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Acylacetylenes in multiple functionalization of hydroxyquinolines and quinolones

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ABSTRACT

The expected one-pot multiple functionalization of hydroxyquinolines and quinolones with acylacetylenes (20 mol% KOH, 5 equiv. H_2O, MeCN, 55–60 °C), which, according to the previous finding, might involve the addition of OH and NH-functions to the triple bond and insertion of acylacetylenes into the quinoline scaffold, retains mainly on the formation of chalcone-quinoline ensembles in up 99% yield. The higher functionalized quinolines can be obtained in a synthetically acceptable yield, when the above ensembles are treated with the second molecule of acylacetylenes. Thus, the further insertion of second molecule of the acetylenes into the quinoline scaffold occurs as a much slower process indicating a strong adverse substituent effect of the remote chalcone moiety.

1. Introduction

Functionalized quinoline scaffold is a frequently met structural motif in a plethora of biologically important compounds, both of natural and synthetic origin. The wide spectrum of their biological activity covers anti-cancer [1,2], antibacteria[1–5] antioxidant [1], and antifungal [6]. Functionalized quinolines [7,8] and fluoroquinolines [9–14] gave rise to a new generation of antibiotics. The modern antimalarial therapy cannot be imaged without the functionalized natural quinoline, quinine, and its later modifications such as chloroquine, amodiaquine, and mefloquine [15–17] (Fig. 1).

The latter is now considered as a possible drug against coronavirus COVID-19 [18]. Therefore, further modifications of the quinine structure can be rated as requested by time. In this context, the recent modification of the quinine with acylacetylenes [19] (Scheme 1) looks timely.

Commonly, acetylenic compounds are widely employed for functionalization of the quinoline core. For this, two major approaches are being developed: (i) nucleophilic additions of hydroxyquinolines or quinolones to the triple bond; (ii) transformation of the quinoline ring via donor-acceptor adducts (zwitterions) with electron-deficient acetylenes. In the framework of the first approach, N- and O-vinyl derivatives of quinolines were synthesized using both acetylene gas under pressure [20] and electrophilic acetylenes, mostly esters of acetylene dicarboxylic acids [21] and also propiolic esters [21,22], cyanoacetylene [21,23] and cyanopropargylic alcohols [23]. The zwitterion approach allows a series of new quinoline-tailored functionalized heterocyclic systems to be designed. This series includes oxazinoquinolines [24–26], pyrimidoquinolinones [27], phosphorylated dihydroquinolines [28].

Recently, transition metal-free unique double functionalization of the quinoline ring with acylacetylenes has been discovered [29]. Formally, it represents the replacement of unsubstituted acetylene unit by the acetylenic ketone in the pyridine counterpart of the quinoline ring being in fact a multistep cascade transformation involving ring opening/ring closure/fragmentation processes (Scheme 2).

It might be thought that the combination of this reaction with the first approach when applied to hydroxyquinolines could lead to a one-pot triple functionalization of the quinoline core.

2. Results and discussion

This paper is a brief report on our efforts towards addressing this

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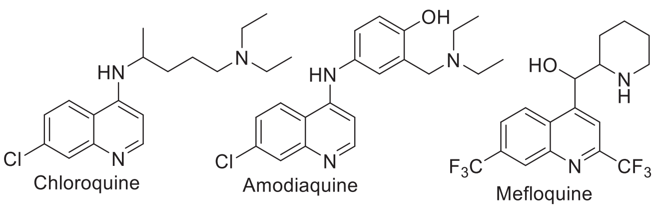
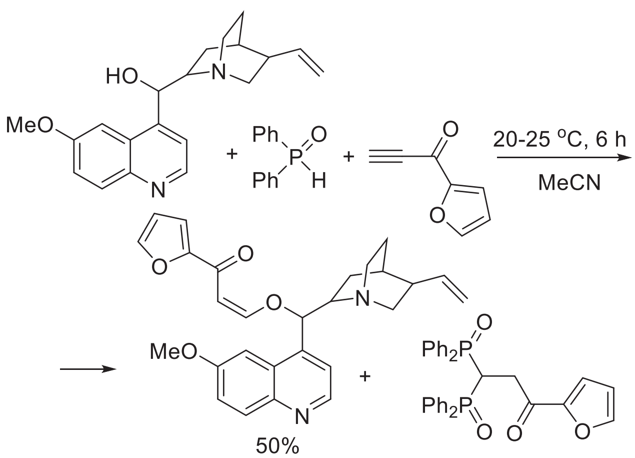


Fig. 1. The modern antimalarial drugs on the quinoline platform.



Scheme 1. Modification of the quinine with acylacetylenes.

challenge.

The objects of the investigation were available hydroxyquinolines **1a-c**, 2- and 4-quinolones **1d-f** and acylacetylenes of aromatic and heteroaromatic series **2a-i** (Fig. 2).

When choosing the latter, we were guided by the following reasons: (i) the ability of the acylacetylenes to generate chalcone upon adding protogenic (OH or NH) functions to the triple bond; (ii) potential biological activity of the aromatic (aryl) or heteroaromatic (pyrrolyl, furyl, thienyl) substituents; (iii) synthetically suitable balance between high reactivity and stability (convenience to be handled). The merging of biological active chalcone [30–32] and quinoline [1–17] structures in a one molecule could result in a synergetic effect and extension of the scope of their pharmaceutically important properties. The acetylenic ketone with branched acetal substituents at the triple bond **2i**, benzoyloxysecbutylbenzoylacetylene, was specially synthesized to provide additional possibilities for further functionalization (in particular, after deprotection of the hydroxyl group) of adducts as well as to evaluation steric effect of the reaction.

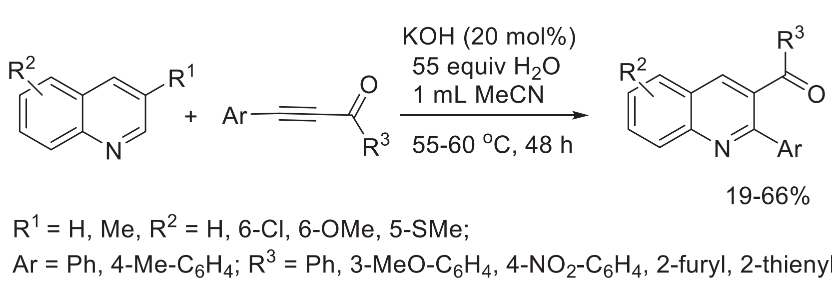
To verify the possibility of the above hypotized triple functionalization of hydroxyquinolines with acylacetylenes the reference reaction between 6-hydroxyquinoline **1b** and benzoylphenylacetylene **2a** under the optimum conditions (Scheme 2) has been tested. Disappointingly, the results did not entirely meet the expectations: mainly only nucleophilic addition of the hydroxyl group to the triple bond (even with two-fold excess of the ketone) occurred to afford benzoyl-1-phenyl-ethenyloxyquinoline **3b**, no signs of 2,3-difunctionalization of the quinoline ring were observed. The expected product of triple functionalization **4b** was detectable (^{1}H NMR) in the crude as a minor product in amount of ~9% (Scheme 3).

Notably, as previously shown [29], 6-methoxyquinoline, when reacted with ketone **2a** under the same conditions, readily underwent the insertion of the ketone moiety into the quinoline ring to deliver 2-phenyl-3-benzoyl-6-methoxyquinoline in 62% yield. Since 2,3-difunctionalization starts with the formation of donor-acceptor adduct (zwitterion) between nitrogen atom and electron-deficient acetylene [29], obviously, here we face extraordinary long-range transmittance of electron-withdrawing effect of the carbonyl group over the nitrogen atom through the whole quinoline ring system. Eventually, we have managed to render the above triple functionalization of quinoline scaffold in a stepwise manner and synthesized trifunctionalized product **4b** in modest 24% yield when preliminary prepared adduct **3b** was treated with ketone **2a** (Scheme 4) under the same conditions of Scheme 3.

Thus, we have been edged to focus our study on optimization of the synthesis of monofunctionalized quinoline **3b**. The selected experimental results illustrating the yield/reaction condition relationship are presented in Table 1.

The reaction was carried out at the equimolar ratio of the reactants, the variable parameters being the nature and concentration of a catalyst (inorganic or organic base), the content of water, and temperature. The process duration was determined by the full consumption of the acetylenic ketone **2a** or by the moment when its concentration stopped changing. The progress of the reaction was monitored using the IR spectroscopy to follow the disappearance of the absorption band (C≡C bond) of acetylene **2a** at 2198 cm^{-1}.

As anticipated, the reaction did not take place without the base catalyst (entry 1). The best result (92% yield of **3b**, 70% of the (*Z*)-stereoselectivity, entry 4) was attained under the following conditions: 20 mol% KOH, 5 equiv. of water, MeCN, 55–60 °C, 0.5 h). The yield of the target product mostly depends on the nature of a basic catalyst being highest with KOH and being dropped in the order KOH > K_2CO_3 > DBU > NaOH > Ph_3P > K_3PO_4 > Et_3N. A lesser influence on the product yield and the reaction time was observed for concentration of KOH (entries 3, 4, 5) and water (entries 6, 7). A higher concentration of KOH likely facilitates the side base-catalyzed hydration of the acetylenic ketone. The presence of water had a slightly favorable effect on the yield of the target product



Scheme 2. Double functionalization of the quinoline ring with acylacetylenes.

