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Graphical Abstract

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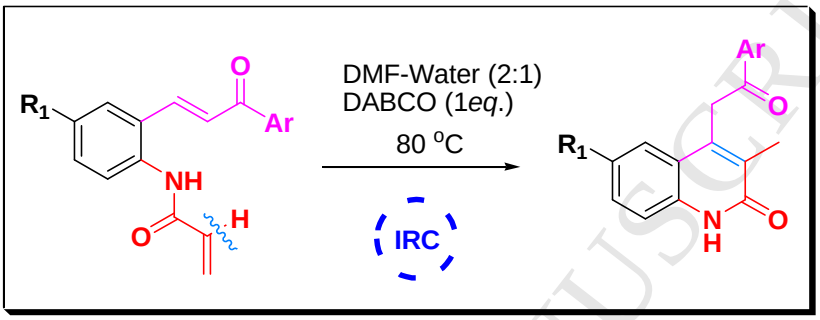

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Acrylamide in Rauhut-Currier reaction; Intramolecular isomerization of activated alkenes for quinolone synthesis

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ABSTRACT

Acrylamides are fundamental Michael acceptors which have been used profoundly in synthetic, medicinal and polymer chemistry. However, due to their lower reactivity they have been least used in Morita-Baylis-Hillman (MBH) reaction and have been out of its vinylogus version Rauhut Currier (RC) reaction. Herein, use of acrylamide in RC reaction is being presented. Intramolecular RC reaction followed by [1,3]-H shift led to the synthesis of quinolone moiety. DABCO catalyzed IRC reaction of acrylamide at 80 °C in presence of water, was found to work on a number of precursors. En route chemo selective, gram scale method for ambiphilic, versatile precursor 2-amino chalcone is also reported. Chemoselective and economical conversion of 2-nitro chalcone to 2-phenyl quionline has also been developed

1. Introduction

Rauhut-Currier (RC)[1] reaction, also known as vinylogus Morita-Baylis-Hillman (MBH)[2] reaction, is a mild and atom economy method of C-C bond formation. Initiated by a nucleophile, the reaction involves coupling of two Michael acceptors where one serves as a source of enolate, while other acts as an electrophile (Figure 1). With the advent of organocatalysis the reaction has seen steep growth in its popularity in last decade.[3,4] Simultaneously its intramolecular version (IRC), after the reports[5] of Moore, Krishche and Roush, has become an important tool for synthesis of carbo and heterocyclic frameworks. Reaction has been extended to alkyne and allene derived Michael acceptors.[6] Further its efficiency has been demonstrated by its application as a key step in synthesis of complex natural products.[3a,7] Although IRC is an efficient method for construction of cyclic framework, the reaction largely makes use of more reactive Michael acceptors such as enone[8] and acrylate,[9] as a source of initial enolate. Use of other Michael acceptors such as thioenoate, nitro styrene and others has been limited.[10] Furthermore, reaction is often associated with issues of low reactivity and chemo selectivity.[1b,c]

Acrylamides are fundamental activated alkene units and have found applications in the field of synthetic,[11] medicinal[12] and polymer chemistry.[13] However, their reduced affinity as Michael acceptor has largely diminished their role in MBH reaction. The susceptibility of MBH reactions towards steric and electronic parameters have led to only a few successful reports of

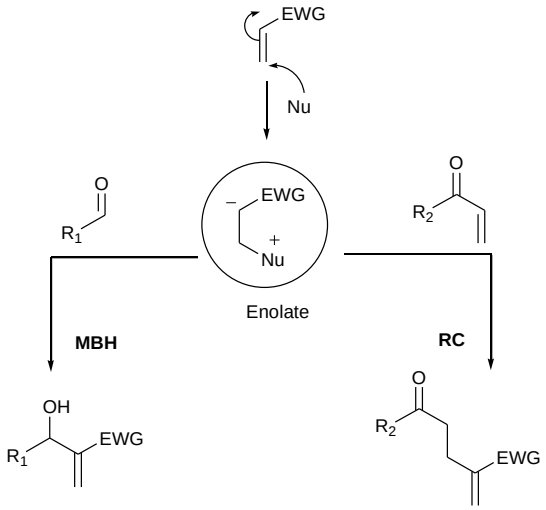

Fig. 1 MBH Vs RC reaction, the vinylogus shift.

acrylamide derived MBH reactions.[14,15] In fact their sluggishness has been the reason for development of organometallic approaches for synthesis of acrylamide derived MBH adducts.[16] Comparatively other activated alkenes such as enone, acrylate and acrylonitrile have been the mainstay in MBH chemistry for decades.[2] Thus within the domain of MBH reactions, acrylamides have far inferior reactivity as compared to other popular acryl units. Subsequently RC reaction which involves the shift of reactivity to vinylogus position of

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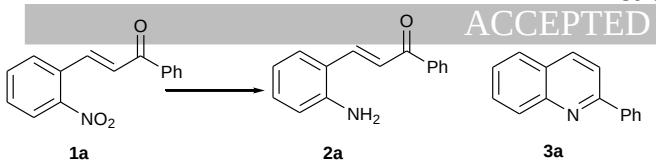


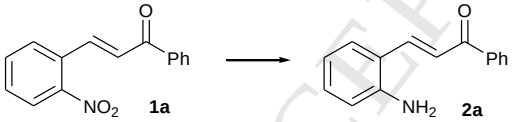
Scheme 1. Reduction of 2-Nitro Chalcone.

electrophile further makes them a challenging and uphill task as compared to MBH reaction. Thus owing to their low reactivity profile and vinylogus reaction centre at electrophile, acrylamides have been out of domain of RC reactions. Very recently tosyl/mesyl activated acrylamides, were used for IRC reaction.[17] However in absence of additional electron withdrawing group (Ts) the reaction was not successful.[17a] Herein, development of acrylamide for IRC reaction is being reported. The IRC reaction followed by [1,3]-H shift lead to the synthesis of Quinolin-2(1H)-one skeleton. Quinolones are important and celebrated template for their medicinal activities[18] and have drawn worldwide attention from synthetic chemist.[19] En route chemo selective synthesis of 2-amino chalcone and 2-aryl quionline derivatives has also been explored.

2. Results and Discussion

At the start of study, precursor **2a** was required whose acrylation would lead to the required template for IRC reaction (vide infra). The simple looking molecule **2a** has a unique structural feature of being ambiphilic in nature. Having both a nucleophilic (amine) and electrophilic (Michael acceptor) functional groups within proximity of each other makes this molecule a very important building block.[20] The dual groups can also be manipulated for the synthesis of other valuable templates.[20a,b,i] The high bench stability of **2a** further adds to its synthetic utility. A straight forward approach to access the synthon **2a** was reduction of corresponding 2-nitro Chalcone **1a** (Scheme 1). However, initial attempts to synthesize **2a** led to average yield of reaction. Simultaneously certain issues such as isomerization of double bond, low yields and tedious purification (not disclosed earlier) came up which required attention. Here in, an economical, gram scale method for synthesis of 2-amino chalcone along with data and spectra, is also reported.

Table 1 Standardization for synthesis of **2a**.[a]

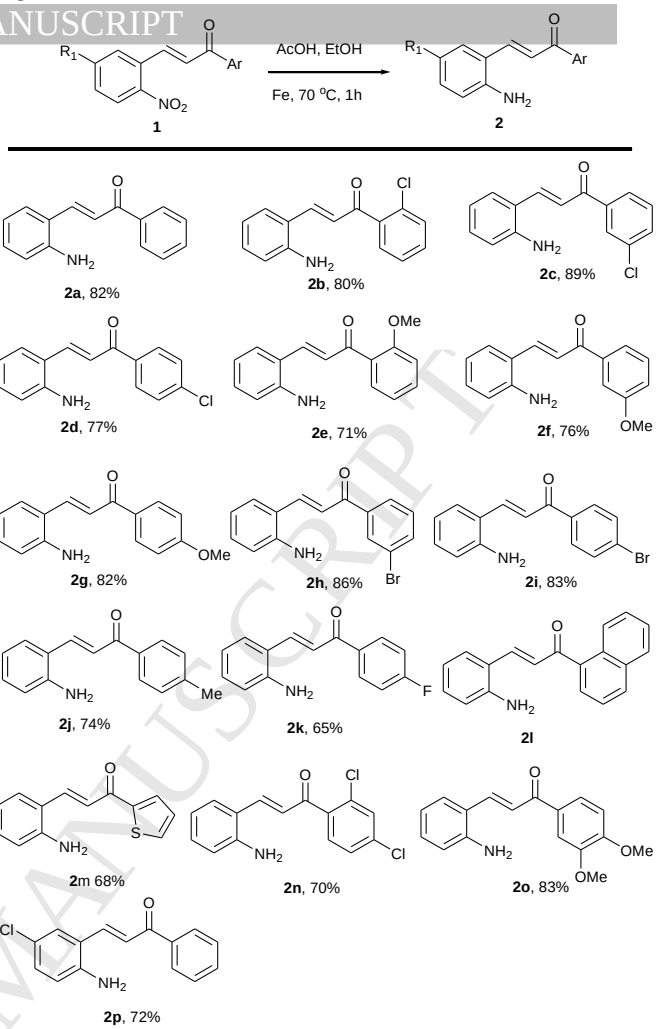


S. No.	Solvent	HCl (mL)	Fe (*eq.*)	Time (h)	Temp (^{o}C)	Yield[b] (%)
1	EtOH	0.01	4	5	80	48
2	EtOH	0.05	4	1	80	54
3	EtOH	0.1	4	1	80	55
4	AcOH	-	4	2	120	-
5[c]	AcOH-EtOH	-	4	1	70	65
6[c]	AcOH-EtOH	-	4	2	70	57
7[c]	AcOH-EtOH	-	8	1	70	79
8[c]	**AcOH-EtOH**	**-**	**12**	**1**	**70**	**82**

[a] All reactions were carried out using 0.5 mmol of **1a** in 1.0 mL of solvent.

