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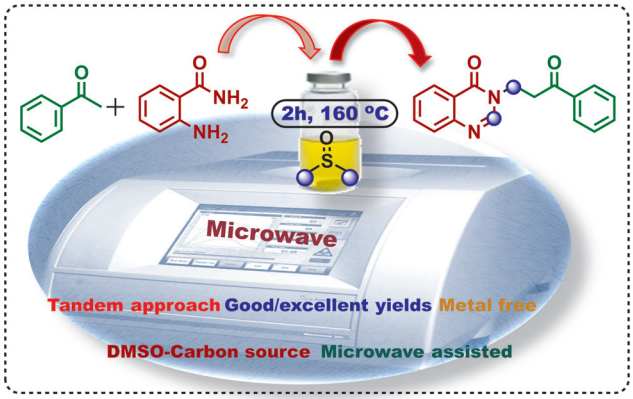
DMSO arbitrated Oxidative Annulation Followed by Homologated N-Alkylation: Microwave-Assisted Efficient and Greener Approach to Access 3-(3-Oxo-3-arylpropyl) Quinazolinones

A. V. G. Prasanthi[a,b]
Bathini Nagendra Babu *[a,b]

[a] Fluoro & Agrochemicals, CSIR - Indian Institute of Chemical Technology, Hyderabad - 500 007, India
[b] Academy of Scientific and Innovative Research (AcSIR), Ghaziabad - 201002, India
bathini@iict.res.in



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Abstract A convenient, time efficient, tandem approach for the synthesis of medicinally privileged 3-(3-oxo-3-arylpropyl) quinazolinones is developed from ubiquitously available acetophenones and anthranilamide via microwave irradiation. This transition-metal-free reaction is initiated by the oxidative annulation of anthranilamide and in situ generation of α,β-unsaturated carbonyl compounds from aryl ketones in the presence of $K_2S_2O_8$ and dimethyl sulfoxide. The latter acts as a source of two carbons [methine (=CH–) and methylene (–CH_2–)] apart from being the solvent. The reaction is carried out under microwave irradiation which has the advantage of homogenous heat distribution, reducing the reaction time drastically compared to the conventional heating reaction.

Keywords anthranilamide, acetophenones, quinazolinones, oxidative annulation, Michael addition, transition-metal-free synthesis, microwave irradiation

In recent past, dimethyl sulfoxide (DMSO) has become a versatile entity in organic synthesis. The role of DMSO started as a low-toxic, aprotic, polar solvent.[1] Its application is further extended to an oxidizing agent in many organic transformations such as Kornblum oxidation, Swern oxidation, Pfitzner–Moffatt oxidation, and Corey–Chaykovsky reaction.[2] More recently, DMSO is employed as a source of several synthons such as –CH_3,[3] –CH_2–,[4] –CN,[5] –SMe,[6] etc. Such transformations play a vital role in the synthesis of several pharmaceuticals, agrochemicals, and life science materials.

N-Containing heterocyclic compounds are the most profuse and integral scaffolds that occur ubiquitously in a variety of synthetic drugs, bioactive natural products, pharmaceuticals, and agrochemicals.[7] The quinazolinone skeleton containing frameworks are one such class of biologically privileged scaffolds that occur in several natural alkaloids.[8] Literature study reveals that quinazolinones are also functional in the construction of several medicinally active entities (Figure 1) such as antibacterial, analgesic, anti-inflammatory, antifungal, antimalarial, antihypertensive, CNS

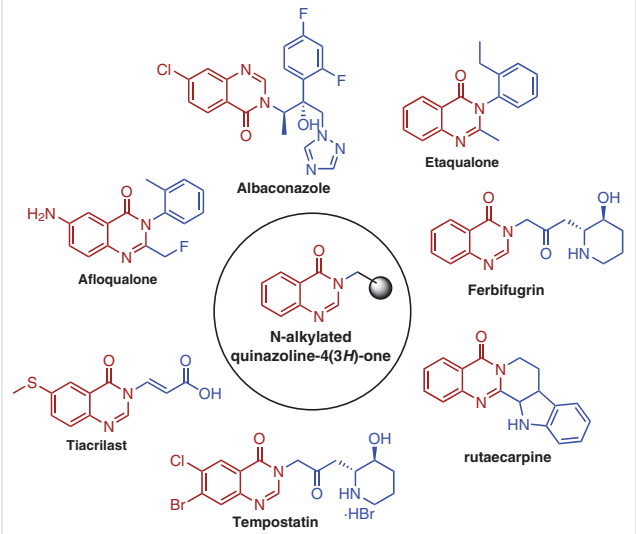
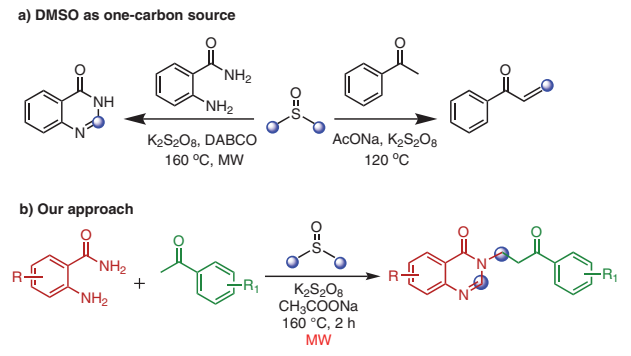


Figure 1 Biologically active quinazolinones

depressant, anticonvulsant, antihistaminic, antiparkinsonism, antiviral, and anticancer activities.[9]

Owing to their structural and biological importance quinazolinones have become the interest of several researchers. Synthesis of 3-(3-oxo-3-phenylpropyl)-quinazolin-4(3*H*)-one was achieved by alkylation of 4(3*H*)-quinazolinone with 3-halo-1-phenylpropan-1-one.[10] Another research group established its synthesis from *N*-heteroarenes, methyl ketones using DMPA as one carbon source using copper catalyst.[11]

Furthermore, a very recent report demonstrated the synthesis of 3-(3-oxo-3-phenylpropyl)quinazolin-4(3*H*)-one from readily available starting materials using a conventional synthetic method.[12] All the above-mentioned methods have few drawbacks of preparation of reaction intermediates, use of metal catalyst, and long reaction time. To overcome all the aforesaid inadequacies, there is a need to develop a new synthetic strategy. Condensation of aryl methyl ketones with anthranilamide in the presence of a carbon source could be one such solution. In the literature two independent reports proposed iodine-[13] and sulfur-promoted[14] synthesis of 2-aroylquinazolin-4(3*H*)-one from anthranilamide and acetophenones, respectively. More recently, iodine-mediated oxidative synthesis of 2-aryl quinazolin-4(3*H*)-one,[15] and Hβ-zeolite-catalyzed synthesis of 2,3-dihydroquinazolin-4(1*H*)-ones[16] were reported from the same starting materials. On the other hand, DMSO used as one carbon source for the $K_2S_2O_8$-mediated oxidative annulation reaction of anthranilamides (Scheme 1a)[17a] and homologation of the acetophenones (Scheme 1a)[17b] is described in independent reports.



