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Intermolecular Interception of α-Oxo Gold Carbenes of Nitroalkyne Cycloisomerization with 1,2-Benzo[*d*]isoxazole: Synthesis of Functionalized Quinazoline 1-Oxides

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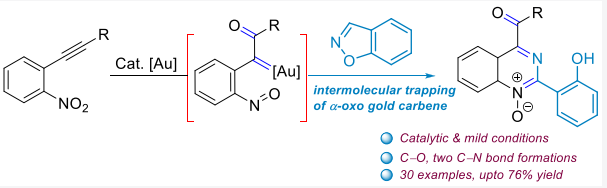
ABSTRACT: The known nitrogen-transfer reagent 1,2-benzo[*d*]-isoxazole has been used to trap the postulated α-oxo gold carbene intermediate involved in the [Au]-catalyzed internal redox process of 2-alkynylnitrobenzenes. This process led us to develop a general convergent method for the synthesis of highly functionalized quinazoline 1-oxides.



In recent years, homogeneous gold catalysis has taken a significant place in organic synthesis, in general, and in heterocyclic synthesis, in particular.[1] Specifically, the catalytic processes involving the reactive α-imino or α-oxo gold carbene intermediates represent an important advancement in this domain because of the versatile transformations that these intermediates undergo and the resulting product diversity.[2] In particular, the α-oxo gold carbene intermediates have attracted much attention, as they are easy to generate by inter- or intramolecular addition of nucleophilic oxygen donors to alkynes.[3] The exploited oxygen donors in this context include nitro compounds, nitrones, sulfoxides, pyridine *N*-oxides, and epoxides.

In 2003, employing *o*-alkynylnitrobenzenes, Yamamoto's group revealed the inaugural entry on the gold-catalyzed intramolecular oxygen atom transfer to alkyne that leads to either isatogen **2** or anthranil **3**.[4] The possibility of an α-oxo metal carbene after the initial internal nitroalkyne redox cyclization has been proposed by Crabtree's group in a similar transformation mediated by IrH complexes.[5] A similar possibility in the gold-catalyzed internal nitroalkynes redox process has been speculated by Liu and our groups.[6,7] The possible inter/intramolecular trapping of these speculated gold carbene intermediates with other nucleophiles that interrupt the subsequent intramolecular process is challenging and has been attempted with limited success.[7b,8] Recently, the carbene transfer from the α-oxo gold carbene **A** has been realized successfully by employing a benzo[*c*]isoxazole that resulted initially in an imine, which subsequently underwent a Davis−Beirut reaction giving highly functionalized indazoles.[9]

In continuation, we speculated a similar carbene exchange with the isomeric benzo[*d*]isoxazole **4** to synthesize the quinazoline 1-oxides. As shown in Scheme 1, the postulated [Au] → N carbene exchange of intermediate **A** with benzo[*d*]isoxazole **4** is expected to provide the imino-*o*-quinomethide **B**.[10] Subsequent nucleophilic addition of the nitroso group to quinomethide and deprotonation should lead to the quinazoline 1-oxide **5**.[11] Quinazoline 1-oxides are relatively unexplored in medicinal chemistry, which is quite surprising, as the parent quinazoline is one of the privileged skeletons in various drug discovery programs and is widely found in various natural products/approved drugs.[12] In general, these quinazoline-1-oxides are synthesized by N-oxidation, and there are no general methods documented for their convergent synthesis.[13] In this context, the development of novel synthetic routes to access quinazoline-1-oxides is an attractive task.

Our initial experiments in this regard started with the nitroalkyne **1a** and benzo[*d*]isoxazole **4a** as the substrates and examined the gold and palladium complexes that are commonly employed in the internal nitroalkyne redox process. In general, the reactions were carried out employing 1 equiv of 1-(but-1-yn-1-yl)-2-nitrobenzene (**1a**) and 1.1 equiv of benzo[*d*]isoxazole **4a** in suitable solvent at a given temperature in the presence of 5 mol % of catalyst. Table 1 saliently describes the exploratory experiments that were conducted in this context. When $AuBr_3$ was employed as a catalyst in toluene, it afforded the self-cycloisomerization product anthranil **3a**, along with a trace amount of a new product with an expected mass corresponding to the desired product **5aa**, while the reaction with $Pd(CH_3CN)_2Cl_2$ complex in acetonitrile gave isatogen **2a** exclusively.[7a,b] Next, $AuCl_3$[14] was employed in 1,2-dichloroethane, which results in the formation of anthranil **3a** in trace amounts (entry 3).[9] Gratifyingly, when

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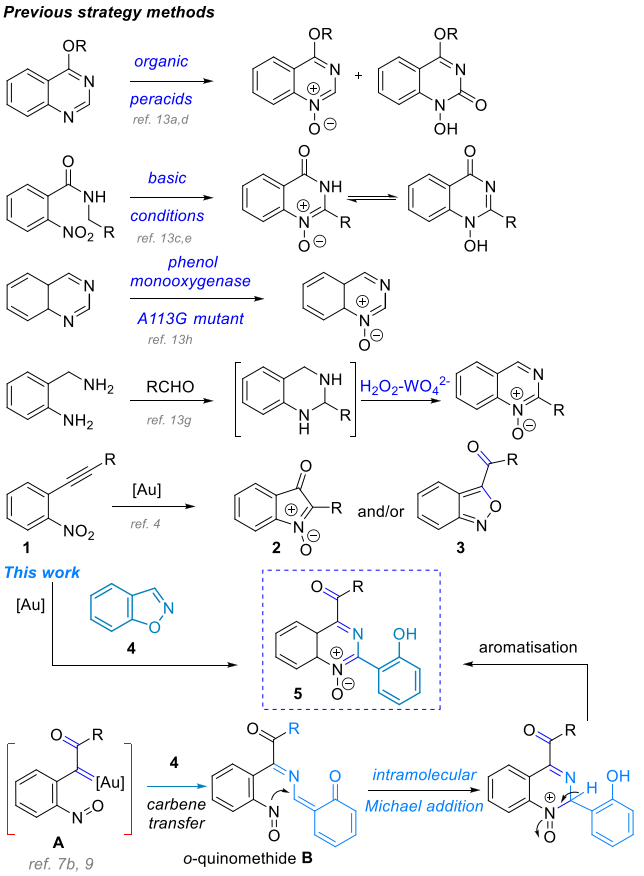
Scheme 1. Synthesis of Quinazoline 1-Oxides: Reported Methods and the Proposed Method via the Trapping of the Intermediate α-Oxo Gold Carbenes with 1,2-Benzo[*d*]isoxazole

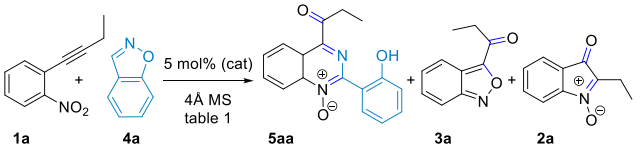


we switched to toluene as a solvent, it afforded the desired quinazoline 1-oxide **5aa** (62%) as the major product along with anthranil **3a** (10% yield) (entry 4).

Encouraged by these results, we screened different solvents. However, there was no net increase in the yield of **5aa** (entries 5−8). The changing of gold catalyst and the addition of silver salts did not improve the yields (entries 9−13). In all these cases, the anthranil **3a** was obtained in a major quantity along with requisite **5aa** obtained as a minor product. When AuCl was employed as a catalyst, a mixture of **5aa** and **3a** was obtained in equal proportions (entry 14). Heating the reaction to 80 °C improved the yield of **5aa** nominally (65%, entry 15). The yield of **5aa** was improved further to 73% when the reaction was carried out by the slow addition of **1a** to a solution of **4a** along with $AuCl_3$. In this case, the formation of cycloisomerization product **3a** was also minimized to trace amounts (entry 16). At this stage, the reaction with excess 1,2-benzo[*d*]isoxazole **4a** (3 equiv) under these conditions was tried. However, it did not improve the yield of the desired product (entry 17). A control experiment conducted without gold catalyst and by heating a mixture of **1a** and **4a** at 80 °C in toluene revealed that both of the starting compounds were intact as well as the essential role of the gold complex for the internal oxygen transfer (entry 18).