[b] Isolated Yield.

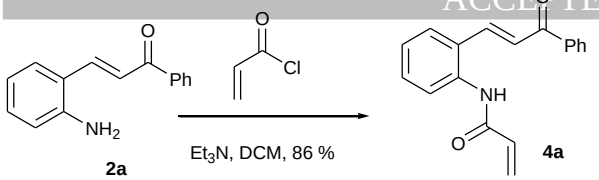
[c] 0.5 mL of each solvent was used



Scheme 2. Synthesis of 2-amino chalcones **2**.

Initial efforts to synthesize **2a** lead to two major concerns. First, during the course of reduction there was an isomerization of double bond followed by imine formation leading to the synthesis of quionline **3a**. Consequently, that led to the reduction in yield of reaction. Secondly, the precursor nitro chalcone **1a** and the required product **2a** appeared overlapping on TLC and required tedious column chromatography for purification. Easiest solution to the purification problem was complete disappearance of starting material **1a**. However, extended reaction time or forcing conditions for complete consumption of starting material **1a**, lead to increased formation of side product **3a** and other impurities and thus reducing yield (vide infra) of **2a**. Therefore, a right balance between **1a**, **2a** and **3a** was required which would lead to complete consumption of precursor along with minimum formation of side products. Importantly a cost efficient method was also a desirable aspect. That would enable the reaction to be carried out on gram scale for the scale up of versatile precursor.

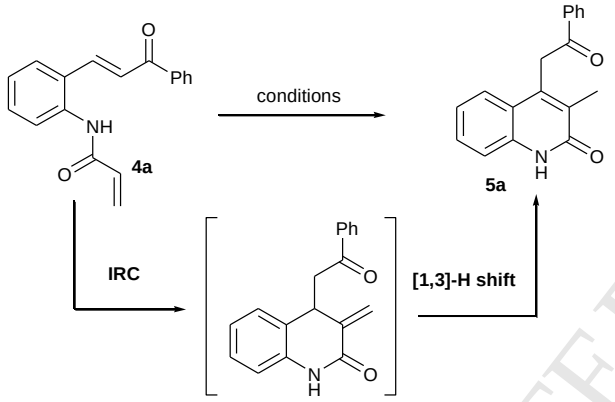
Initially carrying out reduction using iron powder in refluxing ethanol in presence of catalytic HCl, led to the formation of amine **2a** in 48 % yield as yellow solid (Table 1, entry 1). Increase in amount of HCl led to slight increment in yield (entry 2). However, further increase in HCL didn't lead to any significant change (entry 3). Change of source for acidic proton was then investigated. Use of acetic acid at 120 ^{o}C did not give any product (entry 4).[21a] At this stage use of mixture of solvent (acetic acid and ethanol)[21b] at 70 ^{o}C was helpful and lead to improved yield of 65 % (entry 5). However, starting material was still observed on reaction mixture TLC which made isolation of



Scheme 3. Synthesis of bis activated alkene precursor **4a**.

product a difficult task. Longer reaction time led to decrease in yield of reaction (entry 6). Quicker reduction of nitro group in shorter reaction time was then investigated by using higher loading of iron. Increase in the loading of iron powder to 8 equivalents further increased yield to 79% (entry 7). Finally, 12 equivalents of iron gave reduced product **2a** in 82 % yield, along with disappearance of nitro precursor **1a** (entry 8). With the optimum conditions in hand the reaction scope was then explored on various other precursors (Scheme 2). Different substitution pattern (*ortho*, *meta* and *para*) worked well for the chemo selective reduction (**2b**-**2g**). Furthermore both electron donating and withdrawing groups were found compatible for the reaction. The reaction was then successfully carried out for hetero aryl (**2m**) and multi substituted (**2n**, **2o**) amino chalcones. Variation on the other aryl group was equally acceptable (**2p**).

Table 2. Development of IRC reaction[a]



S. No	Catalyst (*eq*.)	Solvent	Temp (^oC)	Time (h)	Yield (%)[b]
1	DABCO (2)	DMF	rt	12	-
2	DABCO (2)	DMF	80	28	79
3	DABCO (2)	DMF	130	20	67
4	DABCO (2)	Dioxane	80	28	73
5	DABCO (2)	MeCN	80	36	71
6	DABCO (2)	*t*-BuOH	80	36	74
7	TPP (2)	DMF	80	24	-
8	DMAP (2)	DMF	80	48	15
9	DBU (2)	DMF	80	18	-
10[c]	DABCO (2)	DMF, water	80	18	89
11[c,,d]	DABCO (2)	DMF, water	80	12	70
12[c]	**DABCO (1)**	**DMF, water**	**80**	**20**	**91**
13[c]	DABCO (0.5)	DMF, water	80	26	81

[a] All reactions were carried out using 0.5 mmol of **3a** in 1.5 mL of solvent.

[b] Isolated Yield.

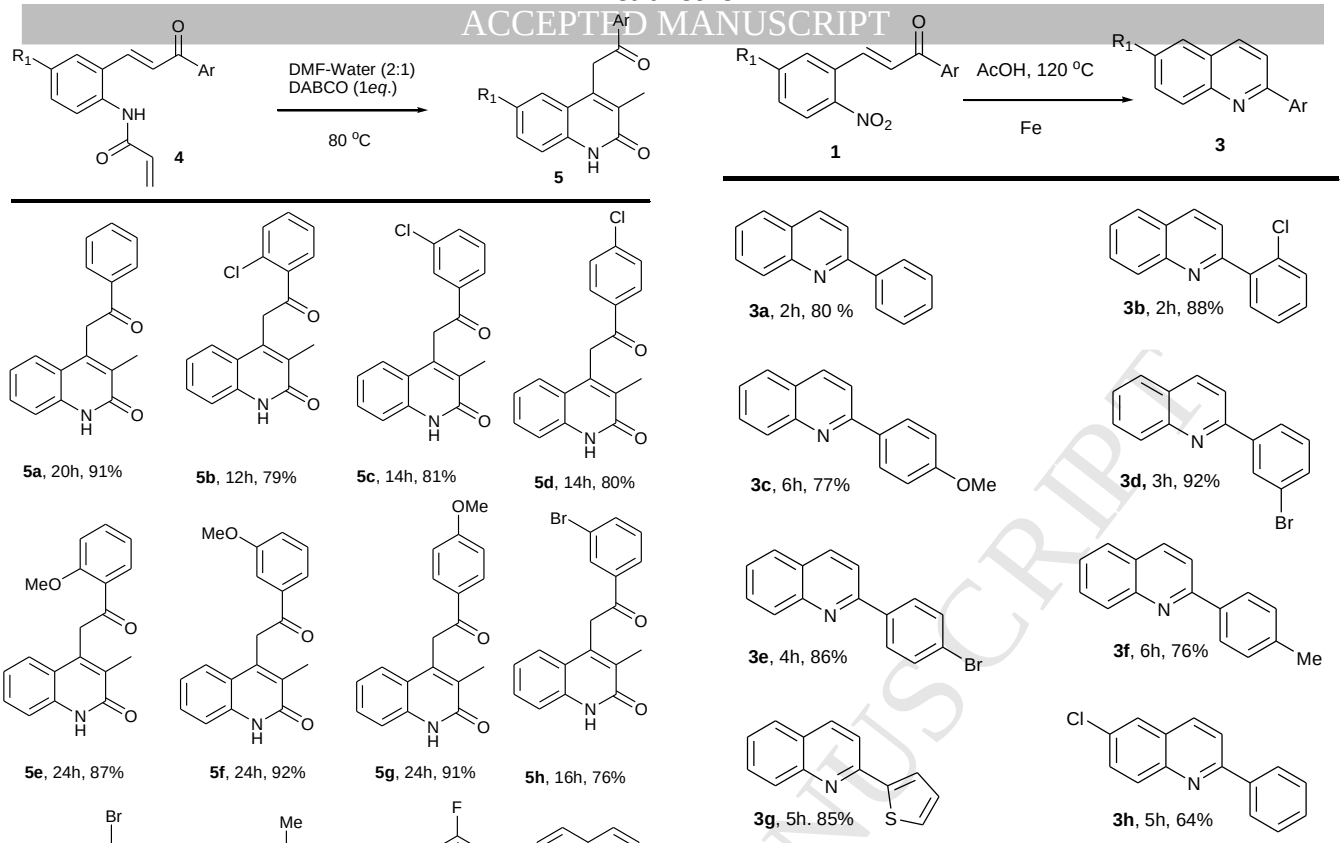
[c] DMF-water in 2:1 ratio was used.

