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Synthesis of 2-Benzoylfuro[2,3-b]Quinolines from Quinoline-Based Chalcones

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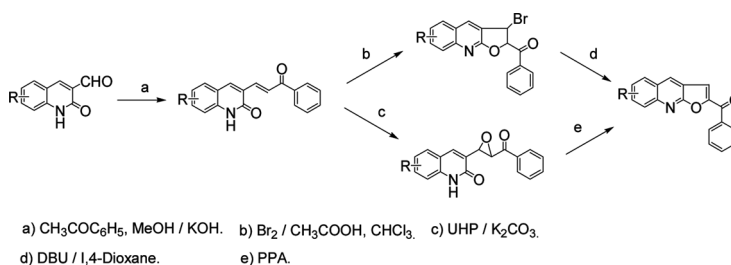
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SYNTHESIS OF 2-BENZOYLFURO[2,3-*b*]QUINOLINES FROM QUINOLINE-BASED CHALCONES

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GRAPHICAL ABSTRACT



Abstract We have described an elegant synthesis of 2-benzoylfuro[2,3-*b*]quinolines from quinolinyl chalcones via bromination and epoxidation. Interestingly, we found that during the bromination, the chalcones were cyclized to give monobromodihydrofuroquinolines, which were dehydrobrominated with 1,8-diazabicyclo[5.4.0]undec-7-ene. During the epoxidation, chalcones were not cyclized and gave epoxides, which were treated with polyphosphoric acid to give the title compound. We have differentiated the addition of bromine and urea hydrogen peroxide to α,β -unsaturated carbonyl of quinolinyl chalcones by this new mechanism.

Keywords Bromocyclization; furoquinolines; Michael addition; quinolinyl chalcone; UHP

INTRODUCTION

Heterocyclic compounds have fascinating chemical behavior as well as inevitable pharmacological properties. In particular, furo[2,3-*b*]quinolines are ubiquitous heterocycles in natural products and pharmaceuticals. Furoquinoline alkaloids are mainly isolated from *Rutaceae* and *Solanaceae* plant species,^[1] and they show significant biological activities, which include vasoconstructive, antidiuretic, antiarrhythmic, spasmolytic, sedative, and hypothermal effects;^[2] antitumoral,

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antipyretic, antiplatelet, and cytotoxic activities, and photosensitization for DNA damage and mutation.^[3] Because of such a wide range of biological activities, increasing attention has been directed to the synthesis of furoquinoline derivatives and alkaloids.

The methods we report herewith are for the synthesis of 2-benzoylfuro[2,3-*b*]quinolines using series of chalcones. It is well known that chalcones serve as the backbone of many natural products and analogs. A wide range of heterocycles can be generated from the chalcone type of compounds. However, chalcones are not only good synthetic intermediates, they also serve as good pharmacophores. Owing to the relevant biological activities including antimicrobial, antibacterial, antifungal, antimalarial,^[4] anticancer,^[5] and cytotoxic activities,^[6] the synthesis of novel chalcones is a rewarding research area.

RESULTS AND DISCUSSION

To the best of our knowledge, hitherto only one report is available in the literature for the synthesis of 2-benzoylfuro[2,3-*b*]quinolines by the Rap–Stoermer reaction using microwaves, and it reports only a few derivatives.^[7] Therefore, a general and conventional method for the synthesis of 2-benzoylfuro[2,3-*b*]quinolines with good yields from new intermediates will be attractive in heterocyclic chemistry. The current process presents novel and elegant methods to synthesize of 2-benzoylfuro[2,3-*b*]quinolines. To achieve the targeted compounds **5a–e**, we synthesized chalcones as an intermediate from 3-formylquinolones^[8–10] **1a–e** and acetophenone by the Claisen–Schmidt condensation reaction. The final compounds **5a–e** were obtained by two different methods from the chalcones via bromination and epoxidation.

