RSC Advances

PAPER

View Article Online
View Journal | View Issue

Cite this: *RSC Adv.*, 2022, **12**, 21066

Synthesis of pyrano[3,2-*c*]quinolones and furo[3,2-*c*]quinolones *via* acid-catalyzed tandem reaction of 4-hydroxy-1-methylquinolin-2(1*H*)-one and propargylic alcohols†

Haiting Yin,[a] Yunjun Wu,[a] Xiaoxia Gu,[a] Zhijun Feng,[a] Meifang Wang,[ab] Dexiang Feng,[a] Ming Wang,[a] Ziyang Cheng[a] and Shaoyin Wang *[ab]

Received 1st June 2022
Accepted 17th July 2022

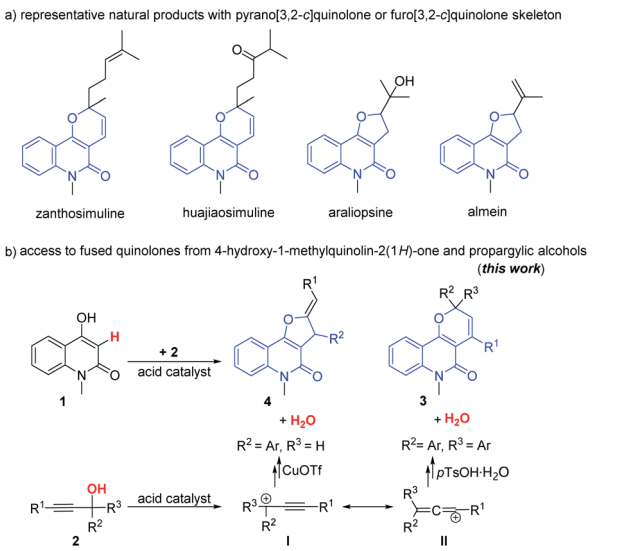
DOI: 10.1039/d2ra03416f

rsc.li/rsc-advances

Two acid-catalyzed tandem reactions between 4-hydroxy-1-methylquinolin-2(1*H*)-one and propargylic alcohols are described. Depending mainly on the propargylic alcohol used, these tandem reactions proceed *via* either a Friedel–Crafts-type allenylation followed by 6-*endo*-dig cyclization sequence to form pyrano[3,2-*c*]quinolones or a Friedel–Crafts-type alkylation and 5-*exo*-dig ring closure sequence to afford furo[3,2-*c*]quinolones in moderate-to-high yields. The pyrano[3,2-*c*]quinolones products could be further transformed to tetracyclic 4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one derivatives.

Introduction

Pyrano[3,2-*c*]quinolone is a structural motif occurring in a number of natural products[1] with a wide range of important biological activities such as anticancer,[2] antibacterial,[2] antimalarial,[3] antiinflammatory[4] and antifungal[5] properties and inhibition of calcium signaling,[6] TNF-α,[7] platelet aggregation,[8] and nitric oxide production.[9] For example, alkaloids zanthosimuline and huajiaosimuline[10] exhibit cytotoxicity against cancer cells, which is considered as potential anticancer agents (Scheme 1a). In addition, furo[3,2-*c*]quinolone derivatives such as araliopsine and almein are principally isolated from Rutaceae species[11] (Scheme 1a). Furo[3,2-*c*]quinolone hybrids are a significant class of angularly fused tricyclic compounds among the great variety of furan derivatives, which have been shown to exhibit biological and pharmacological activity such as antimicrobial, insecticidal, antiarrhythmic, antimalarial, antiplatelet aggregation and sedative,[1,12] photochemical treatment in clinic therapeutic field[13] and blocking activities of the voltage-gated potassium channel Kv1.3.[14] Consequently, a large number of procedures have been developed for the construction of these highly useful structures.[15] However, current methods more or less suffer from limited substrate scope, complicated catalyst or noble metal catalyst systems, not easily accessible starting materials, or multistep manipulations, the development of simple methods with wide product diversity is still highly desirable. Propargylic alcohols are readily accessible synthetic building blocks in organic synthesis.[16] Over the past few decades, the development of Lewis acid-catalyzed tandem annulations of propargylic alcohols has attracted interests from synthetic chemists, especially for the construction of various heterocyclic skeletons including pyrroles,[17] furans,[18] pyrans,[19]



Scheme 1 Representative natural products with pyrano[3,2-*c*]quinolone or furo[3,2-*c*]quinolone skeleton.

[a]*Department of Chemistry, Institute of Synthesis and Application of Medical Materials, Chunhui Scientific Research Interest Group, Wannan Medical College, Wuhu 241002, China*

[b]*The Key Laboratory of Antiinflammatory and Immune Medicine, Ministry of Education, Anhui Medical University, Hefei 230032, China. E-mail: wsychem@163.com*

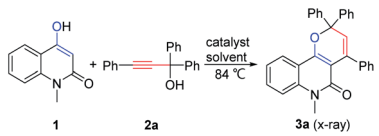
† Electronic supplementary information (ESI) available: Copies of ^{1}H and ^{13}C NMR spectra for newly synthesized compounds, CIF for compounds **3a**, **3z**, **4g** and **6a**. CCDC 2160295, 2189110, 2160296 and 2168808. For ESI and crystallographic data in CIF or other electronic format see https://doi.org/10.1039/d2ra03416f

carbazoles,[20] quinolines,[21] and tetrahydro-β-carbolines.[22] We herein describe the development of acid-catalyzed formal [3 + 3]/[3 + 2] cascade annulation processes for the construction of pyrano[3,2-*c*]quinolone/furo[3,2-*c*]quinolone derivatives from 4-hydroxy-1-methylquinoline-2(1*H*)-one and propargylic alcohols (Scheme 1b).

Results and discussion

Our initial studies commenced with the reaction of 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** and propargylic alcohol **2a** (Table 1). No reaction occurred in the absence of an acid catalyst (Table 1, entry 1). Using 1,2-DCE (1,2-dichloroethane) as solvent, five Lewis acid catalysts and four Brønsted acid catalysts were screened and *p*TsOH·H_2O was found to be the most efficient one for this reaction (Table 1, entries 2–10). The product **3a** could be isolated in only 5% yield when the reaction was performed at 25 °C (Table 1, entry 11). Changing the solvent to THF, toluene, DMF (*N*,*N*-dimethylformamide) gave inferior results (Table 1, entries 12–14). Further screening of catalyst loading amount uncovered that 10 mol% was optimal for the reaction, while lower (5 mol%) or higher (20 mol%) loadings all led to no improvement in yields (Table 1, entries 15–16). Notably, relatively lower yields yet shorter reaction time were observed in the cases of metal Lewis acid catalysts, which might be due to faster decomposition of the propargylic alcohol **2a** under these conditions as observed by thin-layer chromatography (Table 1, entries 2–10). Moreover, it is worth mentioning that the reaction is tolerant of moisture and could be performed under air.

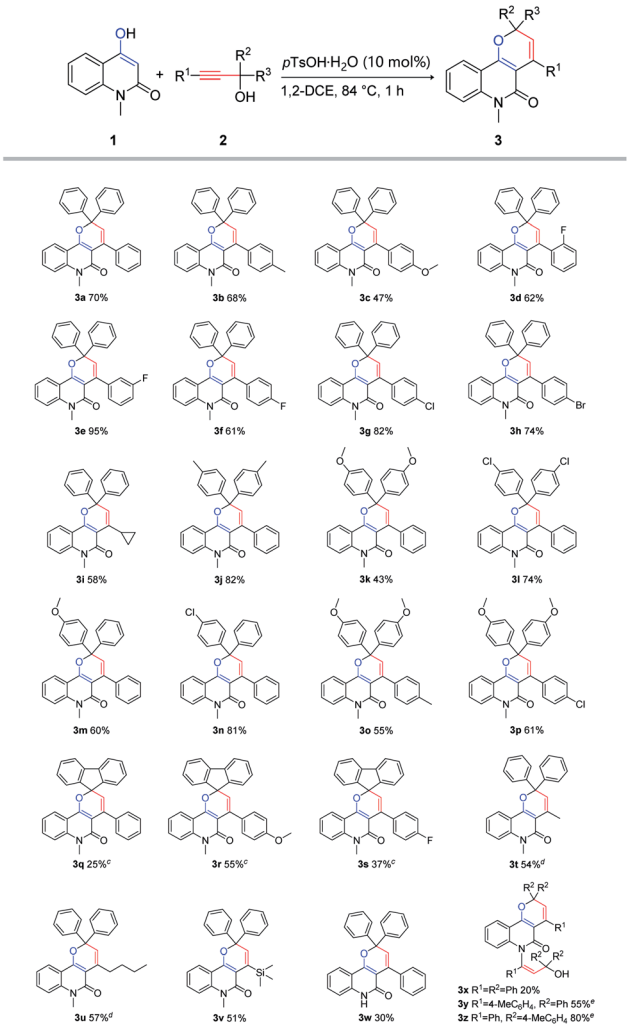
Table 1 Screening of the reaction conditions[a,b]



Entry	Catalyst (mol%)	Solvent	Time	Yield[b] (%)
1	No catalyst	1,2-DCE	24 h	0
2	$Yb(OTf)_3$ (10)	1,2-DCE	0.5 h	23
3	$Sc(OTf)_3$ (10)	1,2-DCE	0.5 h	25
4	$Zn(OTf)_2$ (10)	1,2-DCE	0.5 h	23
5	$Cu(OTf)_2$ (10)	1,2-DCE	0.5 h	35
6	$FeCl_3·6H_2O$ (10)	1,2-DCE	0.5 h	20
7	*p*TsOH·H_2O (10)	1,2-DCE	1 h	70
8	CH_3COOH (10)	1,2-DCE	36 h	0
9	TFA (10)	1,2-DCE	36 h	40
10	TfOH (10)	1,2-DCE	1 h	57
11[c]	*p*TsOH·H_2O (10)	1,2-DCE	36 h	5
12[d]	*p*TsOH·H_2O (10)	THF	36 h	35
13[e]	*p*TsOH·H_2O (10)	Toluene	4 h	34
14[e]	*p*TsOH·H_2O (10)	DMF	24 h	0
15	*p*TsOH·H_2O (5)	1,2-DCE	4 h	50
16	*p*TsOH·H_2O (20)	1,2-DCE	1 h	65

[a] Reaction conditions: **1** (0.5 mmol), **2a** (0.5 mmol), solvent (5 mL), under air, the reaction was monitored by TLC. [b] Yield of the isolated product. [c] Reaction was run at 25 °C. [d] Reaction was run at 66 °C. [e] Reaction was run at 90 °C.

With the optimized reaction conditions (Table 1, entry 7) in hand, a series of propargylic alcohols **2** were reacted with 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** to examine the reaction scope with regard to the formation of pyrano[3,2-*c*]quinolone **3**. As depicted in Scheme 2, the transformation of various substituted propargylic alcohols **2** proceeded smoothly to deliver the corresponding pyrano[3,2-*c*]quinolone derivatives in moderate to good yields, irrespective of the electronic nature of the substituents. A variety of functional groups, including methyl, methoxyl, halogen and cyclopropyl substituents, were compatible with the reaction. Notably, cyclopropyl ring has a wide range of applications in drug molecular design[23] and propargylic alcohol bearing cyclopropyl was tolerated in the reaction conditions to generate the desired product **3i** in 58%



Scheme 2 Scope study with different propargylic alcohols **2**[a,b]. [a]Reaction conditions: **1** (0.5 mmol), **2** (0.5 mmol), *p*TsOH·H_2O (0.05 mmol), 1,2-DCE (5 mL), 84 °C. [b]Isolated yield refers to pyrano[3,2-*c*] quinolone derivatives. [c]Reaction time: 10 h. [d]Reaction time: 10 min. [e]Reaction conditions: **1** (0.5 mmol), **2** (1.0 mmol), *p*TsOH·H_2O (0.05 mmol), 1,2-DCE (5 mL), 84 °C.