[d] 0.75 mL of solvent was used

Naphthyl derived precursor **2l** was liquid and could not be obtained in pure form. Therefore it was carried forward as such. It is worth mentioning here that all the reactions were carried out on 4 mmol scale (>1 g of substrate) for the gram scale synthesis of these templates. After accomplishing the method for 2-amino chalcone, the precursor **4a** for IRC reaction was obtained by acrylation of **2a** (Scheme 3). With the required precursor in hand, having dual Michael acceptor units, investigations for IRC reaction were carried out. Initially carrying out reaction at rt in presence of DABCO as promoter didn't lead to product formation (Table 2, entry 1). However, carrying out reaction at elevated temperature of 80 ^oC led to the formation of **4a**, in 79% yield (entry 2). The formation of **4a** could be explained via initial IRC reaction followed by [1,3]-H shift to give the quinolone moiety. With the protocol working, it was further optimized for better results. Further increase of temperature to 130 ^oC led to reduction of yield (entry 3). Other solvents such as dioxane, acetonitrile, *t*-BuOH lead to reduced yield (entries 4-6). Similarly use of other catalyst such as TPP, DMAP, DBU (entries 7-9) in DMF, gave inferior results. While DMAP led to reduced yield of product (entry 8), no product formation was observed with TPP and DBU (entries 7 and 9). This suggested superiority of DABCO as an economical and commercially viable catalyst for acrylamides in MBH and RC reactions. At this stage, use of water as a co solvent gave **5a** in better yield of 89 % in shorter reaction time (18h, entry 10).[22] This could be due to faster proton transfer from acrylamide and elimination of catalyst. Decrease in dilution did lead to further reduction of time but gave product in reduced yield (entry 11). Use of 1 equivalent of DABCO was found to be compatible to give similar results (entry 12). Further reduction in catalyst loading led to longer reaction time and lower yield (entry 13).

With the optimized conditions in hand (entry 12) exploration of protocol on other substrates was taken (Scheme 4). The reaction was found to work on a variety of substrates having different electron withdrawing and electron donating groups. Electron donating group substituted precursors were found to give slightly higher yields (**5e**-**5g**). Furthermore various substitution patterns such as *ortho*, *meta* and *para* worked equally well (**5b**-**5g**). Fluoro substituted quinolone was synthesized in 83% yield (**5k**). Reaction scope was extendable for quinolone having biaryl (naphthyl, **5l**) heteroaryl (thiophene, **5m**) moieties. Multi substituted quinolone (dichloro, dimethoxy **5n** and **5o** respectively) on aryl ring were also synthesized in event free manner. Variation on other aryl ring also led to smooth conversion to **5p**.

After the successful demonstration of acrylamide derived IRC reaction, the attention was then turned to 2-aryl quionline **3**. As mentioned earlier during the course of investigations for reduction, 2-aryl quionline **3a**, formation *via* Friedlander[23] pathway was observed. Quionline skeleton has been one of the most privileged frameworks for its medicinal[24] and synthetic values.[23a,25] Recently 2-aryl quionline has gained attention as they have been useful from C-H activation[26] perspective. Thus their synthesis from cheaper and stable precursors is an important and desirable aspect. With a view to achieve synthesis of 2-aryl quionline, reductive conditions which would lead to facile isomerization of double bond were investigated. Increase in the reaction temperature during the reduction was studied. Carrying out reduction of **1a** in AcOH, at 120 ^oC using 12 equivalents of iron led to the formation of 2-phenyl quionline (**3a**) in 80 % yield (Scheme 5). The reaction was then explored on different precursor with varying substitution pattern such as *ortho*, *meta* and *para* substitutions,



Scheme 4. IRC reaction for synthesis of various quinolones.

Scheme 5. Synthesis of 2-Aryl Quinoline.

electron donating and withdrawing groups and hetero aryl moieties. The reaction was found to work well on all the substrates explored. It is worth mentioning here that previous methods of reduction of **1** to **3** made use of sulphur or selenium, palladium, In, SmI_2, and others.[27]

3. Conclusions

Synthetic methodology leading to successful usage of acrylamide as a source of enolate for IRC reaction has been carried out. Reaction was found to be progressive under heating conditions while use of water as a co-solvent gave better results. DABCO was a superior catalyst as compared to others. So far carbonyl group in the form of aldehydes along with ketone (occasionally) has been used for coupling with acrylamide in MBH reaction. Use of Michael acceptor as electrophile opens up new avenues for the development of methodologies in the domain of MBH and RC reaction of acrylamides. Non-conventional and other electrophiles[1a] can also be explored for trapping of acrylamide generated enolate in MBH and RC reactions. Moreover, cheaper and chemo selective routes for synthesis of 2-amino chalcones **2** and 2-aryl quionline **3** have been also developed. The two precursors have been bench mark for the development of other successful methodologies and synthons. The present methods for their synthesis would be useful for synthetic and medicinal chemist.

4. Experimental

General Remarks

All the reactions were carried out using dry solvents and under inert atmosphere until unless mentioned. Column chromatography was performed using silica gel mesh 100-200. TLC Aluminum Sheets Silica Gel 60 F254 was used for TLC. Melting Points were recorded on a Perfit (India) capillary melting point apparatus and were uncorrected. Infrared spectra were recorded on a Perkin Elmer FT-IR spectrometer Spectrum Two. ^{1}H NMR and ^{13}C NMR were recorded on a Jeol 500 MHz and 125 MHz spectrometer respectively. HRMS spectra were recorded on Bruker Daltonics MicroTOF-Q-II with electron spray ionization (ESI).

Representative procedures and data

(*E*)-3-(2-aminophenyl)-1-phenylprop-2-en-1-one (2a); A suspension of **1a** (1.012 g, 4mmol) and Fe powder (2.688 g, 48 mmol) in AcOH and EtOH (4.0 mL each) was heated for 1 h at 70 °C with vigorous stirring. Reaction mixture was then cooled, filtered and washed with DCM. The filtrate obtained was concentrated and the residue was purified by column

chromatography (EtOAc/Hexane, 1:4) to obtain 0.731 g of **2a** as yellow solid in 82% yield.

***N*-(2-((*E*)-3-oxo-3-phenylprop-1-enyl)phenyl)acrylamide (4a);** To a stirring solution of **2a** (0.223 g, 1 mmol) in DCM (3.0 mL) at 0 ^{o}C was added Et_3N (0.18 mL, 1.3 mmol) followed by acryloyl chloride (0.1 mL, 1.2 mmol) and the reaction was allowed to stir at rt for 1 h. DCM was added to the reaction mixture and organic phase was washed with water. Organic fraction was collected, dried over Na_2SO_4, filtered and concentrated. The residue obtained was purified by column chromatography (EtOAc/Hexane, 3:7) to obtain **4a** as light yellow solid 0.238 g in 86% yield.

3-Methyl-4-(2-oxo-2-phenyl-ethyl)-1H-quinolin-2-one (5a); To a solution of **4a** (0.139 g, 0.5 mmol) in DMF (1.0 mL) and water (0.5 mL) was added DABCO (0.056 g, 0.5 mmol) and the reaction was heated for 20 h at 80 ^{o}C. Thereafter volatiles were removed under reduced pressure and the residue obtained was purified by column chromatography (EtOAc/Hexane, 1:1 to EtOAc) to obtain 0.126 g of **5a** as white solid in 91 % yield.

2-phenylquinoline (3a); To a solution of **1a** (0.126 g, 0.5 mmol) in AcOH (1.0 mL) was added Fe powder (0.336 g, 6.0 mmol) and the reaction was heated at 120 ^{o}C for 2h. Reaction mixture was then cooled, filtered and washed with DCM. The filtrate obtained was concentrated. The residue was purified by column chromatography (EtOAc/Hexane, 1:19) to obtain 0.082 g of **3a** as white solid in 80% yield.

(*E*)-3-(2-aminophenyl)-1-phenylprop-2-en-1-one (2a); Yield: 82 % yellow solid; mp: 114-116 ^{o}C; IR (KBr): ν 1214, 1344, 1590, 1650, 3350 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.08 (s, 2H), 6.72 (d, *J* = 8.0 Hz, 1H), 6.79 (app t, *J* = 7.5 Hz, 1H), 7.20 (app t, *J* = 7.5 Hz, 1H), 7.47-7.54 (m, 4H), 7.58 (app t, *J* = 7.5 Hz, 1H), 7.98-8.03 (m, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 116.9, 119.0, 120.3, 121.8, 128.2, 128.5, 128.7, 131.7, 132.8, 138.4, 140.2, 146.3, 190.3.

(*E*)-3-(2-aminophenyl)-1-(2-chlorophenyl)prop-2-en-1-one (2b); Yield: 80 % yellow solid; mp: 92-94 ^{o}C; IR (KBr): ν 743, 1579, 1661, 3403 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.00 (bs, 2H), 6.70 (d, *J* = 8.0 Hz, 1H), 6.78 (app t, *J* = 7.5 Hz, 1H), 7.08 (d, *J* = 15.5 Hz, 1H), 7.19 (d appt, *J* = 7.5, 1.5 Hz, 1H), 7.35 (d appt, *J* = 7.5, 1.5 Hz, 1H), 7.41 (d appt, *J* = 8.0, 1.5 Hz, 1H), 7.44-7.45 (m, 2H), 7.49 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.69 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.1, 119.9, 125.9, 127.0, 128.5, 129.6, 130.4, 131.3, 131.5, 132.1, 139.4, 141.4, 146.3, 193.5.

(*E*)-3-(2-aminophenyl)-1-(3-chlorophenyl)prop-2-en-1-one (2c); Yield: 89 % yellow solid; mp: 134-136 ^{o}C; IR (KBr): ν 1340, 1567, 3392 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs, 2H), 6.73 (d, *J* = 8.5 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.40-7.45 (m, 2H), 7.52-7.55 (m, 2H), 7.89 (d, *J* = 7.5 Hz, 1H), 7.98-8.02 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.1, 120.1, 121.1, 126.6, 128.3, 128.6, 130.0, 132.1, 132.7, 135.0, 140.0, 141.0, 146.5, 188.9.