Scheme 1 Previous work and present approach

Since last three decades, many green protocols have been developed using microwave (MW) chemistry with significant benefits of drastically reduced reaction time, homogenous heat distribution, better yields, etc.[18] In continuation to our research efforts in the development of a greener protocol for the organic synthesis[19] coupled with the significance of microwave chemistry, we have developed an efficient protocol for the synthesis of 3-oxopropyl quinazoline-4(3*H*)-one. Herein, we report (Scheme 1) a one-pot microwave-assisted synthesis of 3-(3-oxo-3-arylpropyl) quinazolinones from readily available aryl methyl ketones and anthranilamide in the presence of DMSO, an oxidant, and an additive (Scheme 1b).

DMSO is utilized not only as a solvent but also as a source of two carbons (methine (=CH–) and methylene (–CH_2–)), in which one carbon inserted between two nitrogen atoms of anthranilamide forming quinazolin-4(3*H*)-one and the other carbon participates in the homologation of aryl methyl ketones further forming a bridge between quinazolinone and aryl methyl ketones. It is noteworthy to mention that these reactions take very less time compared to conventional synthetic process without any catalyst loading thereby making this method highly valuable.

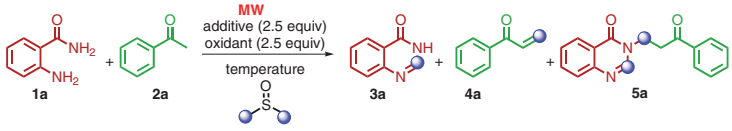
Considering the previous reports, it is evident that aryl methyl ketones upon reaction with $K_2S_2O_8$ and CH_3COONa would result in the formation of **4a**.[17a] On the other hand anthranilamide resulted in the formation of **3a** under similar reaction conditions.[17b] We started our investigation by reacting anthranilamide (**1a**) with readily available acetophenone **2a** as model substrates in the presence of $K_2S_2O_8$ and CH_3COONa in the presence of DMSO. The details are summarized in Table 1.

Conventional heating of this reaction was fruitful in delivering the product **5a** after 16 h at 120 ℃ (Table 1**,** entry 1). With a vision to reduce the reaction time and make use of the microwave irradiation, we tried to perform the reaction at 100 °C for 0.5 h in a microwave reactor, which resulted in trace amount of intermediate **3a** but no formation of required compound **5a** (Table 1, entry 2). In an attempt to achieve the required results, we tried to increase the reaction temperature to 120 ℃ (Table 1, entry 3).

Unfortunately, these conditions also could not give us the required results. Further we increased the reaction time to 1 h simultaneously increasing the temperature to 140 ℃ which resulted in trace amount of **5a** along with intermediates **3a** and **4a** (Table 1, entry 4). Leaving the reaction for one more hour gave us a considerable amount of **5a** with traces of other intermediates (Table 1, entry 5). Delightfully, we could succeed in optimizing the reaction conditions when the temperature was raised to 160 ℃ for 2 h, with significant increase in the yield of **5a** without any intermediate left unreacted (Table 1, entry 6). Furthermore, we tried to explore the reaction by using different base, such as DABCO (Table 1, entry 7), DBU (Table 1, entry 8), and Et_3N (Table 1, entry 9), but none of these improved the results. Changing the oxidant (Table 1, entries 10, 11) gave 0% yield of **5a**. All these reactions (Table 1, entries 2–12) were microwave assisted.

With the optimized reaction conditions to broaden the scope of this microwave-assisted one-pot tandem reaction, we performed the reaction with different aryl methyl ketones having both electron-donating (EDG) and electron-withdrawing (EWG) groups to study the electronic effect of various electron-rich and electron-deficient substituents.

Table 1 Preliminary Experiments and Optimization[a]



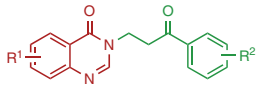
Entry	Oxidant	Base	Temp (°C)	Time (h)	Yield (%) of **3a**	Yield (%) of **4a**	Yield (%) of **5a**
1[b]	$K_2S_2O_8$	CH_3COONa	120	16	0	0	78
2	$K_2S_2O_8$	CH_3COONa	100	0.5	20	0	0
3	$K_2S_2O_8$	CH_3COONa	120	0.5	40	0	0
4	$K_2S_2O_8$	CH_3COONa	140	1	45	10	20
5	$K_2S_2O_8$	CH_3COONa	140	2	24	16	52
6	$K_2S_2O_8$	CH_3COONa	160	2	0	0	81
7	$K_2S_2O_8$	DABCO	160	2	25	12	55
8	$K_2S_2O_8$	DBU	160	2	trace	trace	0
9	$K_2S_2O_8$	Et_3N	160	2	trace	0	0
10	$(NH_4)_2S_2O_8$	CH_3COONa	160	2	0	0	0
11	$KHSO_4$	CH_3COONa	160	2	0	0	0
12	$(NH_4)OAc$	CH_3COONa	160	2	0	0	0

[a] Reaction was performed using **1a** (1.0 mmol), **2a** (1.1 mmol), DMSO (2.0 mL), oxidant (2.5 mmol), base (2.5 mmol) under microwave irradiation.
[b] Reaction under conventional heating conditions.

Surprisingly, both the groups were well tolerated. As cited in Table 2, aryl methyl ketones containing various electron-donating groups such as alkyl (**2b–f**) and alkoxy (**2g–h**) readily delivered the corresponding 3-(3-oxo-3-arylpropyl) quinazolinones (**5b–h**) with very good yields (75–84%). Ketones with free hydroxy and phenoxy substitution (**2j–k**) also tolerated the reaction conditions smoothly and gave good yields. Furthermore, several halo-substituted aryl methyl ketones such as 4-chloro (**2l**), 3-chloro (**2m**), 4-bromo (**2o**), 3-bromo (**2p**), 4-fluoro (**2q**), and 3,4-dichloro (**2n**) provided the desired products (**5l–q**) with moderate to good yields (67–74%). To our delight, strong electron-withdrawing groups such as 3-CF_3 (**2r**) and 4-NO_2 (**2s**) also participated efficiently in the reaction and furnished the corresponding products (**5r–s**) in decent yields. Pleasantly, aryl methyl ketone with both EDG and EWG (**2t**) also provided the expected product **5t** with a moderate yield. Aryl methyl ketones such as 4-phenyl acetophenone (**2u**) also furnished the expected product **5u** with good yield. To examine the substitution on anthranilamide, we conducted reactions with 5-chloro anthranilamide (**1b**) and 5-fluoro anthranilamide (**1c**) also producing the products **5ba** and **5ca**, respectively, with moderate yields. This shows that the method can tolerate a wide range of substitutions.