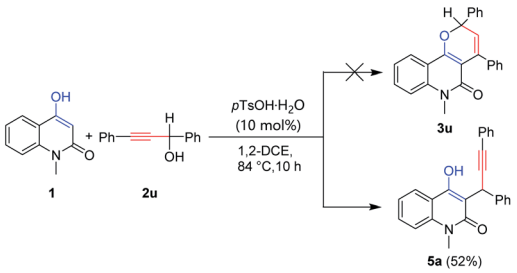
yield. In addition, other alkyl groups (R^1) such as methyl, *n*-butyl and trimethylsilyl also gave [3 + 3] products **3t–3u** in 51–57% yields. Moreover, when 9-fluorenyl-substituted propargylic alcohols **2q–2s** were subjected to the reaction conditions, spirocyclic products **3q–3s** could be formed in the yields of 24–55% albeit with much prolonged reaction time of 10 h. It was found that product **3x** was produced by hydroamination of N–H in **1** with alkyne in **2** beside normal product **3w**. Then products **3y** and **3z** were synthesized by adjusting molar ratio of the reaction. The structure of the products **3a** and **3z** was additionally confirmed by X-ray crystallographic analysis (see ESI† for details).

Based on the above experimental results, a plausible mechanism for the present cascade reactions is proposed (Scheme 3). First, in the presence of a Brønsted acid catalyst, propargylic alcohol **2a** is converted to the propargylic carbocation **I**, which is in equilibrium with the allenic form **II**.[24] The latter would undergo Friedel–Crafts-type reaction with **1** to form the allene intermediate **III**, which would be transformed to the final product **3a** *via* 6-*endo*-dig cyclization.[19f]

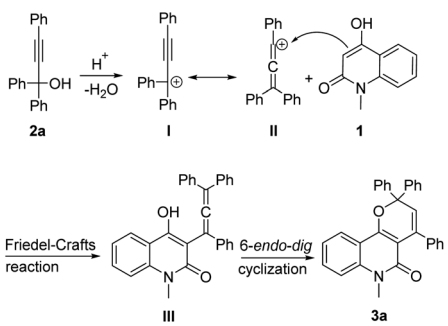
To further extend the scope of the current reaction, secondary propargylic alcohols **2u** was tested as substrate. Unexpectedly, 3-(1,3-diphenylprop-2-yn-1-yl)-4-hydroxy-1-methylquinolin-2(1*H*)-one **5a** was isolated instead of the desired pyrano[3,2-*c*]quinolone **3u** under the above optimized reaction conditions (Scheme 4). Given the recent reports on transition-metal-catalyzed direct heterocyclization of alkynes to construct furan frameworks,[25] $Cu(OTf)_2$ was then used to catalyze the reaction and to our delight, the ring-closure compound furo[3,2-*c*]quinolone **4a** was isolated as expected in 48% yield (Table 2, entry 2). Different Lewis acid catalysts were then screened (Table 2, entries 1–10), and CuOTf was found to be one of the best choice (Table 2, entry 3), while some catalysts could not transform **5a** to **4a** accordingly (Table 2, entries 1 and 4–7), indicating that the reactions were stuck at the stage of **5a**. The reaction hardly occurred at room temperature (Table 2, entry 8). The use of other solvents including THF, toluene, DMF or changing the catalyst loadings made no improvement in yield (Table 2, entries 11–16).

Next, the scope of the reaction with regard to the propargylic alcohols was investigated under the optimized reaction conditions, and the results were presented in Scheme 5. In general, the products **4** were produced in low to moderate yields (31–60%), regardless of the electronic nature and/or position of the substituents on the benzene rings (R^1 or R^2). It was worth noting that only stereodefined (*Z*)-furo[3,2-*c*]quinolones had been isolated. The structure of the product **4g** was additionally confirmed by X-ray crystallographic analysis (see ESI† for details). The primary alcohol such as 3-phenylprop-2-yn-1-ol was tested under the same reaction conditions for 24 hours, but no new product was detected and the staring material was recovered in 95% yield. Primary alcohol may not easily form primary carbocation under this reaction conditions.

To gain some insight into the reaction mechanism of this formal [3 + 2] annulation, some controlled experiments were conducted (Scheme 6a). Quenching the reaction between **1** and

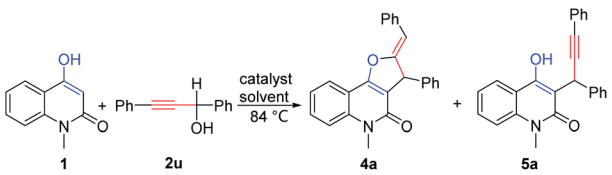


Scheme 4 Synthesis of **5a** catalyzed by $pTsOH \cdot H_2O$.



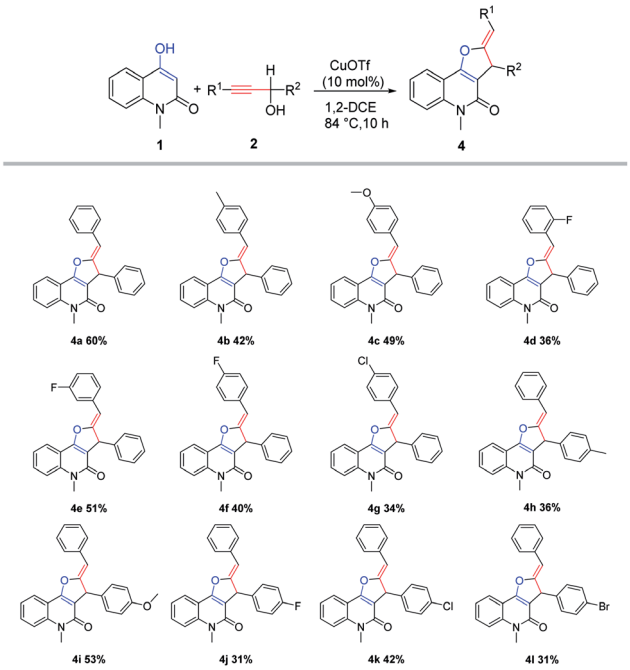
Scheme 3 A possible mechanism for the dehydrative annulation.

Table 2 Screening of the reaction conditions[a]

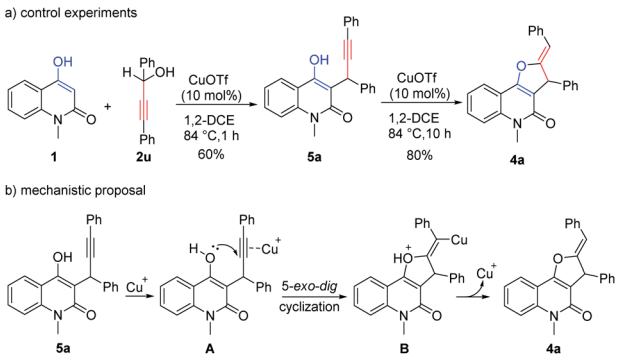


Entry	Catalyst (mol%)	Solvent	Time	Yield[b] (%) 4a	5a
1	$pTsOH \cdot H_2O$ (10)	1,2-DCE	10 h	0	52
2	$Cu(OTf)_2$ (10)	1,2-DCE	10 h	48	0
3	CuOTf (10)	1,2-DCE	10 h	60	0
4	$Yb(OTf)_3$ (10)	1,2-DCE	24 h	0	51
5	$Zn(OTf)_2$ (10)	1,2-DCE	24 h	0	53
6	$FeCl_3 \cdot 6H_2O$ (10)	1,2-DCE	24 h	0	55
7	$HAuCl_4 \cdot 3H_2O$ (10)	1,2-DCE	24 h	0	Trace
8	CuCl (10)	1,2-DCE	24 h	0	0
9	CuBr (10)	1,2-DCE	24 h	0	0
10	CuOAc (10)	1,2-DCE	24 h	0	0
11[c]	CuOTf (10)	1,2-DCE	24 h	0	0
12[d]	CuOTf (10)	THF	10 h	31	0
13[e]	CuOTf (10)	Toluene	10 h	34	0
14[e]	CuOTf (10)	DMF	10 h	30	0
15	CuOTf (5)	1,2-DCE	10 h	20	0
16	CuOTf (20)	1,2-DCE	10 h	51	0

[a] Reaction conditions: **1** (0.5 mmol), **2u** (0.5 mmol), solvent (5 mL), under air, the reaction was monitored by TLC. [b] Yield of the isolated product. [c] Reaction was run at 25 °C. [d] Reaction was run at 66 °C. [e] Reaction was run at 90 °C.



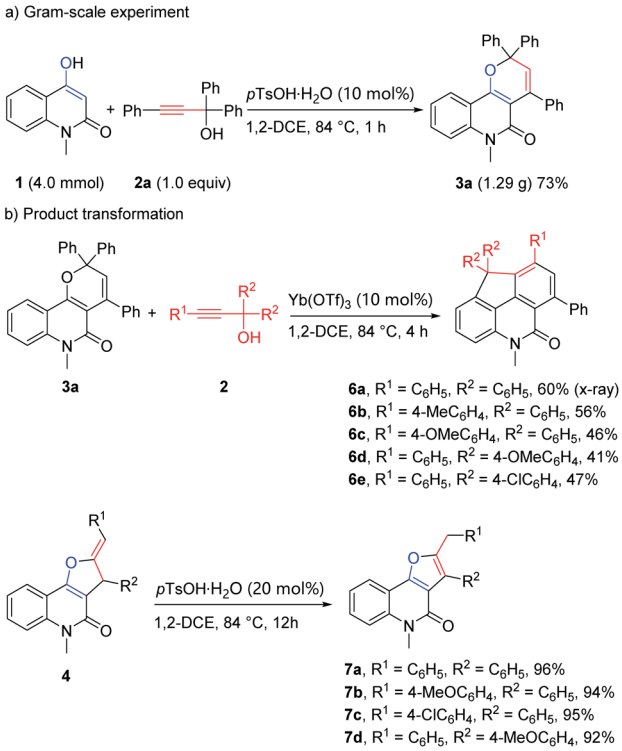
Scheme 5 Scope study with secondary propargylic alcohols[a,b]. [a]Reaction conditions: **1** (0.5 mmol), **2** (0.5 mmol), CuOTf (0.05 mmol), 1,2-DCE (5 mL), 84 °C. [b]Isolated yield refers to furo[3,2-*c*]quinolones.



Scheme 6 Controlled experiments and mechanistic proposal for the [3 + 2]-annulation.

propargylic alcohols **2u** at an early stage (1 hour) gave the compound **5a** as the major product in 60% yield, indicating the Friedel–Crafts-type reaction was a relatively fast step in this process. Treatment of the isolated **5a** under the otherwise same reaction conditions produced the final product **4a** in 80% yield after 10 hours. On the basis of these results and literature reports,[25b,f] a mechanistic proposal for the conversion of **5a** to **4a** is depicted (Scheme 6b). The transformation began with the coordination of the triple bond to the copper(I) salt to facilitate the highly regioselective 5-*exo*-dig nucleophilic attack of the hydroxy group to form the intermediate **B**. Finally, protonolysis of **B** afforded **4a** and regenerated the catalyst.

To demonstrate the practicality of this formal [3 + 3] cascade annulation, a gram-scale experiment was carried out to provide desired product **3a** in 73% yield (Scheme 7a). Furthermore,



Scheme 7 Scale-up reaction and product transformations.

novel tetracyclic compounds **6a–6e** were forged from **3a** and propargylic alcohols **2** in 41–60% yields, which proceeded *via* sequential Diels–Alder reaction of 2*H*-pyran with alkynes followed by retro-Diels–Alder extrusion of benzophenone under thermal reaction conditions and Friedel–Crafts-type reaction at last.[26] Furo[3,2-*c*]quinolones **4** could be isomerized to **7** under the catalysis *p*TsOH·H_2O with excellent yields (Scheme 7b). The structure of the product **6a** was additionally confirmed by X-ray crystallographic analysis (see ESI† for details).

Conclusions

In conclusion, novel acid-catalyzed annulation reactions of propargylic alcohols with 4-hydroxy-1-methylquinolin-2(1*H*)-one were developed. This method provides a good atom- and step-economic way to useful pyrano[3,2-*c*]quinolone and furo[3,2-*c*]quinolone derivatives in moderate to good yields from readily accessible starting materials. Efforts towards the utilization of the propargylic alcohols to the synthesis of other useful cyclic compounds are underway in our laboratories.