(*E*)-3-(2-aminophenyl)-1-(4-chlorophenyl)prop-2-en-1-one (2d); Yield: 77 % yellow solid; mp: 124-126 ^{o}C; IR (KBr): ν 1343, 1512, 1670, 3413 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs, 2H), 6.73 (d, *J* = 8.5 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.42-7.47 (m, 3H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.95-8.01 (m, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.0, 120.1, 121.1, 128.2, 129.0, 129.9, 132.0, 136.7, 139.2, 140.7, 146.4, 189.0.

(*E*)-3-(2-aminophenyl)-1-(2-methoxyphenyl)prop-2-en-1-one (2e); Yield: 71 % red liquid; IR (neat): ν 1599, 1654, 3361 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.90 (s, 3H), 4.07 (bs, 2H), 6.71 (d, *J* = 7.5 Hz, 1H), 6.77 (app t, *J* = 7.5 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 1H), 7.04 (app t, *J* = 7.5 Hz, 1H), 7.17 (d appt, *J* = 7.5, 1.5 Hz, 1H), 7.33 (d, *J* = 16.0 Hz, 1H), 7.45-7.49 (m, 2H), 7.65 (dd, *J* = 7.5, 1.5 Hz, 1H), 7.82 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.8, 111.7, 116.7, 118.9, 120.6, 120.9, 127.1, 128.45, 128.55, 130.5, 131.4, 133.0, 138.5, 146.1, 158.2, 192.7.

(*E*)-3-(2-aminophenyl)-1-(3-methoxyphenyl)prop-2-en-1-one (2f); Yield: 76 % yellow solid; mp: 118-120 ^{o}C; IR (KBr): ν 1252, 1574, 3351 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.89 (s, 3H), 4.07 (bs, 2H), 6.73 (d, *J* = 8.5 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.13 (dd, *J* = 8.0, 2.5 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.41 (app t, *J* = 7.5 Hz, 1H), 7.47 (d, *J* = 15.0 Hz, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.55 (app t, *J* = 2.0 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.99 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.6, 112.9, 116.9, 119.0, 119.3, 120.3, 121.1, 121.9, 128.2, 129.6, 131.8, 139.8, 140.2, 146.3, 160.0, 190.1.

(*E*)-3-(2-aminophenyl)-1-(4-methoxyphenyl)prop-2-en-1-one (2g); Yield: 82 % yellow solid; mp: 124-126 ^{o}C; IR (KBr): ν 1217, 1582, 1652, 3342, 3406 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.89 (s, 3H), 4.07 (bs, 2H), 6.72 (d, *J* = 8.0 Hz, 1H), 6.79 (app t, *J* = 7.5 Hz, 1H), 6.96-6.99 (m, 2H), 7.19 (d appt, *J* = 7.5, 1.5 Hz, 1H), 7.48-7.53 (m, 2H), 7.97 (d, *J* = 15.0 Hz, 1H), 8.03-8.05 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.6, 113.9, 116.8, 118.9, 120.6, 121.8, 128.2, 130.8, 131.3, 135.5, 139.3, 146.2, 163.5, 188.6.

(*E*)-3-(2-aminophenyl)-1-(3-bromophenyl)prop-2-en-1-one (2h); Yield: 86 % yellow solid; mp: 132-134 ^{o}C; IR (KBr): ν 1206, 1342, 1580, 1658, 3392, 3402 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs, 2H), 6.73 (d, *J* = 8.5 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.22 (app t, *J* = 7.5 Hz, 1H), 7.38 (app t, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 15.5 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 7.5 Hz, 1H), 8.01 (d, *J* = 15.5 Hz, 1H), 8.14 (s, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.0, 120.0, 121.0, 123.0, 127.0 128.2, 130.3, 131.5, 132.1, 135.6, 140.2, 141.0, 146.5, 188.8.

(*E*)-3-(2-aminophenyl)-1-(4-bromophenyl)prop-2-en-1-one (2i); Yield: 83 % red solid; mp: 124-126 ^{o}C; IR (KBr): ν 1591, 1660, 3345 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs 2H), 6.73 (d, *J* = 8.5 Hz, 1H), 6.79 (app t, *J* = 7.5 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.42 (d, *J* = 15.5 Hz, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.62-7.64 (m, 2H), 7.87-7.89 (m, 2H), 8.00 (d, *J* = 15.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.0, 120.1, 121.1, 127.9, 128.2, 130.0, 132.0, 137.1, 140.7, 146.4, 189.2.

(*E*)-3-(2-aminophenyl)-1-*p*-tolylprop-2-en-1-one (2j); Yield: 74 % yellow solid; mp: 130-132 ^{o}C; IR (KBr): ν 1180, 1339, 1580, 1655, 3343, 3404 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 2.44 (s, 3H), 4.06 (bs, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.20 (app t, *J* = 7.5 Hz, 1H), 7.29-7.31 (m, 2H), 7.49 (d, *J* = 15.5 Hz, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.94-7.95 (m, 2H), 7.98 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 21.7, 116.8, 118.9, 120.4, 121.9, 128.2, 128.7, 129.4, 131.6, 135.8, 139.7, 143.6, 146.3, 189.8.

(*E*)-3-(2-aminophenyl)-1-(4-fluorophenyl)prop-2-en-1-one (2k); Yield: 65 % yellow solid; mp: 138-140 ^{o}C; IR (KBr): ν 1155, 1211, 1598, 1661, 3340, 3401 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.08 (bs, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.14-7.18 (m, 2H), 7.21 (app t, *J* = 8.0 Hz, 1H), 7.45 (d, *J* = 15.0 Hz, 1H), 7.52 (d, *J* = 8.5 Hz, 1H), 7.99 (d, *J* = 15.5 Hz, 1H), 8.04-8.07 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 115.7, 115.9, 116.9, 119.0, 120.2, 121.3, 128.2, 131.06, 131.13, 131.9, 134.7, 140.4, 146.4, 164.6, 166.7, 188.7.

(*E*)-3-(2-aminophenyl)-1-(thiophen-2-yl)prop-2-en-1-one (2m); Yield: 68 % light brown solid; mp: 132-134 ^{o}C; IR (KBr): ν 1579, 1651, 3405 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 7.17-7.22 (m, 2H), 7.36 (d, *J* = 15.5 Hz, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.675 (d, *J* = 5.0 Hz, 1H), 7.855 (d, *J* = 4.0 Hz, 1H), 8.01 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 116.9, 119.0, 120.1, 121.5, 128.2, 128.3, 131.7, 131.8, 133.8, 139.4, 145.8, 146.4, 182.1.

(*E*)-3-(2-aminophenyl)-1-(2,4-dichlorophenyl)prop-2-en-1-one (2n); Yield: 70 % orange solid; mp: 116-118 ^{o}C; IR (KBr): ν 1583, 1662, 3332, 3405 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.01 (bs, 2H), 6.71 (d, *J* = 8.0 Hz, 1H), 6.79 (app t, *J* = 7.5 Hz, 1H), 7.07 (d, *J* = 16.0 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.35 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.44-7.47 (m, 2H), 7.48 (d, *J* = 1.5 Hz, 1H), 7.71 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.0, 119.2, 119.8, 125.4, 127.4, 128.5, 130.3, 130.7, 132.3, 132.4, 137.0, 137.8, 141.6, 146.4, 192.2.

(*E*)-3-(2-aminophenyl)-1-(3,4-dimethoxyphenyl)prop-2-en-1-one (2o); Yield: 83 % orange solid; mp: 140-142 ^{o}C; IR (KBr): ν 1160, 1256, 1570, 1649, 3419, cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.96 (s, 3H), 3.97 (s, 3H), 4.09 (bs, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.80 (app t, *J* = 7.5 Hz, 1H), 6.92 (d, *J* = 8.5 Hz, 1H), 7.20 (app t, *J* = 7.5 Hz, 1H), 7.48-7.53 (m, 2H), 7.62 (d, *J* = 1.5 Hz, 1H), 7.68 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.97 (d, *J* = 15.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 56.10, 56.14, 110.1, 110.8, 116.8, 118.9, 120.6, 121.7, 123.0, 128.1, 131.47, 131.51, 139.4, 146.2, 149.3, 153.3, 188.5.

(*E*)-3-(2-amino-5-chlorophenyl)-1-phenylprop-2-en-1-one (2p); Yield: 72 % yellow solid; mp: 138-140 ^{o}C; IR (KBr): ν 1216, 1587, 1655, 3347 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 4.09 (bs, 2H), 6.66 (d, *J* = 9.0 Hz, 1H), 7.14 (dd, *J* = 9.0, 2.0 Hz, 1H), 7.45-7.52 (m, 4H), 7.59 (app t, *J* = 7.5 Hz, 1H), 7.89 (d, *J* = 15.5 Hz, 1H), 8.02-8.04 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 118.1, 121.5, 122.7, 123.7, 127.2, 128.6, 128.8, 131.3, 133.1, 138.1, 138.5, 144.8, 189.9.

