.4, 114.7, 67.9, 55.4, 35.4, 30.9; HRMS (ESI-TOF) *m*/*z*: [M + H]+ calcd for $C_{22}H_{22}NO_3$ 348.1594; found 348.1589.

7-(*tert*-Butyl)-9-(4-fluorophenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2p). Compound **2p** was isolated in 78% yield (39 mg, yellow solid); mp = 299–301 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^1H NMR (400 MHz, $CDCl_3$) $\delta = 8.31$ (d, $J = 9.0$ Hz, 1H), 7.93 (dd, $J = 9.0$, 2.1 Hz, 1H), 7.76 (d, $J = 2.0$ Hz, 1H), 7.50–7.44 (m, 2H), 7.33 (m, 2H), 5.34 (s, 2H), 1.33 (s, 9H); $^{13}C\ \{^1H\}$ NMR (100 MHz, $CDCl_3$) $\delta =$ 168.7, 163.2 (d, $J = 250.2$ Hz), 152.8, 149.2, 143.4, 142.3, 132.5, 130.8, 130.7, 129.9, 129.6 (d, $J = 3.6$ Hz), 127.6, 120.0, 116.5 (d, $J = 21.8$ Hz), 67.7, 35.4, 30.8; ^{19}F NMR (376 MHz, $CDCl_3$) $\delta = -110.9$; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{18}FNO_2Na$ 358.1214; found 358.1208.

7-(*tert*-Butyl)-9-(4-chlorophenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2q). Compound **2q** was isolated in 77% yield (38 mg, pale yellow solid); mp = 265–267 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.33 (d, J = 9.0 Hz, 1H), 7.94 (dd, J = 8.9, 1.9 Hz, 1H), 7.76 (d, J = 2.1 Hz, 1H), 7.61 (d, J = 8.4 Hz, 2H), 7.42 (d, J = 8.4 Hz, 2H), 5.35 (s, 2H), 1.34 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 168.7, 152.9, 149.2, 143.5, 142.1, 135.7, 132.4, 132.1, 130.8, 130.2, 130.0, 129.6, 127.3, 119.9, 67.6, 35.4, 30.8; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{19}ClNO_2$ 352.1099; found 352.1096.

7-(*tert*-Butyl)-9-(4-nitrophenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2r). Compound **2r** was isolated in 75% yield (37 mg, yellow solid); mp = 310–312 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.50 (d, J = 8.6 Hz, 2H), 8.37 (d, J = 9.0 Hz, 1H), 7.99 (dd, J = 9.0, 2.0 Hz, 1H), 7.70 (d, J = 8.6 Hz, 2H), 7.65 (d, J = 1.9 Hz, 1H), 5.35 (s, 2H), 1.34 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 168.2, 153.7, 149.3, 148.5, 143.6, 140.7, 140.5, 132.2, 131.1, 130.4, 130.1, 126.9, 124.5, 119.5, 67.3, 35.5, 30.8; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{19}N_2O_4$ 363.1339; found 363.1333.

7-(*tert*-Butyl)-9-(3-chloro-4-methylphenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2s). Compound **2s** was isolated in 73% yield (36 mg, off white solid); mp = 241–243 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.36 (d, J = 9.0 Hz, 1H), 7.96 (dd, J = 9.0, 2.1 Hz, 1H), 7.81 (d, J = 2.0 Hz, 1H), 7.48 (d, J = 7.8 Hz, 1H), 7.46 (d, J = 1.7 Hz, 1H), 7.27 (dd, J = 7.8, 1.8 Hz, 1H), 5.38 (s, 2H), 2.52 (s, 3H), 1.35 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 168.7, 152.9, 149.3, 143.5, 141.9, 137.7, 135.4, 132.7, 132.4, 131.8, 130.9, 129.9, 129.2, 127.4, 127.1, 120.0, 67.7, 35.4, 30.9, 20.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{21}ClNO_2$ 366.1255; found 366.1251.