Experimental section

General comments

Infrared spectra were obtained on a FTIR spectrometer. ^{1}H NMR spectra were recorded on 400 MHz spectrometer in $CDCl_3$ solution and the chemical shifts were reported relative to internal standard TMS (0 ppm). The following abbreviations are used to describe peak patterns where appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet.

Coupling constants are reported in Hertz (Hz). ^{13}C NMR were recorded on 100 MHz and referenced to the internal solvent signals (central peak is 77.00 ppm in $CDCl_3$). HRMS data were obtained using ESI ionization. Melting points were measured with micro melting point apparatus.

The propargylic alcohols **2** were prepared from phenylacetylene and benzophenone according to published methods.[27] Solvents were distilled prior to use. All chemicals were used as purchased unless otherwise mentioned.

General procedure for the synthesis of 3

A solution of 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** (0.5 mmol), propargylic alcohols **2** (0.5 mmol) and *p*TsOH·H_2O (0.05 mmol) in 1,2-DCE (5 mL) was stirred under air at 84 °C for 1 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (2 : 1, v/v).

General procedure for the synthesis of 4

A solution of 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** (0.5 mmol), the secondary propargylic alcohols **2** (0.5 mmol) and CuOTf (0.05 mmol) in 1,2-DCE (5 mL) was stirred under air at 84 °C for 10 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (2 : 1, v/v).

Synthesis of 5a

A solution of 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** (0.5 mmol), propargylic alcohols **2u** (0.5 mmol) and CuOTf (0.05 mmol) in DCE (5 mL) was stirred under air at 84 °C for 1 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (1 : 1, v/v).

General procedure for the synthesis of 6

A solution of pyrano[3,2-*c*]quinolone **3a** (0.5 mmol), propargylic alcohols **2** (0.6 mmol) and $Yb(OTf)_3$ (0.05 mmol) in 1,2-DCE (5 mL) was stirred under air at 84 °C for 4 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3 : 1, v/v).

General procedure for the synthesis of 7

A solution of furo[3,2-*c*]quinolones **4** (0.2 mmol) and *p*TsOH·H_2O (0.04 mmol) in 1,2-DCE (3 mL) was stirred under air at 84 °C for 12 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (2 : 1, v/v).

Gram-scale synthesis for product 3a

A solution of 4-hydroxy-1-methylquinolin-2(1*H*)-one **1** (4.0 mmol), propargylic alcohols **2a** (4.0 mmol) and *p*TsOH·H_2O (0.4 mmol) in 1,2-DCE (20 mL) was stirred under air at 84 °C for 1 h. After being cooled down to room temperature, the solvent was evaporated and the crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (2 : 1, v/v).

Characterization data of products

6-Methyl-2,2,4-triphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3a). White solid (155 mg, 70%); mp 217–218 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, J = 8.2 Hz, 1H), 7.61–7.47 (m, 5H), 7.44–7.20 (m, 13H), 5.96 (s, 1H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.60, 156.52, 143.67, 139.88, 139.55, 135.74, 131.31, 128.17, 127.84, 127.66, 127.32, 127.24, 126.80, 126.10, 123.53, 121.75, 115.89, 113.98, 108.19, 84.31, 29.20. IR (KBr) ν 3022, 1649, 1557, 1489, 1393, 1116, 990, 756, 698 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{23}NO_2 + H]^+$): 442.1802; found: 442.1801.

6-Methyl-2,2-diphenyl-4-(*p*-tolyl)-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3b). White solid (155 mg, 68%); mp 192–193 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (dd, J = 8.3, 1.4 Hz, 1H), 7.65–7.43 (m, 5H), 7.41–7.21 (m, 10H), 7.16 (d, J = 7.9 Hz, 2H), 5.94 (s, 1H), 3.54 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.67, 156.52, 143.73, 139.87, 136.85, 136.62, 135.61, 131.28, 128.44, 128.16, 127.82, 127.17, 126.83, 125.73, 123.54, 121.76, 115.94, 113.99, 108.29, 84.31, 29.23, 21.28. IR (KBr) ν 3025, 2920, 1732, 1648, 1556, 1447, 1389, 1113, 988, 752, 700 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{32}H_{25}NO_2 + H]^+$): 456.1958; found: 456.1960.

4-(4-Methoxyphenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3c). White solid (111 mg, 47%); mp 199–200 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (dd, J = 8.1, 1.5 Hz, 1H), 7.58–7.46 (m, 5H), 7.36–7.20 (m, 10H), 6.95–6.84 (m, 2H), 5.92 (s, 1H), 3.81 (s, 3H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.68, 158.84, 156.57, 143.75, 139.85, 135.27, 131.86, 131.29, 128.45, 128.15, 127.81, 126.81, 125.41, 123.53, 121.76, 115.94, 113.98, 113.13, 108.25, 84.30, 55.13, 29.23. IR (KBr) ν 3058, 2837, 1652, 1557, 1499, 1384, 1113, 988, 756, 700 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{32}H_{25}NO_3 + H]^+$): 472.1907; found: 472.1905.

4-(2-Fluorophenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3d). White solid (142 mg, 62%); mp 196–197 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 7.2 Hz, 1H), 7.57–7.49 (m, 5H), 7.42 (t, J = 7.5 Hz, 1H), 7.36–7.20 (m, 9H), 7.16 (t, J = 7.5 Hz, 1H), 7.05 (t, 1H), 6.01 (s, 1H), 3.52 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.87 (d, J_{C-F} = 244.6 Hz), 159.86, 155.48, 143.60, 139.78, 131.31, 130.13, 129.60 (d, J_{C-F} = 3.7 Hz), 129.01 (d, J_{C-F} = 8.1 Hz), 128.24, 127.92, 127.74, 127.28, 126.83, 123.70 (d, J_{C-F} = 3.3 Hz), 123.56, 121.78, 115.86, 114.73 (d, J_{C-F} = 21.6 Hz), 114.00, 108.18, 84.05, 29.19; ^{19}F NMR (377 MHz, $CDCl_3$) δ −114.21 (m). IR (KBr) ν 3061, 1736, 1649, 1559, 1489, 1394, 1124, 993, 753, 699 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}FNO_2 + H]^+$): 460.1707; found: 460.1709.

4-(3-Fluorophenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3e). White solid (218 mg, 95%); mp 194–195 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (dd, J = 8.1, 1.3 Hz, 1H), 7.61–7.53 (m, 1H), 7.53–7.46 (m, 4H), 7.36–7.22 (m, 9H), 7.15 (d, J = 7.7 Hz, 1H), 7.13–7.06 (m, 1H), 7.00 (td, J = 8.4, 2.1 Hz, 1H), 5.97 (s, 1H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.27 (d, J_{C-F} = 243.5 Hz), 159.50, 156.68, 143.47, 141.84 (d, J_{C-F} = 8.0 Hz), 139.93, 134.79 (d, J_{C-F} = 2.0 Hz), 131.54, 129.05 (d, J_{C-F} = 8.2 Hz), 128.26, 127.97, 126.77, 126.61, 123.61, 123.18 (d, J_{C-F} = 2.7 Hz), 121.91, 115.82, 114.50 (d, J_{C-F} = 21.8 Hz), 114.10 (d, J_{C-F} = 20.9 Hz), 114.09, 107.86, 84.35, 29.24; ^{19}F NMR (377 MHz, $CDCl_3$) δ −114.10 (m). IR (KBr) ν 3061, 2943, 1732, 1645, 1559, 1486, 1395, 1115, 756, 698 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}FNO_2 + H]^+$): 460.1707; found: 460.1709.

4-(4-Fluorophenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3f). White solid (140 mg, 61%); mp 210–211 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, J = 8.1 Hz, 1H), 7.60–7.45 (m, 5H), 7.39–7.21 (m, 10H), 7.04 (t, J = 8.7 Hz, 2H), 5.93 (s, 1H), 3.53 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.15 (d, J_{C-F} = 244.1 Hz), 159.64, 156.67, 143.57, 139.88, 135.47 (d, J_{C-F} = 3.3 Hz), 134.85, 131.48, 128.94 (d, J_{C-F} = 8.0 Hz), 128.23, 127.93, 126.77, 126.10, 123.59, 121.89, 115.85, 114.6 (d, J_{C-F} = 21.4 Hz), 114.06, 107.94, 84.32, 29.22; ^{19}F NMR (377 MHz, $CDCl_3$) δ −115.24 (s). IR (KBr) ν 3058, 2925, 1646, 1556, 1509, 1388, 1118, 988, 755, 701 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}FNO_2 + H]^+$): 460.1707; found: 460.1709.

4-(4-Chlorophenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3g). White solid (195 mg, 82%); mp 218–219 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (dd, J = 8.2, 1.1 Hz, 1H), 7.59–7.45 (m, 5H), 7.35–7.19 (m, 12H), 5.94 (s, 1H), 3.52 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.56, 156.71, 143.45, 139.86, 137.99, 134.74, 133.03, 131.52, 128.68, 128.22, 127.94, 127.85, 126.74, 126.33, 123.57, 121.91, 115.79, 114.05, 107.77, 84.32, 29.21. IR (KBr) ν 3059, 2933, 1648, 1556, 1489, 1401, 1114, 989, 755, 699 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}ClNO_2 + H]^+$): 476.1412; found: 476.1410.

4-(4-Bromophenyl)-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3h). White solid (193 mg, 74%); mp 177–178 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (dd, J = 8.1, 1.4 Hz, 1H), 7.61–7.54 (m, 1H), 7.53–7.43 (m, 6H), 7.35–7.21 (m, 10H), 5.95 (s, 1H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.59, 156.75, 143.46, 139.90, 138.51, 134.79, 131.56, 130.80, 129.03, 128.25, 127.97, 126.77, 126.35, 123.61, 121.94, 121.29, 115.82, 114.10, 107.73, 84.35, 29.25. IR (KBr) ν 3062, 2937, 1736, 1648, 1557, 1486, 1400, 1114, 989, 760, 700 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}BrNO_2 + H]^+$): 520.0907; found: 520.0904.

4-Cyclopropyl-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3i). White solid (118 mg, 58%); mp 213–214 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (dd, J = 7.9, 0.7 Hz, 1H), 7.50 (t, J = 7.8 Hz, 1H), 7.44–7.36 (m, 4H), 7.32–7.17 (m, 8H), 5.62 (s, 1H), 3.61 (s, 3H), 2.81–2.56 (m, 1H), 0.98–0.77 (m, 2H), 0.75–0.47 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.73, 155.41, 144.21, 139.56, 136.91, 131.07, 128.08, 127.64, 126.74, 123.56, 121.71, 119.57, 115.78, 113.81, 109.18, 84.04, 29.10, 13.41, 7.34. IR (KBr) ν 3056, 3000, 1643, 1554, 1497, 1399, 1108, 990, 752, 701 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{28}H_{23}NO_2 + H]^+$): 406.1802; found: 406.1801.

6-Methyl-4-phenyl-2,2-di-*p*-tolyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3j). Blue solid (193 mg, 82%); mp 236–237 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (dd, J = 8.1, 1.5 Hz, 1H), 7.57–7.48 (m, 1H), 7.44–7.29 (m, 9H), 7.27–7.20 (m, 2H), 7.10 (d, J = 8.0 Hz, 4H), 5.92 (s, 1H), 3.52 (s, 3H), 2.29 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.66, 156.57, 140.90, 139.85, 139.68, 137.53, 135.42, 131.22, 128.81, 127.63, 127.32, 127.15, 126.77, 126.43, 123.58, 121.69, 116.00, 113.93, 108.12, 84.27, 29.20, 21.02. IR (KBr) ν 3032, 2932, 2838, 1733, 1649, 1555, 1461, 1385, 1113, 983, 757, 696 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{33}H_{27}NO_2 + H]^+$): 470.2115; found: 470.2117.

2,2-Bis(4-methoxyphenyl)-6-methyl-4-phenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3k). White solid (108 mg, 43%); mp 218–219 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, J = 7.9 Hz, 1H), 7.58–7.51 (m, 1H), 7.45–7.29 (m, 9H), 7.29–7.20 (m, 2H), 6.83 (d, J = 8.5 Hz, 4H), 5.89 (s, 1H), 3.76 (s, 6H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.70, 159.11, 156.56, 139.89, 139.68, 135.96, 135.42, 131.25, 128.29, 127.67, 127.33, 127.20, 126.49, 123.58, 121.71, 116.04, 113.98, 113.45, 108.12, 84.17, 55.21, 29.23. IR (KBr) ν 3056, 1652, 1556, 1489, 1393, 1116, 988, 757, 697 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{33}H_{27}NO_4 + H]^+$): 502.2013; found: 502.2012.