The method was further explored with heteroaromatic methyl ketones (Figure 2) like 2-acetyl furan (**2v**) and 2-acetyl thiophene (**2w**); polyaromatic 2-acetyl naphthalene (**2y**) and 9-acetyl anthracene (**2z**), all of which contributed to the anticipated products **5v–z** with good yields. Hence, it is unambiguous that the protocol is not affected by the substitutions of aryl methyl ketones, making the method ver-

Table 2 Scope with Different Acetophenones[a]



Entry		R^1	R^2	Yield (%)
1	**5a**	H	H	81
2	**5b**	H	2-methyl	78
3	**5c**	H	4-methyl	80
4	**5d**	H	3,4-dimethyl	77
5	**5e**	H	4-ethyl	75
6	**5f**	H	4-*tert*-butyl	79
7	**5g**	H	3-methoxy	84
8	**5h**	H	3,4,5-trimethoxy	80
9	**5i**	H	4-hydroxy	78
10	**5j**	H	3-methoxy-4-hydroxy	76
11	**5k**	H	4-phenoxy	74
12	**5l**	H	4-chloro	74
13	**5m**	H	3-chloro	72
14	**5n**	H	3,4-dichloro	70
15	**5o**	H	4-bromo	69
16	**5p**	H	3-bromo	72
17	**5q**	H	4-fluoro	67
18	**5r**	H	3-trifluoromethyl	75
19	**5s**	H	4-nitro	68
20	**5t**	H	3-methoxy-4-nitro	70
21	**5u**	H	4-phenyl	77
22	**5ba**	5-chloro	H	72
23	**5ca**	5-fluoro	H	75

[a] Reaction was performed using **1a** (1.0 mmol), **2a** (1.1 mmol), DMSO (2.0 mL), oxidant (2.5 mmol), base (2.5 mmol), microwave irradiation, 2 h, 160 °C.

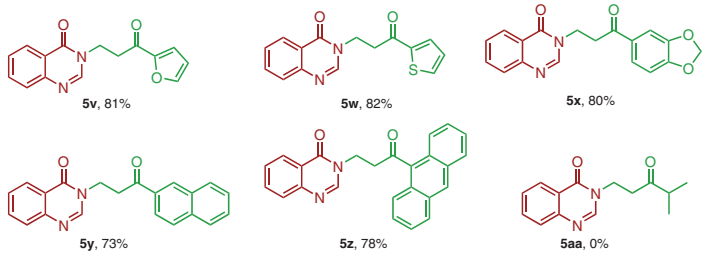
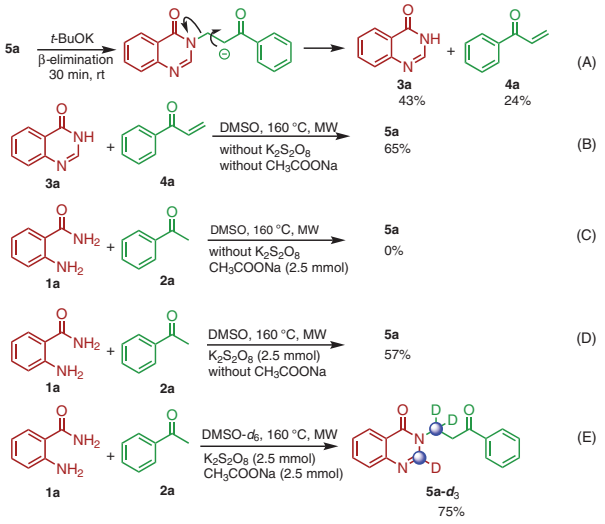


Figure 2 Scope with few heteroaryl/polyaromatic ketones. Reaction was performed using **1a** (1.0 mmol), **2a** (1.1 mmol), DMSO (2.0 mL), oxidant (2.5 mmol), base (2.5 mmol) microwave irradiation, 2 h, 160 ℃.

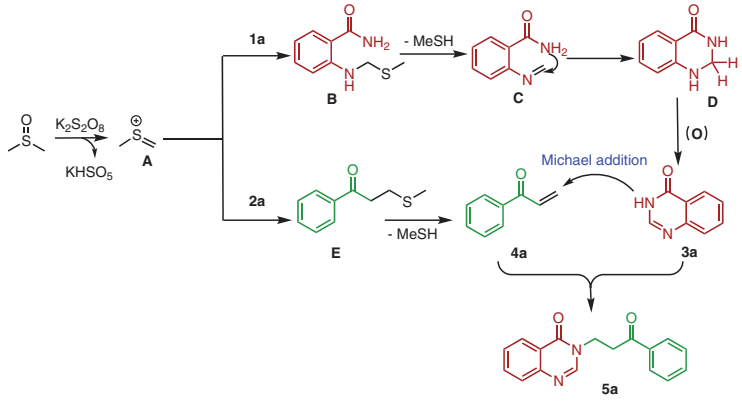
satile. To expand the scope of ketone, we conducted a reaction with 3-methylbutan-2-one (**2aa**) and anthralinamide (**1a**) which unfortunately could not furnish the expected product **5aa**.

In order to further understand the reaction mechanism, we carried out a series of control experiments (Scheme 2). Initially, when our desired product **5a** was treated with a strong base like *t*-BuOK, it underwent β-elimination and furnished two reactive intermediates **3a** and **4a** with quantitative yields (Scheme 2, A). This indicates the generation of phenyl vinyl ketone (**4a**) from acetophenone and quinazolin-4(3*H*)-one (**3a**) from anthranilamide. On this basis, **3a** was reacted with **4a** in DMSO without any oxidant and additive (Scheme 2, B). Pleasingly, **5a** was formed in good yield. Conducting a reaction between **1a** and **2a** under standard reaction conditions in the absence of CH_3COONa (Scheme 2, D) resulted in a reduced yield, illustrating that CH_3COONa affects product yield. The absence of $K_2S_2O_8$ did not allow the reaction to proceed (Scheme 2, C). This evidently shows the essential role of $K_2S_2O_8$. Furthermore, we carried out deuterium-labelling experiments to verify the carbon source by treating **1a** with **2a** in DMSO-d_6 under standard reaction conditions which gave **5a-d³** in 75% yield (Scheme 2, E). ^{1}H NMR analysis further revealed 100% deuteration at the C-2 position and at the terminal carbon of the phenyl vinyl ketone which justifies DMSO as two-carbon source.