7-(*tert*-Butyl)-9-(3,5-dimethylphenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2t). Compound **2t** was isolated in 74% yield (37 mg, yellow solid); mp = 214.5–216.5 °C; $R_f = 0.45$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.34 (d, J = 9.0 Hz, 1H), 7.93 (dd, J = 9.0, 1.0 Hz, 1H), 7.86 (s, 1H), 7.19 (s, 1H), 7.05 (s, 2H), 5.38 (s, 2H), 2.43 (s, 6H), 1.34 (s, 9H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 169.0, 152.3, 149.3, 143.8, 143.5, 138.9, 133.6, 132.3, 130.9, 130.7, 129.7, 127.7, 126.5, 120.6, 67.9, 35.3, 30.9, 21.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{24}NO_2$ 346.1802; found 346.1797.

7-(*tert*-Butyl)-9-(2-methoxyphenyl)furo[3,4-*b*]quinolin-3(1*H*)-one (2u). Compound **2u** was isolated in 56% yield (28 mg, off white solid); mp = 257–259 °C; $R_f = 0.30$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.35 (d, J = 9.0 Hz, 1H), 7.92 (dd, J = 9.0, 1.9 Hz, 1H), 7.68 (d, J = 1.6 Hz, 1H), 7.57–7.53 (m, 1H), 7.30–7.27 (m, 1H), 7.20–7.13 (m, 2H), 5.35 (d, J = 15.0 Hz, 1H), 5.25 (d, J = 15.0 Hz, 1H), 3.78 (s, 3H), 1.32 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 169.2, 156.4, 152.1, 149.2, 143.3, 140.5, 133.7, 131.2, 130.9, 130.7, 129.6, 128.2, 121.9, 120.9, 120.6, 111.6, 68.3, 55.4, 35.3, 30.9; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{22}H_{22}NO_3$ 348.1594; found 348.1590.

7-*iso*-Propyl-9-(thiophen-2-yl)furo[3,4-*b*]quinolin-3(1*H*)-one (2v). Compound **2v** was isolated in 77% yield (38 mg, brown solid); mp = 157–159 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 60/40$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.33 (d, J = 8.8 Hz, 1H), 8.07 (d, J = 1.8 Hz, 1H), 7.77 (dd, J = 8.8, 1.9 Hz, 1H), 7.67 (dd, J = 5.1, 1.1 Hz, 1H), 7.38 (dd, J = 3.5, 1.2 Hz, 1H), 7.33 (dd, J = 5.1, 3.5 Hz, 1H), 5.50 (s, 2H), 3.11 (sept, J = 6.9 Hz, 1H), 1.32 (d, J = 6.9 Hz, 6H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 168.7, 150.9, 149.7, 143.3, 136.1, 133.6, 132.6, 131.3, 130.7, 129.9, 128.7, 128.3, 127.8, 121.7, 68.2, 34.5, 23.6; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{18}H_{16}NO_2S$ 310.0896; found 310.0891.

7,9-Dimethylfuro[3,4-*b*]quinolin-3(1*H*)-one (2w). Compound **2w** was isolated in 17% yield (11 mg, brown solid); mp = 213–215 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 50/50$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.25 (d, J = 8.8 Hz, 1H), 7.85 (s, 1H), 7.67 (dd, J = 8.7, 1.6 Hz, 1H), 5.49 (s, 2H), 2.69 (s, 3H), 2.63 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 169.2, 148.5, 143.0, 139.7, 138.7, 133.0, 131.5, 129.1, 122.4, 67.7, 22.3, 14.6; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{13}H_{12}NO_2$ 214.0878; found 214.0869.

7-Methyl-2,9-diphenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4a). Compound **4a** was isolated in 80% yield (39 mg, brown solid); mp = 247–249 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 40/60$); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.32 (d, J = 8.7 Hz, 1H), 7.88 (d, J = 7.9 Hz, 2H), 7.66–7.58 (m, 4H), 7.54 (s, 1H), 7.51–7.47 (m, 2H), 7.40 (t, J = 8.0 Hz, 2H), 7.18 (t, J = 7.4 Hz, 1H), 4.79 (s, 2H), 2.48 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 165.6, 150.0, 148.7, 142.8, 139.4, 138.7, 134.6, 132.5, 130.9, 129.3 (two ^{13}C), 129.2, 129.1, 127.9, 127.7, 125.3, 124.5, 119.7, 48.3, 22.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{19}N_2O$ 351.1492; found 351.1494.