2,2-Bis(4-chlorophenyl)-6-methyl-4-phenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3l). White solid (189 mg, 74%); mp 264–265 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (dd, J = 7.9, 1.1 Hz, 1H), 7.62–7.52 (m, 1H), 7.48–7.39 (m, 4H), 7.39–7.32 (m, 5H), 7.31–7.22 (m, 6H), 5.85 (s, 1H), 3.55 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.44, 156.26, 141.72, 139.97, 139.06, 136.63, 134.10, 131.65, 128.52, 128.23, 127.78, 127.53, 127.25, 124.91, 123.35, 121.94, 115.62, 114.17, 108.35, 83.46, 29.29. IR (KBr) ν 3056, 1652, 1556, 1488, 1393, 1115, 988, 757, 697 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{21}Cl_2NO_2 + H]^+$): 510.1022; found: 510.1024.

2-(4-Methoxyphenyl)-6-methyl-2,4-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3m). Yellow solid (141 mg, 60%); mp 253–254 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 8.3 Hz, 1H), 7.58–7.47 (m, 3H), 7.46–7.28 (m, 9H), 7.28–7.21 (m, 3H), 6.87–6.77 (m, 2H), 5.92 (s, 1H), 3.74 (s, 3H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.66, 159.20, 156.54, 144.04, 139.89, 139.61, 135.58, 135.56, 131.29, 128.43, 128.14, 127.70, 127.67, 127.32, 127.23, 126.69, 126.29, 123.56, 121.74, 115.98, 113.99, 113.48, 108.15, 84.24, 55.18, 29.23. IR (KBr) ν 3049, 2931, 2840, 1648, 1558, 1498, 1387, 1116, 989, 754, 699 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{32}H_{25}NO_3 + H]^+$): 472.1907; found: 472.1904.

2-(4-Chlorophenyl)-6-methyl-2,4-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3n). Yellow solid (193 mg, 81%); mp 245–246 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, J = 8.2 Hz, 1H), 7.60–7.53 (m, 1H), 7.52–7.42 (m, 4H), 7.41–7.21 (m, 12H), 5.91 (s, 1H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.54, 156.40, 143.27, 142.15, 139.94, 139.31, 136.20, 133.88, 131.50, 128.39, 128.37, 128.32, 128.04, 127.73, 127.40, 127.29, 126.69, 125.52, 123.46, 121.86, 115.78, 114.09, 108.28, 83.88, 29.27. IR (KBr) ν 3059, 2970, 1652, 1557, 1491, 1388, 1113, 986, 754, 697 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{22}ClNO_2 + H]^+$): 476.1412; found: 476.1409.

2,2-Bis(4-methoxyphenyl)-6-methyl-4-(*p*-tolyl)-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3o). White solid (142 mg, 55%); mp 266–267 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (dd, J = 8.0, 1.2 Hz, 1H), 7.61–7.50 (m, 1H), 7.47–7.34 (m, 4H), 7.32–7.21 (m, 4H), 7.20–7.11 (m, 2H), 6.89–6.74 (m, 4H), 5.87 (s, 1H), 3.76 (s, 6H), 3.55 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 159.72, 159.07, 156.53, 139.86, 136.76, 136.71, 136.00, 135.30, 131.18, 128.42, 128.29, 127.17, 126.09, 123.54, 121.68, 116.05, 113.95, 113.41, 108.21, 84.15, 55.19, 29.21, 21.28. IR (KBr) ν 2933, 2836, 1647, 1558, 1510, 1388, 1114, 989, 762, 717 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{34}H_{29}NO_4 + H]^+$): 516.2169; found: 516.2166.

4-(4-Chlorophenyl)-2,2-bis(4-methoxyphenyl)-6-methyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3p). White solid (163 mg, 61%); mp 247–248 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (dd, J = 8.0, 1.3 Hz, 1H), 7.61–7.52 (m, 1H), 7.43–7.36 (m, 4H), 7.34–7.26 (m, 5H), 7.26–7.21 (m, 1H), 6.89–6.78 (m, 4H), 5.87 (s, 1H), 3.76 (s, 6H), 3.55 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.66, 159.17, 156.74, 139.88, 138.13, 135.74, 134.43, 132.98, 131.44, 128.69, 128.23, 127.85, 126.72, 123.61, 121.85, 115.94, 114.04, 113.51, 107.70, 84.20, 55.21, 29.22. IR (KBr) ν 2934, 2836, 1732, 1644, 1558, 1491, 1387, 1115, 991, 759, 691 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{33}H_{26}ClNO_4 + H]^+$): 536.1623; found: 536.1620.

6′-Methyl-4′-phenylspiro[fluorene-9,2′-pyrano[3,2-*c*]quinolin]-5′(6′*H*)-one (3q). Yellow solid (55 mg, 25%); mp 167–168 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (dd, J = 8.0, 1.3 Hz, 1H), 7.66 (t, 4H), 7.56–7.48 (m, 1H), 7.42 (td, J = 7.5, 0.9 Hz, 2H), 7.37–7.17 (m, 8H), 7.07 (t, 1H), 5.56 (s, 1H), 3.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.84, 158.69, 146.49, 139.79, 139.68, 139.32, 136.35, 131.39, 130.21, 128.43, 127.57, 127.31, 127.11, 125.44, 124.09, 122.82, 121.57, 120.08, 115.80, 113.83, 106.93, 86.37, 29.39. IR (KBr) ν 3046, 1641, 1553, 1497, 1384, 1116, 986, 752, 698 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{21}NO_2 + H]^+$): 440.1645; found: 440.1648.

4′-(4-Methoxyphenyl)-6′-methylspiro[fluorene-9,2′-pyrano[3,2-*c*]quinolin]-5′(6′*H*)-one (3r). Yellow solid (129 mg, 55%); mp 130–131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (dd, J = 8.0, 1.3 Hz, 1H), 7.65 (t, 4H), 7.55–7.46 (m, 1H), 7.41 (td, J = 7.5, 0.8 Hz, 2H), 7.31 (d, J = 8.5 Hz, 1H), 7.28–7.18 (m, 4H), 7.06 (t, J = 7.6 Hz, 1H), 6.92–6.81 (m, 2H), 5.53 (s, 1H), 3.80 (s, 3H), 3.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.87, 158.74, 158.72, 146.51, 139.63, 139.31, 135.91, 132.08, 131.33, 130.16, 128.42, 128.40, 125.43, 124.05, 122.07, 121.55, 120.04, 115.81, 113.79, 113.01, 107.00, 86.33, 55.12, 29.37. IR (KBr) ν 3940, 2930, 2833, 1734, 1646, 1556, 1511, 1383, 1114, 986, 754, 692 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{32}H_{23}NO_3 + H]^+$): 470.1751; found: 470.1749.

4′-(4-Fluorophenyl)-6′-methylspiro[fluorene-9,2′-pyrano[3,2-*c*]quinolin]-5′(6′*H*)-one (3s). Yellow solid (85 mg, 37%); mp 134–135 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.9 Hz, 1H), 7.73–7.59 (m, 4H), 7.53 (t, J = 7.8 Hz, 1H), 7.42 (t, J = 7.5 Hz, 2H), 7.37–7.18 (m, 5H), 7.15–6.92 (m, 3H), 5.52 (s, 1H), 3.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.04 (d, J_{C-F} = 243.8 Hz), 159.85, 158.80, 146.40, 139.67, 139.32, 135.71 (d, J_{C-F} = 3.4 Hz), 135.42, 131.52, 130.28, 128.93 (d, J_{C-F} = 7.9 Hz), 128.46, 125.38, 124.12, 122.88, 121.68, 120.12, 115.74, 114.47 (d, J_{C-F} = 21.5 Hz), 113.87, 106.60, 86.36, 29.37; ^{19}F NMR (377 MHz, $CDCl_3$) δ −115.47 (s). IR (KBr) ν 3042, 2925, 1645, 1556, 1508, 1384, 1115, 987, 754, 692 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{20}FNO_2 + H]^+$): 458.1551; found: 458.1553.

4,6-Dimethyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3t). White solid (102 mg, 54%); mp 240–241 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (dd, J = 7.9, 1.1 Hz, 1H), 7.55–7.49 (m, 1H), 7.48–7.41 (m, 4H), 7.33–7.27 (m, 4H), 7.27–7.20 (m, 4H), 5.75 (s, 1H), 3.60 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.05, 155.52, 144.31, 139.55, 131.08, 128.11, 127.65, 126.77, 123.58, 123.09, 121.76, 115.81, 113.82, 108.87, 84.12, 29.05, 20.99. IR (KBr) ν 3052, 2920, 1648, 1627, 1606, 1558, 1450, 1389, 1316, 1201, 984, 758, 701 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{23}NO_2 + H]^+$): 380.1645; found: 380.1646.

4-Butyl-6-methyl-2,2-diphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3u). White solid (120 mg, 57%); mp 157–158 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (dd, J = 7.9, 1.2 Hz, 1H), 7.55–7.48 (m, 1H), 7.47–7.40 (m, 4H), 7.33–7.31 (m, 1H), 7.30–7.29 (m, 2H), 7.28–7.27 (m, 1H), 7.27–7.19 (m, 4H), 5.77 (s, 1H), 3.60 (s, 3H), 2.92 (t, 2H), 1.57–1.48 (m, 2H), 1.46–1.35 (m, 2H), 0.92 (t, J = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.67, 155.92, 144.33, 139.54, 135.50, 131.01, 128.09, 127.63, 126.83, 123.55, 122.70, 121.70, 115.91, 113.81, 108.61, 84.02, 33.20, 31.63, 29.16, 22.59, 14.11. IR (KBr) ν 3025, 2941, 1639, 1622, 1604, 1555, 1491, 1391, 1201, 1104, 955, 750, 700 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{29}H_{27}NO_2 + H]^+$): 422.2115; found: 422.2114.

6-Methyl-2,2-diphenyl-4-(trimethylsilyl)-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3v). White solid (112 mg, 51%); mp 180–181 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, J = 8.0 Hz, 1H), 7.50–7.40 (m, 5H), 7.33–7.26 (m, 4H), 7.26–7.17 (m, 4H), 6.29 (s, 1H), 3.58 (s, 3H), 0.32 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.44, 153.77, 144.05, 139.26, 135.00, 133.29, 130.76, 128.14, 127.65, 126.78, 123.25, 121.73, 116.08, 113.74, 111.17, 82.88, 29.36, 0.52. IR (KBr) ν 3058, 2952, 1643, 1618, 1591, 1492, 1384, 1126, 992, 751, 696 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{31}H_{23}NO_2 + H]^+$): 438.1884; found: 438.1883.

2,2,4-Triphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3w). White solid (64 mg, 30%); mp 277–278 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.29 (s, 1H), 8.07 (dd, J = 8.1, 1.0 Hz, 1H), 7.58–7.48 (m, 4H), 7.47–7.40 (m, 2H), 7.39–7.22 (m, 10H), 7.18 (t, J = 7.6 Hz, 1H), 6.67 (d, J = 8.2 Hz, 1H), 5.96 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.87, 158.47, 143.67, 139.42, 138.49, 135.20, 131.05, 128.21, 127.90, 127.79, 127.60, 126.87, 126.83, 125.61, 122.73, 122.04, 116.12, 114.94, 107.76, 84.55. IR (KBr) ν 3056, 2842, 1650, 1494, 1388, 1105, 950, 752, 695 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{30}H_{21}NO_2 + H]^+$): 428.1645; found: 428.1647.