Scheme 2 Control experiments

Based on the literature and considering the mechanistic and control experiments, a plausible mechanism has been designed as shown in Scheme 3. Initially, $K_2S_2O_8$ activates DMSO to form sulfonium ion **A**. Then anthranilamide (**1a**) condenses with **A** to furnish intermediate **B**, which on further elimination of methanethiol forms **C**, which upon intramolecular annulation gives **D**. This upon oxidation in the



Scheme 3 A plausible reaction pathway

presence of $K_2S_2O_8$ affords **3a**. Subsequently, aryl ketones react with sulfonium ion **A** to form **E**, leading to the generation of α,β-unsaturated carbonyl compound **4a** by eliminating methanethiol. Finally, **3a** undergoes Michael addition with **4a** to deliver the desired product **5a**.

In conclusion, we have expounded a microwave-irradiated transition-metal-free tandem approach for the synthesis of 3-(3-oxo-3-arylpropyl) quinazolinones from readily available anthranilamide and various substituted aryl methyl ketones in the presence of $K_2S_2O_8$ and DMSO via cyclization of anthranilamide followed by aza-Michael addition with homologated acetophenones. In this protocol, DMSO is acting as a carbon source to form the 3-(3-oxo-3-arylpropyl) quinazolinones. Microwave irradiation adds the benefit of reduced reaction time drastically and homogenous heat distribution. Notably, this method does not afford any side products. Considering the wide availability of the starting materials, broad substrate scopes, and operational simplicity, fast reaction, the present method provides an attractive protocol for the synthesis of the 3-(3-oxo-3-arylpropyl) quinazolinones.

All solvents were dried by a standard literature procedure. Crude products were purified by column chromatography on silica gel of 60–120 or 100–200 mesh. Thin-layer chromatography (TLC) plates were visualized by exposure to ultraviolet light at 254 nm and by exposure to iodine vapors and/or by exposure to methanolic acidic solution of *p*-anisaldehyde followed by heating (<1 min) on a hot plate (ca. 250 °C). Organic solvents were concentrated on rotary evaporator at 35–40 °C. Melting points (mp) were measured on Buchi B-540. ^{1}H and ^{13}C NMR (proton-decoupled) spectra were recorded in $CDCl_3$ and/DMSO solvent on 300, 400, or 500 MHz NMR spectrometer. Chemical shifts (δ) were reported in parts per million (ppm) with respect to TMS as an internal standard. Coupling constants (*J*) are quoted in hertz (Hz). Mass spectra and HRMS were recorded on a mass spectrometer by electrospray ionization (ESI) or atmospheric pressure chemical ionization (APCI) technique. All the reactions were carried out in Anton Paar microwave synthetic reactor Monowave 200.

General Procedure for the Synthesis of 5

A mixture of anthranilamides (**1a–c**, 1.0 mmol), acetophenones (**2a–z**, 1.1 mmol), DMSO (2 mL), $K_2S_2O_8$ (2.5 mmol), and sodium acetate (2.5 mmol) were added to a 5 mL microwave vial. The vial is sealed and stirred in the microwave reactor at 160 °C for 2 h. The reaction mixture was quenched with ice-cold water, extracted with EtOAc and water. Further, the organic layer was concentrated and purified by column chromatography on silica gel (EtOAc/hexane) to afford the pure products **5a–z**, **5ba–ca**.

3-(3-Oxo-3-phenylpropyl)quinazolin-4(3*H*)-one (5a)

Yield 0.165 g, 81%; off-white solid; mp 105–107 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.39 (s, 1 H), 8.29 (dd, *J* = 8.0, 0.9 Hz, 1 H), 7.94 (dd, *J* = 5.2, 3.3 Hz, 2 H), 7.72 (ddd, *J* = 9.2, 7.4, 1.3 Hz, 2 H), 7.58–7.54 (m, 1 H), 7.51–7.41 (m, 3 H), 4.43 (t, *J* = 5.9 Hz, 2 H), 3.60 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.52, 161.46, 148.19, 147.72, 136.14, 134.29, 133.70, 128.74, 128.10, 127.55, 127.19, 126.42, 122.02, 42.84, 36.88.

HRMS (ESI): *m/z* calcd for $C_{17}H_{15}N_2O_2$ [M + H]: 279.1134; found: 279.1134.

3-[3-Oxo-3-(*o*-tolyl)propyl]quinazolin-4(3*H*)-one (5b)

Yield 0.167 g, 78%; pale white solid; mp 119–123 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.36 (s, 1 H), 8.30 (dd, *J* = 8.0, 0.9 Hz, 1 H), 7.76–7.66 (m, 3 H), 7.49 (ddd, *J* = 8.2, 6.8, 1.5 Hz, 1 H), 7.40–7.35 (m, 1 H), 7.23 (d, *J* = 7.5 Hz, 2 H), 4.41 (t, *J* = 6.0 Hz, 2 H), 3.53 (t, *J* = 6.0 Hz, 2 H), 2.50 (s, 3 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 200.70, 161.44, 148.21, 147.64, 139.07, 136.28, 134.30, 132.31, 132.15, 129.15, 127.57, 127.21, 126.47, 125.90, 122.04, 43.01, 39.27, 21.80.

HRMS (ESI): *m/z* calcd for $C_{18}H_{17}N_2O_2$ [M + H]: 293.1290; found: 293.1287.

3-[3-Oxo-3-(*p*-tolyl)propyl]quinazolin-4(3*H*)-one (5c)

Yield 0.171 g, 80%; pale yellow solid; mp 137–140 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.34 (s, 1 H), 8.19 (d, *J* = 8.0 Hz, 1 H), 7.78–7.72 (m, 2 H), 7.64 (s, 2 H), 7.40 (s, 1 H), 7.14 (d, *J* = 7.2 Hz, 2 H), 4.40–4.22 (m, 2 H), 3.48 (t, *J* = 5.4 Hz, 2 H), 2.29 (s, 3 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.13, 161.41, 147.96, 147.88, 144.59, 134.34, 133.70, 129.39, 128.21, 127.26, 127.24, 126.44, 121.93, 42.99, 36.72, 21.69.

HRMS (ESI): *m/z* calcd for $C_{18}H_{17}N_2O_2$ [M + H]: 293.1290; found: 293.1287.