7-Methoxy-2,9-diphenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4b). Compound **4b** was isolated in 52% yield (26 mg, yellow solid); mp = 236–238 °C; $R_f = 0.30$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.33 (d, J = 9.3 Hz, 1H), 7.88 (d, J = 8.0 Hz, 2H), 7.61 (m, 3H), 7.50 (d, J = 6.8 Hz, 2H), 7.45–7.38 (m, 3H), 7.17 (t, J = 7.3 Hz, 1H), 7.04 (d, J = 2.5 Hz, 1H), 4.78 (s, 2H), 3.78 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 165.5, 159.2, 148.4, 146.1, 141.7, 139.2, 134.6, 132.5, 129.3, 129.2, 129.1, 129.1, 128.8, 128.1, 125.1, 122.7, 119.5, 103.3, 55.5, 48.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{19}\ N_2O_2$ 367.1441 found 367.1435.

2-Benzyl-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4c). Compound **4c** was isolated in 84% yield (41 mg, yellow solid); mp = 192–194 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.45 (d, J = 8.4 Hz, 1H), 7.78 (t, J = 8.5 Hz, 2H), 7.58–7.50 (m, 4H), 7.38 (m, 2H), 7.34–7.27 (m, 5H), 4.89 (s, 2H), 4.24 (s, 2H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) δ = 166.4, 150.7, 149.6, 143.5, 136.2, 134.3, 131.1, 129.8, 128.9, 128.8, 128.3 (two ^{13}C), 128.0, 127.9, 127.5, 125.6, 47.2, 46.8; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{19}N_2O$ 351.1492; found 351.1487.

2-Benzyl-7-methyl-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4d). Compound **4d** was isolated in 82% yield (40 mg, yellow solid); mp = 204–206 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.29 (d, J = 8.7 Hz, 1H), 7.58 (dd, J = 8.7, 1.5 Hz, 1H), 7.55–7.46 (m, 4H), 7.36–7.33 (m, 2H), 7.29–7.23 (m, 5H), 4.85 (s, 2H), 4.19 (s, 2H), 2.43 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 166.5, 149.7, 148.1, 142.6, 138.2, 136.3, 134.4, 132.1, 130.6, 128.9 (two ^{13}C), 128.8, 128.8, 128.4, 128.2, 127.8, 127.4, 124.3, 47.0, 46.7, 21.9; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{21}N_2O$ 365.1648; found 365.1653.

2-Benzyl-7-methoxy-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4e). Compound **4e** was isolated in 59% yield (29 mg, brown solid); mp = 179–181 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.31 (d, J = 9.2 Hz, 1H), 7.57–7.51 (m, 3H), 7.43–7.39 (m, 3H), 7.31–7.27 (m, 5H), 6.98 (d, J = 2.6 Hz, 1H), 4.87 (s, 2H), 4.21 (s, 2H), 3.75 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) δ = 166.6, 158.9, 148.3, 145.6, 141.7, 136.3, 134.5, 132.4, 129.0, 128.9, 128.8, 128.7 (two ^{13}C), 128.2, 127.8, 122.4, 103.3, 55.4, 46.9, 46.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{21}N_2O_2$; 381.1598 found 381.1597.

2-Benzyl-7-chloro-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4f). Compound **4f** was isolated in 81% yield (40 mg, brown solid); mp = 224–226 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.33$ (d, $J = 8.6$ Hz, 1H), 7.70 (s, 1H), 7.68 (d, $J = 2.3$ Hz, 1H), 7.59–7.51 (m, 3H), 7.37 (m, 2H), 7.33–7.27 (m, 5H), 4.88 (s, 2H), 4.24 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 165.9$, 150.9, 147.8, 142.7, 136.0, 134.1, 133.5, 132.5, 130.8, 129.3, 129.2, 129.1, 128.9 (two ^{13}C), 128.3, 128.1, 127.9, 124.4, 47.1, 46.7; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{18}ClN_2O$ 385.1102; found 385.1100.