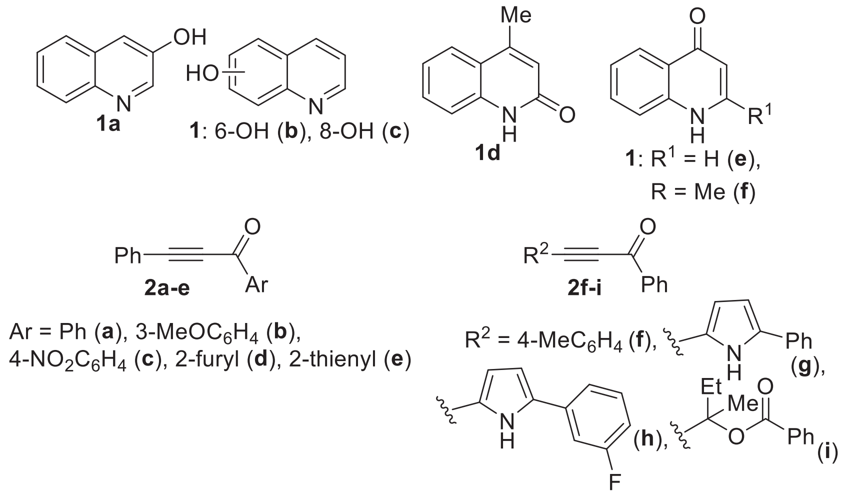
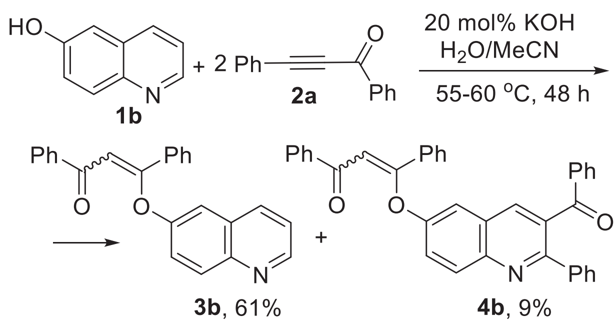


Fig. 2. Objects of investigation.



Scheme 3. The reaction of 6-hydroxyquinoline **1b** with benzoylphenylacetylene **2a**.

and the reaction stereochemistry. Apparently, water molecules participate as a proton transfer agents in the reaction transition state as it commonly accepted for nucleophilic addition to acetylenes [33]. Consequently, such reactions are stereoselective leading to the (*Z*)-isomers exclusively. A partial deviation from this rule in this case is likely due to steric encumbrance in the (*Z*)-isomer of **3b** (benzoyl and quinolynyl oxy moiety located on the same side of the double bond).

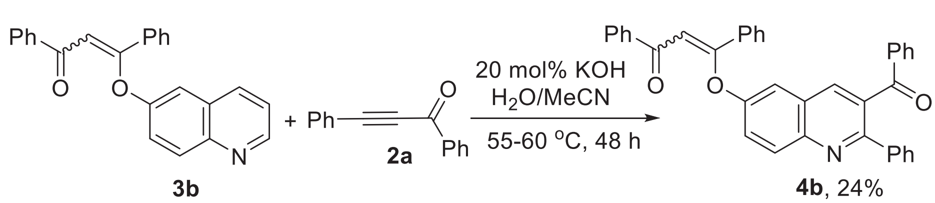
An advantageous feature of the reaction is that it can be efficiently realized at room temperature (entry 9) albeit in this case it lasted much longer (48 h) and to complete it for 30 min it required 55–60 °C (entry 4).

Basing on the data of Table 1, to evaluate the scope of monofunctionalization of quinolines **1a-c** with acylacetylenes **2a-i** we taken the conditions, which were found to be best (20 mol% of KOH, 5 equiv. of water, 55–60 °C), the process time being dependent on the reaction completion (Scheme 5).

As follows from Scheme 5, the reaction well tolerates 3-, 6- and 8-hydroxyquinolines **1a-c** and all the abovementioned series of acylacetylenes **2a-i**. The process proceeded smoothly for a short time (15 min-2 h) to provide the target functionalized quinolines **3a-m**, mostly in good-to-excellent yields. As far as the product yields do not differ considerably, the substituent effect can be roughly estimated from the reaction time. Using this criterion, the reactivity of hydroxyquinolines under question may be ordered as follows: **1b** (6-OH) > **1c** (8-OH) > **1a** (3-OH) that approximately reflects nucleophilicity of the corresponding O-centered anions. The effect of substituents in the acylacetylenes is generally in consistence with character of the reaction as a nucleophilic addition to the triple bond. Indeed, electron-donating groups such as tolyl, pyrrolyl which reduce electrophilicity of the triple bond expectedly slow down the reaction rate (compounds **3j-l**). On the contrary in case of nitrobenzoyl substituent (compound **3e**), the syntheses completed faster. Comparatively low yield of the target product in this case (79%) is due to side base-catalyzed hydration of the triple bond, as previously observed [29].

Noteworthy that with benzoyl-(5-arylpyrrol-2-yl)acetylenes **2g,h**, it was required to increase the alkali loading (up to 1.2 equiv.), since ~ 1 equivalent of the base was spent for the pyrrolate formation.

In this case of compounds **3k,l**, the intramolecular N–H···O=C hydrogen bond is formed between hydrogen of the NH group and oxygen of the carbonyl group (Fig. 3). This is manifested by an extraordinary downfield shift (to 14.8 ppm) of the NH group hydrogen signal (vs 9.25 ppm). Therefore, compounds **3k,l** adopts only the (*E*)-configuration stabilized by the intramolecular hydrogen bond. The chemical shift of the β-hydrogen of the olefin fragment (δH_β) in the (*E*)-isomers of **3k,l** is 6.27 ppm. Basing on this,



Scheme 4. 2,3-Functionalization of adduct **3b** with benzoylphenylacetylene **2a**.

Table 1
Monofunctionalized quinoline **3b** produced *via* Scheme 3.[a].

No	Base [mol%]	H_2O (equiv.)	Temp. (°C)	Time (min)	Yield (%)	E/Z ratio (%)[b]
1	none	none	rt	24	No reaction	No reaction
2	NaOH (20)	5	55–60	0.5	60	25/75
3	KOH (10)	5	55–60	4	84	60/40
4	KOH (20)	5	55–60	0.5	92	30/70
5	KOH (30)	5	55–60	0.5	76	17/83
6	KOH (20)	none	55–60	0.5	87	20/80
7	KOH (20)	55	55–60	2	79	15/85
8	KOH (20)	none	rt	24	80	26/74
9	KOH (20)	5	rt	48	86	20/80
10	K_2CO_3 (20)	5	55–60	0.5	84	48/52
11	K_3PO_4 (20)	5	55–60	0.5	35	50/50
12	$NaHCO_3$ (20)	5	55–60	0.5	No reaction	No reaction
13	Et_3N (20)	5	55–60	0.5	13	25/75
14	DBU (20)	5	55–60	0.5	78	42/58
15	Ph_3P (20)	5	55–60	0.5	54	17/83

[a] Reaction conditions: **1b** (0.5 mmol), **2a** (0.5 mmol), 0.5 mL MeCN.
[b] (*E*)- and (*Z*)-isomers were determined by comparison of their ^{1}H NMR chemical shifts of the olefin fragment β-hydrogen with the latter of (*E*)-**3k** or (*E*)-**3l** (see below).
[1] H NMR chemical shifts of β-hydrogen of the olefinic fragment with those of (*E*)-**3k** or (*E*)-**3l** (see below).

the isomers of the compounds **3a-m**, where the δH_β value is within the range from 6.0 to 6.2 ppm, are assigned to the (*E*)-configuration, while the isomers of the compounds **3a-m**, where the δH_β value ranges from 7.0 to 7.2 ppm, are assigned to the (*Z*)-configuration. At the same time the β-hydrogen signals of both isomers of the compound **3m** are shifted upfield by 0.3–0.5 ppm since no influence of the anisotropy of the phenyl ring takes place in this case.

Compounds **3** are products of nucleophilic addition of hydroxyquinolines **1** to acetylene **2** (on the example of 6-hydroxyquinoline **1b** and benzoylphenylacetylene **2a**, Scheme 6). Formation of the compound **5b** is shown [29] to begin from 1,3-dipole intermediate **A**, the adduct of the nucleophilic attack of the nitrogen atom of quinoline **3b** to the triple bond of acetylene **2a**. Intermediate **A** reacts with a water molecule leading to a hemiaminal **B**, in which the N-C(2) bond is cleaved. The *N*-vinyl aldehyde intermediate **C** undergoes a series of transformations to afford the dihydroquinoline **D**. After elimination of acetaldehyde, trifunctionalized quinoline **4b** is formed (Scheme 6).

Quinolines functionalized at the 2- and 4-position by a hydroxyl group are known to exist predominantly in the keto form [34–37], i.e. as 2- and 4-quinolones **1d-f**, respectively. Consequently, they reacted under the above conditions mostly as N-centered nucleophiles to deliver the hybrid molecules **5a-d**, which combine the quinoline and enaminone entities (Scheme 7). The products yields were excellent ranging 85–95%. As anticipated, the reaction was regioselective. In this case products were obtained predominantly as (*Z*)-isomers.

For the series of N-adducts **5a-d**, only compound **5b** was obtained as two isomers in which the β-hydrogen signals appear at 7.0 and 7.6 ppm. Based on the previous consideration, the isomer of **5b**, where the δH_β value is 7.0 ppm, is assigned to the (*E*)-configuration, whereas the isomer of **5b**, where the δH_β value is 7.6 ppm, is assigned to the (*Z*)-configuration. In addition, since the signal of the β-hydrogen of the olefin fragment resonates at 7.6–8.0 ppm in compounds (**5a**,**c**,**d**), their isomers are assigned to the (*Z*)-configuration.

The possibility of the further functionalization of the obtained products was exemplified by the reduction ($NaBH_4$) of adduct **3b** to afford the allylic alcohol **6b** (Scheme 8).

There are two characteristic pairs of the upfield doublets (5.76; 6.18 and 5.48; 5.60 ppm, respectively) splitting due to the vicinal spin-spin coupling ($^3J_{H,H}$ = 8.8 and 9.9 Hz, respectively) in the ^{1}H NMR spectrum of the (*Z*)- and (*E*)-isomers as well as a broad absorption bond at 3200 cm^{-1} in the IR spectrum of compound **6b**.

3. Conclusion

In conclusion, the base-catalyzed reaction of acylacetylenes with hydroxyquinolines and quinolone gives rise to new representatives of highly functionalized quinolines containing chalcone and enaminone moieties in good to excellent yields. The triple functionalization by insertion of second molecule of acylacetylenes into the position 2 and 3 of quinoline scaffold, previously observed under the same conditions, occurs as a slower process than likely results from a long-range transmittance of the electron-acceptor effect of the chalcone substituent on quinoline nitrogen. The combination of biologically active entities such as quinoline ring and chalcone or enaminone fragment in a one molecule may be of interest in the synthesized compounds from specialists of bio- and medical chemistry.