3-[3-(3,4-Dimethylphenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5d)

Yield 0.173 g, 77%; white solid; mp 125–128 °C.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.31 (s, 1 H), 8.21 (dd, *J* = 8.0, 0.7 Hz, 1 H), 7.69–7.57 (m, 4 H), 7.41 (ddd, *J* = 8.1, 6.6, 1.7 Hz, 1 H), 7.11 (d, *J* = 7.8 Hz, 1 H), 4.35 (t, *J* = 5.9 Hz, 2 H), 3.49 (t, *J* = 5.9 Hz, 2 H), 2.21 (d, *J* = 3.5 Hz, 6 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 197.37, 161.46, 148.20, 147.76, 143.34, 137.10, 134.25, 134.12, 129.94, 129.22, 127.54, 127.14, 126.40, 125.82, 122.03, 42.91, 36.76, 20.09, 19.76.

HRMS (ESI): *m/z* calcd for $C_{19}H_{19}N_2O_2$ [M + H]: 307.1447; found: 307.1446.

3-[3-(4-Ethylphenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5e)

Yield 0.168 g, 75%; white solid; mp 92–94 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.30 (s, 1 H), 8.19 (dd, *J* = 8.0, 1.0 Hz, 1 H), 7.79–7.75 (m, 2 H), 7.66–7.59 (m, 2 H), 7.39 (ddd, *J* = 8.2, 6.8, 1.5 Hz, 1 H), 7.16 (d, *J* = 8.4 Hz, 2 H), 4.33 (s, 2 H), 3.48 (t, *J* = 6.0 Hz, 2 H), 2.59 (q, *J* = 7.6 Hz, 2 H), 1.14 (t, *J* = 7.6 Hz, 3 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.14, 161.41, 150.74, 148.12, 147.78, 134.25, 133.93, 128.32, 128.22, 127.49, 127.14, 126.40, 122.01, 42.89, 36.76, 28.97, 15.15.

HRMS (ESI): *m/z* calcd for $C_{19}H_{19}N_2O_2$ [M + H]: 307.1447; found: 307.1446.

3-{3-[4-(*tert*-Butyl)phenyl]-3-oxopropyl}quinazolin-4(3*H*)-one (5f)

Yield 0.194 g, 79%; pale yellow solid; mp 148–150 °C.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.29 (s, 1 H), 8.20–8.14 (m, 1 H), 7.78 (d, *J* = 8.5 Hz, 2 H), 7.65–7.57 (m, 2 H), 7.35 (dd, *J* = 8.2, 5.2 Hz, 3 H), 4.33 (t, *J* = 5.9 Hz, 2 H), 3.47 (t, *J* = 5.9 Hz, 2 H), 1.20 (s, 9 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.13, 161.39, 157.48, 148.19, 147.76, 134.20, 133.64, 128.07, 127.52, 127.09, 126.39, 125.65, 122.02, 42.86, 36.77, 35.16, 31.04.

HRMS (ESI): *m/z* calcd for $C_{21}H_{23}N_2O_2$ [M + H]: 335.1760; found: 335.1756.

3-[3-(3-Methoxyphenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5g)

Yield 0.190 g, 84%; off-white solid; mp 103–105 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.38 (s, 1 H), 8.29 (dd, *J* = 8.0, 0.9 Hz, 1 H), 7.77–7.69 (m, 2 H), 7.54–7.48 (m, 2 H), 7.47–7.44 (m, 1 H), 7.34 (t, *J* = 7.9 Hz, 1 H), 7.10 (ddd, *J* = 8.2, 2.6, 0.8 Hz, 1 H), 4.42 (t, *J* = 5.9 Hz, 2 H), 3.83 (s, 3 H), 3.58 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.37, 161.43, 159.89, 148.18, 147.71, 137.47, 134.29, 129.74, 127.54, 127.19, 126.42, 122.02, 120.78, 120.35, 112.08, 55.48, 42.88, 37.01, 29.73.

HRMS (ESI): *m/z* calcd for $C_{18}H_{17}N_2O_3$ [M + H]: 309.1239; found: 309.1241.

3-[3-Oxo-3-(3,4,5-trimethoxyphenyl)propyl]quinazolin-4(3*H*)-one (5h)

Yield 0.216 g, 80%; light yellow solid; mp 145–148 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.38 (s, 1 H), 8.29 (d, *J* = 7.4 Hz, 1 H), 7.75 (ddd, *J* = 14.0, 10.5, 4.2 Hz, 2 H), 7.52–7.46 (m, 1 H), 7.20 (s, 2 H), 4.43 (t, *J* = 5.9 Hz, 2 H), 3.89 (s, 9 H), 3.56 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.32, 161.49, 153.14, 148.17, 147.67, 143.08, 134.37, 131.36, 127.57, 127.25, 126.40, 121.99, 105.55, 60.99, 56.34, 43.05, 36.74.

HRMS (ESI): *m/z* calcd for $C_{20}H_{21}N_2O_5$ [M + H]: 369.1450; found: 369.1447.

3-[3-(4-Hydroxyphenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5i)

Yield 0.168 g, 78%; white solid; mp 200–202 °C.

^{1}H NMR (300 MHz, $CDCl_3$ + DMSO): δ = 9.63 (s, 1 H), 7.98 (s, 1 H), 7.77 (d, *J* = 7.9 Hz, 1 H), 7.34 (dd, *J* = 21.7, 7.9 Hz, 3 H), 7.22 (d, *J* = 8.0 Hz, 1 H), 7.05 (t, *J* = 7.4 Hz, 1 H), 6.39 (d, *J* = 8.5 Hz, 2 H), 3.94 (t, *J* = 6.1 Hz, 2 H), 3.06 (t, *J* = 6.1 Hz, 2 H).

^{13}C NMR (75 MHz, $CDCl_3$ + DMSO): δ = 200.64, 167.49, 165.72, 153.04, 138.92, 135.31, 132.86, 132.17, 131.73, 131.02, 126.78, 120.31, 83.83, 83.39, 82.96, 47.67, 41.21.

HRMS (ESI): *m/z* calcd for $C_{17}H_{15}N_2O_3$ [M + H]: 295.1083; found: 295.1083.

3-[3-(4-Hydroxy-3-methylphenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5j)

Yield 0.172 g, 76%; off-white solid; mp 238–240 °C.

^{1}H NMR (400 MHz, DMSO): δ = 9.98 (s, 1 H), 8.40 (s, 1 H), 8.21 (d, *J* = 7.9 Hz, 1 H), 7.75 (t, *J* = 7.6 Hz, 1 H), 7.69 (s, 1 H), 7.67–7.61 (m, 2 H), 7.49 (t, *J* = 7.5 Hz, 1 H), 6.83 (d, *J* = 8.3 Hz, 1 H), 4.37 (t, *J* = 6.0 Hz, 2 H), 3.48 (t, *J* = 6.0 Hz, 2 H), 2.17 (s, 3 H).