***N*-(2-((*E*)-3-oxo-3-phenylprop-1-enyl)phenyl)acrylamide (4a);** light yellow solid; mp: 156-158 ^{o}C; IR (KBr): ν 1600, 1660, 3287 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.80 (d, *J* = 10.0 Hz, 1H), 6.37 (dd, *J* = 16.0, 10.0 Hz, 1H), 6.48 (d, *J* = 16.0 Hz, 1H), 7.24 (app t, *J* = 7.5 Hz, 1H), 7.43 (app t, *J* = 7.5 Hz, 1H), 7.47-7.50 (m, 3H), 7.58 (app t, *J* = 7.5 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.76 (bs, 1H), 7.92-7.99 (m, 4H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 124.1, 125.2, 125.9, 127.2, 128.0, 128.5, 128.6, 128.7, 130.8, 131.1, 132.2, 136.5, 137.8, 139.5, 164.2, 190.3.

***N*-(2-((*E*)-3-(2-chlorophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4b);** light yellow solid; mp: 134-136 ^{o}C; IR (KBr): ν 1622, 1659, 3027, 3216 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) : δ 5.77 (d, *J* = 10.0 Hz, 1H), 6.28 (dd, *J* = 16.5, 10.0 Hz, 1H), 6.41 (d, *J* = 17.0 Hz, 1H), 7.08 (d, *J* = 16.0 Hz, 1H), 7.22 (app t, *J* = 7.5 Hz, 1H), 7.33 (app t, *J* = 7.5 Hz, 1H), 7.38-7.44 (m, 3H), 7.48 (d, *J* = 7.5 Hz, 1H), 7.61-7.68 (m, 3H), 7.77 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 125.3, 126.2, 127.0, 127.4, 127.9, 128.0, 128.4, 129.8, 130.4, 130.7, 131.3, 131.8, 136.3, 138.8, 140.9, 164.2, 193.3.

***N*-(2-((*E*)-3-(3-chlorophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4c);** light yellow solid; mp: 170-172 ^{o}C; IR (KBr): ν 1600, 1660, 3281 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.75 (dd, *J* = 10.0, 2.0 Hz, 1H), 6.44 (dd, *J* = 16.0, 1.5 Hz, 1H), 6.51 (dd, *J* = 16.0, 10.0 Hz, 1H), 7.24 (app t, *J* = 7.5 Hz, 1H), 7.40-7.46 (m, 3H), 7.55 (d, *J* = 7.5 Hz, 1H), 7.70 (d, *J* = 7.5 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 1H), 7.89 (d, *J* = 7.5 Hz, 1H), 7.97 (app t, *J* = 1.5 Hz, 1H), 8.03 (d, *J* = 15.5 Hz, 1H), 9.22 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 122.6, 125.7, 126.0, 126.5, 126.9, 127.5, 128.4, 128.5, 129.9, 131.0, 132.6, 134.7, 137.0, 139.5, 141.1, 164.5, 188.9.

***N*-(2-((*E*)-3-(4-chlorophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4d);** white solid; mp: 172-174 ^{o}C; IR (KBr): ν 1600, 1663, 3273 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.80 (d, *J* = 10.0 Hz, 1H), 6.33-6.38 (m, 1H), 6.47 (d, *J* = 16.5 Hz, 1H), 7.23-7.26 (m, 1H), 7.40-7.46 (m, 4H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.73 (s, 1H), 7.86 (bs, 1H), 7.91-7.97 (m, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 123.7, 125.2, 126.1, 127.3, 127.9, 128.7, 129.1, 130.0, 130.7, 131.4, 136.1, 136.4, 139.7, 139.9, 164.2, 189.0.

***N*-(2-((*E*)-3-(2-methoxyphenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4e);** light yellow solid; mp: 134-136 ^{o}C; IR (KBr): ν 1604, 1658, 3215 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.88 (s, 3H), 5.78 (d, *J* = 10.0 Hz, 1H), 6.33-6.38 (m, 1H), 6.45 (d, *J* = 17.0 Hz, 1H), 6.98 (d, *J* = 8.5 Hz, 1H), 7.01 (app t, *J* = 7.0 Hz, 1H), 7.20 (app t, *J* = 7.0 Hz, 1H), 7.36-7.41 (m, 2H), 7.47 (app t, *J* = 7.5 Hz, 1H), 7.60-7.64 (m, 2H), 7.79 (bs, 1H), 7.84 (d, *J* = 16.0 Hz, 1H), 7.97 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.9, 111.8, 121.0, 124.6, 125.6, 127.5, 127.8, 128.4, 128.9, 129.4, 130.77, 130.79, 131.0, 133.6, 136.3, 137.2, 158.5, 164.0, 192.2

***N*-(2-((*E*)-3-(3-methoxyphenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4f);** light yellow solid; mp: 144-146 ^{o}C; IR (KBr): ν 1598, 1661, 3264 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.85 (s, 3H), 5.81 (d, *J* = 10.0 Hz, 1H), 6.35-6.40 (m, 1H), 6.49 (d, *J* = 17.0 Hz, 1H), 7.13 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.23-7.26 (m, 1H), 7.39 (app t, *J* = 7.5 Hz, 1H), 7.43-7.50 (m, 3H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.68-7.76 (m, 2H), 7.88-8.00 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.4, 112.8, 119.8, 121.2, 123.9, 125.2, 125.8, 127.2, 128.0, 128.5, 129.7, 130.9, 131.1, 136.6, 139.2, 139.7, 159.9, 164.3, 190.1.

***N*-(2-((*E*)-3-(4-methoxyphenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4g);** light yellow solid; mp: 186-188 ^{o}C; IR (KBr): ν 1593, 1663, 3033, 3231 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.89 (s, 3H), 5.74 (d, *J* = 9.5 Hz, 1H), 6.42 (d, *J* = 16.5 Hz, 1H), 6.53-6.58 (m, 1H), 6.97-6.99 (m, 2H), 7.25 (app t, *J* = 6.0 Hz, 1H), 7.40 (app t, *J* = 7.0 Hz, 1H), 7.53 (d, *J* = 15.5 Hz, 1H), 7.69 (d, *J* = 6.0 Hz, 1H), 7.77 (d, *J* = 7.5 Hz, 1H), 7.99-8.05 (m, 3H), 9.52 (s, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.0, 113.4, 122.6, 125.3, 125.8, 126.4, 126.7, 128.6, 130.1, 130.3, 130.8, 136.6, 139.0, 163.0, 164.2, 187.9; ^{1}H NMR (500 MHz, DMSO-d_6): 3.87 (s, 3H), 5.81 (d, *J* = 10.5 Hz, 1H), 6.29 (dd, *J* = 17.5, 1.5 Hz, 1H), 6.57 (dd, *J* = 17.5, 10.5 Hz, 1H), 7.08-7.09 (m, 2H), 7.32 (app t, *J* = 7.5 Hz, 1H), 7.45 (app t, *J* = 7.5 Hz, 1H), 7.51 (d, *J* = 7.5 Hz, 1H), 7.84 (d, *J* = 15.5 Hz, 1H), 7.89 (d, *J* = 15.5 Hz, 1H), 8.11 (d, *J* = 8.0 Hz, 1H), 8.15-8.17 (m, 2H), 10.10 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): 55.6, 114.1, 122.8, 126.0, 126.6, 127.2, 129.4, 130.4, 130.5, 130.9, 131.4, 137.1, 138.7, 163.3, 163.8, 187.5.

***N*-(2-((*E*)-3-(3-bromophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4h);** light yellow solid; mp: 158-160 ^{o}C; IR (KBr): ν 1598, 1659, 3275 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.81 (d, *J* = 9.5 Hz, 1H), 6.34-6.39 (m, 1H), 6.48 (d, *J* = 16.5 Hz, 1H), 7.26 (s, 1H), 7.34-7.45 (m, 3H), 7.69-7.73 (m, 3H), 7.80-7.90 (m, 2H), 7.96 (d, *J* = 15.5 Hz, 1H), 8.08 (s, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 123.1, 123.5, 125.3, 126.1, 127.1, 127.3, 127.9, 128.7, 130.4, 130.7, 131.5, 131.6, 136.0, 136.5, 139.6, 140.2, 164.2, 188.8.

***N*-(2-((*E*)-3-(4-bromophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4i);** light yellow solid; mp: 170-172 ^{o}C; IR (KBr): ν 1599, 1663, 3265 cm^{-1}; ^{1}H NMR (500 MHz,

$CDCl_3$): δ 5.81 (d, *J* = 10.0 Hz, 1H), 6.33-6.38 (m, 1H), 6.47 (d, *J* = 17.0 Hz, 1H), 7.18-7.26 (m, 1H), 7.40-7.45 (m, 2H), 7.61-7.62 (m, 2H), 7.67-7.70 (m, 2H), 7.83-7.85 (m, 3H), 7.95 (d, *J* = 15.0 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 123.7, 125.2, 126.1, 127.3, 128.4, 128.7, 130.1, 130.7, 131.4, 132.1, 136.3, 136.4, 136.5, 139.9, 189.1; ^{1}H NMR (500 MHz, DMSO-d_6): δ 5.81 (dd, *J* = 10.5, 1.5 Hz, 1H), 6.29 (dd, *J* = 17.0, 1.5 Hz, 1H), 6.56 (dd, *J* = 17.0, 10.5 Hz, 1H), 7.32 (app t, *J* = 7.5 Hz, 1H), 7.47 (app t, *J* = 7.5 Hz, 1H), 7.51 (d, *J* = 7.5 Hz, 1H), 7.77-7.79 (m, 2H), 7.87 (s, 2H), 8.09-8.10 (m, 2H), 8.13 (d, *J* = 8.0 Hz, 1H), 10.13 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): 122.3, 126.0, 126.6, 127.3, 129.1, 130.6, 131.0, 131.3, 131.9, 136.5, 137.3, 140.1, 163.8, 188.4.