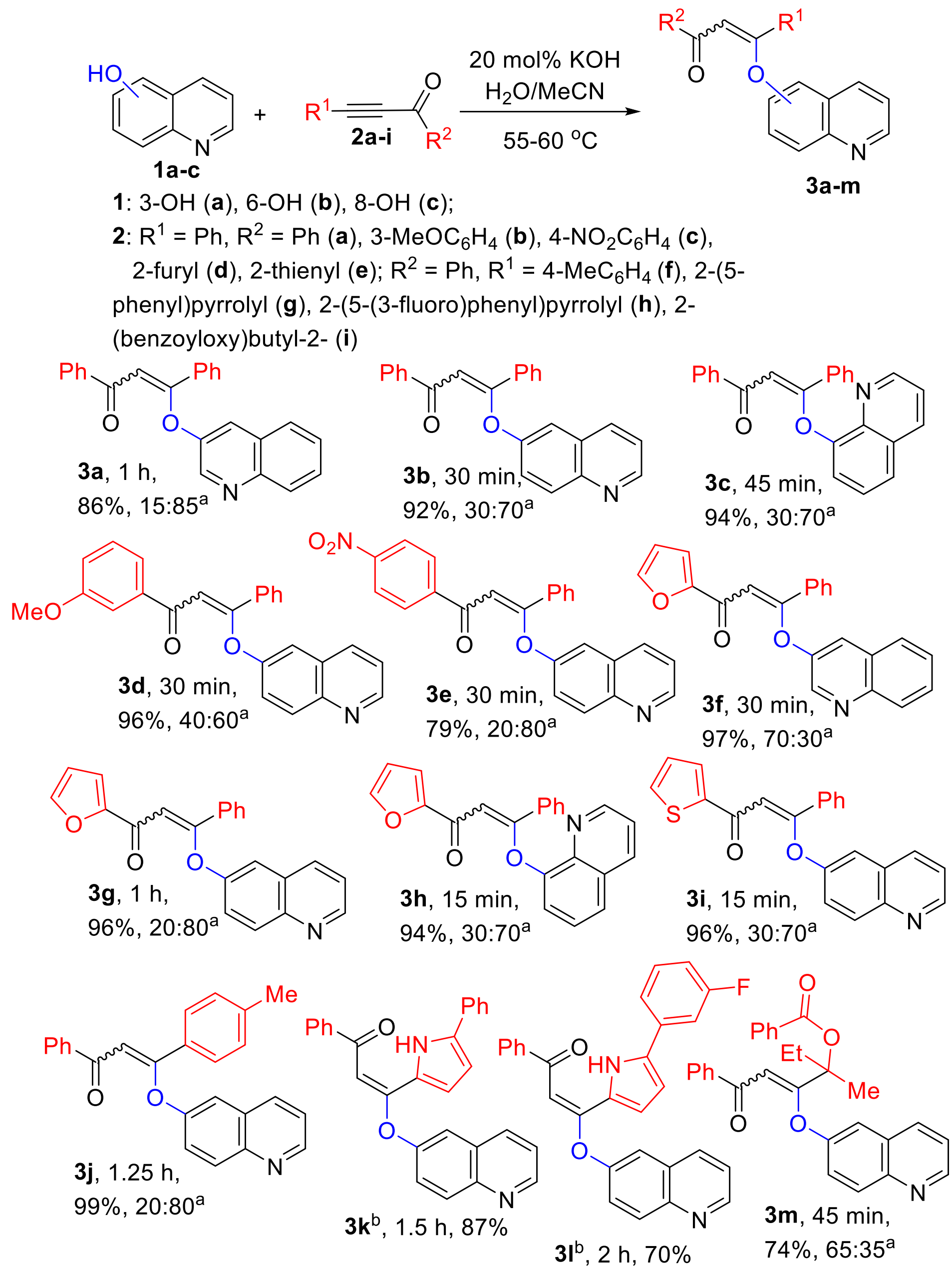
4. Experimental

4.1. General information

Quinolines **1a-f** and solvents were purchased from commercial sources and used without further purification. Samples of acylacetylenes **2a-f,i** [38] and **2g,h** [39], were obtained according to describe methods. Monitoring of the reaction was carried out using the method of IR spectroscopy to follow the disappearance of the C≡C bond intensity of acetylenes **2** at 2195-2264 cm^{-1}. The products **3a-m**, **4b**, **5a-d** and **6b** were separated and purified by column chromatography on silica gel (0.06–0.2 mm) with chloroform/toluene/ethanol (20:4:1) mixture as eluent. NMR spectra were recorded on a Bruker DPX-400 spectrometer (400.1 MHz for ^{1}H and 100.6 MHz for ^{13}C) in $CDCl_3$. The internal standards were HMDS (for ^{1}H) or the residual solvent signals (for ^{13}C). IR spectra were obtained with a Bruker Vertex 70 spectrometer (400–4000 cm^{-1}, microlayer). Mass spectra were recorded on Mass spectrometer HR-TOF-ESI-MS Agilent 6210 (USA) in the mode of recording positive results with acetonitrile as solvent and 0.1% perfluorobutyric acid as ionizing agent. Melting point (uncorrected) was determined on a Kofler micro hot stage apparatus.

*4.2. General procedure for synthesis of quinolinyloxypropenones **3** and **5***

A mixture of hydroxyquinoline **1** (0.5 mmol), acylacetylene **2** (0.5 mmol), KOH (20 mol%), H_2O (2.5 mmol) in acetonitrile (0.5 mL)



Scheme 5. Scope of the reaction. Reagents and conditions: **1** (0.5 mmol), **2** (0.5 mmol), KOH (20 mol%), H_2O (2.5 mmol), 0.5 mL MeCN, 55–60 °C. [a] (*E*):(*Z*)-isomer ratio; [b] 1.2 equiv. of KOH.

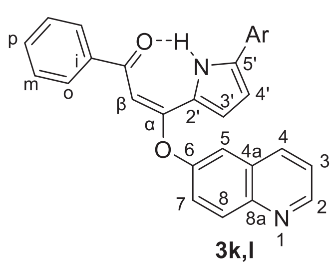
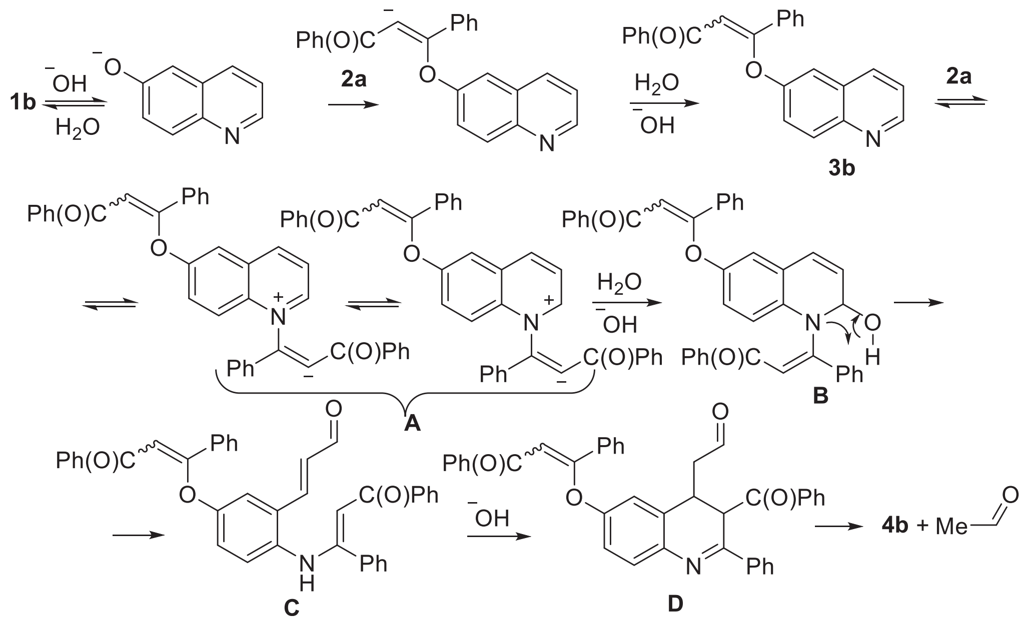


Fig. 3. (*E*)-3-(5-Aryl-1*H*-pyrrol-2-yl)-1-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-ones **3k,l**.

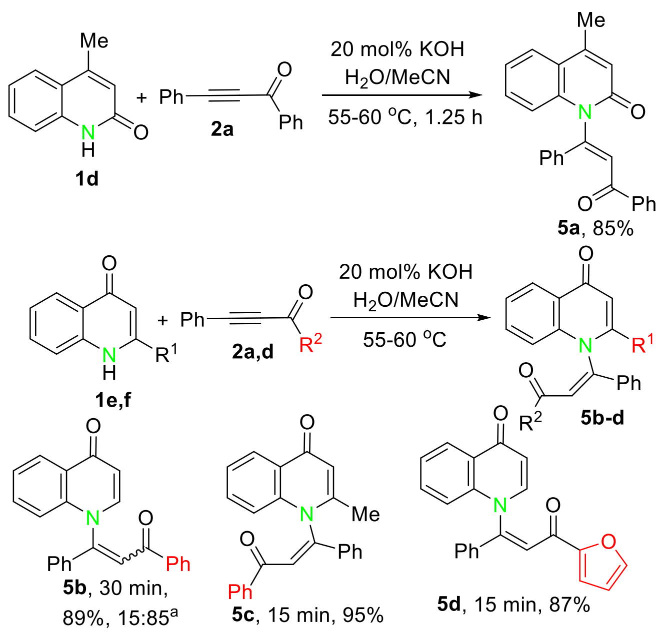
was placed in a 10-mL round-bottom flask (air atmosphere) with stir bar and stirred at 55-60°C for appropriate time. After the reaction completion the reaction mixture cooled, solvents were evaporated at a low pressure and the residue was passed through the chromatography column deliver to the target product **3** or **5**.