First, the chalcones were brominated at room temperature. The bromination reaction still remains very appealing because of the diverse chemical behavior of bromine. Interestingly, we found that during this bromination, the chalcones **2a–e** were cyclized to give *cis*-2-benzoyl-3-bromo-2,3-dihydrofuro[2,3-*b*]quinolines **3a–e** without adding any base. The *cis* configuration of the compounds **3a–e** was confirmed by the coupling constant between H-2 and H-3, $J = 8.5$ Hz (compound **3c**), in its NMR spectrum.^[11,12] We expected the dibromo compound of the chalcones. However, in contrast to our expectations we got only monobromo compounds rather than dibromo compounds because of intramolecular cyclization. Furthermore, the bromination reactions were carried out in a mixture of solvents (chloroform and acetic acid). Initially, we took chloroform only but the yield of the reactions was less (about 40%) and the reaction time was 6 to 8 h. Even with prolonged stirring, the spot of starting material did not disappear completely in thin-layer chromatography (TLC). This may be due to the low solubility of chalcones in chloroform. When we added acetic acid to the reaction medium, we found that the reactions were completed within 2–3 h with the spot of starting compounds completely disappearing in TLC, and also the yield of the reactions was substantially increased (74–84%). This might be due to complete solubility of chalcones in a mixture of solvents (i.e., chloroform and acetic acid). Here the acetic acid also acts as a catalyst for this reaction because the reaction time was also reduced. Based on the current findings, we report a novel mechanism for this reaction (Fig. 1).

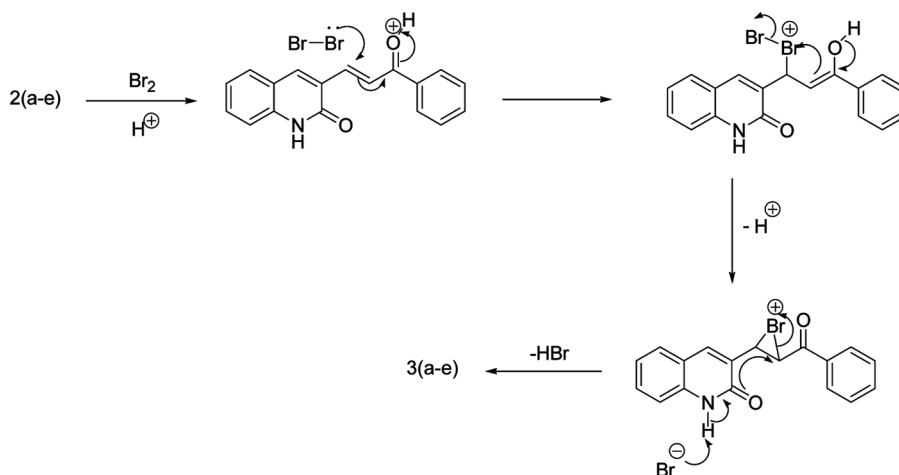


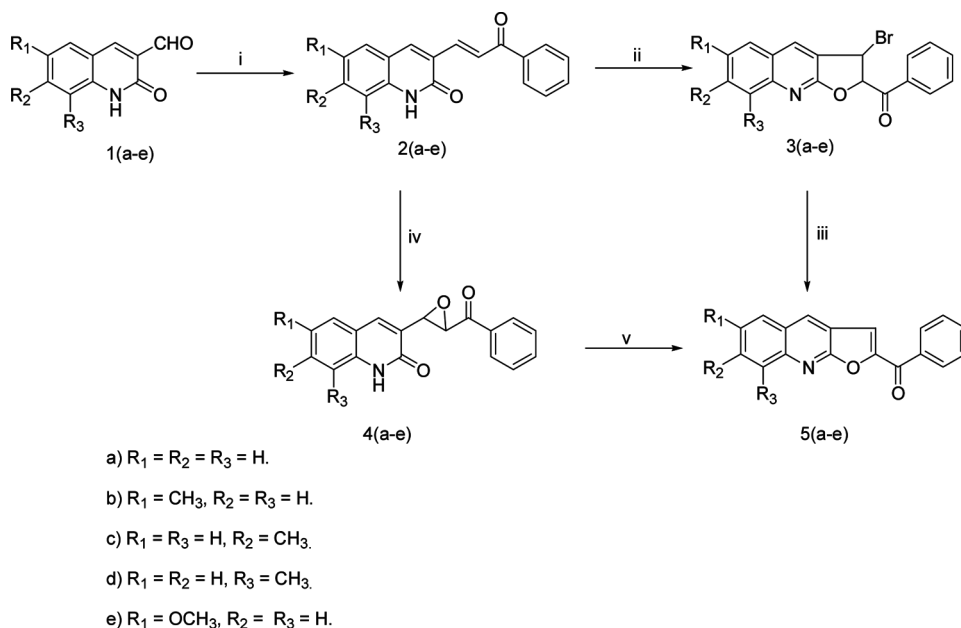
Figure 1. Proposed mechanism for bromocyclization.