***N*-(2-((*E*)-3-oxo-3-*p*-tolylprop-1-enyl)phenyl)acrylamide (4j);** light yellow solid; mp: 158-160 ^{o}C; IR (KBr): ν 1595, 1660, 3285 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 2.43 (s, 3H), 5.81 (d, *J* = 10.0 Hz, 1H), 6.37 (dd, *J* = 16.0, 10.0 Hz, 1H), 6.48 (d, *J* = 16.0 Hz, 1H), 7.24 (app t, *J* = 7.5 Hz, 1H), 7.27-7.29 (m, 2H), 7.43 (app t, *J* = 7.5 Hz, 1H), 7.49 (d, *J* = 15.0 Hz, 1H), 7.68 (d, *J* = 7.5 Hz, 1H), 7.72 (bs, 1H), 7.89-7.91 (m, 2H), 7.95-7.98 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 21.8, 124.3, 125.0, 125.8, 127.2, 127.9, 128.5, 128.8, 129.5, 130.9, 131.0, 135.2, 136.4, 139.0, 144.2, 164.2, 189.8.

***N*-(2-((*E*)-3-(4-fluorophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4k);** light yellow solid; mp: 174-176 ^{o}C; IR (KBr): ν 1210, 1603, 1662, 3267 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 5.81 (dd, *J* = 10.0, 1.0 Hz, 1H), 6.29 (dd, *J* = 17.0, 1.5 Hz, 1H), 6.56 (dd, *J* = 17.0, 10.0 Hz, 1H), 7.32 (app t, *J* = 7.5 Hz, 1H), 7.38-7.42 (m, 2H), 7.47 (app t, *J* = 7.5 Hz, 1H), 7.51 (d, *J* = 7.5 Hz, 1H), 7.85-7.92 (m, 2H), 8.13 (d, *J* = 7.5 Hz, 1H), 8.24-8.27 (m, 2H), 10.13 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 115.8, 115.9, 122.5, 126.0, 126.6, 127.3, 129.1, 130.9, 131.3, 131.5, 131.6, 134.2, 137.3, 139.8, 163.8, 164.1, 166.1, 187.9.

***N*-(2-((*E*)-3-(naphthalen-1-yl)-3-oxoprop-1-enyl)phenyl)acrylamide (4l);** light yellow solid; mp: 140-142 ^{o}C; IR (KBr): ν 1638, 1657, 3236 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.72 (d, *J* = 9.5 Hz, 1H), 6.21 (dd, *J* = 17.0, 10.0 Hz, 1H), 6.37 (d, *J* = 17.0 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.25 (d, *J* = 16.0 Hz, 1H), 7.38 (app t, *J* = 7.5 Hz, 1H), 7.48 (app t, *J* = 7.5 Hz, 1H), 7.51-7.54 (m, 2H), 7.63-7.64 (m, 2H), 7.75-7.80 (m, 3H), 7.88-7.90 (m, 1H), 7.97 (d, *J* = 8.5 Hz, 1H), 8.33-8.35 (m, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 124.6, 125.4, 125.6, 126.1, 126.6, 127.2, 127.6, 127.8, 128.3, 128.5, 128.6, 130.5, 130.6, 131.2, 132.1, 133.9, 136.3, 136.6, 140.5, 164.2, 195.1.

***N*-(2-((*E*)-3-oxo-3-(thiophen-2-yl)prop-1-enyl)phenyl)acrylamide (4m);** white solid; mp: 146-148 ^{o}C; IR (KBr): ν 1595, 1660, 3288 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.78 (d, *J* = 11.0 Hz, 1H), 6.39-6.49 (m, 2H), 7.14 (app t, *J* = 4.5 Hz, 1H), 7.22 (app t, *J* = 7.5 Hz, 1H), 7.31 (d, *J* = 15.5 Hz, 1H), 7.42 (app t, *J* = 7.5 Hz, 1H), 7.64-7.66 (m, 2H), 7.795 (d, *J* = 4.0 Hz, 1H), 7.94 (bs, 1H), 8.02 (d, *J* = 15.0 Hz, 1H), 8.16 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 123.8, 125.1, 125.8, 127.2, 127.7, 128.5, 130.9, 131.2, 132.3, 134.5, 136.6, 139.0, 145.2, 164.3, 182.0.

***N*-(2-((*E*)-3-(2,4-dichlorophenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4n);** light yellow solid; mp: 132-134 ^{o}C; IR (KBr): ν 1627, 1658, 3262 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 5.81 (d, *J* = 10.0 Hz, 1H), 6.29 (dd, *J* = 16.5, 10.0 Hz, 1H), 6.43 (d, *J* = 17.0 Hz, 1H), 7.09 (d, *J* = 15.5 Hz, 1H), 7.25 (app t, *J* = 7.5 Hz, 1H), 7.32 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.42 (app t, *J* = 7.5 Hz, 1H), 7.45-7.46 (m, 2H), 7.51 (bs, 1H), 7.63-7.68 (m, 2H), 7.74 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 125.7, 126.4, 127.1, 127.2, 127.4, 128.3, 128.4, 130.2, 130.5, 130.8, 131.5, 132.4, 136.4, 137.0, 137.3, 141.4, 164.3, 192.2.

***N*-(2-((*E*)-3-(3,4-dimethoxyphenyl)-3-oxoprop-1-enyl)phenyl)acrylamide (4o);** yellow solid; mp: 136-138 ^{o}C; IR (KBr): ν 1603, 1663, 3270 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.85 (s, 3H), 3.91 (s, 3H), 5.78-5.80 (m, 1H), 6.43-6.51 (m, 2H), 6.84 (d, *J* = 8.0 Hz, 1H), 7.21 (app t, *J* = 7.5 Hz, 1H), 7.38-7.43 (m, 2H), 7.47 (s, 1H), 7.55 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.64 (d, *J* = 8.0 Hz, 1H), 7.97-8.00 (m, 2H), 8.32 (bs, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.8, 56.0, 109.9, 110.6, 123.3, 125.0, 125.6, 127.1, 128.2, 130.76, 130.81, 131.0, 136.6, 139.0, 149.1, 153.4, 164.4, 188.3; ^{1}H NMR (500 MHz, DMSO-d_6): 3.86 (s, 3H), 3.87 (s, 3H), 5.81 (dd, *J* = 10.5, 1.0 Hz, 1H), 6.28 (dd, *J* = 17.0, 1.5 Hz, 1H), 6.56 (dd, *J* = 17.0, 10.5 Hz, 1H), 7.10 (d, *J* = 8.5 Hz, 1H), 7.32 (app t, *J* = 7.5 Hz, 1H), 7.46 (app t, *J* = 7.5 Hz, 1H), 7.50 (d, *J* = 7.5 Hz, 1H), 7.61 (d, *J* = 1.5 Hz, 1H), 7.84 (d, *J* = 15.5 Hz, 1H), 7.88-7.91 (m, 2H), 8.12 (d, *J* = 8.0 Hz, 1H), 10.10 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): 55.6, 55.8, 110.8, 110.9, 122.7, 123.4, 125.9, 126.6, 127.2, 127.3, 129.5, 130.47, 130.53, 131.4, 137.1, 138.6, 148.8, 153.3, 163.8, 187.5.

***N*-(4-chloro-2-((*E*)-3-oxo-3-phenylprop-1-enyl)phenyl)acrylamide (4p);** light yellow solid; mp: 194-196 ^{o}C; IR (KBr): ν 1609, 1664, 3272 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 5.82 (dd, *J* = 10.0, 1.0 Hz, 1H), 6.30 (d, *J* = 17.0 Hz, 1H), 6.56 (dd, *J* = 17.0, 10.0 Hz, 1H), 7.51 (dd, *J* = 8.5, 2.5 Hz, 1H), 7.55-7.59 (m, 3H), 7.68 (app t, *J* = 7.5 Hz, 1H), 7.80 (d, *J* = 16.0 Hz, 1H), 8.10 (d, *J* = 16.0 Hz, 1H), 8.19-8.21 (m, 2H), 8.27 (d, *J* = 2.0 Hz, 1H), 10.17 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 124.0, 126.7, 127.6, 128.1, 128.7, 128.8, 130.3, 130.9, 131.1, 133.3, 136.0, 137.3, 138.0, 163.9, 189.1.

3-Methyl-4-(2-oxo-2-phenyl-ethyl)-1H-quinolin-2-one (5a); Reaction time: 20 h; Yield: 91% white solid; mp: 292-294 ^{o}C; IR (KBr): ν 1674, 2923 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.84 (s, 2H), 7.09 (app t, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.42 (app t, *J* = 7.5 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.59-7.62 (m, 2H), 7.72 (app t, *J* = 7.0 Hz, 1H), 8.15-8.17 (m, 2H), 11.78 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 38.9, 115.2, 119.8, 121.7, 124.6, 128.4, 128.9, 129.0, 129.1, 133.7, 136.3, 137.1, 140.7, 161.8, 196.2; HRMS (ESI): calculated for $C_{18}H_{15}NO_2$: 278.1181 $(M+H)^+$, found: 278.1214 $(M+H)^+$.