2-Benzyl-5,8-dichloro-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4g). Compound **4g** was isolated in 43% yield (21 mg, yellow solid); mp = 231–233 °C; $R_f = 0.45$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (500 MHz, $CDCl_3$) $\delta = 7.80$ (d, $J = 8.1$ Hz, 1H), 7.53 (d, $J = 8.1$ Hz, 1H), 7.47–7.44 (m, 3H), 7.34–7.26 (m, 7H), 4.85 (s, 2H), 4.10 (s, 2H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) $\delta = 165.3$, 151.0, 147.1, 144.2, 136.3, 136.0, 135.1, 132.3, 130.4, 129.7, 129.5, 128.8, 128.6, 128.4, 128.2, 128.1, 127.9, 125.8, 47.2, 46.9; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{24}H_{17}Cl_2N_2O$ $(M + H)^+$ 419.0712; found 419.0703.

2-Benzyl-9-(4-methoxyphenyl)-7-methyl-1,2-dihydro-3*H*-pyrrolo [3,4-*b*]quinolin-3-one (4h). Compound **4h** was isolated in 57% yield (28 mg, yellow solid); mp = 197–199 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (500 MHz, $CDCl_3$) $\delta = 8.31$ (d, $J = 9.3$ Hz, 1H), 7.41 (dd, $J = 9.2$, 2.0 Hz, 1H), 7.34 (d, $J = 7.6$ Hz, 2H), 7.31–7.27 (m, 7H), 7.03 (d, $J = 1.7$ Hz, 1H), 4.87 (s, 2H), 4.20 (s, 2H), 3.76 (s, 3H), 2.46 (s, 3H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) $\delta = 166.7$, 158.9, 148.4, 145.7, 141.9, 138.8, 136.4, 132.4, 131.5, 129.7, 128.9, 128.9, 128.8, 128.7, 128.2, 127.7, 122.4, 103.4, 55.4, 47.0, 46.8, 21.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{23}N_2O_2$ 395.1754; found 395.1750.

2-Benzyl-7-chloro-9-(*p*-tolyl)-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinoline-3-one (4i). Compound **4i** was isolated in 80% yield (39 mg, yellow solid); mp = 187–189 °C; $R_f = 0.45$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.28$ (d, $J = 9.0$ Hz, 1H), 7.71 (s, 1H), 7.65 (d, $J = 9.0$ Hz, 1H), 7.37–7.27 (m, 9H), 4.87 (s, 2H), 4.24 (s, 2H), 2.46 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 165.9$, 150.8, 147.8, 142.8, 139.3, 136.0, 133.9, 132.3, 130.7, 130.5, 129.8, 129.2, 128.8, 128.2, 128.1, 127.8, 124.5, 113.9, 47.1, 46.8, 21.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{20}ClN_2O$ 399.1259; found 399.1261.

2-Benzyl-7-methyl-9-(*p*-tolyl)-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4j). Compound **4j** was isolated in 79% yield (39 mg, yellow solid); mp = 203–205 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (500 MHz, $CDCl_3$) $\delta = 8.33$ (d, $J = 8.7$ Hz, 1H), 7.61 (d, $J = 7.8$ Hz, 1H), 7.54 (s, 1H), 7.35 (d, $J = 7.7$ Hz, 2H), 7.33–7.25 (m, 7H), 4.89 (s, 2H), 4.23 (s, 2H), 2.47 (s, 6H); ^{13}C {^{1}H} NMR (125 MHz, $CDCl_3$) $\delta = 166.6$, 149.8, 148.2, 142.8, 138.8, 138.1, 136.4, 132.1, 131.5, 130.7, 129.6, 128.9, 128.8, 128.5, 128.3, 127.8, 127.6, 124.4, 47.1, 46.8, 21.9, 21.3; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{23}N_2O$ 379.1805; found 379.1801.