The addition of bromine to quinolinyl chalcones follows acid-catalyzed Michael type of addition reaction to form brominium ion and then intramolecular cyclization without adding base. Here, the eliminated bromine (Br^-) ion abstracts proton from quinoline ring and promotes (like bromodecarboxylation^[13]) the intramolecular cyclization. After that, the monobromo compounds were dehydrohalogenated by refluxing with 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU) in dioxane.

Next, the chalcones were epoxidized. It is very difficult to isolate the epoxide of this type of heterocyclic compounds because intramolecular cyclization takes place predominantly. However, we isolated the epoxide of these compounds **4a–e** by using chalcones **2a–e** and urea hydrogen peroxide adduct. Only few papers in the literature report the oxidation of α,β -unsaturated carbonyl compounds with UHP, which was freshly prepared from urea and 33% hydrogen peroxide.^[14]

This reaction was carried out in methanol and potassium carbonate. Here the potassium carbonate was used for generating $^- \text{OOH}$ from urea hydrogen peroxide adduct and it does not assist the intramolecular cyclization of epoxides because the epoxides **4a–e** were not cyclized in this reaction. We have isolated the epoxides and characterized them by spectroscopic data; the ^1H NMR shows that the coupling constant (J) of H_α and H_β of the compound **4c** is 2.0 Hz. Finally, the epoxides **4a–e** were cleaved and dehydrated simultaneously by refluxing with polyphosphoric acid (PPA) to furnish the corresponding 2-benzoylfuro[2,3-*b*]quinolines.

Bromination and epoxidation both follow the Michael type of addition reaction. During the bromination, the chalcones were cyclized, but during the epoxidation the chalcones were not cyclized. This may be explained by considering the structure of urea hydrogen peroxide.^[15] In urea hydrogen peroxide, adduct hydrogen bonding plays a crucial role (i.e., hydrogen peroxide strongly hydrogen bonded with urea). Owing to this, the eliminated hydroxide ion (OH^-) could not promote the intramolecular cyclization; i.e., the hydroxide ion (OH^-) was not freely available for abstracting a proton from the quinoline ring, so the chalcones were not cyclized.



Scheme 1. Reagents and conditions; (i) $CH_3COC_6H_5$, CH_3OH -KOH; (ii) Br_2 , $CHCl_3$, CH_3COOH ; (iii) DBU, 1,4- dioxane; (iv) UHP, K_2CO_3 ; and (v) PPA.

Table 1. Synthesis of 2-benzoylfuro[2,3-*b*]quinolines

Entry	Product	Conditions		Yield (%)	
		From compound 3	From compound 4	From compound 3	From compound 4
1	5a	101 °C/8 h	140 °C/6 h	75	57
2	5b	101 °C/8 h	140 °C/6 h	78	62
3	5c	101 °C/8 h	140 °C/6 h	76	58
4	5d	101 °C/8 h	140 °C/6 h	79	61
5	5e	101 °C/8 h	140 °C/6 h	72	55

and we got epoxide **4a–e** compounds. The whole of the reaction strategy was summarized in Scheme 1, and the results are discussed in Table 1.

CONCLUSION

In conclusion, two novel and convenient methods for the synthesis of 2-benzoylfuro[2,3-*b*]quinolines have been developed using chalcones by bromocyclization and epoxidation. Amusingly, during the bromination the chalcones were cyclized without adding base, and during the epoxidation the chalcones were not cyclized even though a mild base was present in this reaction. We differentiated these reactions and the proposed mechanisms.