4.2.1. *1,3-Diphenyl-3-(quinolin-3-yloxy)prop-2-en-1-one (**3a**)*

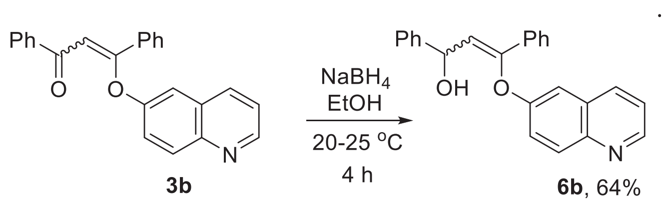
Following the general procedure, **3a** was prepared from 3-hydroxyquinoline **1a** (73 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **3a** was isolated as a dark-yellow oil (151 mg, 86% yield). *E*:*Z*-isomer ratio 15:85 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.73$ (m, 1H, H-2), 7.98 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.87 (m, 2H, $H_{o'}$ from Ph), 7.70 (m, 2H, H_o from Ph), 7.53 (m, 1H, H-5), 7.48 (m, 1H, H-7), 7.45 (m, 1H, H-6), 7.43 (d, $^4J_{2,4} = 2.5$ Hz,



Scheme 6. Possible mechanisms for the formation of compounds **3b** and **4b**.



Scheme 7. Reaction of quinolones **1d-f** with acylphenylacetylenes **2a,d**. [a] (*E*):(*Z*)-isomer ratio



Scheme 8. Reduction of chalcone moiety.

1H, H-4), 7.40-7.30 (m, 6H, $H_{m,m',p,p'}$ from Ph), 7.08 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 189.1 (C=O), 160.1 (C_α), 150.8 (C-3), 145.5 (C-8a), 143.5 (C-2), 138.4 ($C_{i'}$ from Ph), 133.5 (C_i from Ph), 132.9 ($C_{p'}$ from Ph), 131.1 (C-8), 129.4 (C-4a), 129.2 (C_p from Ph), 129.1 (C_m from Ph), 128.5 ($C_{m'}$ from Ph), 128.4 (C-7), 128.3 ($C_{o'}$ from Ph), 127.6 (C-6), 127.1 (C_o from Ph), 127.0 (C-5), 118.2 (C-4), 111.2 (C_β); (***E***)**-isomer** ^1H NMR (400 MHz, $CDCl_3$): δ = 8.88 (m, 1H, H-2), 8.11 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-8), 7.74 (m, 1H, H-5; 2H, $H_{o'}$ from Ph), 7.63 (m, 1H, H-4; m, 2H, H_o from Ph), 7.50 (m, 1H, H-7), 7.45 (m, 1H, H-6), 7.40-7.30 (m, 6H, $H_{m,m',p,p'}$ from Ph), 6.21 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 190.6 (C=O), 168.3 (C_α), 147.9 (C-3), 145.8 (C-8a), 144.4 (C-2), 138.5 ($C_{i'}$ from Ph), 133.2 (C_i from Ph), 132.7 ($C_{p'}$ from Ph), 130.7 (C-8), 129.5 (C-4a), 129.0 (C_p from Ph), 129.1 (C_m from Ph), 128.5 ($C_{m'}$ from Ph), 128.2 (C-7), 128.3 ($C_{o,o'}$ from Ph), 127.6 (C-6), 127.5 (C-5), 124.8 (C-4), 106.4 (C_β); IR (microlayer): 1662 (C=O), 1601 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{24}H_{18}NO_2^+$ [M + H]$^+$: 352.1338; found: 352.1339.

4.2.2. 1,3-Diphenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (*3b*)

Following the general procedure, **3b** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **3b** was isolated as a brown oil (162 mg, 92% yield). *E*:*Z*-isomer 30:70 (^1H NMR); (***Z***)**-isomer** ^1H NMR (400 MHz, $CDCl_3$): δ = 8.71 (m, 1H, H-2), 7.95 (d, $^3J_{3,4}$ = 9.2 Hz, 1H, H-4), 7.88 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-8; m, 2H, $H_{o'}$ from Ph), 7.72 (m, 2H, H_o from Ph), 7.47 (m, 1H, H_p from Ph), 7.45-7.35 (m, 6H, H-7, $H_{m,m',p'}$ from Ph), 7.24 (m, 1H, H-3), 7.13 (m, 1H, H-5), 7.07 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 189.6 (C=O), 160.5 (C_α), 155.3 (C-6), 148.8 (C-2), 144.8 (C-8a), 138.6 ($C_{i'}$ from Ph), 135.3 (C-4), 134.1 (C_i from Ph), 132.9 ($C_{p'}$ from Ph), 131.2 (C-8), 131.0 (C_p from Ph), 129.1 (C_m from Ph), 129.0 (C-4a), 128.6 ($C_{m'}$ from Ph), 128.5 ($C_{o'}$ from Ph), 127.2 (C_o from Ph), 121.7 (C-7), 121.5 (C-3), 111.2 (C-5), 111.1 (C_β); (***E***)**-isomer** ^1H NMR (400 MHz, $CDCl_3$): δ = 8.87 (m, 1H, H-2), 8.07 (d, $^3J_{3,4}$ = 9.2 Hz, 1H, H-4), 8.16 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-8), 7.73 (m, 2H, $H_{o'}$ from Ph), 7.64 (m, 2H, H_o from Ph), 7.59 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-7), 7.55 (m, 1H, H-5), 7.40-7.25 (m, 7H, H-3, $H_{m,m',p,p'}$ from Ph), 6.21 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 190.6 (C=O), 168.8 (C_α), 152.3 (C-6), 150.2 (C-2), 146.1 (C-8a), 138.7 ($C_{i'}$ from Ph), 135.6 (C-4), 133.6 (C_i from Ph), 132.6 ($C_{p'}$ from Ph), 132.0 (C_p from Ph), 130.6 (C-8), 129.5 (C_m from Ph), 129.1 (C-4a), 128.4 ($C_{m'}$ from Ph), 128.3 ($C_{o'}$ from Ph), 128.2 (C_o from Ph), 124.2 (C-7), 121.9 (C-3), 117.4 (C-5), 105.9 (C_β); IR (microlayer): 1662 (C=O), 1600, 1576 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{24}H_{18}NO_2^+$ $[M + H]^+$: 352.1338; found: 352.1338.

*4.2.3. 1,3-Diphenyl-3-(quinolin-8-yloxy)prop-2-en-1-one (**3c**)*

Following the general procedure, **3c** was prepared from 8-hydroxyquinoline **1c** (73 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **3c** was isolated as an yellow oil (165 mg, 94% yield). *E*:*Z*-isomer ratio 30:70 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.89$ (m, 1H, H-2), 7.92 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.86 (m, 2H, $H_{o'}$ from Ph), 7.73 (m, 2H, H_o from Ph), 7.35-7.10 (m, 10H, H-3, H-5, H-6, H-7, $H_{m,m',p,p'}$ from Ph), 7.07 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 188.9$ (C=O), 161.2 (C_α), 152.8 (C-8), 149.6 (C-2, C-7), 139.7 (C-8a), 138.5 ($C_{i'}$ from Ph), 135.6 (C-4), 134.1 (C_i from Ph), 132.3 ($C_{p'}$ from Ph), 130.5 (C_p from Ph), 129.4 (C-4a), 128.6 (C_m from Ph), 128.2 ($C_{m'}$ from Ph), 128.1 ($C_{o'}$ from Ph), 127.0 (C_o from Ph), 126.1 (C-6), 121.8 (C-5), 121.5 (C-3), 109.8 (C_β); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.92$ (m, 1H, H-2), 8.03 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.81 (m, 2H, $H_{o'}$ from Ph), 7.69 (m, 2H, H_o from Ph), 7.55 (m, 1H, H-5), 7.42 (m, 1H, H-6), 7.35-7.10 (m, 7H, H-3, $H_{m,m',p,p'}$ from Ph), 7.06 (m, 1H, H-7), 5.99 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 188.9$ (C=O), 161.2 (C_α), 152.8 (C-8), 149.6 (C-2, C-7), 139.7 (C-8a), 138.5 ($C_{i'}$ from Ph), 135.6 (C-4), 134.1 (C_i from Ph), 132.3 ($C_{p'}$ from Ph), 130.5 (C_p from Ph), 129.4 (C-4a), 128.6 (C_m from Ph), 128.2 ($C_{m'}$ from Ph), 128.1 ($C_{o'}$ from Ph), 127.0 (C_o from Ph), 126.1 (C-6), 121.8 (C-5), 121.5 (C-3), 109.8 (C_β); IR (microlayer): 1662 (C=O), 1601, 1572 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{24}H_{18}NO_2^+$ $[M + H]^+$: 352.1338; found: 352.1340.

*4.2.4. 1-(3-Methoxyphenyl)-3-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (**3d**)*

Following the general procedure, **3d** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2b** (118 mg, 0.5 mmol); **3d** was isolated as a brown oil (184 mg, 96% yield). *E*:*Z*-isomer ratio 40:60 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.70$ (m, 1H, H-2), 7.97 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.86 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.72 (m, 2H, H_o from Ph), 7.50 (m, 1H, H-2′), 7.44 (m, 1H, H-6′), 7.40-7.25 (m, 5H, H-7, H-5′, $H_{m,p}$ from Ph), 7.18 (m, 1H, H-3), 7.14 (d, $^4J_{5,7} = 2.4$ Hz, 1H, H-5), 7.07 (s, 1H, H_β), 7.02 (m, 1H, H-4′), 3.70 (s, 3H, OMe); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 188.9$ (C=O), 160.5 (C_α), 159.8 (C-3′), 155.2 (C-6), 148.8 (C-2), 144.8 (C-8a), 139.9 (C-1′), 135.1 (C-4), 134.0 (C_i from Ph), 131.3 (C-8), 130.9 (C_p from Ph), 129.4 (C-5′), 129.0 (C_m from Ph), 128.9 (C-4a), 127.1 (C_o from Ph), 121.6 (C-7), 121.4 (C-3), 121.0 (C-6′), 119.4 (C-4′), 111.0 (C-2′), 112.5 (C-5), 105.9 (C_β), 55.4 (OMe); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.87$ (m, 1H, H-2), 8.16 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 8.07 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.65 (m, 2H, H_o from Ph), 7.59 (m, 1H, H-6′), 7.55 (m, 1H, H-2′), 7.40-7.25 (m, 5H, H-7, H-5′, $H_{m,p}$ from Ph), 7.28 (m, 1H, H-5), 7.22 (m, 1H, H-3), 6.21 (s, 1H, H_β), 6.95 (m, 1H, H-4′), 3.70 (s, 3H, OMe); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 190.1$ (C=O), 168.8 (C_α), 159.7 (C-3′), 152.3 (C-6), 150.2 (C-2), 146.1 (C-8a), 140.1 (C-1′), 135.5 (C-4), 133.6 (C_i from Ph), 131.9 (C-8), 130.5 (C_p from Ph), 129.3 (C-5′), 129.4 (C_m from Ph), 129.0 (C-4a), 128.1 (C_o from Ph), 124.2 (C-7), 121.8 (C-3), 120.9 (C-6′), 119.1 (C-4′), 117.3 (C-5), 112.6 (C-2′), 105.9 (C_β), 55.4 (OMe); IR (microlayer): 1661 (C=O), 1600, 1583 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{25}H_{20}NO_3^+$ $[M + H]^+$: 382.1443; found: 382.1444.