4-[2-(2-Chloro-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5b); Reaction time: 12 h; Yield: 79% white solid; mp: 236-238 ^{o}C; IR (KBr): ν 1660, 1691, 2927 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.08 (s, 3H), 4.71 (s, 2H), 7.15 (app t, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 8.5 Hz, 1H), 7.44 (app t, *J* = 7.5 Hz, 1H), 7.51 (app t, *J* = 7.5 Hz, 1H), 7.55-7.60 (m, 2H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 7.5 Hz, 1H), 11.82 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 42.8, 115.2, 119.5, 121.7, 124.5, 127.4, 129.2, 129.4, 129.5, 130.5, 132.5, 137.2, 138.3, 139.2, 161.7, 198.4; HRMS (ESI): calculated for $C_{18}H_{14}ClNO_2$: 312.0791 $(M+H)^+$, found: 312.0790 $(M+H)^+$.

4-[2-(3-Chloro-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5c); Reaction time: 14 h; Yield: 81% white solid; mp: 294-296 ^{o}C; IR (KBr): ν 1661, 1686, 2859 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.87 (s, 2H), 7.09 (app t, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.41 (app t, *J* = 7.5 Hz, 1H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.63 (app t, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 7.5 Hz, 1H), 8.09 (d, *J* = 8.0 Hz, 1H), 8.20 (s, 1H), 11.81 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 39.1, 115.2, 119.7, 121.6, 124.6, 126.9, 128.2, 129.0, 129.2, 130.8, 133.3, 133.8, 137.1, 138.1, 140.3, 161.8, 195.2; HRMS (ESI): calculated for $C_{18}H_{14}ClNO_2$: 312.0791 $(M+H)^+$, found: 312.0791 $(M+H)^+$.

4-[2-(4-Chloro-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5d); Reaction time: 14 h; Yield: 80% white solid; mp: 274-276 ^{o}C; IR (KBr): ν 1652, 1683, 2849 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.84 (s, 2H), 7.08 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.41 (app t, J = 7.5 Hz, 1H), 7.52 (d, J = 8.0 Hz, 1H), 7.67-7.68 (m, 2H), 8.16-8.18 (m, 2H), 11.80 (s, 1H) ; ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 38.9, 115.2, 119.7, 121.6, 124.5, 128.9, 129.0, 129.2, 130.3, 135.0, 137.1, 138.6, 140.4, 161.8, 195.2; HRMS (ESI): calculated for $C_{18}H_{14}ClNO_2$: 312.0791 $(M+H)^+$, found: 312.0775 $(M+H)^+$.

4-[2-(2-Methoxy-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5e); Reaction time: 24h; Yield: 87% white solid; mp: 178-180 ^{o}C; IR (KBr): ν 1665, 2842 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.04 (s, 3H), 3.98 (s, 3H), 4.66 (s, 2H), 7.06 (app t, J = 7.5 Hz, 1H), 7.12 (app t, J = 7.5 Hz, 1H), 7.25 (d, J = 8.5 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 7.42 (app t, J = 7.5 Hz, 1H), 7.53 (d, J = 8.0 Hz, 1H), 7.57-7.61 (m, 2H), 11.76 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 43.6, 56.0, 112.6, 115.2, 119.7, 120.6, 121.6, 124.4, 127.7, 128.9, 129.5, 133.9, 137.1, 140.5, 158.2, 161.8, 197.7; HRMS (ESI): calculated for $C_{19}H_{17}NO_3$: 308.1287 $(M+H)^+$, found: 308.1288 $(M+H)^+$.

4-[2-(3-Methoxy-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5f); Reaction time: 24h; Yield: 92% white solid; mp: 240-242 ^{o}C; IR (KBr): ν 1650, 2830 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 3.85 (s, 3H), 4.83 (s, 2H), 7.09 (app t, J = 7.5 Hz, 1H), 7.29 (dd, J = 8.0, 2.0 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 7.42 (app t, J = 7.5 Hz, 1H), 7.51-7.54 (m, 2H), 7.63 (app t, J = 1.5 Hz, 1H), 7.77 (d, J = 7.5 Hz, 1H), 11.79 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 39.1, 55.4, 112.9, 115.2, 119.7, 119.8, 120.7, 121.6, 124.5, 129.0, 129.1, 130.0, 137.1, 137.7, 140.6, 159.5, 161.8, 195.9; HRMS (ESI): calculated for $C_{19}H_{17}NO_3$: 308.1287 $(M+H)^+$, found: 308.1287 $(M+H)^+$.

4-[2-(4-Methoxy-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5g); Reaction time: 24h; Yield: 91% white solid; mp: 256-258 ^{o}C; IR (KBr): ν 1168, 1650, 1670, 2924 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 3.88 (s, 3H), 4.75 (s, 2H), 7.07-7.12 (m, 3H), 7.31 (d, J = 8.0 Hz, 1H), 7.41 (app t, J = 7.5 Hz, 1H), 7.50 (d, J = 7.5 Hz, 1H), 8.13-8.14 (m, 2H), 11.77 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 38.5, 55.6, 114.0, 115.1, 119.9, 121.6, 124.5, 128.9, 129.0, 129.3, 130.6, 137.1, 140.9, 161.8, 163.5, 194.4; HRMS (ESI): calculated for $C_{19}H_{17}NO_3$: 308.1287 $(M+H)^+$, found: 308.1287 $(M+H)^+$.

4-[2-(3-Bromo-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5h); Reaction time: 16 h; Yield: 76% white solid; mp: 292-294 ^{o}C; IR (KBr): ν 1661, 1687, 2861 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.87 (s, 2H), 7.09 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.41 (app t, J = 7.5 Hz, 1H), 7.54-7.58 (m, 2H), 7.92 (d, J = 7.5 Hz, 1H), 8.13 (d, J = 7.5 Hz, 1H), 8.32 (s, 1H), 11.79 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 39.1, 115.1, 119.7, 121.6, 122.2, 124.6, 127.2, 129.0, 129.2, 131.0, 136.2, 137.1, 138.3, 140.2, 161.8, 195.1; HRMS (ESI): calculated for $C_{18}H_{14}BrNO_2$: 358.0286 $(M+2+H)^+$, found: 358.0249 $(M+2+H)^+$.

4-[2-(4-Bromo-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5i); Reaction time: 16 h; Yield: 75 % white solid; mp: 276-278 ^{o}C; IR (KBr): ν 1658, 2854, 2925 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.82 (s, 2H), 7.08 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.41 (app t, J = 7.5 Hz, 1H), 7.52 (d, J = 8.0 Hz, 1H), 7.81-7.83 (m, 2H), 8.08-8.10 (m, 2H), 11.79 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 38.9, 115.2, 119.7, 121.6, 124.5, 127.8, 129.0, 129.1, 130.3, 131.9, 135.3, 137.1, 140.3, 161.8, 195.4; HRMS (ESI): calculated $C_{18}H_{14}BrNO_2$: 358.0286 $(M+2+H)^+$, found: 358.0268 $(M+2+H)^+$.

3-Methyl-4-(2-oxo-2-*p*-tolyl-ethyl)-1H-quinolin-2-one (5j); Reaction time: 24 h; Yield: 86% white solid; mp: 274-276 ^{o}C; IR (KBr): ν 1651, 1678, 2850, 2922 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.04 (s, 3H), 2.42 (s, 3H), 4.76 (s, 2H), 7.08 (app t, J = 8.0 Hz, 1H), 7.33 (d, J = 7.5 Hz, 1H), 7.39-7.42 (m, 3H), 7.50 (d, J = 8.0 Hz, 1H), 8.04-8.06 (m, 2H), 11.66 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 21.2, 38.7, 115.2, 119.8, 121.6, 124.5, 128.4, 128.97, 129.01, 129.4, 133.9, 137.1, 140.8, 144.1, 161.8, 195.6; HRMS (ESI): calculated for $C_{19}H_{17}NO_2$: 292.1338 $(M+H)^+$, found: 292.1338 $(M+H)^+$.

4-[2-(4-Fluoro-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5k); Reaction time: 9 h; Yield: 83% white solid; mp: 276-278 ^{o}C; IR (KBr): ν 1655, 1685, 2883, 3432 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 4.83 (s, 2H), 7.09 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.5 Hz, 1H), 7.40-7.45 (m, 3H), 7.52 (d, J = 8.5 Hz, 1H), 8.23-8.26 (m, 2H), 11.80 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 38.9, 115.2, 115.8, 115.9 119.8, 121.6, 124.5, 129.0, 129.1, 131.36, 131.44, 133.1, 137.1, 140.5, 161.8, 164.3, 166.3, 194.7; HRMS (ESI): calculated for $C_{18}H_{14}FNO_2$: 296.1087 $(M+H)^+$, found: 296.1072 $(M+H)^+$.

3-Methyl-4-(2-naphthalen-1-yl-2-oxo-ethyl)-1H-quinolin-2-one (5l); Reaction time: 21 h; Yield: 85% white solid; mp: 248-250 ^{o}C; IR (KBr): ν 1658, 2854, 2925 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.12 (s, 3H), 4.92 (s, 2H), 7.12 (app t, J = 7.5 Hz, 1H), 7.34 (d, J = 8.0 Hz, 1H), 7.43 (app t, J = 7.5 Hz, 1H), 7.58-7.59 (m, 2H), 7.68-7.71 (m, 2H), 8.03-8.04 (m, 1H), 8.20 (d, J = 8.5 Hz, 1H), 8.37-8.39 (m, 1H), 8.49 (d, J = 7.0 Hz, 1H), 11.82 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 42.2, 115.2, 119.8, 121.7, 124.6, 124.9, 125.1, 126.5, 128.0, 128.60, 128.63, 129.0, 129.2, 129.4, 132.9, 133.5, 134.8, 137.2, 140.5, 161.8, 200.0; HRMS (ESI): calculated for $C_{22}H_{17}NO_2$: 328.1338 $(M+H)^+$, found: 328.1322 $(M+H)^+$.