With the optimized conditions in hand, the scope of this reaction has been expanded by employing nitroalkyne substrates with varying substituents on the pendant alkyne

Table 1. Optimization of the Reaction Conditions.[a]



entry	catalyst	solvent	yield (%) 5aa[b] (%)	yield (%) 2a or 3a[b] (%)
1	$AuBr_3$	PhMe	trace	64 (**3a**)
2	$Pd(CH_3CN)_2Cl_2$	CH_3CN		66 (**2a**)
3	$AuCl_3$	$(CH_2)_2Cl_2$		trace (**3a**)
4	$AuCl_3$	PhMe	62	10 (**3a**)
5	$AuCl_3$	CH_3CN		
6	$AuCl_3$	1,4 Dioxane		
7	$AuCl_3$	$PhCF_3$	54	13 (**3a**)
8	$AuCl_3$	PhCl	59	10 (**3a**)
9	$PPh_3AuCl/AgSbF_6$	PhMe	26	32 (**3a**)
10	$PicAuCl_2$	PhMe	44	16 (**3a**)
11	$JohnPhosAuCl/AgSbF_6$	PhMe	49	19 (**3a**)
12	$IPrAuCl/AgNTf_2$	PhMe	36	26 (**3a**)
13	$BrettPhosAuCl/AgNTf_2$	PhMe	41	18 (**3a**)
14	AuCl	PhMe	38	24 (**3a**)
15[c]	$AuCl_3$	PhMe	65	6 (**3a**)
16[d]	**$AuCl_3$**	**PhMe**	**73**	**trace (3a)**
17[e]	$AuCl_3$	PhMe	72	trace (**3a**)
18[c]		PhMe		

[a]In general, the reactions were carried out with 0.2 mmol of **1a** and 0.22 mmol of **4a** in 2 mL of solvent and 5 mol % of catalyst at rt with a reaction time of 2−4 h. [b]Isolated yield. [c]Reaction was carried at 80 °C. [d]Addition of **1a** to solution of **4a** in solvent through a syringe pump for 4 h. [e]With 3 equiv (0.66 mmol) of **4a**.

unit (**1a**−**1i**, **1o**−**1r**) and also the phenyl-substituted one **1t** by placing different substituents *para* to the alkyne group (**1j**−**1n**) and also by changing the substituents on the 1,2-benzo[*d*]-isoxazole counterpart (**4a**−**4h**). As shown in Scheme 2, changing the length of the pendant alkyne unit did not alter the reaction outcome (**5aa**−**5ca**), whereas steric hindrance on the alkyne unit had an influence on the reaction outcome. For example, with the isobutyl substituent, the yield of the desired quinazoline 1-oxide was reduced to 60% (**5da**), and when a benzyl (**1o**) and *tert*-butyl (**1r**) group was present, there was no intermolecular interception and the intramolecular cyclization leading to corresponding anthranils was the main event. Similarly, when propargylic carbons bear an −I group such as −OTHP (**1p**) or −NHBoc (**1q**) the reactions led to a complex mixture from which a mixture of corresponding anthranils and/or isatogens could be isolated in small amounts. However, when the same groups are present on the homopropargylic position, their reactions with 1,2-benzo[*d*]-isoxazole **4a** proceeded smoothly and provided the corresponding quinazoline oxides in moderate to good yields. The examined scope with these substrates revealed the tolerance for various protecting group such as OAc, OTBS, OTHP, and OBn on the alkyl chain under current conditions. In addition, a gram-scale reaction was performed under the optimized conditions, and it was found that with 2 mol % of $AuCl_3$ the reactions proceeded well and provided the desired quinazoline 1-oxide **5ba** in 69%. Next, we examined the reactions with the substrates having electron withdrawing/donating groups such as $-CO_2Me$ (**1m**), $-NO_2$ (**1k**), −F (**1j**), and −Me (**1l**) *para*

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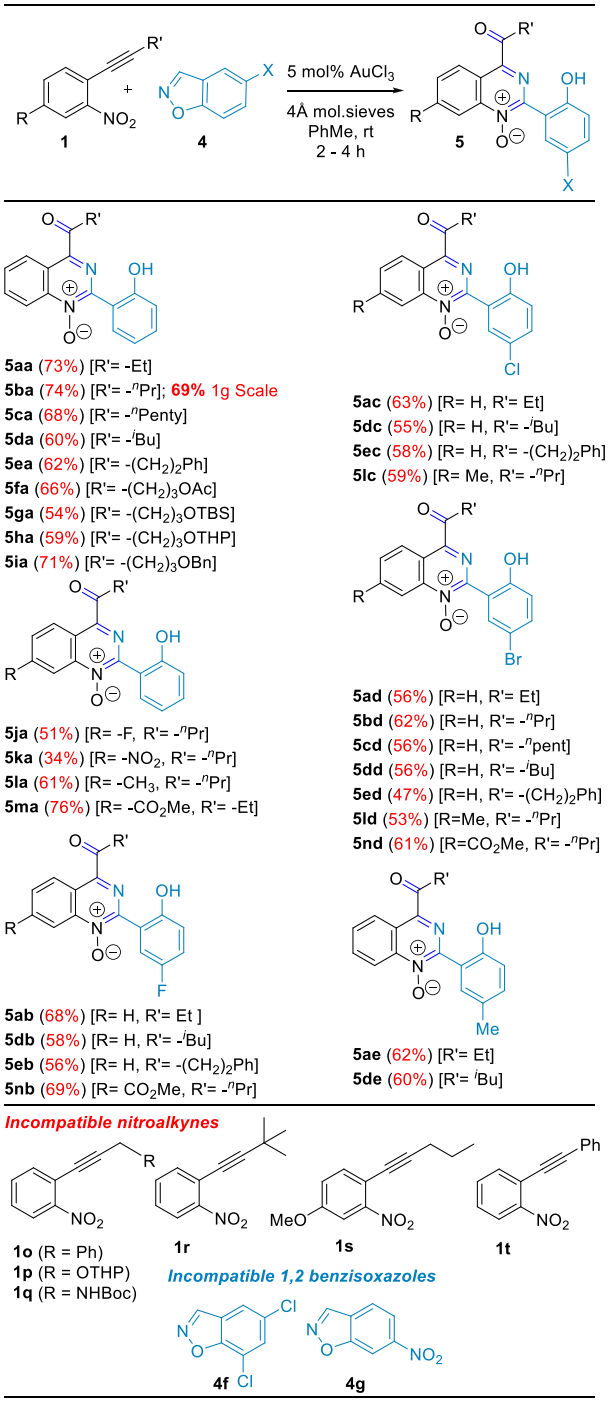
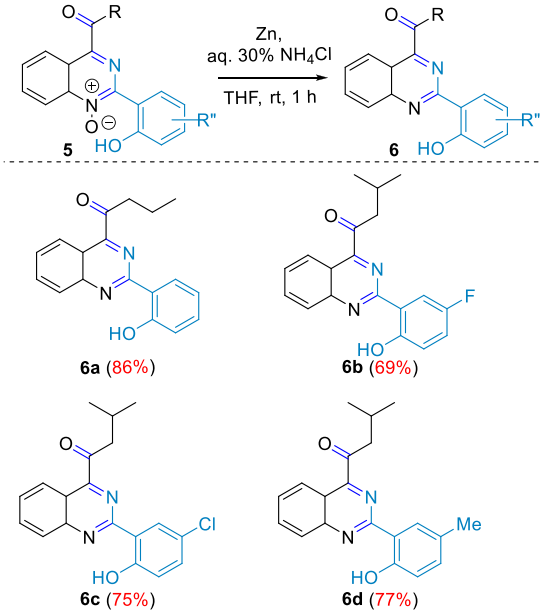
Scheme 2. Reaction Scope[a]



[a]For reaction conditions, see Table 1, entry 16. Isolated yields are reported after column chromatography.

to the alkyne unit. Interestingly, with the substrate having the $-CO_2Me$, the best reaction outcome was seen. On the other hand, with the substrate having the $-NO_2$ group, the intramolecular cyclization competed well and resulted in the requisite quinazoline *N*-oxide (**5ka**) in 34% yield, along with substantial amounts of anthranil/isatogen. With the substrates −F or −Me, the corresponding quinazoline *N*-oxides **5ja** (51%) and **5la** (61%), respectively, were obtained in moderate yields. Next, the 1,2-benzo[*d*]isoxazoles having substituents such as −F (**4b**), −Cl (**4c**), −Br (**4d**), and −Me (**4e**) were employed in the current reaction to examine how the electronic nature of the substituent *para* to the phenolic oxygen would influence the reaction outcome. In general, the reactions with these four 1,2-benzo[*d*]isoxazoles were found to be smooth and provided the corresponding heterocyclic products in moderate to good yields. In addition, the benzo[*d*]isoxazole having 5,7-dichloro (**4f**) or $-NO_2$ (**4g**) were found to be incompatible, and the reactions with these substrates resulted mainly in the anthranil formation. Some of the synthesized *N*-oxide derivatives were subjected for N−O bond reduction by using Zn dust in 30% aq NH_4Cl in THF to afford the corresponding quinazoline derivative in good yields (Scheme 3).[15]

Scheme 3. Defunctionalization to Quinazoline Scaffolds[a]



[a]Reaction conditions: **5** (0.16 mmol), Zn dust (0.32 mmol), aq 30% NH_4Cl/THF (1 mL, 1:1), rt, 1 h.