4.2.5. 1-(4-Nitrophenyl)-3-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (3e)

Following the general procedure, **3e** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2c** (126 mg, 0.5 mmol); **3e** was isolated as a dark-yellow powder (157 mg, 79% yield), mp 148−150 °C (EtOH). *E*:*Z*-isomer ratio 20:80 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.73$ (m, 1H, H-2), 8.18 (m, 2H, H-3′, H-5′), 7.95 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4; m, 2H, H-2′, H-6′), 7.88 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.73 (m, 2H, H_o from Ph), 7.63 (m, 1H, H_p from Ph), 7.45-7.30 (m, 3H, H-7, H_m from Ph), 7.25 (m, 1H, H-3), 7.11 (d, $^4J_{5,7} = 2.4$ Hz, 1H, H-5), 7.00 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 188.0$ (C=O), 162.4 (C_α), 154.9 (C-6), 149.2 (C-2, C-4′), 144.9 (C-8a), 143.5 (C-1′), 135.1 (C-4), 133.5 (C_i from Ph), 131.5 (C-8), 131.5 (C_p from Ph), 129.2 (C-2′, C-6′, C_m from Ph), 128.3 (C-4a), 127.4 (C_o from Ph), 123.6 (C-3′, C-5′), 121.6 (C-7), 121.3 (C-3), 111.2 (C-5), 110.3 (C_β); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.90$ (m, 1H, H-2), 8.16 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 8.10 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 8.07 (m, 2H, H-2′, H-6′), 7.95 (m, 2H, H-3′, H-5′), 7.45-7.30 (m, 7H, H-3, H-7, $H_{o,m,p}$ from Ph), 7.25 (m, 1H, H-5), 6.13 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 188.9$ (C=O), 171.2 (C_α), 150.5 (C-6), 149.9 (C-2), 149.7 (C-4′), 146.2 (C-8a), 143.7 (C-1′), 135.6 (C-4), 133.2 (C_i from Ph), 131.1 (C-8), 132.2 (C_p from Ph), 129.5 (C_m from Ph), 129.0 (C-4a), 128.8 (C-2′, C-6′), 128.3 (C_o from Ph), 123.5 (C-3′, C-5′), 124.0 (C-7), 122.0 (C-3), 117.7 (C-5), 104.7 (C_β); IR (microlayer): 1665 (C=O), 1598 (C=C), 1211 (NO_2) cm^{-1}; HRMS (ESI): m/z calcd for $C_{24}H_{17}N_2O_4^+$ $[M + H]^+$: 397.1188; found: 397.1188.

*4.2.6. 1-(2-Furyl)-3-phenyl-3-(quinolin-3-yloxy)prop-2-en-1-one (**3f**)*

Following the general procedure, **3f** was prepared from 3-hydroxyquinoline **1a** (73 mg, 0.5 mmol) and acetylene **2d** (98 mg, 0.5 mmol); **3f** was isolated as an yellow oil (166 mg, 97% yield). *E*:*Z*-isomer ratio 70:30 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.87$ (m, 1H, H-2), 8.01 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.72 (m, 2H, H-4, H-5), 7.71 (m, 2H, H_o from Ph), 7.55 (m, 2H, H-6, H-7), 7.45-7.35 (m, 3H, $H_{m,p}$ from Ph), 7.42 (m, 1H, H-5′), 7.19 (s, 1H, H_β), 7.18 (m, 1H, H-3′), 6.50 (m, 1H, H-4′); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 175.9$ (C=O), 161.3 (C_α), 152.0 (C-2′), 151.0 (C-3), 146.1 (C-5′), 145.9 (C-2), 144.5 (C-8a), 133.7 (C_i from Ph), 131.4 (C-8), 129.6 (C_m from Ph), 129.3 (C-4a), 129.2 (C_p from Ph), 128.2 (C_o from Ph), 127.6 (C-7), 127.2 (C-6), 127.1 (C-5), 118.0 (C-4), 117.0 (C-4′), 112.7 (C-3′), 109.2 (C_β); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.85$ (m, 1H, H-2), 8.14 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.88 (d, $^4J_{2,4} = 2.5$ Hz, 1H, H-4), 7.88 (d, $^3J_{5,6} = 9.0$ Hz, 1H, H-5), 7.71 (m, 2H, H_o from Ph), 7.55 (m, 2H, H-6, H-7), 7.45-7.35 (m, 4H, H-5′, $H_{m,p}$ from Ph), 7.00 (d, $^3J_{3',4'} = 4.5$ Hz, 1H, H-3′), 6.38 (m, 1H, H-4′), 6.24 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 177.5$ (C=O), 169.4 (C_α), 153.9 (C-2′), 147.9 (C-3), 145.9 (C-5′), 145.7 (C-2), 143.7 (C-8a), 133.2 (C_i from Ph), 130.9 (C-8), 129.6 (C_m from Ph), 129.18 (C_p from Ph), 129.16 (C-4a), 128.2 (C_o from Ph), 127.7 (C-7), 127.6 (C-6), 127.4 (C-5), 125.1 (C-4), 116.7 (C-4′), 112.4 (C-3′), 104.4 (C_β); IR (microlayer): 1657, 1601 (C=O), 1581 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{22}H_{16}NO_3^+$ $[M + H]^+$: 342.1130; found: 342.1135.

*4.2.7. 1-(2-Furyl)-3-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (**3g**)*

Following the general procedure, **3g** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2d** (98 mg, 0.5 mmol); **3g** was isolated as a dark-yellow oil (164 mg, 96% yield). *E*:*Z*-isomer ratio 20:80 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $\delta = 8.70$ (m, 1H, H-2), 8.01 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.86 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.73 (m, 2H, H_o from Ph), 7.53 (m, 1H, H_p from Ph), 7.37 (m, 3H, H-7, H_m from Ph), 7.50 (m, 2H, H-5, H-5′), 7.18 (m, 1H, H-3), 7.17 (m, 1H, H-3′), 7.17 (s, 1H, H_β), 6.45 (m, 1H, H-4′); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 176.0$ (C=O), 161.5 (C_α), 155.3 (C-6), 152.1 (C-2′), 148.7 (C-2), 146.1 (C-5′), 144.8 (C-8a), 135.1 (C-4), 134.0

(C_i from Ph), 131.3 (C-8), 131.1 (C_p from Ph), 129.0 (C_m from Ph), 128.3 (C-4a), 127.3 (C_o from Ph), 121.7 (C-7), 121.4 (C-3), 116.8 (C-4′), 112.6 (C-3′), 110.7 (C-5), 109.1 ($C_β$); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.88$ (m, 1H, H-2), 8.16 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 8.08 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.71 (m, 2H, H_o from Ph), 7.50 (m, 2H, H-5, H-5′), 7.40-7.30 (m, 5H, H-3, H-7, $H_{m,p}$ from Ph), 6.95 (m, 1H, H-3′), 6.35 (m, 1H, H-4′), 6.24 (s, 1H, $H_β$); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 177.6$ (C=O), 169.7 ($C_α$), 154.0 (C-6), 153.9 (C-2′), 150.2 (C-2), 145.7 (C-5′), 146.1 (C-8a), 135.6 (C-4), 133.5 (C_i from Ph), 131.9 (C-8), 130.6 (C_p from Ph), 129.5 (C_m from Ph), 128.9 (C-4a), 128.0 (C_o from Ph), 124.2 (C-7), 121.8 (C-3), 116.4 (C-4′), 112.3 (C-3′), 117.5 (C-5), 103.9 ($C_β$); IR (microlayer): 1656 (C=O), 1597 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{22}H_{16}NO_3^+$ [M + H]$^+$: 342.1130; found: 342.1132.

4.2.8. 1-(2-Furyl)-3-phenyl-3-(quinolin-8-yloxy)prop-2-en-1-one (*3h*)

Following the general procedure, **3h** was prepared from 8-hydroxyquinoline **1c** (73 mg, 0.5 mmol) and acetylene **2d** (98 mg, 0.5 mmol); **3h** was isolated as a dark-yellow oil (161 mg, 94% yield). *E*:*Z*-isomer ratio 30:70 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.95$ (m, 1H, H-2), 7.97 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.75 (m, 2H, H_o from Ph), 7.42 (m, 2H, H-5, H-6), 7.30-7.10 (m, 6H, H-3, H-7, H-5′, $H_{m,p}$ from Ph), 7.15 (s, 1H, $H_β$), 7.00 (d, $^3J_{3',4'} = 4.5$ Hz, 1H, H-3′), 6.36 (m, 1H, H-4′); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 175.7$ (C=O), 162.1 ($C_α$), 153.8 (C-8), 152.8 (C-2′), 149.6 (C-2), 145.6 (C-5′), 139.7 (C-8a), 135.6 (C-4), 134.1 (C_i from Ph), 130.6 (C_p from Ph), 129.4 (C-4a), 128.5 (C_m from Ph), 127.0 (C_o from Ph), 126.0 (C-6), 121.6 (C-5), 121.5 (C-3), 116.3 (C-4′), 113.1 (C-7), 112.2 (C-3′), 109.1 ($C_β$); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.88$ (m, 1H, H-2), 8.04 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.91 (m, 2H, H_o from Ph), 7.57 (m, 1H, H_p from Ph), 7.30-7.10 (m, 7H, H-3, H-5, H-6, H-7, H-5′, H_m from Ph), 6.85 (d, $^3J_{3',4'} = 4.5$ Hz, 1H, H-3′), 6.22 (m, 1H, H-4′), 6.08 (s, 1H, $H_β$); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 177.8$ (C=O), 170.7 ($C_α$), 153.6 (C-2′), 150.4 (C-2), 150.2 (C-8), 145.3 (C-5′), 140.7 (C-8a), 135.8 (C-4), 133.7 (C_i from Ph), 130.2 (C_p from Ph), 129.7 (C-4a), 129.7 (C_m from Ph), 127.4 (C_o from Ph), 126.2 (C-6), 125.2 (C-5), 121.7 (C-3), 120.4 (C-7), 116.4 (C-4′), 111.8 (C-3′), 103.7 ($C_β$); IR (microlayer): 1656 (C=O), 1598 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{22}H_{16}NO_3^+$ [M + H]$^+$: 342.1130; found: 342.1136.