EXPERIMENTAL

Melting points were determined using a Raga melting-point apparatus and were uncorrected. Infrared (IR) spectra were recorded on a Shimadzu Fourier transform (FT) IR PC (S) 8201 spectrometer using KBr pellets, and the absorption frequencies are expressed in reciprocal centimeters (cm^{-1}). NMR spectra were taken on Bruker 400 MHz and 500 MHz spectrometers using tetramethylsilane (TMS) as an internal reference. The chemical shifts were expressed in parts per million (ppm). The mass spectra were determined on an Autospec EI⁺ mass spectrometer and high-resolution mass spectrometer (electrospray ionization) [HRMS (ESI)]. Elemental analyses were performed on a Vario EL II CHNS analyzer and Perkin-Elmer analyzer.

3-(3-Oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2a–e)

A mixture of compound **1** (1c, 1.87 g, 0.01 mol) and acetophenone (1.16 ml, 0.01 mol) in methanolic KOH was stirred until the starting material was disappeared (about 12 h). After that, the mixture was poured into crushed ice and then neutralized with 1:1 HCl. The precipitate was filtered off, dried, and then chromatographed over silicagel using a mixture of ethyl acetate and petroleum ether as eluent to give **2** as yellow solid.

7-Methyl-3-(3-oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2c). Yield: 93%, mp 282 °C. IR (KBr): 1654, 1590 cm^{-1} . ¹H NMR (500 MHz; DMSO-*d*₆): δ = 2.41 (s, 3H, CH₃), 7.15 (s, 1H, C₈H), 7.79 (d, 1H, *J* = 15.5 Hz, H_β), 8.28 (d, 1H, H_α), 8.57 (s, 1H, C₄H), 7.08–8.07 (m, 8H, ArH), 12.02 (s, 1H, NH). ¹³C NMR (100 MHz; DMSO-*d*₆): δ = 22.6, 119.1, 122.1, 123.5, 123.8, 125.5, 126.8, 128.2, 128.8, 133.0, 137.4, 137.6, 138.7, 141.5, 161.3, 189.8. HRMS (ESI) calcd. for C₁₉H₁₅NO₂ (M + Na) 312.1000: found 312.1001.

3-(3-Oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2a). Yield: 88%; mp 236 °C. IR (KBr): 1669, 1586 cm^{-1} . ¹H NMR (500 MHz; DMSO-*d*₆): δ = 7.24 (t, 1H, *J* = 7.5, C₇H), 7.36 (d, 1H, C₈H, *J* = 8 Hz), 7.81 (d, 1H, *J* = 16 Hz, H_β), 8.31 (d, 1H, H_α), 8.63 (s, 1H, C₄H), 7.57–7.08 (m, 7H, ArH), 12.09 (s, 1H, NH). Anal. calcd. for C₁₈H₁₃NO₂: C, 78.53; H, 4.76; N, 5.09. Found: C, 79.00; H, 4.86; N, 4.98.

6-Methyl-3-(3-oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2b). Yield: 90%; mp 245 °C. IR (KBr): 1668, 1594 cm^{-1} . ¹H NMR (400 MHz; DMSO-*d*₆): δ = 2.45 (s, 3H, CH₃), 7.14–87.7 (m, 5H, ArH), 7.82 (d, 1H, *J* = 15.6 Hz, H_β), 8.09 (d, 1H, *J* = 8.4 Hz, C₈H), 8.25 (d, 1H, H_α), 8.06 (s, 1H, C₅H), 8.63 (s, 1H, C₄H), 11.20 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₂: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.75; H, 5.16; N, 4.95.

8-Methyl-3-(3-oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2d). Yield: 95%; mp 252 °C. IR (KBr): 1656, 1573 cm^{-1} . ¹H NMR (500 MHz; DMSO-*d*₆): δ = 2.50 (s, 3H, CH₃), 7.15 (s, 1H, C₆H), 7.42 (d, 1H, C₅H), 7.84 (d, 1H, *J* = 16 Hz, H_β), 8.07 (d, 1H, *J* = 7 Hz, C₇H), 8.27 (d, 1H, H_α), 8.65 (s, 1H, C₄H), 7.08–8.07 (m, 8H, ArH), 11.22 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₂: C, 78.87; H, 5.23; N, 4.84. Found: C, 79.01; H, 5.30; N, 4.86.