Having established the generality of the current reaction, we next proceeded further to learn about the possible involvement[16] of the α-oxo gold carbene intermediate and carbene transfer to a nitrogen center.[17] With 2-phenyethynylnitrobenzene **1t**, there was no interception by the 1,2-benzo[*d*]-isoxazoles and the reaction provided exclusively to isatogen (eq 1, Scheme 4). When 3-methylbenzo[*d*]isoxazole **4h** was used along with **1b**, the self-cycloisomerized product **3b** was obtained exclusively in 79% yield (eq 2, Scheme 4). This, taken together with the fact that 3-methyl-1,2-benzo[*d*]-isoxazole (**4h**) is not compatible in the current reaction, provided an indirect support for the initial N−O transfer to the alkyne. This ruled out the alternative possibility of the competing addition of the benzoxazole nitrogen to the alkyne, leading to an α-imino gold carbene and subsequent internal oxygen transfer.[10,18] Similar unsuccessful attempts in interrupting or trapping of the intermediates of the nitroalkyne cycloisomerization of 2-arylethynylnitrobenzenes such as **1t** reveal that the intermediate α-oxo gold carbene involved in this process is relatively unstable and/or the subsequent 6π-electrocyclization of this carbene is relatively faster.[6,8b,19] Next, competition experiments such as adding the nitroalkyne **1a** to a

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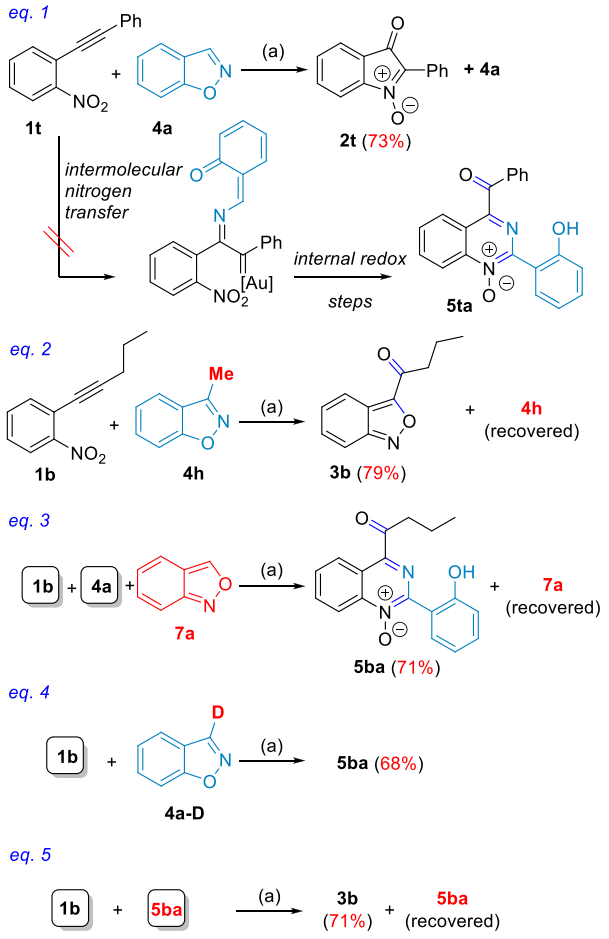
Scheme 4. Control and Competition Experiments[a]



[a]Conditions: (a) = $AuCl_3$ (5 mol %), 4 Å MS, PhMe, rt, 4 h.

equimolar mixture of benzo[*d*]isoxazole **4a** and its regioisomer benzo[*c*]isoxazole **7a** under the current conditions resulted exclusively in quinazoline 1-oxide **5ba** (71% yield) along with the recovery of **7a**, revealing that the N-centered nucleophile of benzo[*d*]isoxazole is more reactive than the anthranil (eq 3, Scheme 4).[20] When deuterated (benzo[*d*]isoxazole-3-d) **4a-D**[21] was employed along with **1b**, there was no incorporation of the deuterated hydrogen in product **5ba** (eq 4, Scheme 4). This indicated that the aromatization step involves the removal of the hydrogen atom next to the imine. Finally, a control experiment that included treating an equimolar mixture of o-nitroalkyne **1b** and the quinazoline *N*-oxide **5ba** under the optimized conditions (in the absence of benzo[*d*]isoxazole) resulted exclusively in the cycloisomerized product anthranil **3a** (71%) with the recovery of *N*-oxide **5ba** (eq 5, Scheme 4). This result suggests that the obtained *N*-oxide products are stable and that there is no oxygen transfer from these *N*-oxides to the nitroalkyne that can potentially interrupt the initial nitroalkyne redoxcyclization.

To conclude, a novel methodology for the convergent synthesis of functionalized quinazoline 1-oxides has been developed. The overall process includes trapping of α-oxo gold carbene with benzo[*d*]isoxazole with the orchestration of sequential N−O bond cleavage and the formation of C−O and C−N bonds in concert. The current example demonstrates fine competition between inter- vs intramolecular heteroatom addition to alkynes *interalia* competition between the formation of α-imino vs α-oxo gold carbenes. It also revealed the opportunities with 1,2-benzo[*d*]isoxazoles to participate in the carbene transfer from the gold centers, apart from its established addition to alkynes leading to α-imino gold carbenes. Work in the direction of expanding the scope of the reaction with other nitrogen transfer agents in this regard is currently progressing in our lab.

EXPERIMENTAL SECTION

General Information. The reactions were carried out in anhydrous solvents under argon atmosphere in oven-dried glassware. All anhydrous solvents were distilled prior to use. Commercial reagents were used without any purification. Column chromatography was carried out by using silica gel (60−120, 100−200, 230−400 mesh). ^{1}H and ^{13}C NMR chemical shifts are reported in relative to chloroform-*d* (δ = 7.27) or TMS, and coupling constants (*J*) are reported in hertz (Hz). The following abbreviations have been used to designate signal multiplicity: s = singlet, d = doublet, t = triplet, q = quartet, sxt = sextet, hept = septet, m = multiplet, b = broad. High-resolution mass spectra (HRMS) were recorded on a Q Exactive Hybrid Quadrupole Orbitrap mass spectrometer, where the mass analyzer used for analysis is orbitrap and some compounds on electrospray ionization time-of-flight (ESI-TOF). Infrared (IR) spectra were measured in cm^{-1} using FT−IR spectrophotometer.

General Procedure for the Synthesis of Quinazoline 1-Oxide Derivatives. In general, all reactions were carried out employing 50 mg of nitroalkyne **1**. At rt, to a stirred solution of 1,2-benzo[*d*]-isoxazole **4** (1.1 equiv) in anhydrous toluene (1 mL) were added $AuCl_3$ (5 mol %) and 4 Å molecular sieves (40 mg), and a solution of nitroalkyne **1** (1 equiv) in anhydrous toluene (1 mL) was introduced via syringe pump over a period of 2−4 h. The stirring was continued until the complete disappearance of the starting nitroalkyne as indicated by TLC. The reaction mixture was concentrated under reduced pressure, and the resulting crude was purified by column chromatography to afford the products **5**.

*2-(2-Hydroxyphenyl)-4-propionylquinazoline 1-oxide (**5aa**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 61 mg (73%); orange solid; IR (neat): ν_{max} 2975, 2930, 1699, 1530, 1468, 1314, 1252, 1159, 755 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 10.41 (s, 1H), 9.05 (dd, *J* = 0.7, 8.5 Hz, 1H), 8.87 (d, *J* = 8.7 Hz, 1H), 8.07−8.15 (m, 2H), 7.88 (ddd, *J* = 1.2, 7.1, 8.5 Hz, 1H), 7.58 (ddd, *J* = 1.7, 7.0, 8.4 Hz, 1H), 7.09−7.22 (m, 2H), 3.42 (q, *J* = 7.2 Hz, 2H), 1.31 (t, *J* = 7.2 Hz, 3H), ppm; ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$) δ 202.3 (s), 160.3 (s), 152.1 (s), 148.7 (s), 144.6 (s), 135.7 (d), 133.7 (d), 133.0 (d), 130.9 (d), 127.6 (d), 121.2 (s), 120.5 (d), 120.4 (s),120.1 (d), 119.3 (d), 33.2 (t), 7.8 (q) ppm; HRMS (ESI) calcd for $C_{17}H_{15}N_2O_3$: 295.1077 [M + H]$^+$; found: 295.1073.

*2-(2-Hydroxyphenyl)-4-propionylquinazoline 1-oxide (**5ba**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 60 mg (74%); orange solid; IR (neat) ν_{max} 2975, 2930, 1699, 1530, 1468, 1314, 1252, 1159, 755 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 10.41 (s, 1H), 9.03 (dd, *J* = 0.7, 8.6 Hz, 1H), 8.06−8.16 (m, 2H), 8.84−8.92 (m, 1H), 7.88 (ddd, *J* = 1.2, 7.1, 8.5 Hz, 1H), 7.58 (ddd, *J* = 1.7, 7.0, 8.4 Hz, 1H), 7.10−7.24 (m, 2H), 3.35 (t, *J* = 7.3 Hz, 2H), 1.79−1.91 (m, 2H), 1.08 (t, *J* = 7.4 Hz, 3H) ppm; ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$) δ 201.8 (s), 160.3 (s),152.0 (s), 148.9 (s), 144.6 (s), 135.7 (d), 133.7 (d), 132.9 (d), 130.8 (d), 127.6 (d), 121.2 (s), 120.5 (d), 120.4 (s), 120.1 (d), 119.3 (d), 41.6 (t), 17.4 (t), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{18}H_{17}N_2O_3$: 309.1239 [M + H]$^+$; found: 309.1248.

Procedure for 1 g Scale. At room temperature, to a solution of 1,2-benzo[*d*]isoxazole **4a** (632 mg, 5.81 mmol) in anhydrous toluene (20 mL) and 4 Å molecular sieves (800 mg) and $AuCl_3$ (32 mg) was added *o*-nitroalkyne **1b** (1g, 5.29 mmol) in anhydrous toluene (20 mL) via syringe pump over 6 h. The reaction was stirred at room tempreture until the completion as indicated by TLC. Usual workup followed by purification by column chromatography afforded compound **5ba** (1.13 g, 69% yield) as yellow solid.