4.2.9. 3-Phenyl-3-(quinolin-6-yloxy)-1-(2-thienyl)prop-2-en-1-one (*3i*)

Following the general procedure, **3i** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2e** (106 mg, 0.5 mmol); **3i** was isolated as a dark-yellow oil (172 mg, 96% yield). *E*:*Z*-isomer ratio 30:70 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.68$ (m, 1H, H-2), 7.98 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.83 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.70 (m, 3H, H-3′, H_o from Ph), 7.50 (m, 1H, H_p from Ph), 7.40-7.30 (m, 4H, H-5′, H-7, H_m from Ph), 7.16 (d, $^4J_{5,7} = 2.3$ Hz, 1H, H-5), 7.15 (m, 1H, H-3), 7.06 (s, 1H, $H_β$), 7.03 (m, 1H, H-4′); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 180.3$ (C=O), 160.7 ($C_α$), 155.1 (C-6), 148.7 (C-2), 146.1 (C-2′), 144.8 (C-8a), 133.8 (C-5′), 131.5 (C-4), 133.9 (C_i from Ph), 131.5 (C-4′), 131.2 (C-8), 131.0 (C_p from Ph), 129.0 (C_m from Ph), 128.0 (C-4a, C-3′), 127.2 (C_o from Ph), 121.6 (C-7), 121.3 (C-3), 110.9 (C-5), 110.2 ($C_β$); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.85$ (m, 1H, H-2), 8.15 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 8.06 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.52 (m, 1H, H-3′), 7.50 (m, 2H, H_o from Ph), 7.49 (d, $^4J_{5,7} = 2.4$ Hz, 1H, H-5), 7.40-7.35 (m, 5H, H-3, H-7, $H_{m,p}$ from Ph), 7.36 (m, 1H, H-5′), 6.89 (m, 1H, H-4′), 6.19 (s, 1H, $H_β$); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 181.7$ (C=O), 168.8 ($C_α$), 152.1 (C-6), 150.2 (C-2), 146.3 (C-8a), 146.0 (C-2′), 135.6 (C-4), 133.4 (C_i from Ph), 133.3 (C-5′), 131.8 (C-8), 131.2 (C-4′), 130.6 (C_p from Ph), 129.5 (C_m from Ph), 128.9 (C-4a), 128.3 (C_o from Ph), 127.9 (C-3′), 124.1 (C-7), 121.8 (C-3), 117.4 (C-5), 104.9 ($C_β$); IR (microlayer): 1645 (C=O), 1594 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{22}H_{16}NO_2S^+$ [M + H]$^+$: 358.0902; found: 358.0902.

4.2.10. 3-(4-Methylphenyl)-1-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (*3j*)

Following the general procedure, **3j** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2f** (110 mg, 0.5 mmol); **3j** was isolated as a brown oil (180 mg, 99% yield). *E*:*Z*-isomer ratio 20:80 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.68$ (m, 1H, H-2), 7.92 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.85 (m, 2H, $H_{o'}$ from Ph), 7.83 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.60 (m, 2H, H_o from Ph), 7.45-7.30 (m, 4H, H-7, $H_{m',p'}$ from Ph), 7.19 (dd, $^3J_{3,4} = 9.2$ Hz, $^3J_{2,3} = 4.6$ Hz, 1H, H-3), 7.17 (m, 2H, H_m from Ph), 7.12 (m, 1H, H-5), 7.05 (s, 1H, $H_β$), 2.28 (s, 3H, Me); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 189.2$ (C=O), 160.7 ($C_α$), 155.3 (C-6), 148.7 (C-2), 144.8 (C-8a), 141.5 (C_p from Ph), 138.7 ($C_{i'}$ from Ph), 135.1 (C-4), 131.8 (C_i from Ph), 132.6 ($C_{p'}$ from Ph), 131.2 (C-8), 129.7 (C_m from Ph), 128.8 (C-4a), 128.4 ($C_{m'}$ from Ph), 128.3 ($C_{o'}$ from Ph), 127.1 (C_o from Ph), 121.6 (C-7), 121.3 (C-3), 110.8 (C-5), 110.2 ($C_β$), 21.4 (Me); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 8.84$ (m, 1H, H-2), 8.14 (d, $^3J_{7,8} = 8.2$ Hz, 1H, H-8), 7.74 (m, 2H, $H_{o'}$ from Ph), 8.04 (d, $^3J_{3,4} = 9.2$ Hz, 1H, H-4), 7.55 (m, 3H, H-3, H_o from Ph), 7.52 (m, 1H, H-5), 7.45-7.30 (m, 4H, H-7, $H_{m',p'}$ from Ph), 7.14 (m, 2H, H_m from Ph), 6.21 (s, 1H, $H_β$), 2.29 (s, 3H, Me) ppm. ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 190.4$ (C=O), 168.9 ($C_α$), 152.4 (C-6), 150.0 (C-2), 146.0 (C-8a), 140.9 (C_p from Ph), 138.8 ($C_{i'}$ from Ph), 135.5 (C-4), 130.6 (C_i from Ph), 132.4 ($C_{p'}$ from Ph), 131.1 (C-8), 129.4 (C_m from Ph), 129.0 (C-4a), 128.4 ($C_{m'}$ from Ph), 128.3 ($C_{o'}$ from Ph), 128.1 (C_o from Ph), 124.1 (C-7), 121.8 (C-3), 117.2 (C-5), 105.5 ($C_β$), 21.5 (Me); IR (microlayer): 1661 (C=O), 1597 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{25}H_{20}NO_2^+$ [M + H]$^+$: 366.1494; found: 366.1496.

4.2.11. (2E)-1-Phenyl-3-(5-phenyl-1H-pyrrol-2-yl)-3-(quinolin-6-yloxy)prop-2-en-1-one (*3k*)

Following the general procedure, **3k** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2g** (136 mg, 0.5 mmol). In this case 1.2 equivalents of KOH were used. **3k** was isolated as a brown oil (182 mg, 87% yield); ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 14.76$ (br. s, 1H, NH), 8.84 (m, 1H, H-2), 8.14 (d, $^3J_{3,4} = 9.1$ Hz, 1H, H-4), 8.02 (d, $^3J_{7,8} = 8.8$ Hz, 1H, H-8), 7.54 (dd, $^3J_{7,8} = 8.8$ Hz, $^4J_{5,7} = 2.6$ Hz, 1H, H-7), 7.46 (d, $^4J_{5,7} = 2.6$ Hz, 1H, H-5), 7.35-7.25 (m, 11H, H-3, $H_{o,m,p,o',m',p'}$ from Ph and Bz), 7.11 (m, 1H, H-3′ from pyrrolyl), 6.74 (m, 1H, H-4′ from pyrrolyl), 6.27 (s, 1H, $H_β$); ^{13}C NMR (101 MHz, $CDCl_3$): $δ = 189.1$ (C=O), 162.0 ($C_α$, C-2), 153.4 (C-6), 145.8 (C-8a), 140.3 (C-5′ from pyrrolyl), 137.6 ($C_{i'}$ from Bz), 136.7 (C-4), 131.5 (C_i from Ph), 132.1 ($C_{p'}$ from Bz), 131.8 (C-8), 129.1 (C_m from Ph), 128.5 ($C_{m'}$ from Bz), 128.3 (C-2′ from pyrrolyl, C_p from Ph), 127.9 ($C_{o'}$ from Bz), 127.8 (C-4a), 124.8 (C_o from Ph), 121.9 (C-3′ from pyrrolyl), 118.3 (C-7), 123.8 (C-3), 116.0 (C-5), 109.4 (C-4′ from pyrrolyl), 100.3 ($C_β$); IR (microlayer): 1627 (C=O), 1575 (C=C) cm^{-1}; HRMS (ESI): m/z calcd for $C_{28}H_{21}N_2O_2^+$ [M + H]$^+$: 417.1603; found: 417.1603.

4.2.12. (E)-3-(5-(3-Fluorophenyl)-1H-pyrrol-2-yl)-1-phenyl-3-(quinolin-6-yloxy)prop-2-en-1-one (*3l*)

Following the general procedure, **3l** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2h** (145 mg, 0.5 mmol). In this case 1.2 equivalents of KOH were used. **3l** was isolated as a brown oil (152 mg, 70% yield). ^{1}H NMR (400 MHz, $CDCl_3$): $δ = 14.29$ (br. s, 1H, NH), 8.86 (m, 1H, H-2), 8.16 (d, $^3J_{3,4} = 9.0$ Hz, 1H, H-4), 8.06 (d, $^3J_{7,8} = 8.8$ Hz, 1H, H-8), 7.72 (m, 2H, H_o from Bz), 7.57 (m, 1H, H-2 from 3-FC_6H_4), 7.54 (m, 1H, H-7), 7.49 (d, $^4J_{5,7} = 2.5$ Hz, 1H, H-5), 7.74 (m, 1H, H-6 from 3-FC_6H_4), 7.27

(m, 1H, H-3), 7.35-7.25 (m, 4H, H-5 from 3-FC_6H_4 and $H_{m,p}$ from Bz), 7.10 (m, 1H, H-3′ from pyrrolyl), 6.97 (m, 1H, H-4 from 3-FC_6H_4), 6.72 (m, 1H, H-4′ from pyrrolyl), 6.29 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 189.2 (C=O), 163.3 (d, $^1J_{CF}$ = 252.0 Hz, C-3 from 3-FC_6H_4), 162.1 (C_α), 153.2 (C-6), 150.1 (C-2), 145.9 (C-8a), 140.2 (C-5′ from pyrrolyl), 136.1 (C_i from Bz), 135.7 (C-4), 133.7 (d, $^3J_{CF}$ = 4.0 Hz, C-1 from 3-FC_6H_4), 132.6 (C_p from Bz), 132.3 (C-8), 130.8 (d, $^3J_{CF}$ = 8.0 Hz, C-5 from 3-FC_6H_4), 128.6 (C_m from Bz), 128.2 (C-2′ from pyrrolyl), 128.0 (C_o from Bz), 127.9 (C-4a), 124.4 (C-3), 121.9 (C-3′ from pyrrolyl), 120.4 (d, $^4J_{CF}$ = 3.0 Hz, C-6 from 3-FC_6H_4), 118.0 (C-7), 116.3 (C-5), 114.6 (d, $^2J_{CF}$ = 21.0 Hz, C-2 from 3-FC_6H_4), 111.7 (d, $^2J_{CF}$ = 23.0 Hz, C-4 from 3-FC_6H_4), 109.9 (C-4′ from pyrrolyl), 100.5 (C_β); IR (microlayer): 1625 (C=O), 1616, 1578 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{28}H_{20}FN_2O_2^+$ [M + H]$^+$: 435.1509; found: 435.1509.