(*Z*)-6-(3-Hydroxy-1,3,3-triphenylprop-1-en-1-yl)-2,2,4-triphenyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3x). White solid (71 mg, 20%); mp 254–255 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (dd, J = 7.9, 1.2 Hz, 1H), 7.66–7.60 (m, 2H), 7.55–7.45 (m, 4H), 7.45–7.38 (m, 5H), 7.38–7.30 (m, 5H), 7.30–7.28 (m, 2H), 7.27–7.26 (m, 1H), 7.26–7.20 (m, 4H), 7.20–7.13 (m, 4H), 7.12–7.04 (m, 3H), 6.78 (d, J = 8.3 Hz, 1H), 6.33 (t, J = 7.3 Hz, 1H), 6.17 (t, J = 7.7 Hz, 2H), 5.92 (s, 1H), 5.41 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.60, 157.77, 148.27, 144.26, 144.03, 143.69, 139.32, 137.86, 136.49, 136.41, 135.48, 135.02, 130.49, 128.84, 128.73,

128.43, 128.39, 128.22, 128.17, 127.71, 127.67, 127.48, 127.35, 127.21, 126.85, 126.66, 126.64, 125.77, 125.70, 125.57, 125.36, 124.88, 122.86, 122.30, 117.44, 115.56, 106.85, 84.81, 75.69. IR (KBr) ν 3057, 3027, 1735, 1637, 1600, 1553, 1492, 1393, 1163, 1011, 757, 696 cm^{-1}; HRMS (ESI): *m*/*z* calcd For ($[C_{51}H_{37}NO_3 + H]^+$): 712.2846; found: 712.2843.

(*Z*)-6-(3-Hydroxy-3,3-diphenyl-1-(*p*-tolyl)prop-1-en-1-yl)-2,2-diphenyl-4-(*p*-tolyl)-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3y). White solid (203 mg, 55%); mp 166–167 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, J = 7.9 Hz, 1H), 7.63 (d, J = 7.3 Hz, 2H), 7.56–7.36 (m, 7H), 7.35–7.22 (m, 8H), 7.21–7.11 (m, 4H), 7.11–6.96 (m, 7H), 6.76 (d, J = 8.4 Hz, 1H), 6.30 (t, J = 7.3 Hz, 1H), 6.13 (t, J = 7.7 Hz, 2H), 5.89 (s, 1H), 5.41 (s, 1H), 2.34 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.60, 157.70, 148.45, 144.44, 144.05, 143.79, 138.71, 137.90, 136.92, 136.42, 135.55, 135.45, 134.96, 133.59, 130.37, 129.51, 128.41, 128.36, 128.18, 128.12, 127.64, 127.31, 127.28, 126.78, 126.62, 125.81, 125.47, 125.27, 124.89, 122.78, 122.18, 117.46, 115.53, 106.95, 84.79, 75.66, 21.26, 21.11. IR (KBr) ν 3058, 3025, 2921, 1745, 1634, 1601, 1555, 1492, 1448, 1391, 1149, 755, 698 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{53}H_{41}NO_3 + H]^+$): 740.3159; found: 740.3161.

(*Z*)-6-(3-Hydroxy-1-phenyl-3,3-di-*p*-tolylprop-1-en-1-yl)-4-phenyl-2,2-di-*p*-tolyl-2,6-dihydro-5*H*-pyrano[3,2-*c*]quinolin-5-one (3z). White solid (307 mg, 80%); mp 257–258 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (dd, J = 7.9, 1.3 Hz, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.47–7.37 (m, 5H), 7.36–7.25 (m, 7H), 7.22 (s, 5H), 7.16–7.00 (m, 6H), 6.90 (d, J = 8.1 Hz, 2H), 6.68 (d, J = 8.3 Hz, 1H), 5.92 (d, J = 8.0 Hz, 2H), 5.83 (s, 1H), 5.32 (s, 1H), 2.38 (s, 3H), 2.32 (s, 3H), 2.26 (s, 3H), 1.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.72, 157.73, 145.67, 141.72, 141.27, 141.02, 139.48, 138.19, 137.70, 137.31, 136.67, 136.32, 136.29, 135.61, 134.79, 134.71, 130.23, 129.20, 128.86, 128.79, 128.68, 127.60, 127.57, 127.44, 127.37, 127.24, 126.52, 125.72, 125.65, 125.34, 124.70, 122.58, 121.98, 117.38, 115.60, 106.56, 84.91, 75.29, 21.15, 21.04, 20.95, 20.50. IR (KBr) ν 3023, 2920, 1738, 1633, 1604, 1551, 1493, 1387, 1149, 925, 749, 699 cm^{-1}; HRMS (ESI): *m*/*z* calcd for($[C_{55}H_{45}NO_3 + H]^+$): 768.3472; found: 768.3473.

(*Z*)-2-Benzylidene-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4a). White solid (110 mg, 60%); mp 210–211 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J = 7.9, 1.4 Hz, 1H), 7.75–7.56 (m, 3H), 7.46–7.29 (m, 8H), 7.29–7.18 (m, 2H), 5.64 (d, J = 2.2 Hz, 1H), 5.36 (d, J = 2.2 Hz, 1H), 3.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.30, 159.60, 158.73, 140.91, 140.66, 134.48, 131.56, 128.73, 128.45, 128.33, 128.05, 127.35, 126.61, 123.17, 121.99, 114.73, 111.80, 111.39, 106.38, 51.20, 29.05. IR (KBr) ν 3059, 1694, 1658, 1641, 1568, 1494, 1404, 1121, 759, 700 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{25}H_{19}NO_2 + H]^+$): 366.1489; found: 366.1487.

(*Z*)-5-Methyl-2-(4-methylbenzylidene)-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4b). White solid (80 mg, 42%); mp 201–202 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, J = 7.8 Hz, 1H), 7.63 (t, J = 7.9 Hz, 1H), 7.56 (d, J = 8.0 Hz, 2H), 7.45–7.28 (m, 6H), 7.28–7.13 (m, 3H), 5.61 (s, 1H), 5.34 (s, 1H), 3.63 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.33, 159.63, 158.03, 140.92, 140.83, 136.38, 131.65, 131.49, 129.15, 128.69, 128.25, 128.06, 127.28, 123.18, 121.93, 114.70, 111.82, 111.46, 106.33, 51.12, 29.03, 21.21. IR (KBr) ν 3041, 2946, 1690, 1659, 1638, 1565, 1503, 1400, 1096, 751, 702 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{26}H_{21}NO_2 + H]^+$): 380.1645; found: 380.1644.

(*Z*)-2-(4-Methoxybenzylidene)-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4c). White solid (97 mg, 49%); mp 203–204 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J = 7.8 Hz, 1H), 7.71–7.54 (m, 3H), 7.45–7.29 (m, 6H), 7.28–7.19 (m, 1H), 6.92 (d, J = 8.4 Hz, 2H), 5.58 (s, 1H), 5.34 (s, 1H), 3.82 (s, 3H), 3.63 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.33, 159.66, 158.24, 157.11, 140.94, 140.92, 131.48, 129.58, 128.69, 128.05, 127.29, 127.26, 123.17, 121.91, 114.71, 113.90, 111.82, 111.48, 105.93, 55.24, 51.04, 29.03. IR (KBr) ν 3003, 2927, 2832, 2248, 1692, 1662, 1644, 1566, 1510, 1403, 1123, 757, 699 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{26}H_{21}NO_3 + H]^+$): 396.1594; found: 396.1596.

(*Z*)-2-(2-Fluorobenzylidene)-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4d). White solid (69 mg, 36%); mp 226–227 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (t, J = 6.9 Hz, 1H), 7.97 (d, J = 7.8 Hz, 1H), 7.65 (t, J = 7.9 Hz, 1H), 7.48–7.30 (m, 6H), 7.29–7.14 (m, 3H), 7.03 (t, J = 9.3 Hz, 1H), 5.93 (s, 1H), 5.38 (s, 1H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.17, 160.07 (d, J_{C-F} = 2.1 Hz), 159.25 (d, J_{C-F} = 247.7 Hz),158.01, 140.98, 140.38, 131.62, 129.56 (d, J_{C-F} = 2.6 Hz), 128.80, 128.00 (d, J_{C-F} = 7.8 Hz), 127.98, 127.44, 124.01 (d, J_{C-F} = 3.6 Hz), 123.10, 122.41 (d, J_{C-F} = 12.0 Hz), 122.00, 115.16 (d, J_{C-F} = 22.1 Hz), 114.77, 111.94, 111.33, 97.58 (d, J_{C-F} = 7.7 Hz), 51.41, 29.08; ^{19}F NMR (377 MHz, $CDCl_3$) δ −117.05 (s). IR (KBr) ν 3059, 3029, 2921, 1694, 1662, 1643, 1568, 1485, 1404, 1124, 750, 700 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{25}H_{18}FNO_2 + H]^+$): 384.1394; found: 384.1397.

(*Z*)-2-(3-Fluorobenzylidene)-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4e). Yellow solid (98 mg, 51%); mp 211–212 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J = 7.8 Hz, 1H), 7.65 (t, J = 7.9 Hz, 1H), 7.51 (d, J = 10.3 Hz, 1H), 7.45–7.19 (m, 9H), 7.00–6.85 (m, 1H), 5.62 (s, 1H), 5.35 (s, 1H), 3.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.87 (d, J_{C-F} = 242.6 Hz), 160.15, 159.81, 159.48, 140.96, 140.33, 136.59 (d, J_{C-F} = 8.4 Hz), 131.67, 129.73 (d, J_{C-F} = 8.5 Hz), 128.81, 128.02, 127.47, 124.13 (d, J_{C-F} = 2.4 Hz), 123.13, 122.10, 114.97, 114.75, 113.41 (d, J_{C-F} = 21.4 Hz), 111.77, 111.26, 105.41 (d, J_{C-F} = 2.6 Hz), 51.34, 29.07; ^{19}F NMR (377 MHz, $CDCl_3$) δ −113.17, −113.18 (m). IR (KBr) ν 3040, 1691, 1658, 1642, 1574, 1489, 1406, 1102, 755, 702 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{25}H_{18}FNO_2 + H]^+$): 384.1394; found: 384.1397.

(*Z*)-2-(4-Fluorobenzylidene)-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4f). Yellow solid (77 mg, 40%); mp 221–222 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, J = 7.8 Hz, 1H), 7.74–7.54 (m, 3H), 7.48–7.30 (m, 6H), 7.30–7.21 (m, 1H), 7.07 (t, J = 8.7 Hz, 2H), 5.60 (d, J = 2.1 Hz, 1H), 5.34 (d, J = 1.6 Hz, 1H), 3.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.31 (d, J_{C-F} = 245.0 Hz), 160.20, 159.55, 158.33 (d, J_{C-F} = 2.4 Hz), 140.90, 140.57, 131.61, 130.62 (d, J_{C-F} = 3.2 Hz), 129.88 (d, J_{C-F} = 7.8 Hz), 128.77, 127.99, 127.40, 123.08, 122.02, 115.33 (d, J_{C-F} = 21.4 Hz), 114.78, 111.78, 111.31, 105.25, 51.12, 29.07; ^{19}F NMR (377 MHz, $CDCl_3$) δ −114.90 (m). IR (KBr) ν 3063, 2943, 1694, 1659, 1640, 1570, 1506, 1403, 1100, 753, 703 cm^{-1}; HRMS (ESI): *m*/*z* calcd for ($[C_{25}H_{18}FNO_2 + H]^+$): 384.1394; found: 384.1397.

(*Z*)-2-(4-Chlorobenzylidene)-5-methyl-3-phenyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4g). White solid (68 mg, 34%); mp 239–240 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, J = 7.9, 1.4 Hz, 1H), 7.70–7.63 (m, 1H), 7.62–7.55 (m, 2H), 7.44–7.30 (m, 8H), 7.30–7.23 (m, 1H), 5.59 (d, J = 2.2 Hz, 1H), 5.34 (d, J = 2.2 Hz, 1H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.15, 159.50, 159.22, 140.92, 140.39, 132.96, 132.04, 131.65, 129.50, 128.80, 128.56, 128.00, 127.45, 123.07, 122.05, 114.79, 111.77, 111.26, 105.22, 51.27, 29.08. IR (KBr) ν 3054, 2946, 1695, 1659, 1640, 1568, 1491, 1403, 1096, 753, 707 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{18}ClNO_2 + H]^+$): 400.1099; found: 400.1096.