*4-Hexanoyl-2-(2-hydroxyphenyl)quinazoline 1-oxide (**5ca**):* R_f = 0.5 (15% EtOAc in petroleum ether); yield 53 mg (68%); yellow

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syrup; IR (neat) ν_{max} 2925, 2858, 1700, 1603, 1533, 1469, 1317, 1251, 1160, 759 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.42 (s, 1H), 9.02 (d, J = 8.5 Hz, 1H), 8.87 (d, J = 8.7 Hz, 1H), 8.04−8.17 (m, 2H), 7.83−7.94 (m, 1H), 7.51−7.65 (m, 1H), 7.08−7.22 (m, 2H), 3.36 (t, J = 7.4 Hz, 2H), 1.82 (t, J = 7.3 Hz, 2H), 1.38−1.45 (m, 4H), 0.94 (t, J = 7.1 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$) δ 202.0 (s), 160.3 (s), 152.0 (s), 148.9 (s), 144.6 (s), 135.7 (d), 133.7 (d), 132.9 (d), 130.8 (d), 127.6 (d), 121.2 (s), 120.5 (d), 120.4 (s), 120.1 (d), 119.3 (d), 39.8 (t), 31.4 (t), 23.7 (t), 22.5 (t), 13.9 (q) ppm; HRMS (ESI) calcd for $C_{20}H_{21}N_2O_3$: 337.1547 $[M + H]^+$; found: 337.1549.

2-(2-Hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline 1-oxide (**5da**): R_f = 0.5 (10% EtOAc in petroleum ether); yield 48 mg (60%); orange solid; IR (neat) ν_{max} 2958, 1700, 1603, 1534, 1320, 1253, 1163, 762 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.41 (s, 1H), 8.98−9.03 (m, 1H), 8.84−8.89 (m, 1H), 8.06−8.13 (m, 2H), 7.88 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.59 (ddd, J = 1.7, 7.0, 8.4 Hz, 1H), 7.12−7.21 (m, 2H), 3.23 (d, J = 6.9 Hz, 2H), 2.38 (dt, J = 6.7, 13.4 Hz, 1H), 1.08 (s, 3H), 1.06 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.7 (s), 160.3 (s), 152.0 (s), 149.1 (s), 144.6 (s), 135.7 (d), 133.7 (d), 132.9 (d), 130.8 (d), 127.6 (d), 121.2 (s), 120.6 (d), 120.4 (s), 120.2 (d), 119.3 (d), 48.4 (t), 25.2 (d), 22.7 (q, 2C) ppm; HRMS (ESI) calcd for $C_{19}H_{19}N_2O_3$: 323.1390 $[M + H]^+$; found: 323.1388.

2-(2-Hydroxyphenyl)-4-(3-phenylpropanoyl)quinazoline 1-oxide (**5ea**): R_f = 0.4 (15% EtOAc in petroleum ether); yield 46 mg (62%); pale yellow solid; IR (neat) ν_{max} 3016, 2922, 2859, 1699, 1601, 1532, 1488, 1318, 1251, 757, 698 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 9.00 (dd, J = 1.4, 8.7 Hz, 1H), 8.81−8.87 (m, 1H), 8.08 (ddd, J = 1.1, 7.1, 8.7 Hz, 1H), 8.03 (dd, J = 1.8, 8.2 Hz, 1H), 7.83−7.88 (m, 1H), 7.56 (ddd, J = 1.8, 7.1, 8.5 Hz, 1H), 7.28 (d, J = 4.1 Hz, 3H), 7.25 (s, 1H), 7.18−7.22 (m, 1H), 7.15 (dd, J = 0.92, 8.2 Hz, 1H), 7.09−7.13 (m, 1H), 3.70 (t, J = 7.5 Hz, 2H), 3.14 (t, J = 7.5 Hz, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 200.8 (s), 160.2 (s), 152.1 (s), 148.4 (s), 144.6 (s), 140.5 (s), 135.7 (d), 133.7 (d), 133.0 (d), 130.9 (d), 128.6 (d, 2C), 128.5 (d, 2C), 127.6 (d), 126.3 (d), 121.1 (s), 120.5 (d), 120.3 (s), 120.2 (d), 119.2 (d), 41.3 (t), 30.1 (t) ppm; HRMS (ESI) calcd for $C_{23}H_{19}N_2O_3$: 371.1390 $[M + H]^+$; found: 371.1393.

4-(4-Acetoxybutanoyl)-2-(2-hydroxyphenyl)quinazoline 1-oxide (**5fa**): R_f = 0.4 (20% EtOAc in petroleum ether); yield 49 mg (66%); yellow solid; IR (neat) ν_{max} 2954, 2928, 1726, 1530, 1468, 1239, 1067, 873, 753 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 9.07 (dd, J = 0.7, 8.5 Hz, 1H), 8.84−8.89 (m, 1H), 8.06−8.15 (m, 2H), 7.89 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.59 (ddd, J = 1.7, 7.0, 8.4 Hz, 1H), 7.12−7.21 (m, 2H), 4.24 (t, J = 6.4 Hz, 2H), 3.48 (t, J = 7.1 Hz, 2H), 2.18 (quin, J = 6.7 Hz, 2H), 2.04 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 200.6 (s) 171.1 (s), 160.3 (s), 152.1 (s), 148.1 (s), 144.7 (s), 135.8 (d), 133.8 (d), 132.9 (d), 131.1 (d), 127.6 (d), 121.2 (s), 120.6 (d), 120.3 (s), 120.2 (d), 119.3 (d), 63.5 (t), 36.1 (t), 23.0 (t), 20.9 (q) ppm; HRMS (ESI) calcd for: $C_{20}H_{19}N_2O_5$: 367.1288 $[M + H]^+$; found: 367.1284.

4-(4-((tert-Butyldimethylsilyl)oxy)butanoyl)-2-(2-hydroxyphenyl)quinazoline 1-oxide (**5ga**): R_f = 0.4 (20% EtOAc in petroleum ether); yield 37 mg (54%); dark yellow syrup; IR (neat) ν_{max} 2929, 2860, 1699, 1602, 1531, 1469, 1315, 1252, 1103, 906, 760 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.42 (s, 1H), 9.03−9.09 (m, 1H), 8.84−8.89 (m, 1H), 8.07−8.15 (m, 2H), 7.84−7.91 (m, 1H), 7.54−7.61 (m, 1H), 7.16−7.19 (m, 1H), 7.10−7.15 (m, 1H), 3.78 (t, J = 6.1 Hz, 2H), 3.47 (t, J = 7.2 Hz, 2H), 2.05 (dt, J = 6.5, 13.4 Hz, 2H), 0.89 (s, 9H), 0.05 (s, 6H), ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.6 (s) 160.3 (s), 152.1 (s), 148.6 (s), 144.6 (s), 135.7 (d), 133.7 (d), 133.0 (d), 130.8 (d), 127.7 (d), 121.2 (s), 120.5 (d), 120.4 (s), 120.1 (d), 119.3 (d), 62.1 (t), 36.4 (t), 27.0 (t), 25.9 (q, 3C), 18.3 (s), −5.4 (q, 2C) ppm; HRMS (ESI) calcd for: $C_{24}H_{31}N_2O_4Si$: 439.2048 $[M + H]^+$; found: 439.2055.

2-(2-Hydroxyphenyl)-4-(4-((tetrahydro-2H-pyran-2-yl)oxy)-butanoyl)quinazoline 1-oxide (**5ha**): R_f = 0.4 (20% EtOAc in petroleum ether); yield 42 mg (59%); yellow solid; IR (neat) ν_{max} 2938, 2863, 1700, 1603, 1533, 1316, 1121, 1028, 756 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.42 (s, 1H), 9.06 (dd, J = 0.7, 8.6 Hz, 1H), 8.85−8.90 (m, 1H), 8.07−8.15 (m, 2H), 7.88 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.58 (ddd, J = 1.7, 7.0, 8.4 Hz, 1H), 7.17 (dd, J = 0.9, 8.3 Hz, 1H), 7.13 (ddd, J = 1.1, 7.1, 8.1 Hz, 1H), 4.57 (t, J = 3.4 Hz, 1H), 3.89 (dt, J = 6.3, 9.7 Hz, 1H), 3.82 (ddd, J = 3.0, 8.1, 11.1 Hz, 1H), 3.41−3.59 (m, 4H), 2.14 (quin, J = 6.6 Hz, 2H), 1.61−1.76 (m, 2H), 1.53−1.61 (m, 2H), 1.43−1.49 (m, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.5 (s), 160.3 (s), 152.0 (s), 148.7 (s), 144.6 (s), 135.6 (d), 133.7 (d), 133.0 (d), 130.8 (d), 127.7 (d), 121.2 (s), 120.5 (d), 120.4 (s), 120.1 (d), 119.2 (d), 98.9 (d), 66.5 (t), 62.4 (t), 36.8 (t), 30.6 (t), 25.4 (t), 24.4 (t), 19.6 (t) ppm; HRMS (ESI) calcd for: $C_{23}H_{25}N_2O_5$: 409.1758 $[M + H]^+$; found: 409.1757.

4-(4-(Benzyloxy)butanoyl)-2-(2-hydroxyphenyl)quinazoline 1-oxide (**5ia**): R_f = 0.4 (20% EtOAc in petroleum ether); yield 50 mg (71%); orange gummy solid; IR (neat) ν_{max} 2925, 2859, 1699, 1603, 1533, 1363, 1104, 749, 693 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.46 (s, 1H), 8.91−8.98 (m, 1H), 8.83 (dq, J = 0.6, 8.7 Hz, 1H), 8.11 (dd, J = 1.7, 8.1 Hz, 1H), 8.06 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.76−7.82 (m, 1H), 7.58 (ddd, J = 1.7, 7.0, 8.4 Hz, 1H), 7.20−7.26 (m, 5H), 7.18 (dd, J = 0.9, 8.3 Hz, 1H), 7.10−7.15 (m, 1H), 4.43 (s, 2H), 3.62 (t, J = 6.0 Hz, 2H), 3.47 (t, J = 6.9 Hz, 2H), 2.13−2.21 (m, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.4 (s), 160.3 (s), 151.9 (s), 148.9 (s), 144.5 (s), 138.1 (s), 135.5 (d), 133.7 (d), 133.0 (d), 130.7 (d), 128.3 (d, 2C), 127.8 (d), 127.7 (d), 127.5 (d, 2C), 121.2 (s), 120.5 (d), 120.4 (s), 120.1 (d), 119.1 (d), 72.9 (t), 69.3 (t), 36.8 (t), 24.7 (t) ppm; HRMS (ESI) calcd for: $C_{25}H_{23}N_2O_4$: 415.1652 $[M + H]^+$; found: 415.1660.