6-Methoxy-3-(3-oxo-3-phenyl-propenyl)-1*H*-quinolin-2-one (2e). Yield: 89%; mp 275 °C. IR (KBr): 1665, 1580 cm⁻¹. ¹H NMR (500 MHz; DMSO-*d*₆): δ = 3.90 (s, 3H, OCH₃), 7.78 (s, 1H, C₅H), 7.80 (d, 1H, *J* = 15.5 Hz, H_β), 7.90 (d, 1H, *J* = 7.5, C₇H), 8.00 (d, 1H, *J* = 7.5, C₈H), 8.04 (s, 1H, C₄H), 8.55 (d, 1H, H_α), 7.05–8.13 (m, 5H, ArH), 12.02 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₃: C, 74.74; H, 4.95; N, 4.59. Found: C, 74.35; H, 5.07; N, 4.92.

3-Bromo-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3a-e)

Bromine was added slowly to a stirred solution of compound **2** (**2c**, 1.445 g, 0.005 mol) in chloroform acetic acid mixture. After completion of the reaction, which was checked by TLC, the excess of bromine was removed by adding 25% solution of sodium sulfite through the dropping funnel. The colorless chloroform layer was separated out, washed with water, and dried over magnesium sulfate. After a few minutes, the magnesium sulfate was removed by filtration. The solution was evaporated to dryness to give **3**, which was purified by column chromatography using petroleum ether and ethyl acetate as eluent.

3-Bromo-7-methyl-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3c). Yield: 82%; mp 160 °C. IR (KBr): 1638 cm⁻¹. ¹H NMR (500 MHz; DMSO-*d*₆): δ = 2.62 (s, 3H, CH₃), 7.77 (d, 1H, *J* = 8.5 Hz, C₃H), 8.032 (s, 1H, C₈H), 8.25 (d, 1H, C₂H), 8.49 (s, 1H, C₄H), 7.50–8.56 (m, 7H, ArH). ¹³C NMR (100 MHz; DMSO-*d*₆): δ = 23.7, 113.5, 119.5, 123.0, 126.2, 127.6, 128.64, 129.35, 129.99, 130.77, 131.17, 133.42, 132.37, 136.16, 140.79, 146.08, 161.53, 183.55 MS: *m/z* = 368 (M⁺), 288 (M-Br)⁺. Anal. calcd. for C₁₉H₁₄NO₂Br: C, 61.97; H, 3.83; N, 3.80. Found: C, 61.99; H, 3.92; N, 3.76.

3-Bromo-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3a). Yield: 78%; mp 174 °C. IR (KBr): 1633 cm⁻¹. ¹H NMR (500 MHz; DMSO-*d*₆): δ = 8.00 (d, 1H, *J* = 8.8 Hz, C₃H), 8.16 (d, 1H, C₂H), 8.61 (s, 1H, C₄H), 7.55–8.27 (m, 9H, ArH). Anal. calcd. for C₁₈H₁₂NO₂Br: C, 61.04; H, 3.41; N, 3.95. Found: C, 60.85; H, 3.58; N, 4.10.

3-Bromo-6-methyl-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3b). Yield: 87%; mp 171 °C. IR (KBr): 1640 cm⁻¹. ¹H NMR (500 MHz; DMSO-*d*₆): δ = 2.61 (s, 3H, CH₃), 7.40 (d, 1H, *J* = 8.4 Hz, C₇H), 7.88 (d, 1H, *J* = 8.5 Hz, C₃H), 7.9 (s, 1H, C₅H), 8.15 (d, 1H, C₈H), 8.24 (d, 1H, C₂H), 8.55 (s, 1H, C₄H), 7.51–7.71 (m, 5H, ArH). Anal. calcd. for C₁₉H₁₄NO₂Br: C, 61.97; H, 3.83; N, 3.80. Found: C, 61.75; H, 4.02; N, 4.00.