(*Z*)-2-Benzylidene-5-methyl-3-(*p*-tolyl)-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4h). White solid (68 mg, 36%); mp 214–215 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J = 7.8 Hz, 1H), 7.74–7.58 (m, 3H), 7.46–7.30 (m, 4H), 7.29–7.18 (m, 3H), 7.17–7.07 (m, 2H), 5.64 (d, J = 2.0 Hz, 1H), 5.32 (d, J = 1.7 Hz, 1H), 3.63 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.15, 159.60, 158.91, 140.83, 137.67, 136.92, 134.53, 131.47, 129.43, 128.41, 128.29, 127.91, 126.53, 123.12, 121.94, 114.70, 111.94, 111.39, 106.15, 50.84, 29.02, 21.10. IR (KBr) ν 3052, 3024, 1694, 1663, 1645, 1568, 1459, 1401, 1120, 752, 693 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{26}H_{21}NO_2 + H]^+$): 380.1645; found: 380.1644.

(*Z*)-2-Benzylidene-3-(4-methoxyphenyl)-5-methyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4i). White solid (105 mg, 53%); mp 222–223 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (dd, J = 7.9, 1.4 Hz, 1H), 7.73–7.59 (m, 3H), 7.43–7.27 (m, 6H), 7.26–7.19 (m, 1H), 6.91–6.82 (m, 2H), 5.64 (d, J = 2.2 Hz, 1H), 5.32 (d, J = 2.1 Hz, 1H), 3.77 (s, 3H), 3.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.05, 159.64, 159.00, 158.73, 140.84, 134.52, 132.78, 131.48, 129.09, 128.43, 128.29, 126.55, 123.13, 121.95, 114.71, 114.10, 111.95, 111.40, 106.15, 55.15, 50.47, 29.02. IR (KBr) ν 3001, 2949, 2831, 1694, 1662, 1644, 1566, 1494, 1403, 1121, 753, 692 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{26}H_{21}NO_3 + H]^+$): 396.1594; found: 396.1596.

(*Z*)-2-Benzylidene-3-(4-fluorophenyl)-5-methyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4j). White solid (59 mg, 31%); mp 216–217 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, J = 7.8 Hz, 1H), 7.74–7.58 (m, 3H), 7.50–7.30 (m, 6H), 7.29–7.16 (m, 1H), 7.01 (t, J = 8.6 Hz, 2H), 5.63 (s, 1H), 5.35 (s, 1H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.07 (d, J_{C-F} = 244.2 Hz), 160.31, 159.60, 158.51, 140.96, 136.46 (d, J_{C-F} = 3.3 Hz), 134.33, 131.68, 129.67 (d, J_{C-F} = 8.0 Hz), 128.50, 128.35, 126.76, 123.21, 122.07, 115.72, 115.51, 114.78, 111.47 (d, J_{C-F} = 24.2 Hz), 106.59, 50.47, 29.06; ^{19}F NMR (377 MHz, $CDCl_3$) δ −115.30 (s). IR (KBr) ν 3036, 1694, 1662, 1643, 1570, 1507, 1403, 1122, 756, 693 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{18}FNO_2 + H]^+$): 384.1394; found: 384.1397.

(*Z*)-2-Benzylidene-3-(4-chlorophenyl)-5-methyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4k). White solid (84 mg, 42%); mp 224–225 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, J = 7.7 Hz, 1H), 7.67 (d, J = 7.4 Hz, 3H), 7.52–7.13 (m, 9H), 5.62 (s, 1H), 5.35 (s, 1H), 3.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.44, 159.57, 158.24, 141.00, 139.19, 134.27, 133.25, 131.76, 129.49, 128.94, 128.52, 128.38, 126.82, 123.25, 122.11, 114.82, 111.42, 111.34, 106.73, 50.62, 29.08. IR (KBr) ν 3023, 1693, 1662, 1641, 1567, 1493, 1403, 1121, 754, 692 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{18}ClNO_2 + H]^+$): 400.1099; found: 400.1096.

(*Z*)-2-Benzylidene-3-(4-bromophenyl)-5-methyl-3,5-dihydrofuro[3,2-*c*]quinolin-4(2*H*)-one (4l). White solid (69 mg, 31%); mp 213–214 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, J = 7.8 Hz, 1H), 7.67 (d, J = 7.4 Hz, 3H), 7.53–7.33 (m, 6H), 7.32–7.17 (m, 3H), 5.63 (s, 1H), 5.34 (s, 1H), 3.66 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.48, 159.58, 158.15, 141.02, 139.72, 134.26, 131.89, 131.78, 129.87, 128.53, 128.39, 126.84, 123.26, 122.13, 121.43, 114.83, 111.35, 106.77, 50.69, 29.09. IR (KBr) ν 3021, 1694, 1662, 1641, 1567, 1505, 1402, 1120, 753, 691 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{18}BrNO_2 + H]^+$): 444.0594; found: 444.0597.

3-(1,3-Diphenylprop-2-yn-1-yl)-4-hydroxy-1-methylquinolin-2(1*H*)-one (5a). White solid (110 mg, 60%); mp 204–205 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (dd, J = 8.0, 1.4 Hz, 1H), 7.88 (s, 1H), 7.68–7.46 (m, 5H), 7.40–7.29 (m, 6H), 7.28–7.19 (m, 2H), 6.11 (s, 1H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.39, 157.59, 139.33, 139.00, 131.79, 131.15, 128.83, 128.80, 128.42, 127.32, 127.14, 123.75, 121.82, 116.21, 113.80, 110.47, 87.45, 87.02, 33.07, 29.95. IR (KBr) ν 2940, 1637, 1556, 1489, 1393, 1248, 1152, 756, 693 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{19}NO_2 + H]^+$): 366.1489; found: 366.1487.

4-Methyl-6,8,9,9-tetraphenyl-4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one (6a). White solid (158 mg, 60%); mp 287–288 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57–7.52 (m, 2H), 7.50–7.35 (m, 4H), 7.26–6.97 (m, 16H), 6.85–6.76 (m, 2H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.11, 152.72, 145.35, 143.39, 142.80, 142.12, 141.35, 140.25, 139.31, 135.92, 134.82, 130.76, 129.46, 129.20, 128.50, 127.85, 127.46, 127.34, 127.26, 127.23, 126.83, 123.31, 118.48, 117.99, 110.75, 69.17, 29.42. IR (KBr) ν 3024, 1648, 1591, 1490, 1268, 1123, 1012, 758, 702 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{39}H_{27}NO + H]^+$): 526.2165; found: 526.2164.

4-Methyl-6,9,9-triphenyl-8-(*p*-tolyl)-4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one (6b). White solid (151 mg, 56%); mp 264–265 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58–7.51 (m, 2H), 7.49–7.35 (m, 4H), 7.21–6.98 (m, 13H), 6.88 (d, J = 7.5 Hz, 2H), 6.75–6.65 (m, 2H), 3.69 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.12, 152.69, 145.39, 143.53, 142.75, 142.20, 141.35, 140.30, 136.93, 136.46, 135.89, 135.03, 130.68, 129.45, 129.09, 128.54, 128.13, 127.83, 127.31, 127.22, 126.79, 123.33, 118.35, 117.98, 110.71, 69.16, 29.39, 21.11. IR (KBr) ν 3026, 1656, 1561, 1492, 1271, 1124, 960, 756, 707 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{40}H_{29}NO + H]^+$): 540.2322; found: 540.2324.

8-(4-Methoxyphenyl)-4-methyl-6,9,9-triphenyl-4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one (6c). White solid (128 mg, 46%); mp 282–283 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58–7.51 (m, 2H), 7.49–7.34 (m, 4H), 7.21–6.99 (m, 13H), 6.78–6.69 (m, 2H), 6.66–6.57 (m, 2H), 3.75 (s, 3H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.11, 158.88, 152.70, 145.53, 143.19, 142.77, 142.14, 141.37, 140.30, 135.88, 135.12, 131.81, 130.68, 130.40, 129.43, 128.52, 127.84, 127.31, 127.21, 126.82, 123.33, 118.30, 117.96, 112.89, 110.70, 69.13, 55.21, 29.38. IR (KBr) ν 3032, 1650, 1514, 1490, 1269, 1181, 1037, 752, 711 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{40}H_{29}NO_2 + H]^+$): 556.2271; found: 556.2269.

9,9-Bis(4-methoxyphenyl)-4-methyl-6,8-diphenyl-4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one (6d). White solid (120 mg, 41%); mp 265–266 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56–7.51 (m, 2H), 7.48–7.35 (m, 4H), 7.23–7.17 (m, 2H), 7.14–7.06 (m, 3H), 7.02 (d, J = 7.5 Hz, 1H), 6.94–6.88 (m, 4H), 6.87–6.82 (m, 2H), 6.66–6.57 (m, 4H), 3.73 (s, 6H), 3.69 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.13, 158.34, 153.19, 145.81, 143.21, 142.62, 141.19, 140.30, 139.42, 135.91, 134.76, 134.32, 130.71, 129.54, 129.44, 129.26, 127.46, 127.32, 127.22, 123.07, 118.42, 117.74, 113.15, 110.57, 67.90, 55.16, 29.39. IR (KBr) ν 3030, 2959, 1649, 1507, 1451, 1248, 1180, 1029, 758, 699 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{41}H_{31}NO_3 + H]^+$): 586.2377; found: 586.2376.

9,9-Bis(4-chlorophenyl)-4-methyl-6,8-diphenyl-4,9-dihydro-5*H*-cyclopenta[*lmn*]phenanthridin-5-one (6e). White solid (140 mg, 47%); mp 314–315 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58–7.36 (m, 6H), 7.28–7.19 (m, 2H), 7.18–7.09 (m, 3H), 7.09–7.02 (m, 4H), 6.97 (d, J = 7.5 Hz, 1H), 6.93–6.85 (m, 4H), 6.84–6.77 (m, 2H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.92, 151.73, 144.39, 143.33, 143.28, 141.13, 140.40, 139.94, 138.93, 136.10, 134.80, 132.92, 130.97, 129.68, 129.40, 129.00, 128.10, 127.69, 127.57, 127.40, 127.38, 123.10, 118.63, 117.60, 111.16, 68.05, 29.45. IR (KBr) ν 3026, 1650, 1591, 1489, 1266, 1093, 1012, 753, 697 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{39}H_{25}Cl_2NO + H]^+$): 594.1386; found: 594.1388.

2-Benzyl-5-methyl-3-phenylfuro[3,2-*c*]quinolin-4(5*H*)-one (7a). White solid (70 mg, 96%); mp 156–157 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01–7.93 (m, 1H), 7.59–7.41 (m, 5H), 7.41–7.34 (m, 2H), 7.34–7.19 (m, 6H), 4.17 (s, 2H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.17, 154.38, 152.22, 137.94, 137.76, 130.90, 130.21, 129.25, 128.63, 128.38, 127.95, 127.56, 126.61, 121.99, 121.83, 121.14, 114.76, 113.98, 112.83, 32.44, 29.09. IR (KBr) ν 3022, 2940, 1655, 1582, 1493, 1225, 1113, 980, 744, 701 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{19}NO_2 + H]^+$): 366.1489; found: 366.1487.

2-(4-Methoxybenzyl)-5-methyl-3-phenylfuro[3,2-*c*]quinolin-4(5*H*)-one (7b). White solid (74 mg, 94%); mp 141–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, J = 7.9, 1.3 Hz, 1H), 7.59–7.34 (m, 7H), 7.29–7.22 (m, 1H), 7.20–7.13 (m, 2H), 6.89–6.80 (m, 2H), 4.10 (s, 2H), 3.77 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.19, 158.31, 154.32, 152.67, 137.92, 130.95, 130.22, 129.78, 129.36, 129.22, 127.93, 127.52, 121.98, 121.50, 121.14, 114.76, 114.02, 113.99, 112.86, 55.21, 31.58, 29.09. IR (KBr) ν 3052, 2991, 1658, 1512, 1251, 1179, 1111, 1039, 746, 700 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{26}H_{21}NO_3 + H]^+$): 396.1594; found: 396.1596.