4-Butyryl-7-fluoro-2-(2-hydroxyphenyl)quinazoline 1-oxide (**5ja**): R_f = 0.4 (15% EtOAc in petroleum ether); yield 40 mg (51%); orange solid; IR (neat) ν_{max} 2962, 1700, 1603, 1536, 1489, 1322, 1252, 1159, 752 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 9.15 (dd, J = 5.6, 9.4 Hz, 1H), 8.51 (dd, J = 2.5, 9.3 Hz, 1H), 8.10 (dd, J = 1.5, 8.0 Hz, 1H), 7.57−7.65 (m, 2H), 7.12−7.20 (m, 2H), 3.35 (t, J = 7.2 Hz, 2H), 1.85 (sxt, J = 7.3 Hz, 2H), 1.07 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.7 (s), 165.6 (ds, J_{C-F} = 262.3 Hz), 160.4 (s), 153.0 (s), 148.1 (ds, J_{C-F} = 1.4 Hz), 146.5 (ds, J_{C-F} = 12.3 Hz), 134.1 (d), 133.0 (d), 131.2 (dd, J_{C-F} = 10.8 Hz), 121.0 (dd, J_{C-F} = 24.7 Hz), 120.7 (d), 120.3 (d), 120.1 (s), 118.4 (s), 104.8 (dd, J_{C-F} = 26.9 Hz), 41.5 (d), 17.4 (d), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{18}H_{16}N_2O_3$: 327.1139 $[M + H]^+$; found: 327.1141.

4-Butyryl-2-(2-hydroxyphenyl)-7-nitroquinazoline 1-oxide (**5ka**): R_f = 0.3 (25% EtOAc in petroleum ether); yield 26 mg (34%); yellow solid; IR (neat) ν_{max} 2924, 2853, 1695, 1602, 1538, 1465, 1348, 1073, 746 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 9.71 (s, 1H), 9.66 (dd, J = 0.5, 2.3 Hz, 1H), 9.35 (dd, J = 0.5, 9.2 Hz, 1H), 8.60 (dd, J = 2.3, 9.3 Hz, 1H), 8.09−8.14 (m, 1H), 7.60−7.66 (m, 1H), 7.15−7.22 (m, 2H), 3.38 (t, J = 7.2 Hz, 2H), 1.88 (sxt, J = 7.3 Hz, 2H), 1.09 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.1 (s), 160.5 (s), 153.5 (s), 151.4 (s), 147.5 (s), 145.0 (s), 134.6 (d), 132.9 (d), 130.6 (d), 124.2 (d), 123.6 (s), 120.9 (d), 120.6 (d), 119.5 (s), 115.8 (d), 41.4 (t), 17.4 (t), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{18}H_{16}N_3O_5$: 354.1084 $[M + H]^+$; found: 354.1090.

4-Butyryl-2-(2-hydroxyphenyl)-7-methylquinazoline 1-oxide (**5la**): R_f = 0.3 (20% EtOAc in petroleum ether); yield 48 mg (61%); yellow solid; IR (neat) ν_{max} 2961, 2929, 1702, 1605, 1523, 1488, 1328, 1255, 1165, 756 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.57 (s, 1H), 8.91 (d, J = 8.7 Hz, 1H), 8.66 (s, 1H), 8.10 (dd, J = 1.6, 8.0 Hz, 1H), 7.69 (dd, J = 1.6, 8.7 Hz, 1H), 7.58 (ddd, J = 1.7, 7.0, 8.4 Hz, 1H), 7.10−7.20 (m, 2H), 3.34 (t, J = 7.2 Hz, 2H), 2.71 (s, 3H), 1.85 (sxt, J = 7.3 Hz, 2H), 1.07 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 202.0 (s), 160.3 (s), 152.1 (s), 148.6 (s), 147.9 (s), 144.7 (s), 133.6 (d), 132.9 (d, 2C), 127.3 (d), 120.6 (s), 120.5 (d), 120.1 (d), 119.4 (s), 118.3 (d), 41.6 (t), 22.7 (q), 17.4 (t), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{19}H_{19}N_2O_3$: 500.2068 $[M + H]^+$; found: 500.2068.

2-(2-Hydroxyphenyl)-7-(methoxycarbonyl)-4-propionylquinazoline 1-oxide (**5ma**): R_f = 0.4 (20% EtOAc in petroleum ether); yield 58 mg (76%); yellow solid; IR (neat) ν_{max} 2939, 1720, 1605, 1529, 1447, 1281, 1177, 1051 754 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.14 (s, 1H), 9.42−9.46 (m, 1H), 9.14 (d, J = 8.9 Hz, 1H), 8.41−

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8.46 (m, 1H), 8.10 (dd, J = 1.7, 8.1 Hz, 1H), 7.59 (td, J = 1.5, 7.7 Hz, 1H), 7.41−7.51 (m, 1H), 7.12−7.19 (m, 2H), 6.94−7.00 (m, 1H), 4.07 (s, 3H), 3.42 (q, J = 7.2 Hz, 2H), 1.32 (t, J = 7.1 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.9 (s), 165.0 (s), 160.2 (s), 152.6 (s), 148.1 (s), 144.6 (s), 136.3 (s), 134.1 (d), 133.0 (d), 130.4 (d), 128.3 (d), 123.0 (s), 121.1 (d), 120.6 (d), 120.4 (d), 120.0 (d), 53.2 (q), 33.2 (t), 7.7 (q) ppm; HRMS (ESI) calcd for $C_{19}H_{17}N_2O_5$: 353.1132 $[M + H]^+$; found: 353.1134.

*2-(5-Fluoro-2-hydroxyphenyl)-4-propionylquinazoline 1-oxide (**5ab**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 61 mg (68%); dark yellow gummy solid; IR (neat) ν_{max}2236, 1703, 1507, 1432, 1143, 750 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.13 (s, 1H), 9.06 (d, J = 8.6 Hz, 1H), 8.86 (d, J = 8.9 Hz, 1H), 8.12 (td, J = 0.9, 7.9 Hz, 1H), 7.86−7.96 (m, 1H), 7.78 (dd, J = 3.1, 9.6 Hz, 1H), 7.28−7.34 (m, 1H), 7.12 (dd, J = 4.9, 9.0 Hz, 1H), 3.42 (q, J = 7.3 Hz, 2H), 1.32 (t, J = 7.2 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 202.1 (s), 159.2 (s), 156.4 (s), 156.4 (s), 150.9 (s), 148.7 (s), 135.9 (d), 131.2 (d), 129.3 (s), 127.8 (d), 121.3 (s), 121.7 (dd, J_{C-F} = 8.4 Hz), 121.0 (dd, J_{C-F} = 23.6 Hz), 119.3 (d), 117.7 (dd, J_{C-F} = 25.2 Hz), 33.3 (t), 7.8 (q) ppm; HRMS (ESI) calcd for: $C_{17}H_{14}N_2O_3F$: 313.0983 $[M + H]^+$; found: 313.0984.

*2-(5-Fluoro-2-hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline 1-oxide (**5db**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 49 mg (58%); yellow gummy solid; IR (neat) ν_{max}2958, 1699, 1535, 1477, 1243, 754 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.14 (s, 1H), 9.01 (dt, J = 0.6, 8.5, Hz, 1H), 8.81−8.90 (m, 1H), 8.12 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.90 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.77 (dd, J = 3.1, 9.6 Hz, 1H), 7.31 (ddd, J = 3.1, 7.6, 9.1 Hz, 1H), 7.12 (dd, J = 4.9, 9.0 Hz, 1H), 3.23 (d, J = 6.9 Hz, 2H), 2.33−2.43 (m, 1H), 1.08 (s, 3H), 1.07 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.4 (s), 157.5 (s), 156.4 (ds, J_{C-F} = 1.5 Hz), 155.1 (s), 150.8 (ds, J_{C-F} = 3.0 Hz), 149.2 (s), 144.6 (s), 135.9 (d), 131.1 (d), 127.7 (d), 121.7 (dd, J_{C-F} = 7.6 Hz), 121.3 (s), 121.0 (dd, J_{C-F} = 23.6 Hz), 119.3 (d), 117.6 (dd, J_{C-F} = 25.2 Hz), 48.4 (t), 25.1 (d), 22.7 (q, 2C) ppm; HRMS (ESI) calcd for: $C_{19}H_{18}N_2O_3F$: 341.1296 $[M + H]^+$; found: 341.1300.