3-Methyl-4-(2-oxo-2-thiophen-2-yl-ethyl)-1H-quinolin-2-one (5m); Reaction time: 21 h; Yield: 72% white solid; mp: 274-276 ^{o}C; IR (KBr): ν 1653, 2854 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.07 (s, 3H), 4.79 (s, 2H), 7.11 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.35 (app t, J = 4.0 Hz, 1H), 7.42 (app t, J = 7.5 Hz, 1H), 7.58 (d, J = 8.5 Hz, 1H), 8.08 (d, J = 5.0 Hz, 1H), 8.32 (d, J = 3.5 Hz, 1H), 11.80 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 39.0, 115.2, 119.7, 121.7, 124.5, 128.9, 129.1, 129.3, 134.2, 135.5, 137.1, 139.9, 143.2, 161.8, 189.1; HRMS (ESI): calculated for $C_{16}H_{13}NO_2S$: Exact Mass: 284.0745 $(M+H)^+$, found: 284.0730 $(M+H)^+$.

4-[2-(2,4-Dichloro-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5n); Reaction time: 7 h; Yield: 78% white solid; mp: 240-242 ^{o}C; IR (KBr): ν 1661, 1709, 2855 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.07 (s, 3H), 4.72 (s, 2H), 7.14 (app t, J = 7.5 Hz, 1H), 7.32 (d, J = 8.0 Hz, 1H), 7.43 (app t, J = 7.5 Hz, 1H), 7.62-7.66 (m, 2H), 7.78 (d, J = 1.5 Hz, 1H), 8.03 (d, J = 8.5 Hz, 1H), 11.82 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 42.7, 115.2, 119.5, 121.7, 124.6, 127.6, 129.2, 129.4, 130.2, 130.8, 131.1, 136.4, 136.9, 137.2, 139.1, 161.7, 197.4; HRMS (ESI): calculated for $C_{18}H_{13}Cl_2NO_2$: 346.0402 $(M+H)^+$, found: 346.0400 $(M+H)^+$.

4-[2-(3,4-Dimethoxy-phenyl)-2-oxo-ethyl]-3-methyl-1H-quinolin-2-one (5o); Reaction time: 24 h; Yield: 87% white solid; mp: 306-308 ^{o}C; IR (KBr): ν 1652, 1672, 2843, 3434 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.03 (s, 3H), 3.84 (s, 3H), 3.88 (s, 3H), 4.78 (s, 2H), 7.09 (app t, J = 7.5 Hz, 1H), 7.15 (d, J = 8.0 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 7.41 (app t, J = 7.5 Hz,

1H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.58 (s, 1H), 7.90 (dd, *J* = 8.5, 1.5 Hz, 1H), 11.78 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.8, 38.5, 55.6, 55.9, 110.6, 111.0, 115.2, 119.9, 121.6, 123.0, 124.5, 129.0, 129.2, 137.1, 140.9, 148.6, 153.4, 161.8, 194.4; HRMS (ESI): calculated for $C_{20}H_{19}NO_4$: 338.1392 $(M+H)^+$, found: 338.1383 $(M+H)^+$.

6-Chloro-3-methyl-4-(2-oxo-2-phenyl-ethyl)-1H-quinolin-2-one (5p); Reaction time: 6 h; Yield: 82% white solid; mp: 304-306 °C; IR (KBr): ν 1656, 2914 cm^{-1}; ^{1}H NMR (500 MHz, DMSO-d_6): δ 2.02 (s, 3H), 4.87 (s, 2H), 7.33 (d, *J* = 9.0 Hz, 1H), 7.47 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.59-7.62 (m, 2H), 7.67 (d, *J* = 1.5 Hz, 1H), 7.72 (app t, *J* = 7.5 Hz, 1H), 8.15-8.17 (m, 2H), 11.93 (s, 1H); ^{13}C NMR (125 MHz, DMSO-d_6): δ 12.9, 38.9, 117.0, 121.2, 124.0, 125.8, 128.4, 128.8, 128.9, 130.4, 133.6, 135.8, 136.3, 139.9, 161.5, 196.0; HRMS (ESI): calculated for $C_{18}H_{14}ClNO_2$: 312.0791 $(M+H)^+$, found: 312.0075 $(M+H)^+$.

2-phenylquinoline (3a); Reaction time: 2 h; Yield: 80 % white solid; mp: 76-78 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.46 (app t, *J* = 7.5 Hz, 1H), 7.50-7.54 (m, 3H), 7.72 (app t, *J* = 7.5 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.87 (d, *J* = 8.5 Hz, 1H), 8.15-8.18 (m, 3H), 8.21 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 119.1, 126.3, 127.3, 127.5, 127.6, 128.9, 129.4, 129.7, 129.8, 136.8, 139.8, 148.4, 157.4.

2-(2-chlorophenyl)quionline (3b); Reaction time: 2 h; Yield: 88 % white solid; mp: 76-78 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.36-7.43 (m, 2H), 7.51 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.58 (app t, *J* = 7.5 Hz, 1H), 7.70 (dd, *J* = 7.0, 2.0 Hz, 1H), 7.73-7.76 (m, 2H), 7.87 (d, *J* = 8.0 Hz, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 8.22 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 122.8, 126.8, 127.2, 127.3, 127.6, 129.75, 129.79, 129.9, 130.2, 131.8, 132.5, 135.7, 139.8, 148.2, 157.5.

2-(4-methoxyphenyl)quinoline (3c); Reaction time: 6 h; Yield: 77 % white solid; mp: 116-118 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 3.87 (s, 3H), 7.03-7.05 (m, 2H), 7.48 (app t, *J* = 7.5 Hz, 1H), 7.70 (d appt, *J* = 7.5, 1.0 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.82 (d, *J* = 8.5 Hz, 1H), 8.13-8.17 (m, 4H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 55.5, 114.3, 118.6, 126.0, 127.0, 127.5, 129.0, 129.6, 132.4, 136.7, 148.4, 157.0, 160.9.

2-(3-bromophenyl)quionline (3d); Reaction time: 3 h; Yield: 92 % white solid; mp: 64-66 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.39 (app t, *J* = 8.0 Hz, 1H), 7.54 (app t, *J* = 7.5 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.74 (d app t, *J* = 8.0, 1.0 Hz, 1H), 7.82-7.84 (m, 2H), 8.07 (d, *J* = 8.0 Hz, 1H), 8.17 (d, *J* = 8.5 Hz, 1H), 8.23 (d, *J* = 8.5 Hz, 1H), 8.36 (s, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 118.8, 123.2, 126.1, 126.7, 127.4, 127.6, 129.9, 130.0, 130.4, 130.7, 132.3, 137.1, 141.8, 148.3, 155.7.

2-(4-bromophenyl)quionline (3e); Reaction time: 4 h; Yield: 86 % white solid; mp: 112-114 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.54 (app t, *J* = 7.5 Hz, 1H), 7.64-7.66 (m, 2H), 7.73 (app t, *J* = 7.5 Hz, 1H), 7.82 (d, *J* = 2.0 Hz, 1H), 7.83 (d, *J* = 3.5 Hz, 1H), 8.04-8.06 (m, 2H), 8.15 (d, *J* = 8.0 Hz, 1H), 8.21 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 118.6, 124.0, 126.6, 127.3, 127.6, 129.2, 129.8, 129.9, 132.1, 137.0, 138.6, 148.3, 156.1.

2-*p*-tolylquinoline (3f); Reaction time: 6 h; Yield: 76 % white solid; mp: 74-76 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 2.43 (s, 3H), 7.32-7.33 (m, 2H), 7.50 (app t, *J* = 8.0 Hz, 1H), 7.71 (app t, *J* = 7.5 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 8.06-8.08 (m, 2H), 8.16 (d, *J* = 8.5 Hz, 1H), 8.18 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 21.4, 118.9, 126.1, 127.2, 127.5, 129.6, 129.7, 136.7, 137.0, 139.5, 148.4, 157.4.

2-(thiophen-2-yl)quionline (3g); Reaction time: 5 h; Yield: 85 % white solid; mp: 124-126 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.15 (app t, *J* = 4.0 Hz, 1H), 7.45-7.49 (m, 2H), 7.68 (d appt, *J* = 7.5, 1.5 Hz, 1H), 7.72 (d, *J* = 4.0 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 8.08 (d, *J* = 8.5 Hz, 1H), 8.12 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 117.7, 125.9, 126.2, 127.3, 127.5, 128.1, 128.6, 129.4, 129.9, 136.7, 145.5, 148.2, 152.4.

6-chloro-2-phenylquinoline (3h); Reaction time: 5 h; Yield: 64 % white solid; mp: 100-102 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.47 (app t, *J* = 7.5 Hz, 1H), 7.51-7.54 (m, 2H), 7.65 (dd, *J* = 9.0, 2.0 Hz, 1H), 7.80 (s, 1H), 7.88 (d, *J* = 8.5 Hz, 1H), 8.09-8.15 (m, 4H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 119.9, 126.2, 127.6, 127.8, 129.0, 129.6, 130.6, 131.4, 132.0, 135.9, 139.3, 146.7, 157.6.

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Supplementary Material

Copies of ^{1}H and ^{13}C NMR for compounds **2**, **5** and **3** series is being provided in supporting information.

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