^{13}C NMR (101 MHz, DMSO): δ = 196.08, 161.00, 148.29, 134.19, 131.30, 128.02, 127.96, 127.42, 127.00, 126.28, 124.66, 122.03, 114.64, 42.99, 36.44, 16.21.

HRMS (ESI): *m/z* calcd for $C_{18}H_{17}N_2O_3$ [M + H]: 309.1239; found: 309.1239.

3-[3-Oxo-3-(4-phenoxyphenyl)propyl]quinazolin-4(3*H*)-one (5k)

Yield 0.201 g, 74%; sticky solid.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.39 (s, 1 H), 8.29 (d, *J* = 7.7 Hz, 1 H), 7.92 (d, *J* = 8.8 Hz, 2 H), 7.76–7.70 (m, 2 H), 7.51–7.46 (m, 1 H), 7.39 (t, *J* = 7.9 Hz, 2 H), 7.20 (t, *J* = 7.4 Hz, 1 H), 7.04 (d, *J* = 7.8 Hz, 2 H), 6.97 (d, *J* = 8.8 Hz, 2 H), 4.42 (t, *J* = 5.9 Hz, 2 H), 3.54 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.02, 162.52, 161.47, 155.26, 148.16, 147.78, 134.32, 130.81, 130.44, 130.11, 127.54, 127.21, 126.44, 124.80, 122.02, 120.28, 117.33, 42.95, 36.63, 29.73.

HRMS (ESI): *m/z* calcd for $C_{23}H_{19}N_2O_3$ [M + H]: 371.1396; found: 371.1394.

3-[3-(4-Chlorophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5l)

Yield 0.169 g, 74%; off-white solid; mp 130–133 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.37 (s, 1 H), 8.28 (dd, *J* = 8.0, 1.0 Hz, 1 H), 7.90–7.84 (m, 2 H), 7.77–7.68 (m, 2 H), 7.49 (ddd, *J* = 8.2, 6.8, 1.5 Hz, 1 H), 7.43–7.38 (m, 2 H), 4.42 (t, *J* = 5.9 Hz, 2 H), 3.56 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.33, 161.44, 148.15, 147.62, 140.20, 134.44, 134.34, 129.49, 129.07, 127.55, 127.24, 126.40, 121.97, 42.79, 36.84.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}ClN_2O_2$ [M + H]: 313.0712; found: 313.0739.

3-[3-(3-Chlorophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5m)

Yield 0.165 g, 72%; white solid; mp 145–148 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.36 (s, 1 H), 8.28 (dd, *J* = 8.0, 1.0 Hz, 1 H), 7.90 (t, *J* = 1.7 Hz, 1 H), 7.81 (d, *J* = 7.8 Hz, 1 H), 7.77–7.72 (m, 1 H), 7.70 (d, *J* = 7.4 Hz, 1 H), 7.54–7.46 (m, 2 H), 7.38 (t, *J* = 7.9 Hz, 1 H), 4.42 (t, *J* = 5.9 Hz, 2 H), 3.58 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 196.32, 161.45, 148.17, 147.58, 137.60, 135.15, 134.36, 133.61, 130.09, 128.23, 127.58, 127.26, 126.41, 126.18, 121.98, 42.73, 36.99.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}ClN_2O_2$ [M + H]: 313.0712; found: 313.0739.

3-[3-(3,4-Dichlorophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5n)

Yield 0.178 g, 70%; pale yellow solid; mp 160–163 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.35 (s, 1 H), 8.30–8.26 (m, 1 H), 8.02 (d, *J* = 2.0 Hz, 1 H), 7.77–7.73 (m, 2 H), 7.73–7.69 (m, 1 H), 7.55–7.47 (m, 2 H), 4.41 (t, *J* = 5.9 Hz, 2 H), 3.56 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 195.40, 161.47, 148.18, 147.47, 138.39, 135.60, 134.40, 133.57, 130.90, 130.13, 127.62, 127.31, 127.07, 126.41, 121.96, 42.71, 36.91.

HRMS (ESI): *m/z* calcd for $C_{17}H_{13}N_2O_2Cl_2$ [M + H]: 347.0354; found: 347.0356.

3-[3-(4-Bromophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5o)

Yield 0.180 g, 69%; off-white solid; mp 142–144 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.36 (s, 1 H), 8.28 (d, *J* = 7.8 Hz, 1 H), 7.82–7.69 (m, 4 H), 7.59 (d, *J* = 8.3 Hz, 2 H), 7.49 (t, *J* = 7.2 Hz, 1 H), 4.42 (t, *J* = 5.6 Hz, 2 H), 3.56 (t, *J* = 5.6 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.54, 161.46, 148.18, 147.60, 134.84, 134.36, 132.09, 129.59, 129.00, 127.58, 127.26, 126.41, 121.99, 42.78, 36.83.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}N_2O_2Br$ [M + H]: 357.0239; found: 357.0249.

3-[3-(3-Bromophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5p)

Yield 0.188 g, 72%; pale white solid; mp 139–142 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.36 (s, 1 H), 8.28 (d, *J* = 7.9 Hz, 1 H), 8.06 (s, 1 H), 7.85 (d, *J* = 7.9 Hz, 1 H), 7.71 (ddd, *J* = 18.3, 8.3, 1.1 Hz, 3 H), 7.52–7.46 (m, 1 H), 7.32 (t, *J* = 7.9 Hz, 1 H), 4.42 (t, *J* = 5.9 Hz, 2 H), 3.57 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 196.24, 161.46, 148.16, 147.59, 137.79, 136.54, 134.37, 131.20, 130.34, 127.58, 127.27, 126.62, 126.42, 123.13, 121.98, 77.32, 77.07, 76.81, 42.74, 36.96.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}N_2O_2Br$ [M + H]: 357.0239; found: 357.0249.

3-[3-(4-Fluorophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5q)

Yield 0.145 g, 67%; white solid; mp 138–141 °C.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.38 (s, 1 H), 8.29 (d, *J* = 8.1 Hz, 1 H), 7.97 (dd, *J* = 8.7, 5.4 Hz, 2 H), 7.75 (dt, *J* = 16.6, 4.7 Hz, 2 H), 7.50 (dd, *J* = 10.6, 4.0 Hz, 1 H), 7.12 (t, *J* = 8.6 Hz, 2 H), 4.43 (t, *J* = 5.9 Hz, 2 H), 3.57 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 195.93, 167.34, 164.80, 161.47, 148.18, 147.66, 134.35, 132.63, 130.85, 130.76, 127.58, 127.24, 126.41, 122.00, 116.02, 115.81, 42.85, 36.78.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}N_2O_2F$ [M + H]: 297.1039; found: 297.1021.