*2-(5-Fluoro-2-hydroxyphenyl)-4-(3-phenylpropanoyl)-quinazoline 1-oxide (**5eb**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 43 mg (56%); yellow solid; IR (neat) ν_{max}3016, 2958, 1699, 1601, 1532, 1488, 1318, 1251, 757, 698 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 9.01 (dd, J = 0.7, 8.6 Hz, 1H), 8.84 (d, J = 8.4 Hz, 1H), 8.11 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.89 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.72 (dd, J = 3.1, 9.6 Hz, 1H), 7.27−7.34 (m, 5H), 7.15−7.20 (m, 1H), 7.11 (dd, J = 4.8, 9.1 Hz, 1H), 3.71 (t, J = 7.4 Hz, 2H), 3.17 (t, J = 7.4 Hz, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 200.6 (s), 157.5 (s), 156.3 (ds, J_{C-F} = 1.5 Hz), 155.1 (s), 150.8 (s), 148.5 (s), 144.6 (s), 140.4 (s), 135.9 (d), 131.2 (d), 128.6 (d, 2C), 128.5 (d, 2C), 127.7 (d), 126.3 (d), 121.7 (dd, J_{C-F} = 7.6 Hz), 121.3 (s), 121.0 (dd, J_{C-F} = 23.6 Hz), 119.2 (d), 117.6 (dd, J_{C-F} = 25.2 Hz), 41.3 (t), 30.1 (t) ppm; HRMS (ESI) calcd for: $C_{23}H_{18}N_2O_3F$: 389.1296 $[M + H]^+$; found: 389.1300.

*4-Butyryl-2-(5-fluoro-2-hydroxyphenyl)-7-(methoxycarbonyl)-quinazoline 1-oxide (**5nb**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 54 mg (69%); orange gummy solid; IR (neat) ν_{max}2959, 1721, 1528, 1235, 1125, 748 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 9.78 (s, 1H), 9.40−9.47 (m, 1H), 9.08−9.17 (m, 1H), 8.44 (dd, J = 1.7, 8.8 Hz, 1H), 7.73−7.79 (m, 1H), 7.31 (ddd, J = 3.1, 7.5, 9.1 Hz, 1H), 7.11 (dd, J = 4.8, 9.1 Hz, 1H), 4.08 (s, 3H), 3.35 (t, J = 7.2 Hz, 2H), 1.82−1.90 (m, 2H), 1.09 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.3 (s), 164.9 (s), 157.6 (s), 156.4 (ds, J_{C-F} = 1.5 Hz), 155.2 (s), 148.2 (s), 144.7 (s), 136.4 (s), 130.7 (d), 128.4 (d), 123.1 (s), 121.8 (dd, J_{C-F} = 8.4 Hz), 121.3 (dd, J_{C-F} = 23.6 Hz), 121.1 (d), 120.4 (s), 117.6 (dd, J_{C-F} = 25.2 Hz), 53.2 (q), 41.5 (t), 17.3 (t), 13.8 (q) ppm; HRMS (ESI) calcd for: $C_{20}H_{18}N_2O_5F$: 385.1194 $[M + H]^+$; found: 385.1196.

*2-(5-Fluoro-2-hydroxyphenyl)-4-propionylquinazoline 1-oxide (**5ac**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 59 mg (63%); dark yellow solid; IR (neat) ν_{max} 2932, 1706, 1603, 1532, 1469, 1321, 763 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.42 (s, 1H) 9.01−9.11 (m, 1H), 8.85 (d, J = 8.8 Hz, 1H), 8.12 (ddd, J = 1.2, 7.2, 8.6 Hz, 1H), 8.05 (d, J = 2.6 Hz, 1H), 7.86−7.96 (m, 1H), 7.51 (dd, J = 2.6, 8.9 Hz, 1H), 7.11 (d, J = 8.9 Hz, 1H), 3.42 (q, J = 7.1 Hz, 2H), 1.31 (t, J = 7.1 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 202.1 (s), 158.9 (s), 150.8 (s), 149.0 (s), 144.6 (s), 135.9 (d), 133.6 (d), 131.8 (d), 131.2 (d), 127.7 (d), 125.0 (s), 122.0 (d), 121.5 (s), 121.3 (s), 119.3 (d), 33.3 (t), 7.7 (q) ppm; HRMS (ESI) calcd for: $C_{17}H_{14}N_2O_3Cl$: 329.0687 $[M + H]^+$; found: 329.0691.

*2-(5-Chloro-2-hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline 1-oxide (**5dc**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 48 mg (55%); yellow gummy solid; IR (neat) ν_{max}2951, 1698, 1535, 1467, 1285, 754 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.43 (s, 1H), 9.00 (dt, J = 0.6, 8.5 Hz, 1H), 8.81−8.88 (m, 1H), 8.12 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 8.04 (d, J = 2.6 Hz, 1H), 7.90 (ddd, J = 1.2, 7.1, 8.4 Hz, 1H), 7.51 (dd, J = 2.6, 8.9 Hz, 1H), 7.10 (d, J = 8.9 Hz, 1H), 3.22 (d, J = 6.9 Hz, 2H), 2.38 (dt, J = 6.7, 13.4 Hz, 1H), 1.09 (s, 3H), 1.08 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.5 (s), 158.9 (s), 150.7 (s), 149.3 (s), 144.6 (s), 135.9 (d), 133.6 (d), 131.9 (d), 131.2 (d), 127.7 (d), 125.0 (s), 122.0 (d), 121.5 (s), 121.3 (s), 119.3 (d), 48.5 (t), 25.3 (d), 22.7 (q, 2C) ppm; HRMS (ESI) calcd for: $C_{19}H_{18}N_2O_3Cl$: 357.1000 $[M + H]^+$; found: 357.1007.

*2-(5-Chloro-2-hydroxyphenyl)-4-(3-phenylpropanoyl)-quinazoline 1-oxide (**5ec**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 47 mg (58%); dark yellow solid; IR (neat) ν_{max}3018, 2925, 2859, 1703, 1606, 1318, 1251, 740, 689 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.33 (s, 1H), 8.99 (dt, J = 0.6, 8.5 Hz, 1H), 8.80−8.86 (m, 1H), 8.11 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 8.02 (d, J = 2.6 Hz, 1H), 7.89 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.51 (dd, J = 2.6, 8.8 Hz, 1H), 7.30 (d, J = 4.4 Hz, 4H), 7.19−7.23 (m, 1H), 7.10 (d, J = 8.9 Hz, 1H), 3.70 (t, J = 7.4 Hz, 2H), 3.18 (t, J = 7.4 Hz, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 200.7 (s), 158.9 (s), 150.8 (s), 148.7 (s), 144.6 (s), 140.4 (s), 135.9 (d), 133.6 (d), 131.8 (d), 131.2 (d), 128.6 (d, 2C), 128.5 (d, 2C), 127.7 (d), 126.3 (d), 125.0 (s), 122.0 (d), 121.4 (s), 121.3 (s), 119.2 (d), 41.3 (t), 30.3 (t) ppm; HRMS (ESI) calcd for: $C_{23}H_{18}N_2O_3Cl$: 405.1000 $[M + H]^+$; found: 405.1005.

*4-Butyryl-2-(5-chloro-2-hydroxyphenyl)-7-methylquinazoline 1-oxide (**5lc**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 52 mg (59%); yellow gummy solid; IR (neat) ν_{max} 2124, 1704, 1367, 1163, 742, 660 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.58 (s, 1H), 8.90 (d, J = 8.6 Hz, 1H), 8.62−8.69 (m, 1H), 8.05 (d, J = 2.6 Hz, 1H), 7.72 (dd, J = 1.4, 8.7 Hz, 1H), 7.50 (dd, J = 2.6, 8.9 Hz, 1H), 7.10 (d, J = 8.9 Hz, 1H), 3.33 (t, J = 7.2 Hz, 2H), 2.72 (s, 3H), 1.81−1.91 (m, 2H), 1.09 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.8 (s), 158.9 (s), 150.9 (s), 149.0 (s), 148.2 (s), 144.6 (s), 133.5 (d), 133.3 (d), 131.9 (d), 127.4 (d), 124.9 (s), 122.0 (d), 121.7 (s), 119.5 (s), 118.2 (d), 41.7 (t), 22.7 (q), 17.4 (t), 13.8 (q) ppm; HRMS (ESI) calcd for: $C_{19}H_{18}N_2O_3Cl$: 357.1000 $[M + H]^+$; found: 357.1007.

*2-(5-Bromo-2-hydroxyphenyl)-4-propionylquinazoline 1-oxide (**5ad**):* R_f = 0.4 (15% EtOAc in petroleum ether); yield 60 mg (56%); orange solid; IR (neat) ν_{max} 2926, 2855, 1690, 1601, 1534, 1464, 1251, 1116, 759 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.46 (s, 1H), 9.04 (dd, J = 0.7, 8.6 Hz, 1H), 8.83−8.87 (m, 1H), 8.19 (d, J = 2.5 Hz, 1H), 8.12 (ddd, J = 1.3, 7.1, 8.6 Hz, 1H), 7.91 (ddd, J = 1.2, 7.1, 8.4 Hz, 1H), 7.64 (dd, J = 2.5, 8.9 Hz, 1H), 7.05 (d, J = 8.7 Hz, 1H), 3.42 (q, J = 7.2 Hz, 2H), 1.33 (t, J = 7.2 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$) δ 202.0 (s) 159.4 (s), 150.7 (s), 149.1 (s), 144.6 (s), 136.4 (d), 135.9 (d), 134.8 (d), 131.2 (d), 127.7 (d), 122.4 (d), 122.0 (s), 121.3 (s), 119.2 (d), 112.0 (s), 33.3 (t), 7.7 (q) ppm; HRMS (ESI) calcd for $C_{17}H_{14}BrN_2O_3$: 373.0182 $[M + H]^+$; found: 373.0185.