2-(4-Chlorobenzyl)-5-methyl-3-phenylfuro[3,2-*c*]quinolin-4(5*H*)-one (7c). White solid (76 mg, 95%); mp 151–152 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, J = 7.8, 1.2 Hz, 1H), 7.57–7.49 (m, 3H), 7.48–7.35 (m, 4H), 7.31–7.23 (m, 3H), 7.20–7.13 (m, 2H), 4.13 (s, 2H), 3.73 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.13, 154.48, 151.61, 138.02, 136.19, 132.50, 130.73, 130.15, 129.72, 129.40, 128.76, 128.02, 127.69, 122.07, 121.14, 114.83, 113.98, 112.78, 31.85, 29.12. IR (KBr) ν 3035, 2895, 1653, 1581, 1489, 1308, 1220, 1111, 750, 699 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{25}H_{18}ClNO_2 + H]^+$): 400.1099; found: 400.1096.

2-Benzyl-3-(4-methoxyphenyl)-5-methylfuro[3,2-*c*]quinolin-4(5*H*)-one (7d). White solid (73 mg, 92%); mp 172–173 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, J = 7.9, 1.4 Hz, 1H), 7.53–7.44 (m, 3H), 7.39 (d, J = 8.5 Hz, 1H), 7.34–7.28 (m, 2H), 7.28–7.20 (m, 4H), 7.03–6.94 (m, 2H), 4.16 (s, 2H), 3.84 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.27, 159.08, 154.30, 151.89, 137.92, 137.88, 131.35, 129.18, 128.62, 128.36, 126.58, 123.11, 121.97, 121.46, 121.13, 114.75, 114.07, 113.50, 112.89, 55.23, 32.43, 29.07. IR (KBr) ν 3023, 2939, 1658, 1589, 1516, 1252, 1184, 1112, 752, 698 cm^{-1}; HRMS (ESI): m/z calcd for ($[C_{26}H_{21}NO_3 + H]^+$): 396.1594; found: 396.1596.

Author contributions

H. Y. conducted most of the synthetic experiments. X. G., Z. F., M.-F. W., D. F. and Z. C. conducted a part of the propargylic alcohols. S. W., Y. W. and M. W. directed the projects and wrote the manuscript. All of the authors approved the final version of the manuscript.

Conflicts of interest

There are no conflicts to declare.

Acknowledgements

This work was supported by the Excellent Young Talents Fund Program of Higher Education Institutions of Anhui Province (Grant No. gxyq2019041), the Foundation of Key Laboratory of Antiinflammatory and Immune Medicine, Ministry of Education, Anhui Medical University (KFJJ-2021-15, KFJJ-2020-10), the Natural Science Foundation of Anhui Higher Education Institutions of China (KJ2020A0619, KJ2021A0842), the National Natural Science Foundation of China (21602157), the College Students' Innovation and Entrepreneurship Program of Anhui Province (S202110368092).

Notes and references

1 (*a*) J. P. Michael, Quinoline, quinazoline and acridone alkaloids, *Nat. Prod. Rep.*, 2002, **19**, 742–760; (*b*) J. P. Michael, Quinoline, quinazoline and acridone alkaloids, *Nat. Prod. Rep.*, 2007, **24**, 223–246.

2 (*a*) O. Jansen, V. Akhmedjanova, L. Angenot, G. Balansard, A. Chariot, E. Ollivier, M. Tits and M. Frédérich, Screening of 14 alkaloids isolated from *Haplophyllum* A. Juss. for their cytotoxic properties, *J. Ethnopharmacol.*, 2006, **105**, 241–245; (*b*) I. V. Magedov, M. Manpadi, M. A. Ogasawara, A. S. Dhawan, S. Rogelj, S. Van slambrouck, W. F. A. Steelant, N. M. Evdokimov, P. Y. Uglinskii, E. M. Elias, *et al.*, Structural Simplification of Bioactive Natural Products with Multicomponent Synthesis. 2. Antiproliferative and Antitubulin Activities of Pyrano[3,2-*c*]pyridones and Pyrano[3,2-*c*]quinolones, *J. Med. Chem.*, 2008, **51**, 2561–2570.

3 M. Isaka, M. Tanticharoen, P. Kongsaeree and Y. Thebtaranonth, Structures of Cordypyridones A–D,

Antimalarial *N*-Hydroxy- and *N*-Methoxy-2-pyridones from the Insect Pathogenic Fungus Cordyceps nipponica, *J. Org. Chem.*, 2001, **66**, 4803–4808.

4 J.-J. Chen, P.-H. Chen, C.-H. Liao, S.-Y. Huang and I.-S. Chen, New Phenylpropenoids, Bis(1-phenylethyl)phenols, Bisquinolinone Alkaloid, and Anti-inflammatory Constituents from Zanthoxylum integrifoliolum, *J. Nat. Prod.*, 2007, **70**, 1444–1448.

5 (*a*) K. D. McBrien, Q. Gao, S. Huang, S. E. Klohr, R. R. Wang, D. M. Pirnik, K. M. Neddermann, I. Bursuker, K. F. Kadow and J. E. Leet, Fusaricide, a New Cytotoxic *N*-Hydroxypyridone from *Fusarium* sp., *J. Nat. Prod.*, 1996, **59**, 1151–1153; (*b*) C. L. Cantrell, K. K. Schrader, L. K. Mamonov, G. T. Sitpaeva, T. S. Kustova, C. Dunbar and D. E. Wedge, Isolation and Identification of Antifungal and Antialgal Alkaloids from *Haplophyllum sieversii*, *J. Agric. Food Chem.*, 2005, **53**, 7741–7748.

6 F. Koizumi, N. Fukumitsu, J. Zhao, R. Chanklan, T. Miyakawa, S. Kawahara, S. Iwamoto, M. Suzuki, S. Kakita, E. S. Rahayu, *et al.*, YCM1008A, a Novel Ca^{2+}-Signaling Inhibitor, Produced by *Fusarium* sp. YCM1008, *J. Antibiot.*, 2007, **60**, 455–458.

7 K. D. Upadhyay, N. M. Dodia, R. C. Khunt, R. S. Chaniara and A. K. Shah, Evaluation and *in vivo* efficacy study of pyrano [3,2-*c*]quinoline analogues as TNF-α inhibitors, *Chem. Biol. Drug Des.*, 2019, **94**, 1647–1655.

8 I.-S. Chen, I.-W. Tsai, C.-M. Teng, J.-J. Chen, Y.-L. Chang, F.-N. Ko, M. C. Lu and J. M. Pezzuto, Pyranoquinoline alkaloids from Zanthoxylum simulans, *Phytochemistry*, 1997, **46**, 525–529.

9 C. Ito, M. Itoigawa, A. Furukawa, T. Hirano, T. Murata, N. Kaneda, Y. Hisada, K. Okuda and H. Furukawa, Quinolone Alkaloids with Nitric Oxide Production Inhibitory Activity from Orixa japonica, *J. Nat. Prod.*, 2004, **67**, 1800–1803.

10 I.-S. Chen, S.-J. Wu, I.-L. Tsai, T.-S. Wu, J. M. Pezzuto, M. C. Lu, H. Chai, N. Suh and C.-M. Teng, Chemical and Bioactive Constituents from Zanthoxylum simulans, *J. Nat. Prod.*, 1994, **57**, 1206–1211.

11 (*a*) J. Vaquette, M. S. Hifnawy, J. L. Pousset, A. Fournet, A. Bouquet and A. Cavé, Alcaloides d'Araliopsis soyauxii. Isolement d'un nouvel alcaloide, l'Araliopsine, *Phytochemistry*, 1976, **15**, 743–745; (*b*) G. Bar, A. F. Parsons and C. B. Thomas, A radical approach to araliopsine and related quinoline alkaloids using manganese(III) acetate, *Tetrahedron Lett*, 2000, **41**, 7751–7755; (*c*) Y. Tangella, K. L. Manasa, V. Laxma Nayak, M. Sathish, B. Sridhar, A. Alarifi, N. Nagesh and A. Kamal, An efficient one-pot approach for the regio- and diastereoselective synthesis of trans-dihydrofuran derivatives: cytotoxicity and DNA-binding studies, *Org. Biomol. Chem.*, 2017, **15**, 6837–6853.

12 T.-S. Wu, C.-Y. Li, Y.-L. Leu and C.-Q. Hu, Limonoids and alkaloids of the root bark of Dictamnus angustifolius, *Phytochemistry*, 1999, **50**, 509–512.

13 C. Marzano, F. Baccichetti, F. Carlassare, A. Chilin, S. Lora and F. Bordin, DNA Damage Induced by 4,6,8,9-Tetramethyl-2*H*-furo[2,3-*h*]quinolin-2-one, a New Furocoumarin Analog: Biological Consequences, *Photochem. Photobiol.*, 2000, **71**, 263–272.

14 I. Butenschön, K. Möller and W. Hänsel, Angular Methoxy-Substituted Furo- and Pyranoquinolinones as Blockers of the Voltage-Gated Potassium Channel Kv1.3, *J. Med. Chem.*, 2001, **44**, 1249–1256.

15 (*a*) R. A. Mekheimer, N. H. Mohamed and K. U. Sadek, Synthesis of Functionalized 4*H*-Pyrano[3,2-*c*]pyridines from 4-Hydroxy-6-methyl-2-pyridone and Their Reactions. Unexpected New Routes to 3,3′-Benzylidenebis[4-hydroxy-6-methyl-2(1*H*)-3-pyridinone]s, *Bull. Chem. Soc. Jpn.*, 1997, **70**, 1625–1630; (*b*) E. Stoyanov, I. Ivanov and D. Heber, General Method for the Preparation of Substituted 2-Amino-4*H*,5*H*-pyrano[4,3-*b*]pyran-5-ones and 2-Amino-4*H*-pyrano[3,2-*c*]pyridine-5-ones, *Molecules*, 2000, **5**, 19–32; (*c*) K. Tatsuta, T. Yamaguchi, Y. Tsuda, Y. Yamaguchi, N. Hattori, H. Nagai and S. Hosokawa, The first total synthesis and structural determination of YCM1008A, *Tetrahedron Lett*, 2007, **48**, 4187–4190; (*d*) P. Kumari, C. Narayana, S. Dubey, A. Gupta and R. Sagar, Stereoselective synthesis of natural product inspired carbohydrate fused pyrano[3,2-*c*]quinolones as antiproliferative agents, *Org. Biomol. Chem.*, 2018, **16**, 2049–2059; (*e*) K. D. Upadhyay, N. M. Dodia, R. C. Khunt, R. S. Chaniara and A. K. Shah, Synthesis and Biological Screening of Pyrano[3,2-*c*]quinoline Analogues as Anti-inflammatory and Anticancer Agents, *ACS Med. Chem. Lett.*, 2018, **9**, 283–288; (*f*) G. Bar, A. F. Parsons and C. B. Thomas, Manganese(III) acetate mediated radical reactions leading to araliopsine and related quinoline alkaloids, *Tetrahedron*, 2001, **57**, 4719–4728; (*g*) M. Ghosh and A. Hajra, DABCO-Promoted One-Pot Facile Synthesis of Angularly Fused Furoquinol-inones and Furocoumarins, *Eur. J. Org. Chem.*, 2015, **2015**, 7836–7841; (*h*) T. Katsina, E. E. Anagnostaki, F. Mitsa, V. Sarli and A. L. Zografos, Palladium-catalyzed direct alkenylation of 4-hydroxy-2-pyridones, *RSC Adv*, 2016, **6**, 6978–6982; (*i*) A. Dey and A. Hajra, $FeCl_3$/ZnI_2-Catalyzed regioselective synthesis of angularly fused furans, *Org. Biomol. Chem.*, 2017, **15**, 8084–8090; (*j*) T. Guo, X.-N. Wei, H.-Y. Wang and B. Zhao, Palladium-catalyzed facile synthesis of furoquinol-inones and furopyridinones, *Synth. Commun.*, 2018, **48**, 761–767; (*k*) P. A. Sakharov, N. V. Rostovskii, A. F. Khlebnikov, T. L. Panikorovskii and M. S. Novikov, 2*H*-Azirines as C–C Annulation Reagents in Cu-Catalyzed Synthesis of Furo[3,2-*c*]quinolone Derivatives, *Org. Lett.*, 2019, **21**, 3615–3619.

16 X.-R. Song, R. Yang and Q. Xiao, Recent Advances in the Synthesis of Heterocyclics *via* Cascade Cyclization of Propargylic Alcohols, *Adv. Synth. Catal.*, 2021, **363**, 852–876.