3-Bromo-8-methyl-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3d). Yield: 85%; mp 186 °C. IR (KBr): 1648 cm⁻¹. ¹H NMR (400 MHz; DMSO-*d*₆): δ = 2.87 (s, 3H, CH₃), 7.84 (d, 1H, *J* = 8.2 Hz, C₃H), 8.20 (d, 1H, C₂H), 8.58 (s, 1H, C₄H), 7.45–8.22 (m, 8H, ArH). Anal. calcd. for C₁₉H₁₄NO₂Br: C, 61.97; H, 3.83; N, 3.80. Found: C, 61.86; H, 4.02; N, 3.78.

3-Bromo-6-methoxy-2,3-dihydrofuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (3e). Yield: 74%; mp 196 °C. IR (KBr): 1645 cm⁻¹. ¹H NMR (500 MHz;

DMSO- d_6): δ = 3.79 (s, 3H, OCH₃), 7.42 (d, 1H, J = 8.2 Hz, C₇H), 7.87 (d, 1H, J = 8.4 Hz, C₃H), 7.90 (s, 1H, C₅H), 8.15 (d, 1H, J = 8.2 Hz, C₈H), 8.25 (d, 1H, C₂H), 8.56 (s, 1H, C₄H), 7.49–7.73 (m, 5H, ArH). Anal. calcd. for C₁₉H₁₄NO₃Br: C, 59.39; H, 3.67; N, 3.65. Found: C, 59.30; H, 3.87; N, 3.93.

3-(3-Benzoyl-oxiranyl)-1H-quinolin-2-one (4a–e)

A mixture of compound **2** (**2c**, 1.445 g, 0.005 mol) in methanol and potassium carbonate and urea hydrogen peroxide (UHP) (2 to 3 mol extra) was stirred for 2 h. After completion of the reaction, which was checked by TLC, the mixture was poured into crushed ice. The precipitate was filtered off, dried, and column chromatographed over silica gel using petroleum ether and ethyl acetate as eluent to give compound **4**.

3-(3-Benzoyl-oxiranyl)-7-methyl-1H-quinolin-2-one (4c). Yield 79%; mp 205 °C. IR (KBr): 1651, 1577 cm⁻¹. ¹H NMR (400 MHz; DMSO- d_6): δ = 2.34 (s, 3H, CH₃), 4.11 (d, 1H, J = 2.0 Hz, H _{β}), 4.73 (d, 1H, H _{α}), 7.51 (s, 1H, C₈H), 7.80 (s, 1H, C₄H), 7.23–8.10 (m, 7H, ArH), 11.93 (s, 1H, NH). ¹³C NMR (125 MHz; DMSO- d_6): δ = 20.3, 55.2, 58.8, 114.9, 118.5, 127.4, 127.5, 128.2, 128.9, 131.1, 131.9, 134.0, 135.1, 136.2, 161.0. HRMS (ESI) calcd. for C₁₉H₁₅NO₃ (M + Na) 328.0950, Found 328.0956.

3-(3-Benzoyl-oxiranyl)-1H-quinolin-2-one (4a). Yield 85%; mp 196 °C. IR (KBr): 1648, 1570 cm⁻¹. ¹H NMR (500 MHz; DMSO- d_6): δ = 4.10 (d, 1H, J = 2.4 Hz, H _{β}), 4.75 (d, 1H, H _{α}), 7.82 (s, 1H, C₄H), 7.13–8.12 (m, 9H, ArH), 12.01 (s, 1H, NH). Anal. calcd. for C₁₈H₁₃NO₃: C, 74.22; H, 4.50; N, 4.81. Found: C, 74.25; H, 4.43; N, 4.60.

3-(3-Benzoyl-oxiranyl)-6-methyl-1H-quinolin-2-one (4b). Yield 88%; mp 235 °C. IR (KBr): 1645, 1567 cm⁻¹. ¹H NMR (500 MHz; DMSO- d_6): δ = 2.38 (s, 3H, CH₃), 4.09 (d, 1H, J = 2.5 Hz, H _{β}), 4.74 (d, 1H, H _{α}), 7.13 (s, 1H, C₅H), 7.84 (s, 1H, C₄H), 7.05–8.09 (m, 7H, ArH), 11.94 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₃: C, 74.74; H, 4.95; N, 4.59. Found: C, 74.84; H, 4.97; N, 4.48.