*2-(5-Bromo-2-hydroxyphenyl)-4-butyrylquinazoline 1-oxide (**5bd**):* R_f = 0.5 (15% EtOAc in petroleum ether); yield 63 mg (62%); dark yellow solid; IR (neat) ν_{max} 2925, 2866, 1699, 1600, 1531, 1466, 1323, 1246, 1155, 762 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$): δ 10.46 (s, 1H), 8.99−9.05 (m, 1H), 8.82−8.89 (m, 1H), 8.20 (d, J = 2.5 Hz, 1H), 8.12 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.91 (ddd, J = 1.2, 7.1, 8.5 Hz, 1H), 7.64 (dd, J = 2.5, 8.7 Hz, 1H), 7.06 (d, J = 8.9 Hz, 1H), 3.34 (t, J = 7.2 Hz, 2H), 1.81−1.93 (m, 2H), 1.10 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 201.6 (s), 159.4 (s), 150.7 (s), 149.2 (s), 144.6 (s), 136.4 (d), 135.9 (d), 134.9 (d), 131.2 (d), 127.7 (d), 122.0 (s), 121.3 (s), 119.3 (d), 112.0 (s),

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41.7 (t), 17.5 (t), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{18}H_{16}BrN_2O_3$: 387.0339 $[M + H]^+$; found: 387.0344.

*2-(5-Bromo-2-hydroxyphenyl)-4-hexanoylquinazoline 1-oxide (**5cd**):* R_f = 0.4 (15% EtOAc in petroleum ether); yield 53 mg (56%); dark yellow solid; IR (neat) ν_{max} 2927, 2862, 1694, 1600, 1530, 1469, 1251, 1079, 1022, 761 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.47 (s, 1H), 9.02 (dd, J = 0.7, 8.6 Hz, 1H), 8.82−8.88 (m, 1H), 8.20 (d, J = 2.5 Hz, 1H), 8.12 (ddd, J = 1.1, 7.1, 8.7 Hz, 1H), 7.91 (ddd, J = 1.1, 7.1, 8.4 Hz, 1H), 7.64 (dd, J = 2.5, 8.7 Hz, 1H), 7.06 (d, J = 8.9 Hz, 1H), 3.35 (t, J = 7.4 Hz, 2H), 1.84 (t, J = 7.4 Hz, 2H), 1.40−1.50 (m, 4H), 0.93−0.98 (m, 3H) ppm; $^{13}C\{^1H\}$-NMR (100 MHz, $CDCl_3$) δ 201.8 (s), 159.4 (s), 150.7 (s), 149.2 (s), 144.6 (s), 136.4 (d), 135.9 (d), 134.9 (d), 131.2 (d), 127.7 (d), 122.4 (d), 122.0 (s), 121.3 (s), 112.0 (s), 39.9 (t), 31.4 (t), 23.9 (t), 22.5 (t), 13.9 (q) ppm; HRMS (ESI) calcd for $C_{20}H_{20}BrN_2O_3$: 415.0652 $[M + H]^+$; found: 415.0654.

*2-(5-Bromo-2-hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline 1-oxide (**5dd**):* R_f = 0.4 (15% EtOAc in petroleum ether); yield 55 mg (56%); orange solid; IR (neat) ν_{max} 2958, 2874, 1696, 1599, 1531, 1469, 1373, 1324, 1284, 1244, 1161, 762 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 10.48 (s, 1H), 9.01 (d, J = 7.6 Hz, 1H), 8.85 (d, J = 8.4 Hz, 1H), 8.19 (d, J = 3.05 Hz, 1H), 8.09−8.16 (m, 1H), 7.88−7.94 (m, 1H), 7.64 (dd, J = 2.7, 8.8 Hz, 1H), 7.05 (d, J = 9.1 Hz, 1H), 3.22 (d, J = 6.9 Hz, 2H), 2.38 (dt, J = 6.6, 13.5 Hz, 1H), 1.10 (s, 3H), 1.08 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$) δ 201.5 (s), 159.4 (s), 150.6 (s), 149.4 (s), 144.6 (s), 136.4 (d), 135.9 (d), 134.9 (d), 131.2 (d), 127.7 (d), 122.4 (d), 122.0 (s), 121.3 (s), 119.3 (d), 112.0 (s), 48.6 (t), 25.4 (s), 22.7 (t, 2C) ppm; HRMS (ESI) calcd for $C_{19}H_{18}BrN_2O_3$: 401.0495 $[M + H]^+$; found: 401.0497.

*2-(5-Bromo-2-hydroxyphenyl)-4-(3-phenylpropanoyl)-quinazoline 1-oxide (**5ed**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 44 mg (47%); pale yellow solid; IR (neat) ν_{max} 3016, 2916, 2859, 1699, 1599, 1531, 1467, 1369, 1283, 1152, 758, 698 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.38 (s, 1H), 8.99 (dd, J = 0.7, 8.6 Hz, 1H), 8.81−8.87 (m, 1H), 8.17 (d, J = 2.4 Hz, 1H), 8.11 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.89 (ddd, J = 1.2, 7.1, 8.4 Hz, 1H), 7.64 (dd, J = 2.5, 8.9 Hz, 1H), 7.31 (d, J = 4.4 Hz, 4H), 7.19−7.24 (m, 1H), 7.05 (d, J = 8.7 Hz, 1H), 3.70 (t, J = 7.4 Hz, 2H), 3.18 (t, J = 7.4 Hz, 2H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 200.7 (s), 159.4 (s), 150.7 (s), 148.7 (s), 144.6 (s), 140.3 (s), 136.4 (d), 136.0 (d), 134.8 (d), 131.2 (d), 128.6 (d, 2C), 128.5 (d, 2C), 127.7 (d), 126.4 (d), 122.4 (d), 122.0 (s), 121.3 (s), 119.2 (d), 112.0 (s), 41.3 (t), 30.3 (t) ppm; HRMS (ESI) calcd for $C_{23}H_{18}BrN_2O_3$: 449.0495 $[M + H]^+$; found: 449.0504.

*2-(5-Bromo-2-hydroxyphenyl)-4-butyryl-7-methylquinazoline 1-oxide (**5ld**):* R_f = 0.4 (15% EtOAc in petroleum ether); yield 52 mg (53%); orange solid; IR (neat) ν_{max} 2962, 2928, 2874, 1701, 1596, 1532, 1468, 1288, 1167, 823, 754 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.62 (s, 1H), 8.83−8.95 (m, 1H), 8.65 (br. s., 1H), 8.17−8.22 (m, 1H), 7.72 (d, J = 8.7 Hz, 1 H), 7.60−7.66 (m, H), 7.01−7.08 (m, 1H), 3.30−3.37 (m, 2H), 2.72 (s, 3H), 1.82−1.91 (m, 2H), 1.06−1.12 (m, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 13.8 (q), 17.5 (t), 22.7 (q), 41.7 (t), 111.9 (s), 118.3 (d), 119.6 (s), 122.2 (s), 122.4 (d), 127.4 (s), 133.3 (d), 134.9 (d), 136.3 (d), 144.6 (s), 148.3 (s), 149.0 (s), 150.8 (s), 159.4 (s), 201.8 (s) ppm; HRMS (ESI) calcd for $C_{19}H_{18}N_2O_3Br$: 401.0495 $[M + H]^+$; found: 401.0494.

*2-(5-Bromo-2-hydroxyphenyl)-4-butyryl-7-(methoxycarbonyl)-quinazoline 1-oxide (**5nd**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 55 mg (61%); orange gummy solid; IR (neat) ν_{max} 2959, 2680, 1723, 1567, 1435, 1235, 1132, 815, 755 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.11 (s, 1H), 9.45 (d, J = 1.1 Hz, 1H), 9.09−9.16 (m, 1H), 8.44−8.49 (m, 1H), 8.19 (d, J = 2.5 Hz, 1H), 7.66 (dd, J = 2.5, 8.7 Hz, 1H), 7.07 (d, J = 8.9 Hz, 1H), 4.08 (s, 3H), 3.35 (t, J = 7.2 Hz, 2H), 1.82−1.94 (m, 2H), 1.10 (t, J = 7.38 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.3 (s), 164.9 (s), 159.4 (s), 151.3 (s), 148.5 (s), 144.6 (s), 136.7 (d), 136.5 (s), 134.8 (d), 130.8 (d), 128.4 (d), 123.2 (s), 122.5 (d), 121.7 (s), 121.1 (d), 112.2 (d), 53.2 (q), 41.6 (t), 17.5 (t), 13.8 (q) ppm; HRMS (ESI) calcd for $C_{20}H_{18}BrN_2O_5$: 445.0394 $[M + H]^+$; found: 445.0399.

*2-(2-Hydroxy-5-methylphenyl)-4-propionylquinazoline 1-oxide (**5ae**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 55 mg (62%); dark yellow gum; IR (neat) ν_{max}2931, 1701, 1530, 1481, 1248, 823, 755 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.13 (s, 1H), 8.98−9.06 (m, 1H), 8.81−8.90 (m, 1H), 8.09 (ddd, J = 1.3, 7.1, 8.7 Hz, 1H), 7.81−7.91 (m, 2H), 7.39 (dd, J = 2.2, 8.4 Hz, 1H), 7.07 (d, J = 8.4 Hz, 1H), 3.42 (q, J = 7.2 Hz, 2H), 2.44 (s, 3H), 1.32 (t, J = 7.2 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 202.3 (s), 158.1 (s), 152.1 (s), 148.6 (s), 144.6 (s), 135.6 (d), 134.8 (d), 132.6 (d), 130.7 (d), 129.3 (s), 127.6 (d), 121.1 (s), 120.3 (d), 120.0 (s), 119.3 (d), 33.2 (t), 20.7 (q), 7.8 (q) ppm; HRMS (ESI) calcd for: $C_{18}H_{17}N_2O_3$: 309.1234 $[M + H]^+$; found: 309.1238.