3-{3-Oxo-3-[3-(trifluoromethyl)phenyl]propyl}quinazolin-4(3*H*)-one (5r)

Yield 0.190 g, 75%; off-white solid; mp 120–123 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.38 (s, 1 H), 8.28 (dd, *J* = 8.0, 1.0 Hz, 1 H), 8.05 (d, *J* = 8.2 Hz, 2 H), 7.74–7.70 (m, 4 H), 7.52–7.48 (m, 1 H), 4.43 (d, *J* = 5.9 Hz, 2 H), 3.63 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.65, 161.47, 148.13, 147.54, 138.68, 134.42, 128.47, 127.57, 127.32, 126.42, 125.86, 125.83, 121.96, 42.75, 37.18.

HRMS (ESI): *m/z* calcd for $C_{18}H_{14}N_2O_2F_3$ [M + H]: 347.1007; found: 347.1003.

3-[3-(4-Nitrophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5s)

Yield 0.161 g, 68%; pale yellow solid; mp 110–112 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.38 (s, 1 H), 8.28 (dd, *J* = 7.8, 6.1 Hz, 3 H), 8.10 (d, *J* = 8.8 Hz, 2 H), 7.78–7.74 (m, 1 H), 7.71 (d, *J* = 7.4 Hz, 1 H), 7.52–7.47 (m, 1 H), 4.45 (t, *J* = 5.9 Hz, 2 H), 3.66 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.16, 161.44, 150.62, 148.08, 147.48, 140.35, 134.47, 129.18, 127.55, 127.37, 126.39, 123.98, 121.90, 42.71, 37.41.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}N_3O_4$ [M + H]: 324.0984; found: 324.0977.

3-[3-(3-Methoxy-4-nitrophenyl)-3-oxopropyl]quinazolin-4(3*H*)-one (5t)

Yield 0.181 g, 70%; off-white solid; mp 156–158 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.41 (d, *J* = 2.2 Hz, 1 H), 8.37 (s, 1 H), 8.28 (dd, *J* = 8.0, 1.0 Hz, 1 H), 8.14 (dd, *J* = 8.8, 2.2 Hz, 1 H), 7.77–7.68 (m, 2 H), 7.49 (ddd, *J* = 8.1, 7.0, 1.4 Hz, 1 H), 7.14 (d, *J* = 8.9 Hz, 1 H), 4.43 (t, *J* = 5.9 Hz, 2 H), 4.02 (s, 3 H), 3.58 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 194.46, 161.45, 156.55, 148.10, 147.59, 139.42, 134.41, 133.83, 128.61, 127.54, 127.31, 126.41, 125.97, 121.94, 113.41, 56.99, 42.75, 36.66.

HRMS (ESI): *m/z* calcd for $C_{18}H_{16}N_3O_5$ [M + H]: 354.1090; found: 354.1086.

3-{3-[(1,1′-Biphenyl)-4-yl]-3-oxopropyl}quinazolin-4(3*H*)-one (5u)

Yield 0.200 g, 77%; pale orange solid; mp 160–162 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.40 (s, 1 H), 8.30 (dd, *J* = 8.0, 0.8 Hz, 1 H), 8.01 (d, *J* = 8.5 Hz, 2 H), 7.72 (ddd, *J* = 9.4, 7.4, 1.4 Hz, 2 H), 7.66 (d, *J* = 8.5 Hz, 2 H), 7.62–7.58 (m, 2 H), 7.47 (ddd, *J* = 12.4, 5.3, 3.8 Hz, 3 H), 7.40 (dd, *J* = 5.5, 1.8 Hz, 1 H), 4.45 (t, *J* = 5.9 Hz, 2 H), 3.62 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 197.10, 161.49, 148.21, 147.73, 146.37, 139.66, 134.84, 134.31, 128.99, 128.72, 128.39, 127.57, 127.36, 127.29, 127.21, 126.44, 122.04, 42.90, 36.93.

HRMS (ESI): *m/z* calcd for $C_{23}H_{19}N_2O_2$ [M + H]: 355.1447; found: 355.1448.

3-[3-(Furan-2-yl)-3-oxopropyl]quinazolin-4(3*H*)-one (5v)

Yield 0.159 g, 81%; white solid; mp 160–162 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.32 (s, 1 H), 8.29 (d, *J* = 8.1 Hz, 1 H), 7.77–7.66 (m, 2 H), 7.56 (s, 1 H), 7.49 (t, *J* = 7.4 Hz, 1 H), 7.22 (d, *J* = 3.5 Hz, 1 H), 6.52 (dd, *J* = 3.5, 1.5 Hz, 1 H), 4.40 (t, *J* = 6.0 Hz, 2 H), 3.45 (t, *J* = 6.0 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 186.37, 161.40, 152.14, 148.18, 147.53, 146.89, 134.31, 127.57, 127.21, 126.44, 122.02, 117.89, 112.47, 42.33, 36.74.

HRMS (ESI): *m/z* calcd for $C_{15}H_{13}N_2O_3$ [M + H]: 269.0926; found: 269.0932.

3-[3-Oxo-3-(thiophen-2-yl)propyl]quinazolin-4(3*H*)-one (5w)

Yield 0.171 g, 82%; pale yellow solid; mp 110–112 °C.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.33 (s, 1 H), 8.29 (dd, *J* = 8.0, 1.0 Hz, 1 H), 7.76–7.69 (m, 3 H), 7.64 (dd, *J* = 4.9, 0.9 Hz, 1 H), 7.51–7.47 (m, 1 H), 7.10 (dd, *J* = 4.8, 4.0 Hz, 1 H), 4.41 (t, *J* = 5.9 Hz, 2 H), 3.54 (t, *J* = 6.0 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 190.31, 161.44, 148.20, 147.59, 143.32, 134.42, 134.33, 132.61, 128.32, 127.59, 127.22, 126.42, 122.00, 42.75, 42.70, 37.46.

HRMS (ESI): *m/z* calcd for $C_{15}H_{13}N_2O_2S$ [M + H]: 285.0698; found: 285.0688.