2-Benzyl-9-(4-methoxyphenyl)-7-methyl-1,2-dihydro-3*H*-pyrrolo [3,4-*b*]quinolin-3-one (4k). Compound **4k** was isolated in 75% yield (37 mg, yellow solid); mp = 190–192 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.29$ (d, $J = 8.6$ Hz, 1H), 7.58 (dd, $J = 8.7$, 1.8 Hz, 1H), 7.54 (s, 1H), 7.34–7.27 (m, 7H), 7.07 (d, $J = 8.7$ Hz, 2H), 4.86 (s, 2H), 4.22 (s, 2H), 3.90 (s, 3H), 2.46 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 166.54$, 159.91, 149.66, 148.11, 142.47, 138.03, 136.29, 131.98, 130.57, 130.30, 128.75, 128.53, 128.23, 127.74, 127.67, 126.41, 124.34, 114.36, 55.31, 47.00, 46.82, 21.90; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{26}H_{23}N_2O_2$ 395.1754; found 395.1762.

2-Benzyl-9-(4-chlorophenyl)-7-methyl-1,2-dihydro-3*H*-pyrrolo [3,4-*b*]quinolin-3-one (4l). Compound **4l** was isolated in 81% yield (40 mg, yellow solid); mp = 193–195 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.27$ (d, $J = 8.6$ Hz, 1H), 7.59 (dd, $J = 8.5$, 1.3 Hz, 1H), 7.53 (d, $J = 8.3$ Hz, 2H), 7.43 (s, 1H), 7.36–7.26 (m, 7H), 4.85 (s, 2H), 4.19 (s, 2H), 2.46 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 166.3$, 149.6, 147.9, 141.3, 138.5, 136.1, 135.1, 132.8, 132.2, 130.7, 130.4, 129.3, 128.8, 128.4, 128.3, 127.9, 127.2, 123.9, 47.0, 46.6, 21.9; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{20}ClN_2O$ 399.1259; found 399.1271.

2-Benzyl-7-methyl-9-(4-nitrophenyl)-1,2-dihydro-3*H*-pyrrolo [3,4-*b*]quinolin-3-one (4m). Compound **4m** was isolated in 71% yield (35 mg, yellow solid); mp = 200–202 °C; $R_f = 0.30$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.43$ (d, $J = 8.8$ Hz, 2H), 8.34 (d, $J = 8.7$ Hz, 1H), 7.65 (dd, $J = 8.7$, 1.8 Hz, 1H), 7.60 (d, $J = 8.8$ Hz, 2H), 7.36–7.29 (m, 6H), 4.86 (s, 2H), 4.18 (s, 2H), 2.48 (s, 3H). ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 166.10$, 149.92, 148.32, 148.19, 141.38, 140.16, 139.33, 136.10, 132.75, 131.06, 130.30, 129.03, 128.49, 128.31, 128.12, 126.74, 124.40, 123.63, 47.26, 46.55, 22.11; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{25}H_{20}N_3O_3$ 410.1499; found 410.1505.

2-Benzyl-7-methyl-9-(thiophen-2-yl)-1,2-dihydro-3*H*-pyrrolo [3,4-*b*]quinolin-3-one (4n). Compound **4n** was isolated in 73% yield (36 mg, yellow solid); mp = 221–223 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.31$ (d, $J = 8.7$ Hz, 1H), 7.84 (s, 1H), 7.61 (dd, $J = 8.7$, 1.9 Hz, 1H), 7.59–7.56 (m, 1H), 7.36–7.31 (m, 4H), 7.31–7.23 (m, 4H), 4.90 (s, 2H), 4.36 (s, 2H), 2.51 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 166.42$, 149.87, 148.32, 138.82, 136.35, 135.73, 134.26, 132.42, 130.88, 129.55, 129.27, 128.96, 128.41, 128.01, 127.97, 127.95, 127.72, 124.34, 47.41, 47.20, 22.16; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{23}H_{19}N_2OS$ 371.1213; found 371.1221.