*2-(2-Hydroxy-5-methylphenyl)-4-(3-methylbutanoyl)quinazoline 1-oxide (**5de**):* R_f = 0.4 (20% EtOAc in petroleum ether); yield 50 mg (60%); yellow gummy solid; IR (neat) ν_{max} 2957, 1695, 1531, 1479, 1161, 1067, 751 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.15 (s, 1H), 9.00 (dd, J = 0.8, 8.5 Hz, 1H), 8.81−8.92 (m, 1H), 8.06−8.15 (m, 1H), 7.84−7.90 (m, 2H), 7.37−7.42 (m, 1H), 7.08 (d, J = 8.4 Hz, 1H), 3.23 (d, J = 6.9 Hz, 2H), 2.44 (s, 3H), 2.39 (dt, J = 13.5, 6.8 Hz, 1H), 1.09 (s, 3 H),1.07 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 201.7 (s), 158.1 (s), 152.1 (s), 149.0 (s), 144.6 (s), 135.6 (d), 134.8 (d), 132.6 (d), 130.7 (d), 129.3 (s), 127.5 (d), 121.2 (s), 120.3 (d), 120.0 (s), 119.3 (d), 48.6 (t), 25.4 (q), 22.8 (q, 2C), 20.7 (d) ppm; HRMS (ESI) calcd for: $C_{20}H_{21}N_2O_3$: 337.1547 $[M + H]^+$; found: 337.1548.

General Procedure for N−O Bond Reduction. To a stirred solution of **5** (1 equiv) in THF at room temperature was added Zn dust (2 equiv) followed by 30% aq NH_4Cl solution. The reaction mixture was stirred until the disappearance of the starting material shown by TLC (i.e., 1 h). Then the usual workup followed by purification by column chromatography afforded compound **6**.

*2-(2-Hydroxyphenyl)-4-propionylquinazoline (**6a**).* R_f = 0.5 (10% EtOAc in petroleum ether); yield 41 mg (86%); dark yellow solid; IR (neat) ν_{max} 1703, 1547, 1471, 1254, 760 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 13.50 (s, 1H), 8.59−8.72 (m, 2H), 8.05 (dq, J = 0.6, 8.5 Hz, 1H), 7.96 (ddd, J = 1.4, 6.9, 8.4 Hz, 1H), 7.68 (ddd, J = 1.2, 6.9, 8.4 Hz, 1H), 7.46 (ddd, J = 1.8, 7.0, 8.4 Hz, 1H), 7.11 (dd, J = 0.9, 8.2 Hz, 1H), 7.04 (ddd, J = 1.2, 7.1, 8.1 Hz, 1H), 3.37 (t, J = 7.2 Hz, 2H), 1.83−1.95 (m, 2H), 1.11 (t, J = 7.4 Hz, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 203.5 (s), 160.9 (s), 160.7 (s), 160.3 (s), 149.9 (s), 135.0 (d), 133.5 (d), 129.6 (d), 128.8 (d), 127.3 (d), 126.7 (d), 119.2 (d), 119.0 (s), 118.8 (s), 117.9 (d), 42.1 (t), 17.3 (t), 13.8 (q) ppm; HRMS (ESI) calcd for: $C_{18}H_{17}N_2O_2$: 293.1290 $[M + H]^+$; found: 293.1292.

*2-(5-Fluoro-2-hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline (**6b**):* R_f = 0.5 (10% EtOAc in petroleum ether); yield 33 mg (69%); dark yellow gummy solid; IR (neat) ν_{max} 1707, 1547, 1475, 1248, 760 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 13.23 (s, 1H), 8.62−8.68 (m, 1H), 8.30 (dd, J = 3.2, 9.8 Hz, 1H), 8.03−8.09 (m, 1H), 7.98 (ddd, J = 1.4, 6.9, 8.4 Hz, 1H), 7.71 (ddd, J = 1.2, 6.9, 8.4 Hz, 1H), 7.17 (ddd, J = 3.2, 7.7, 9.0 Hz, 1H), 7.05 (dd, J = 4.7, 9.0 Hz, 1H), 3.25 (d, J = 6.9 Hz, 2H), 2.40 (dt, J = 6.7, 13.4 Hz, 1H), 1.11 (s, 3H), 1.10 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 203.1 (s), 160.7 (s), 159.8 (ds, J_{C-F} = 3.0 Hz), 156.9 (ds, J_{C-F} = 1.5 Hz), 154.6 (s), 149.8 (s), 135.2 (d), 129.2 (d), 127.4 (d), 126.7 (d), 120.5 (dd, J_{C-F} = 23.6 Hz), 119.1 (s), 119.0 (ds, J_{C-F} = 7.6 Hz), 118.9 (dd, J_{C-F} = 7.6 Hz), 114.7 (dd, J_{C-F} = 25.2 Hz), 49.0 (t), 24.9 (d), 22.8 (q, 2C) ppm; HRMS (ESI) calcd for: $C_{19}H_{18}N_2O_2F$: 325.1352 $[M + H]^+$; found: 325.1345.

*2-(5-Chloro-2-hydroxyphenyl)-4-(3-methylbutanoyl)quinazoline (**6c**).* R_f = 0.5 (10% EtOAc in petroleum ether); yield 36 mg (75%); yellow gummy solid; IR (neat) ν_{max}1703, 1536, 1462, 1212, 819, 759 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 13.45 (s, 1H), 8.64 (dt, J = 0.6, 8.5 Hz, 1H), 8.58 (d, J = 2.7 Hz, 1H), 8.02−8.08 (m, 1H), 7.99 (dd, J = 1.4, 6.9 Hz, 1H), 7.68−7.75 (m, 1H), 7.38 (dd, J = 2.7, 8.8 Hz, 1H), 7.05 (d, J = 8.7 Hz, 1H), 3.24 (d, J = 6.9 Hz, 2H), 2.41 (dt, J = 6.7, 13.5 Hz, 1H), 1.12 (s, 3H), 1.10 (s, 3H) ppm; $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 203.1 (s), 160.9 (s), 159.6 (s), 159.4 (s), 149.8 (s), 135.3 (d), 133.3 (d), 129.2 (d), 128.9 (d), 127.4 (d), 126.7 (d), 124.0 (s), 119.7 (s), 119.5 (d), 119.2 (s), 49.0 (t), 25.0 (d), 22.8 (q, 2C)

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ppm; HRMS (ESI) calcd for: $C_{19}H_{18}N_2O_2Cl$: 341.1057 $[M + H]^+$; found: 341.1050.

*2-(2-Hydroxy-5-methylphenyl)-4-(3-methylbutanoyl)quinazoline (**6d**).* R_f = 0.5 (10% EtOAc in petroleum ether); yield 37 mg (77%); yellow gummy solid; IR (neat) ν_{max}1713, 1547, 1467, 1283, 810, 754 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 13.28 (s, 1H), 8.62 (dt, J = 0.7, 8.5 Hz, 1H), 8.42 (d, J = 1.9 Hz, 1H), 8.01−8.07 (m, 1H), 7.96 (dd, J = 1.4, 6.9 Hz, 1H), 7.67 (ddd, J = 1.2, 7.0, 8.3 Hz, 1H), 7.25−7.29 (m, 1H), 7.01 (d, J = 8.4 Hz, 1H), 3.25 (d, J = 6.9 Hz, 2H), 2.40−2.43 (m, 4H {methyl is merged}), 1.12 (s, 3H), 1.10 (s, 3H) ppm; $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ 203.4 (s), 160.7 (s), 160.6 (s), 158.7 (s), 150.0 (s), 135.0 (d), 134.5 (d), 129.4 (d), 128.7 (d), 128.2 (s), 127.4 (d), 126.6 (d), 118.9 (s), 118.3 (s), 117.8 (d), 49.1 (t), 25.1 (q), 22.8 (q, 2C), 20.8 (d) ppm; HRMS (ESI) calcd for: $C_{20}H_{21}N_2O_2$: 321.1603 $[M + H]^+$; found: 321.1591.

■ ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge at https://pubs.acs.org/doi/10.1021/acs.joc.1c01221.

Characterization data, ^1H, $^{13}C\{^1H\}$, DEPT NMR, and HRMS spectra of all new compounds (PDF)

FAIR data, including the primary NMR FID files, for compounds **5aa**−**5ia**, **5ja**−**5ma**, **5ab**, **5db**, **5eb**, **5nb**, **5ac**, **5dc**, **5ec**, **5lc**, **5ad**−**5ed**, **5ld**, **5nd**, **5ae**, **5de**, and **6a**−**6d** (ZIP)

Accession Codes

CCDC 2077663 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge via www.ccdc.cam.ac.uk/data_request/cif, or by emailing data_request@ccdc.cam.ac.uk, or by contacting The Cambridge Crystallographic Data Centre, 12 Union Road, Cambridge CB2 1EZ, UK; fax: +44 1223 336033.

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Notes

The authors declare no competing financial interest.

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