*4.2.13. 1-Ethyl-1-methyl-4-oxo-4-phenyl-2-(quinolin-6-yloxy)but-2-en-1-yl benzoate (**3m**)*

Following the general procedure, **3m** was prepared from 6-hydroxyquinoline **1b** (73 mg, 0.5 mmol) and acetylene **2i** (153 mg, 0.5 mmol); **3m** was isolated as an yellow oil (166 mg, 74% yield). *E*:*Z*-isomer ratio 65:35 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (m, 1H, H-2), 8.03 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-8), 8.03 (m, 2H, $H_{o'}$ from Ph), 7.94 (d, $^3J_{3,4}$ = 9.2 Hz, 1H, H-4), 7.82 (m, 1H, H_p from Ph), 7.65 (m, 2H, H_o from Ph), 7.50 (m, 1H, $H_{p'}$ from Ph), 7.45-7.15 (m, 7H, H-3, H-5, H-7, $H_{m,m'}$ from Ph), 6.51 (s, 1H, H_β), 2.35, 2.24 (sxt, $^2J_{H,H(CH2)}$ = 12.0 Hz, $^3J_{H,H(CH2,Me)}$ = 8.0 Hz, 2H, CH_2), 1.91 (s, 3H, Me), 1.14 (t, 3H, CH<u>Me</u>); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 190.3 (C=O), 164.2 (C_α), 165.3 [-OC(O)], 155.1 (C-6), 148.9 (C-2), 144.8 (C-8a), 138.1 ($C_{i'}$ from Ph), 135.1 (C-4), 133.1 (C_p from Ph), 132.6 ($C_{p'}$ from Ph), 131.0 (C-8), 130.7 (C_i from Ph), 128.7 (C-4a), 128.3 ($C_{o'}$ from Ph), 128.2 ($C_{m,m'}$ from Ph), 128.1 (C_o from Ph), 121.4 (C-7), 121.3 (C-3), 111.6 (C-5), 107.7 (C_β), 83.6 ($C_{quat.}$), 31.9 (CH_2), 22.3 (Me), 8.18 (CH_2<u>Me</u>); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.85 (m, 1H, H-2), 8.17 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-8), 8.07 (d, $^3J_{3,4}$ = 9.2 Hz, 1H, H-4), 7.94 (m, 2H, $H_{o'}$ from Ph), 7.82 (m, 1H, H_p from Ph), 7.65 (m, 2H, H_o from Ph), 7.60 (d, $^3J_{7,8}$ = 8.2 Hz, 1H, H-7), 7.56 (d, $^4J_{5,7}$ = 2.4 Hz, 1H, H-5), 7.45-7.15 (m, 6H, H-3, $H_{m,m',p'}$ from Ph), 5.74 (s, 1H, H_β), 2.57, 2.42 (sxt, $^2J_{H,H(CH2)}$ = 12.0 Hz, $^3J_{H,H(CH2,Me)}$ = 8.0 Hz, 2H, CH_2), 1.96 (s, 3H, Me), 1.20 (t, 3H, CH<u>Me</u>); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 191.3 (C=O), 168.7 (C_α), 165.4 [-OC(O)], 151.7 (C-6), 150.2 (C-2), 146.3 (C-8a), 138.3 ($C_{i'}$ from Ph), 135.5 (C-4), 132.7 (C_p from Ph), 132.6 ($C_{p'}$ from Ph), 131.0 (C-8), 130.7 (C_i from Ph), 129.6 (C_m from Ph), 129.0 (C-4a), 128.5 (C_o from Ph), 128.3 ($C_{o'}$ from Ph), 128.2 ($C_{m'}$ from Ph), 124.8 (C-7), 121.7 (C-3), 118.2 (C-5), 104.0 (C_β), 83.4 ($C_{quat.}$), 31.6 (CH_2), 22.5 (Me), 8.32 (CH_2<u>Me</u>); IR (microlayer): 1716, 1661 (C=O), 1602 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{29}H_{26}NO_4^+$ [M + H]$^+$: 452.1862; found: 452.1859.

*4.2.14. (Z)-3-[(4-Methylquinolin-2-yl)oxy]-1,3-diphenylprop-2-en-1-one (**5a**)*

Following the general procedure, **5a** was prepared from 4-methylquinolin-2(1H)-one **1d** (81 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **5a** was isolated as a light-yellow powder (156 mg, 85% yield), mp 209–211 °C (EtOH). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.87 (m, 2H, $H_{o'}$ from Ph), 7.83 (s, 1H, H_β), 7.67 (d, $^3J_{5,6}$ = 8.0 Hz, 1H, H-5), 7.53 (m, 2H, H_o from Ph), 7.47 (m, 1H, $H_{p'}$ from Ph), 7.40-7.32 (m, 5H, $H_{m,m',p}$ from Ph), 7.29 (m, 1H, H-7), 7.15 (m, 1H, H-6), 7.09 (d, $^3J_{7,8}$ = 8.4 Hz, 1H, H-8), 6.59 (s, 1H, H-3), 2.47 (s, 3H, Me); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 188.6 (C=O), 161.2 (C-2), 148.1 (C_α), 146.3 (C-4), 139.6 (C-8a), 138.2 ($C_{i'}$ from Ph), 134.9 (C_i from Ph), 133.1 ($C_{p'}$ from Ph), 130.9 (C-7), 130.5 (C_p from Ph), 129.4 (C_m from Ph), 128.6 ($C_{m'}$ from Ph), 128.5 ($C_{o'}$ from Ph), 126.7 (C_o from Ph), 125.2 (C-5), 122.4 (C-6), 122.3 (C-3), 121.5 (C-8), 121.2 (C-4a), 115.8 (C_β), 19.4 (Me); IR (microlayer): 1662 (C=O), 1597 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{25}H_{20}NO_2^+$ [M + H]$^+$: 366.1494; found: 366.1497.

*4.2.15. 1-[3-Oxo-1,3-diphenylprop-1-en-1-yl]quinolin-4(1H)-one (**5b**)*

Following the general procedure, **5b** was prepared from quinolin-4(1*H*)-one **1e** (73 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **5b** was isolated as an yellow powder (157 mg, 89% yield), mp 150–154 °C (EtOH). *E*:*Z*-isomer ratio 15:85 (^{1}H NMR); (***Z***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.35 (d, $^3J_{5,6}$ = 7.2 Hz, 1H, H-5), 7.84 (m, 2H, $H_{o'}$ from Ph), 7.60 (s, 1H, H_β), 7.52-7.35 (m, 9H, H-6, H-7, H-8, $H_{o,m',p',p}$ from Ph), 7.25 (m, 2H, H_m from Ph), 7.10 (d, $^3J_{2,3}$ = 7.8 Hz, 1H, H-2), 6.30 (d, $^3J_{2,3}$ = 7.8 Hz, 1H, H-3); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 188.9 (C=O), 178.5 (C-4), 149.2 (C_α), 142.6 (C-2), 140.4 (C-8a), 137.5 ($C_{i'}$ from Ph), 134.9 (C_i from Ph), 133.8 ($C_{p'}$ from Ph), 131.8 (C-7), 132.1 (C_p from Ph), 129.8 (C_m from Ph), 128.9 ($C_{m'}$ from Ph), 128.4 ($C_{o'}$ from Ph), 126.8 (C-4a, C-5, C_o from Ph), 124.1 (C-6), 122.1 (C-8), 117.7 (C-3), 110.9 (C_β); (***E***)**-isomer** ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.42 (d, $^3J_{5,6}$ = 7.6 Hz, 1H, H-5), 7.97 (m, 2H, $H_{o'}$ from Ph), 7.73 (d, $^3J_{7,8}$ = 7.8 Hz, 1H, H-8), 7.52-7.35 (m, 10H, H-6, H-7, $H_{o,m,p,m',p'}$ from Ph), 7.26 (m, 1H, H-2), 7.02 (s, 1H, H_β), 6.37 (d, $^3J_{2,3}$ = 7.8 Hz, 1H, H-3); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 191.5 (C=O), 178.5 (C-4), 149.8 (C_α), 142.5 (C-2), 140.4 (C-8a), 137.5 ($C_{i'}$ from Ph), 134.9 (C_i from Ph), 134.1 ($C_{p'}$ from Ph), 131.2 (C-7), 132.4 (C_p from Ph), 129.2 (C_m from Ph), 129.0 ($C_{m'}$ from Ph), 128.8 ($C_{o'}$ from Ph), 127.0 (C-4a), 126.8 (C-5, C_o from Ph), 124.5 (C-6), 122.1 (C-8), 117.9 (C-3), 111.0 (C_β); IR (microlayer): 1662, 1624 (C=O), 1603 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{24}H_{18}NO_2^+$ [M + H]$^+$: 352.1338; found: 352.1333.