2-Benzyl-9-(trimethylsilyl)-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (4o). Compound **4o** was isolated in 67% yield (33 mg, off white solid); mp = 202–204 °C; $R_f = 0.40$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, $CDCl_3$) $\delta = 8.42$ (d, $J = 8.2$ Hz, 1H), 8.16 (d, $J = 8.3$ Hz, 1H), 7.75 (ddd, $J = 8.3$, 7.0, 1.3 Hz, 1H), 7.62 (ddd, $J = 8.3$, 7.0, 1.3 Hz, 1H), 7.41–7.27 (m, 5H), 4.94 (s, 2H), 4.46 (s, 2H), 0.51 (s, 9H); ^{13}C {^{1}H} NMR (100 MHz, $CDCl_3$) $\delta = 166.0$, 149.3, 148.1, 142.9, 136.2, 135.7, 132.3, 132.1, 129.1, 128.9, 128.3, 127.9, 127.8, 127.4, 49.3, 47.1, 1.5; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{23}N_2OSi$ $(M + H)^+$ 347.1578; found 347.1581.

9-((Benzyloxy)methyl)-7-methoxy-1-methylfuro[3,4-*b*]quinolin-3(1*H*)-one (6). Compound 7 was isolated in 41% yield (21 mg, yellow solid); mp = 174–176 °C; $R_f = 0.35$ ($V_{PE}/V_{EA} = 30/70$); ^{1}H NMR (400 MHz, CDCl$_3$) $\delta = 8.26$ (d, $J = 9.3$ Hz, 1H), 7.47 (dd, $J = 9.3$, 2.7 Hz, 1H), 7.41–7.36 (m, 5H), 7.18 (d, $J = 2.7$ Hz, 1H), 5.88 (q, $J = 6.5$ Hz, 1H), 5.06 (ABq, $J = 13.20$ Hz, 2H), 4.71 (ABq, $J = 11.74$ Hz, 2H), 3.92 (s, 3H), 1.68 (d, $J = 6.5$ Hz, 3H); ^{13}C {^{1}H} NMR (100 MHz, CDCl$_3$) $\delta = 168.2$, 160.1, 146.3, 142.3, 137.8, 136.7, 136.3, 133.2, 129.0, 128.7, 128.4, 128.1, 123.7, 101.2, 76.8, 73.7, 65.9, 55.7, 21.1; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{21}H_{20}NO_4$ 350.1387; found 350.1380.

9-Phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (7). Compound 7 was isolated in 88% yield (65 mg, grey solid); mp = 279–281 °C (decomposed); $R_f = 0.40$ ($V_{DCM}/V_{MeOH} = 90/10$); ^{1}H NMR (400 MHz DMSO d$_6$) $\delta = 9.26$ (bs, 1H), 8.26 (d, $J = 8.4$ Hz, 1H), 7.87 (t, $J = 7.4$ Hz, 1H), 7.79 (d, $J = 8.3$ Hz, 1H), 7.67 (t, $J = 7.4$ Hz, 1H), 7.58–7.62 (m, 5H), 4.38 (s, 2H); ^{13}C {^{1}H} NMR (100 MHz, DMSO d$_6$) $\delta = 167.6$, 151.4, 148.7, 142.9, 133.9, 131.0, 130.3, 129.7, 129.2, 128.9 (two ^{13}C), 128.1, 126.6, 125.4, 42.0; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{17}H_{13}N_2O$ 261.1022; found 261.1019.

7-Methyl-9-phenyl-1,2-dihydro-3*H*-pyrrolo[3,4-*b*]quinolin-3-one (8). Compound **8** was isolated in 86% yield (65 mg, grey solid); mp = 317–319 °C (decomposed); $R_f = 0.40$ ($V_{DCM}/V_{MeOH} = 90/10$); ^{1}H NMR (400 MHz, DMSO d$_6$) $\delta = 9.19$ (bs, 1H), 8.15 (d, $J = 8.5$ Hz, 1H), 7.70 (d, $J = 8.4$ Hz, 1H), 7.62–7.52 (m, 6H), 4.34 (s, 2H), 2.45 (s, 3H); ^{13}C {^{1}H} NMR (100 MHz, DMSO d$_6$) $\delta = 168.2$, 151.0, 147.9, 142.7, 138.3, 134.5, 132.4, 131.7, 130.6, 129.7, 129.4, 129.3, 127.0, 124.3, 42.5, 22.0; HRMS (ESI-TOF) *m*/*z*: $[M + H]^+$ calcd for $C_{18}H_{15}N_2O$ 275.1179; found 275.1176.

Conflicts of interest

There are no conflicts to declare.

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