17 (*a*) C. R. Reddy, R. Ranjan, P. Kumaraswamy, M. D. Reddy and R. Gree, 1-Aryl Propargylic Alcohols as Handy Synthons for the Construction of Heterocycles and Carbocycles, *Curr. Org. Chem.*, 2014, **18**, 2603–2645; (*b*) S. Gandhi and B. Baire, Ag(I) Catalyzed Cascade Approach to 2-(α-Hydroxyacyl)pyrroles, *ChemistrySelect*, 2017, **2**, 3964–3968; (*c*) X. Y. Liu, Y. L. Liu and L. Chen, Tandem

Annulations of Propargylic Alcohols to Indole Derivatives, *Adv. Synth. Catal.*, 2020, **362**, 5170–5195.

18 (*a*) F.-Q. Yuan and F.-S. Han, Iron-Catalyzed Direct Synthesis of Densely Substituted Benzofurans and Naphthopyrans from Phenolic Compounds and Propargylic Alcohols, *Adv. Synth. Catal.*, 2013, **355**, 537–547; (*b*) W.-T. Li, W.-H. Nan and Q.-L. Luo, Metal-free sequential reaction *via* a propargylation, annulation and isomerization sequence for the one-pot synthesis of 2,3-disubstituted benzofurans, *RSC Adv*, 2014, **4**, 34774–34779; (*c*) P. Tharra and B. Baire, Mild Approach to 2-Acylfurans *via* Intercepted Meyer-Schuster Rearrangement of 6-Hydroxyhex-2-en-4-ynals, *J. Org. Chem.*, 2015, **80**, 8314–8328; (*d*) X. Cheng, Y. Yu, Z. Mao, J. Chen and X. Huang, Facile synthesis of substituted 3-aminofurans through a tandem reaction of *N*-sulfonyl-1,2,3-triazoles with propargyl alcohols, *Org. Biomol. Chem.*, 2016, **14**, 3878–3882; (*e*) G. C. Nandi and K. Soumini, Catalyst-Controlled Straightforward Synthesis of Highly Substituted Pyrroles/Furans *via* Propargylation/Cycloisomerization of α-Oxoketene-N,S-acetals, *J. Org. Chem.*, 2016, **81**, 11909–11915; (*f*) A. Pareek, R. Dada, M. Rana, A. K. Sharma and S. Yaragorla, $^{n}Bu_4NPF_6$ promoted regioselective cascade synthesis of functionally embellished naphthofurans under acid, metal & solvent free conditions, *RSC Adv*, 2016, **6**, 89732–89743; (*g*) P. Tharra and B. Baire, Regioselective, cascade [3 + 2] annulation of β-naphthols (resorcinols) with *Z*-enoate propargylic alcohols: a novel entry for the synthesis of complex naphtho(benzo)furans, *Chem. Commun.*, 2016, **52**, 14290–14293; (*h*) S. Gandhi, P. Tharra and B. Baire, Ag(I)-Catalyzed Cyclizative Hydration of Alkynes and Propargylic Alcohols. A Mild Approach to 2-Acylfuran Derivatives, *Chemistryselect*, 2017, **2**, 1058–1062; (*i*) S. Gandhi and B. Baire, Calcium(II) Catalyzed Cycloisomerization of *cis*-6-Hydroxy/(Acyloxy)hex-2-en-4-ynals to 2-Acyl- and 2-(Acyloxyalkenyl)furans, *Chemistryselect*, 2018, **3**, 4490–4494.

19 (*a*) F. Bigi, S. Carloni, R. Maggi, C. Muchetti and G. Sartori, Zeolite-Induced Heterodomino Reaction. Regioselective Synthesis of 2*H*-1-Benzopyrans from Phenols and α-Alkynols, *J. Org. Chem.*, 1997, **62**, 7024–7027; (*b*) Y. Ishino, M. Mihara, N. Hayakawa, T. Miyata, Y. Kaneko and T. Miyata, An improved method for synthesis of 1-benzopyrans from unsaturated alcohols and phenols using a catalytic amount of acids, *Synth. Commun.*, 2001, **31**, 439–448; (*c*) Y. Nishibayashi, Y. Inada, M. Hidai and S. Uemura, Ruthenium-Catalyzed Cycloaddition of Propargylic Alcohols with Phenol Derivatives *via* Allenylidene Intermediates: Catalytic Use of the Allenylidene Ligand as the C3 Unit, *J. Am. Chem. Soc.*, 2002, **124**, 7900–7901; (*d*) W. Zhao and E. M. Carreira, Facile One-Pot Synthesis of Photochromic Pyrans, *Org. Lett.*, 2003, **5**, 4153–4154; (*e*) X. Xu, J. Liu, L. Liang, H. Li and Y. Li, Iron-Catalyzed Regioselective Hydroaryloxylation of C≡C Triple Bonds: An Efficient Synthesis of 2*H*-1-Benzopyran Derivatives, *Adv. Synth. Catal.*, 2009, **351**, 2599–2604; (*f*) Y.-P. Han, X.-S. Li, M. Li, X.-Y. Zhu and Y.-M. Liang, Lewis Acid-Catalyzed Formal [3 + 3] Annulation of Propargylic Alcohols with 4-Hydroxy-2*H*-chromen-2-ones, *Adv. Synth. Catal.*, 2018, **360**, 2796–2800; (*g*) H. Zhu, Q. Zhou, N. Liu, J. Xing, W. Yao and X. Dou, Relay Rhodium(I)/Acid Catalysis for Rapid Access to Benzo-2*H*-Pyrans and Benzofurans, *Adv. Synth. Catal.*, 2022, **364**, 1162–1167.

20 (*a*) S. Wang, Z. Chai, Y. Wei, X. Zhu, S. Zhou and S. Wang, Lewis acid catalyzed cascade reaction to carbazoles and naphthalenes *via* dehydrative [3 + 3]-annulation, *Org. Lett.*, 2014, **16**, 3592–3595; (*b*) P. Tharra and B. Baire, Regioselective Cyclization of (Indol-3-yl)pentyn-3-ols as an Approach to (Tetrahydro)carbazoles, *Org. Lett.*, 2018, **20**, 1118–1121.

21 (*a*) M. Shao, Y. Wu, Z. Feng, X. Gu and S. Wang, Synthesis of polysubstituted 1,2-dihydroquinolines and indoles *via* cascade reactions of arylamines and propargylic alcohols catalyzed by $FeCl_3 \cdot 6H_2O$, *Org. Biomol. Chem.*, 2016, **14**, 2515–2521; (*b*) Y. Wu, M. Shao, Z. Feng, X. Gu, Y. Hong, Q. Cui, L. Ren and S. Wang, Synthesis of Iodine-Substituted Quinolines, Quinolinium Salts, and Isoquinolinium Salts *via* a Three-Component Tandem Reaction of Aryl Azides, Propargylic Alcohols, and Iodine, *Asian J. Org. Chem.*, 2017, **6**, 76–82.

22 (*a*) S. Y. Wang, Z. Chai, S. L. Zhou, S. W. Wang, X. C. Zhu and Y. Wei, A Novel Lewis Acid Catalyzed [3 + 3]-Annulation Strategy for the Syntheses of Tetrahydro-beta-Carbolines and Tetrahydroisoquinolines, *Org. Lett.*, 2013, **15**, 2628–2631; (*b*) H. Yin, Q. Ma, Y. Wang, X. Gu, Z. Feng, Y. Wu, M. Wang and S. Wang, Synthesis of tetrahydro-β-carbolines from 2-indolylmethyl azides and propargylic alcohols, *RSC Adv*, 2021, **11**, 19639–19646.

23 T. T. Talele, The "Cyclopropyl Fragment" is a Versatile Player that Frequently Appears in Preclinical/Clinical Drug Molecules, *J. Med. Chem.*, 2016, **59**, 8712–8756.

24 (*a*) S. Swaminathan and K. V. Narayanan, Rupe and Meyer-Schuster rearrangements, *Chem. Rev.*, 1971, **71**, 429–438; (*b*) K. H. Meyer and K. Schuster, Umlagerung tertiärer Äthinyl-carbinole in ungesättigte Ketone, *Chem. Ber.*, 1922, **55**, 819–823; (*c*) D. Roy, P. Tharra and B. Baire, Intercepted Meyer-Schuster Rearrangements in Organic Synthesis, *Asian J. Org. Chem.*, 2018, **7**, 1015–1032.

25 (*a*) F. Alonso, I. P. Beletskaya and M. Yus, Transition-Metal-Catalyzed Addition of Heteroatom–Hydrogen Bonds to Alkynes, *Chem. Rev.*, 2004, **104**, 3079–3160; (*b*) Y. Liu, F. Song, Z. Song, M. Liu and B. Yan, Gold-Catalyzed Cyclization of (*Z*)-2-En-4-yn-1-ols: Highly Efficient Synthesis of Fully Substituted Dihydrofurans and Furans, *Org. Lett.*, 2005, **7**, 5409–5412; (*c*) B. Gabriele, R. Mancuso and G. Salerno, A Novel Synthesis of 2-Functionalized Benzofurans by Palladium-Catalyzed Cycloisomerization of 2-(1-Hydroxyprop-2-ynyl)phenols Followed by Acid-Catalyzed Allylic Isomerization or Allylic Nucleophilic Substitution, *J. Org. Chem.*, 2008, **73**, 7336–7341; (*d*) Y. Li, J. Xue, X. Li and R. Chen, A New Copper(I)-Catalyzed Cycloetherification/Acid-Catalyzed Allylic Nucleophilic Substitution for One-Pot Synthesis of 2-Substituted Benzofurans, *Synlett*, 2012, **23**, 1043–1046; (*e*) R. Mancuso and B. Gabriele, A Recyclable

Palladium-Catalyzed Synthesis of 2-Methylene-2,3-Dihydrobenzofuran-3-ols by Cycloisomerization of 2-(1-Hydroxyprop-2-ynyl)phenols in Ionic Liquids, *Molecules*, 2013, **18**, 10901–10911; (*f*) M. Zhang, J. Yang, Q. Xu, C. Dong, L.-B. Han and R. Shen, Copper-Catalyzed Dehydrative Cyclization of 1-(2-Hydroxyphenyl)propargyl Alcohols with P(O)H Compounds for the Synthesis of 2-Phosphorylmethylbenzofurans, *Adv. Synth. Catal.*, 2018, **360**, 334–345.

26 For selected reviews on the sequential Diels–Alder reaction of 2-pyrones with alkenes or alkynes followed by retro-Diels–Alder extrusion of CO_2 under thermal reaction conditions: (*a*) K. Afarinkia, V. Vinader, T. D. Nelson and G. H. Posner, Diels–Alder cycloadditions of 2-pyrones and 2-pyridones, *Tetrahedron*, 1992, **48**, 9111–9171; (*b*) Q. Cai, The [4 + 2] Cycloaddition of 2-Pyrone in Total Synthesis, *Chin. J. Chem.*, 2019, **37**, 946–976; (*c*) G. Huang, C. Kouklovsky and A. Torre, Inverse-Electron-Demand Diels–Alder Reactions of 2-Pyrones: Bridged Lactones and Beyond, *Chem.–Eur. J.*, 2021, **27**, 4760–4778. For selected recent examples using this strategy:; (*d*) G. L. Points III, K. T. Stout and C. M. Beaudry, Regioselective Formation of Substituted Indoles: Formal Synthesis of Lysergic Acid, *Chem.–Eur. J.*, 2020, **26**, 16655–16658; (*e*) M.-M. Xu, X.-Y. You, Y.-Z. Zhang, Y. Lu, K. Tan, L. Yang and Q. Cai, Enantioselective Synthesis of Axially Chiral Biaryls by Diels–Alder/Retro-Diels–Alder Reaction of 2-Pyrones with Alkynes, *J. Am. Chem. Soc.*, 2021, **143**, 8993–9001.

27 (*a*) D. A. Engel and G. B. Dudley, Olefination of Ketones Using a Gold(III)-Catalyzed Meyer–Schuster Rearrangement, *Org. Lett.*, 2006, **8**, 4027–4029; (*b*) H. Zhang, H. Tanimoto, T. Morimoto, Y. Nishiyama and K. Kakiuchi, Regioselective Rapid Synthesis of Fully Substituted 1,2,3-Triazoles Mediated by Propargyl Cations, *Org. Lett.*, 2013, **15**, 5222–5225.