*4.2.16. (Z)-3-[(2-Methylquinolin-4-yl)oxy]-1,3-diphenylprop-2-en-1-one (**5c**)*

Following the general procedure, **5c** was prepared from 2-methylquinolin-4(1*H*)-one **1f** (81 mg, 0.5 mmol) and acetylene **2a** (103 mg, 0.5 mmol); **5c** was isolated as an yellow powder (174 mg, 95% yield), mp 218–220 °C (EtOH). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.37 (d, $^3J_{5,6}$ = 7.8 Hz, 1H, H-5), 8.03 (s, 1H, H_β), 7.89 (m, 2H, $H_{o'}$ from Ph), 7.53 (m, 1H, H-7), 7.50-7.35 (m, 7H, H-8, $H_{o,m',p',p}$ from Ph), 7.34 (m, 1H, H-6), 7.21 (m, 2H, H_m from Ph), 6.33 (s, 1H, H-3), 2.22 (s, 3H, Me); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 187.8 (C=O), 178.2 (C-4), 150.4 (C-2), 146.5 (C_α), 141.2 (C-8a), 137.4 ($C_{i'}$ from Ph), 134.5 (C_i from Ph), 133.8 ($C_{p'}$ from Ph), 132.0 (C_p from Ph), 131.8 (C-7), 129.9 (C_m from Ph), 129.0 ($C_{m'}$ from Ph), 128.3 ($C_{o'}$ from Ph), 126.5 (C-5), 126.4 (C_o from Ph), 126.0 (C-4a), 123.6 (C-6), 122.5 (C-8), 116.6 (C-3), 111.7 (C_β), 21.1 (Me); IR (microlayer): 1664, 1623 (C=O), 1610 (C=C) cm^{-1}; HRMS (ESI): *m*/*z* calcd for $C_{25}H_{20}NO_2^+$ [M + H]$^+$: 366.1494; found: 366.1493.

*4.2.17. (Z)-1-(2-Furyl)-3-phenyl-3-(quinolin-4-yloxy)prop-2-en-1-one (**5d**)*

Following the general procedure, **5d** was prepared from quinolin-4(1*H*)-one **1g** (73 mg, 0.5 mmol) and acetylene **2d** (98 mg, 0.5 mmol); **5d** was isolated as an yellow powder (148 mg, 87% yield), mp 206–207 °C (EtOH). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.31 (d, $^3J_{5,6}$ = 8.0 Hz, 1H, H-5), 7.59 (s, 1H, H_β), 7.49 (m, 1H, H-5′), 7.37 (m, 4H, $H_{o,m}$ from Ph), 7.33 (m, 2H, H-7, H-8), 7.27 (m, 1H, H-6), 7.17 (m, 1H, H_p from Ph), 7.15 (m, 1H, H-3′), 6.94 (d, $^3J_{2,3}$ = 8.6 Hz, 1H, H-2), 6.46 (m, 1H, H-4′), 6.28 (d, $^3J_{2,3}$ = 8.6 Hz, 1H, H-3); ^{13}C NMR (101 MHz, $CDCl_3$): δ = 178.7 (C-4), 175.2 (C=O), 150.1 (C_α), 153.7 (C-2′), 146.9 (C-5′), 142.7 (C-2), 140.3 (C-8a), 134.9 (C_i from Ph), 132.1 (C_p from Ph), 132.0 (C-7), 129.7 (C_m from Ph), 127.0 (C_o from Ph), 127.0 (C-4a), 126.8 (C-5), 124.0 (C-6), 119.1 (C-8), 118.2 (C-3), 117.5 (C-4′), 113.3 (C-3′), 110.9 (C_β); IR (microlayer): 1657, 1623 (C=O), 1602 (C═C) cm^{-1}; HRMS (ESI): *m/z* calcd for $C_{22}H_{16}NO_3^+$ $[M + H]^+$: 342.113; found: 342.1133.

4.3. Procedure for synthesis of 3-((3-benzoyl-2-phenylquinolin-6-yl)oxy)-1,3-diphenylprop-2-en-1-one (4b)

A mixture of benzoylphenyl-ethenyloxyquinoline **3b** (202 mg, 0.58 mmol), acetylene **2a** (119 mg, 0.58 mmol), KOH (6 mg, 20 mol %), H_2O (574 mg, 32 mmol) and acetonitrile (1 mL) was placed in a 10-mL round-bottom flask (air atmosphere) with stir bar and stirred at 55-60°C for 48 h. Then the reaction mixture was cooled, solvents were evaporated at a low pressure and the residue was passed through the chromatography column deliver to the target product **4b** (73 mg, 24%) as an orange oil. *E*:*Z*-isomer ratio 15:85 (^1H NMR); (***Z***)**-isomer** ^1H NMR ($CDCl_3$): $\delta = 8.11$ (d, $^3J_{7,8} = 8.0$ Hz, 1H, H-8), 8.05 (s, 1H, H-4), 7.90 (m, 2H, H_o from C_β-Bz), 7.73 (m, 2H, H_o from C_α-Ph), 7.63 [m, 2H, H_o from C(2)-Ph], 7.51 [m, 2H, H_o from C(3)-Bz], 7.49 (m, 1H, H-7), 7.45-7.20 [m, 12H, $H_{m,p}$ from C(2)-Ph, C(3)-Bz, C_α-Ph, C_β-Bz], 7.19 (d, $^4J_{5,7} = 2.5$ Hz, 1H, H-5), 7.11 (s, 1H, H_β); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 197.0$ ($C_\gamma = O$), 189.3 (C═O), 160.3 (Cα), 155.9 (C-2, C-6), 145.0 (C-8a), 139.7 [C_i from C(2)-Ph], 138.6 (C_i from C_β-Bz), 137.0 [C_i from C(3)-Bz], 136.6 (C-4), 134.2 (C_i from C_α-Ph), 133.9 (C-3), 133.4 [C_p from C(3)-Bz], 133.0 (C_p from C_β-Bz), 131.6 (C_p from C_α-Ph), 131.1 (C-8), 130.0 [C_o from C(2)-Ph], 129.7 (C_m from C_α-Ph), 129.2 [C_m from C(2)-Ph], 128.7 [C_p from C(2)-Ph], 128.6 (C_m from C_β-Bz), 128.5 (C_o from C_β-Bz), 128.4 [$C_{o,m}$ from C(3)-Bz], 127.2 (C_o from C_α-Ph), 126.6 (C-4a), 123.5 (C-7), 111.3 (C-5), 111.0 (C_β); (***E***)**-isomer** ^1H NMR ($CDCl_3$): $\delta = 8.26$ (s, 1H, H-4), 8.20 (d, $^3J_{7,8} = 8.0$ Hz, 1H, H-8), 6.28 (s, 1H, H_β), other signals are overlapped with major isomer; IR (microlayer): 1663 (C═O), 1595 (C═C) cm^{-1}; HRMS (ESI): *m/z* calcd for $C_{37}H_{26}NO_3^+$ $[M + H]^+$: 532.1913; found: 532.1915.

4.4. Procedure for synthesis of 1,3-diphenyl-3-(quinolin-6-yloxy)prop-2-en-1-ol (6b)

A solution of benzoylphenylethenyloxyquinoline **3b** (170 mg, 0.48 mmol), $NaBH_4$ (90 mg, 2.40 mmol) in 1 mL of EtOH was placed in a 10-mL round-bottom flask (air atmosphere) with stir bar and stirred at 20-25°C for 4 h. Then the reaction mixture was concentrated under the low pressure, dissolved with Et_2O (2 mL) and washed with H_2O (3 × 1 mL). Organic layer was dried under $MgSO_4$, filtered and concentrated in vacuo. The crude mixture was purified *via* silica gel to afford the title compound **6b** (116 mg, 64%) as beige gum. *E*:*Z*-isomer ratio 25:75 (^1H NMR); (***Z***)**-isomer** ^1H NMR (400 MHz, $CDCl_3$): $\delta = 8.57$ (m, 1H, H-2), 7.91 (d, $^3J_{7,8} = 7.6$ Hz, 1H, H-8), 7.74 (d, $^3J_{3,4} = 7.6$ Hz, 1H, H-8), 7.49 (m, 2H, H_o from C_γ-Ph), 7.40-7.20 (m, 10H, H-3, H-7, $H_{o,m,p}$ from Ph, $H_{m,p}$ from C_γ-Ph), 7.03 (s, 1H, H-5), 6.18 (d, $^3J_{\beta,\gamma} = 8.8$ Hz, 1H, H_β), 5.76 (d, $^3J_{\beta,\gamma} = 8.8$ Hz, 1H, H_γ), 3.95 (br. S, 1H, OH); ^{13}C NMR (101 MHz, $CDCl_3$): $\delta = 155.2$ (C-6), 149.4 (C_α), 148.3 (C-2), 144.3 (C-8a), 143.5 (C_i from C_γ-Ph), 135.6 (C_i from C_α-Ph), 135.4 (C-4), 134.0 (C-8), 131.0 (C_p from C_α-Ph), 129.2 (C-4a), 128.7 (C_m from C_α-Ph), 128.6 (C_m from C_γ-Ph), 128.5 (C_p from C_γ-Ph), 127.7 (C_β), 126.2 (C_o from C_α-Ph), 125.9 (C_o from C_γ-Ph),121.8 (C-7), 121.5 (C-3), 110.0 (C-5), 68.8 (Cγ); (***E***)**-isomer** ^1H NMR (400 MHz, $CDCl_3$): $\delta = 8.54$ (m, 1H, H-2), 7.86 (m, H-4, H-8), 7.61 (m, 2H, H_o from C_γ-Ph), 5.60 (d, $^3J_{\beta,\gamma} = 9.9$ Hz, 1H, H_β), 5.48 (d, $^3J_{\beta,\gamma} = 9.9$ Hz, 1H, H_γ). Other signals in ^1H NMR were overlapped with signals of major isomer. Signals in ^{13}C NMR were poor registrated due to the low concentration of isomer. IR (microlayer): 3200 (OH), 1621 (C═C) cm^{-1}; HRMS (ESI): *m/z* calcd for $C_{24}H_{20}NO_2^+$ $[M + H]^+$: 354.1494; found: 354.1496.

Declaration of competing interest

The authors declare that they have no known competing financial interests or personal relationships that could have appeared to influence the work reported in this paper.

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Appendix A. Supplementary data

Supplementary data to this article can be found online at https://doi.org/10.1016/j.tet.2020.131523.

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