3-(3-{Benzo[*d*][1,3]dioxol-5-yl}-3-oxopropyl)quinazolin-4(3*H*)-one (5x)

Yield 0.189 g, 80%; off-white solid; mp 163–165 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.37 (s, 1 H), 8.28 (dd, *J* = 8.0, 0.9 Hz, 1 H), 7.75–7.68 (m, 2 H), 7.53 (dd, *J* = 8.2, 1.7 Hz, 1 H), 7.48 (ddd, *J* = 8.2, 6.9, 1.5 Hz, 1 H), 7.40 (d, *J* = 1.7 Hz, 1 H), 6.81 (d, *J* = 8.2 Hz, 1 H), 6.02 (s, 2 H), 4.41 (t, *J* = 5.9 Hz, 2 H), 3.51 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 195.48, 161.45, 152.23, 148.30, 148.17, 147.73, 134.28, 131.06, 127.53, 127.17, 126.41, 124.61, 122.00, 107.97, 107.73, 101.96, 42.99, 36.60.

HRMS (ESI): *m/z* calcd for $C_{18}H_{15}N_2O_4$ [M + H]: 323.1032; found: 323.1033.

3-[3-(Naphthalen-2-yl)-3-oxopropyl]quinazolin-4(3*H*)-one (5y)

Yield 0.176 g, 73%; pale white solid; mp 110–112 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.46 (s, 1 H), 8.43 (s, 1 H), 8.30 (d, *J* = 7.9 Hz, 1 H), 8.00 (dd, *J* = 8.6, 1.3 Hz, 1 H), 7.93 (d, *J* = 8.0 Hz, 1 H), 7.89–7.83 (m, 2 H), 7.72 (t, *J* = 8.2 Hz, 2 H), 7.59 (dd, *J* = 14.3, 7.2 Hz, 2 H), 7.53–7.46 (m, 1 H), 4.49 (t, *J* = 5.8 Hz, 2 H), 3.74 (t, *J* = 5.9 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.46, 196.23, 161.50, 161.32, 148.14, 147.78, 147.28, 141.69, 135.80, 135.36, 134.44, 134.32, 134.14, 133.48, 132.42, 132.16, 131.31, 130.45, 130.15, 129.64, 129.44, 128.80, 128.64, 128.52, 127.80, 127.61, 127.51, 127.39, 127.22, 126.96, 126.66, 126.42, 125.15, 123.47, 122.11, 122.02, 42.99, 42.69, 36.94.

HRMS (ESI): *m/z* calcd for $C_{21}H_{17}N_2O_2$ [M + H]: 329.1290; found: 329.1288.

3-[3-(Anthracen-2-yl)-3-oxopropyl]quinazolin-4(3*H*)-one (5z)

Yield 0.216 g, 78%; off-white solid; mp 131–133 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.39 (s, 1 H), 8.36 (s, 1 H), 8.23 (d, *J* = 7.4 Hz, 1 H), 7.92 (d, *J* = 8.5 Hz, 2 H), 7.74–7.68 (m, 2 H), 7.49–7.43 (m, 3 H), 7.38–7.33 (m, 2 H), 7.29–7.23 (m, 2 H), 4.51 (t, *J* = 6.1 Hz, 2 H), 3.55 (t, *J* = 6.1 Hz, 2 H).

^{13}C NMR (101 MHz, CDCl3): δ = 208.14, 161.28, 148.08, 147.56, 134.93, 134.49, 134.17, 130.93, 128.94, 128.79, 127.56, 127.42, 127.24, 127.12, 126.79, 126.54, 125.57, 123.58, 121.95, 44.19, 42.76, 42.68.

HRMS (ESI): *m/z* calcd for $C_{25}H_{19}N_2O_2$ [M + H]: 379.1447; found: 379.1450.

6-Chloro-3-(3-oxo-3-phenylpropyl)quinazolin-4(3*H*)-one (5ba)

Yield 0.131 g, 72%; off-white solid; mp 138–140 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.75 (s, 1 H), 8.24 (d, *J* = 13.8 Hz, 1 H), 7.92 (s, 1 H), 7.84 (s, 1 H), 7.71 (s, 1 H), 7.56 (s, 1 H), 7.45 (d, *J* = 6.8 Hz, 2 H), 7.24 (d, *J* = 15.3 Hz, 1 H), 4.49 (s, 2 H), 3.61 (s, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.45, 162.28, 160.76, 159.81, 147.10, 144.86, 136.07, 133.74, 130.02, 129.94, 128.76, 128.09, 122.98, 122.74, 111.42, 111.18, 42.89, 36.74.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}ClN_2O_2$ [M + H]: 313.0712; found: 313.0739.

6-Fluoro-3-(3-oxo-3-phenylpropyl)quinazolin-4(3*H*)-one (5ca)

Yield 0.144 g, 75%; off-white solid; mp 144–146 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.53 (s, 1 H), 7.85 (td, *J* = 7.5, 3.9 Hz, 3 H), 7.75 (dd, *J* = 8.7, 4.7 Hz, 1 H), 7.49 (d, *J* = 7.4 Hz, 1 H), 7.41 (dd, *J* = 2.9, 0.8 Hz, 1 H), 7.38 (dd, *J* = 9.9, 5.3 Hz, 2 H), 4.41 (t, *J* = 5.7 Hz, 2 H), 3.54 (t, *J* = 5.8 Hz, 2 H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 197.45, 162.28, 160.76, 159.81, 147.10, 144.86, 136.07, 133.74, 130.02, 129.94, 128.76, 128.09, 122.98, 122.74, 111.42, 111.18, 42.89, 36.74.

HRMS (ESI): *m/z* calcd for $C_{17}H_{14}N_2O_2F$ [M + H]: 297.1039; found: 297.1021.

Quinazolin-4(3*H*)-one (3a)

^{1}H NMR (500 MHz, $CDCl_3$): δ = 11.96 (s, 1 H), 8.27 (d, *J* = 8.0 Hz, 1 H), 8.02 (s, 1 H), 7.85–7.69 (m, 2 H), 7.50 (dd, *J* = 10.6, 4.0 Hz, 1 H).

^{13}C NMR (126 MHz, $CDCl_3$): δ = 162.82, 148.91, 143.38, 135.00, 127.84, 127.53, 126.44, 122.57.

3-(3-Oxo-3-phenylpropyl-1,1-d_2)quinazolin-4(3*H*)-one-2-*d*(5a-d_3)

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.29 (d, *J* = 7.9 Hz, 1 H), 7.94 (d, *J* = 7.6 Hz, 2 H), 7.77–7.69 (m, 2 H), 7.56 (t, *J* = 7.4 Hz, 1 H), 7.50 (d, *J* = 7.3 Hz, 1 H), 7.44 (t, *J* = 7.7 Hz, 2 H), 3.57 (d, *J* = 16.4 Hz, 2 H).

Conflict of Interest

The authors declare no conflict of interest.

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Supporting Information

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