3-(3-Benzoyl-oxiranyl)-8-methyl-1H-quinolin-2-one (4d). Yield 83%; mp 217 °C. IR (KBr): 1657, 1581 cm⁻¹. ¹H NMR (500 MHz; DMSO- d_6): δ = 2.89 (s, 3H, CH₃), 4.13 (d, 1H, J = 2.2 Hz, H _{β}), 4.72 (d, 1H, H _{α}), 7.85 (s, 1H, C₄H), 7.18–8.18 (m, 8H, ArH), 11.93 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₃: C, 74.74; H, 4.95; N, 4.59. Found: C, 74.76; H, 4.89; N, 4.65.

3-(3-Benzoyl-oxiranyl)-6-methoxy-1H-quinolin-2-one (4e). Yield 72%; mp 247 °C. IR (KBr): 1654, 1573 cm⁻¹. ¹H NMR (500 MHz; DMSO- d_6): δ = 3.80 (s, 3H, OCH₃), 4.12 (d, 1H, J = 2.3 Hz, H _{β}), 4.72 (d, 1H, H _{α}), 7.42 (s, 1H, C₅H), 7.83 (s, 1H, C₄H), 7.11–8.08 (m, 7H, ArH), 11.93 (s, 1H, NH). Anal. calcd. for C₁₉H₁₅NO₄: C, 71.02; H, 4.71; N, 4.36. Found: C, 69.95; H, 4.53; N, 4.39.

Furo[2,3-*b*]quinolin-2-yl-phenyl-methanone (5a–e)

From compound 3. A mixture of compound **3** (**3c**, 0.736 g, 0.002 mol) and DBU (0.448 ml, 0.003 mol) was refluxed in 1,4-dioxane for 6 h. After completion

of the reaction, the mixture was poured onto crushed ice. The precipitate was filtered off, dried, and column chromatographed over silica gel using petroleum ether and ethyl acetate as eluent to give **5**.

From compound 4. The compound **4** (**4c**, 0.915 g, 0.003 mol) was heated with PPA at 140 °C for 6 h. After completion of the reaction, which was checked by TLC, the mixture was poured onto crushed ice. The precipitate was filtered off, dried, and column chromatographed over silica gel using petroleum ether and ethyl acetate as eluent.

7-Methylfuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (5c). Yields 76 and 58% (from compounds **3c** and **4c** respectively); mp 176 °C. IR (KBr): 1644 cm⁻¹. ¹H NMR (400 MHz; DMSO-*d*₆): δ = 2.57 (s, 3H, CH₃), 7.47–8.11 (m, 7H, ArH), 7.86 (s, 1H, C₈H), 7.92 (s, 1H, C₄H), 8.90 (s, 1H, C₃H). ¹³C NMR (100 MHz; DMSO-*d*₆): δ = 21.5, 115.8, 118.7, 124.6, 126.7, 127.6, 128.5, 128.7, 129.2, 133.3, 133.7, 136.3, 141.0, 146.6, 151.4, 160.9. Anal. calcd. for C₁₉H₁₃NO₂: C, 79.43; H, 4.56; N, 4.88. Found: C, 79.45; H, 4.58; N, 4.98.

8-Methylfuro[2,3-*b*]quinolin-2-yl-phenyl-methanone (5d). Yields 79 and 61% (from compounds **3d** and **4d** respectively); mp 146 °C. IR (KBr): 1633 cm⁻¹. ¹H NMR (400 MHz; DMSO-*d*₆): δ = 2.87 (s, 3H, CH₃), 7.45–8.22 (m, 8H, ArH), 7.69 (s, 1H, C₄H), 8.58 (s, 1H, C₃H). Anal. calcd. for C₁₉H₁₃NO₂: C, 79.43; H, 4.56; N, 4.88. Found: C, 79.52; H, 4.53; N, 4.82.

The **5a**, **5b**, and **5e** derivative's spectroscopic data are consistent with those reported in the literature.^[7]

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