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Metal-Free Photoinduced Hydroalkylation Cascade Enabled by an Electron-Donor-Acceptor Complex

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Keywords

dihydroquinolinones • hydroalkylation • photochemistry • radical reactions • enynes

Abstract

A metal- and photocatalyst-free photoinduced radical cascade hydroalkylation of 1,7-enynes has been disclosed. The process is triggered by a SET event involving a photoexcited electron-donor-aceptor complex between NHPI ester and Hantzsch ester, which decomposes to afford a tertiary radical that is readily trapped by the enyne. The method provides an operationally simple, robust and step-economical approach to the construction of diversely functionalized dihydroquinolinones bearing quaternary-centers. A sequential one-pot hydroalkylation-isomerization approach is also allowed giving access to a family of quinolinones. A wide substrate scope and high functional group tolerance was observed in both approaches.

Introduction

The quinolinone and its partially or fully reduced derivatives - dihydroquinolinones and tetrahydroquinolinones - are among the most privileged *N*-heterocyclic scaffolds, widely found in naturally occurring compounds and pharmacologically relevant therapeutic agents (Figure 1).[1] Consequently, substantial efforts have been made towards the development of straightforward, selective and operationally simple strategies that are

capable of assembling complex molecular architectures, encompassing these heterocyclic cores.[2] In particular, the preparation of structurally diverse dihydroquinolinones via radical-based cascade reactions involving 1,7-enynes has drawn the attention of chemists in recent years.[3] The growing interest is clearly justified by the sequential chemo-, regio- and stereoselective multiple-bond formation allowed by this type of strategy. Beside these synthetic advantages, cascade processes also allow time- and reactant economy, as well as reduced waste generation by avoiding multiple work-ups and purification steps.[4] Although most reports to date rely on processes triggered by either stoichiometric radical initiators and/or harsh reaction conditions (Scheme 1a),[5] photocatalytic strategies have recently emerged as a powerful synthetic tool to achieve complex organic scaffolds through milder radical processes (Scheme 1a).[6]

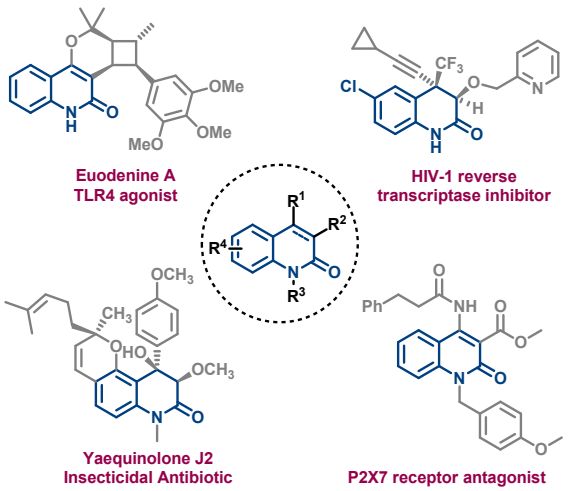


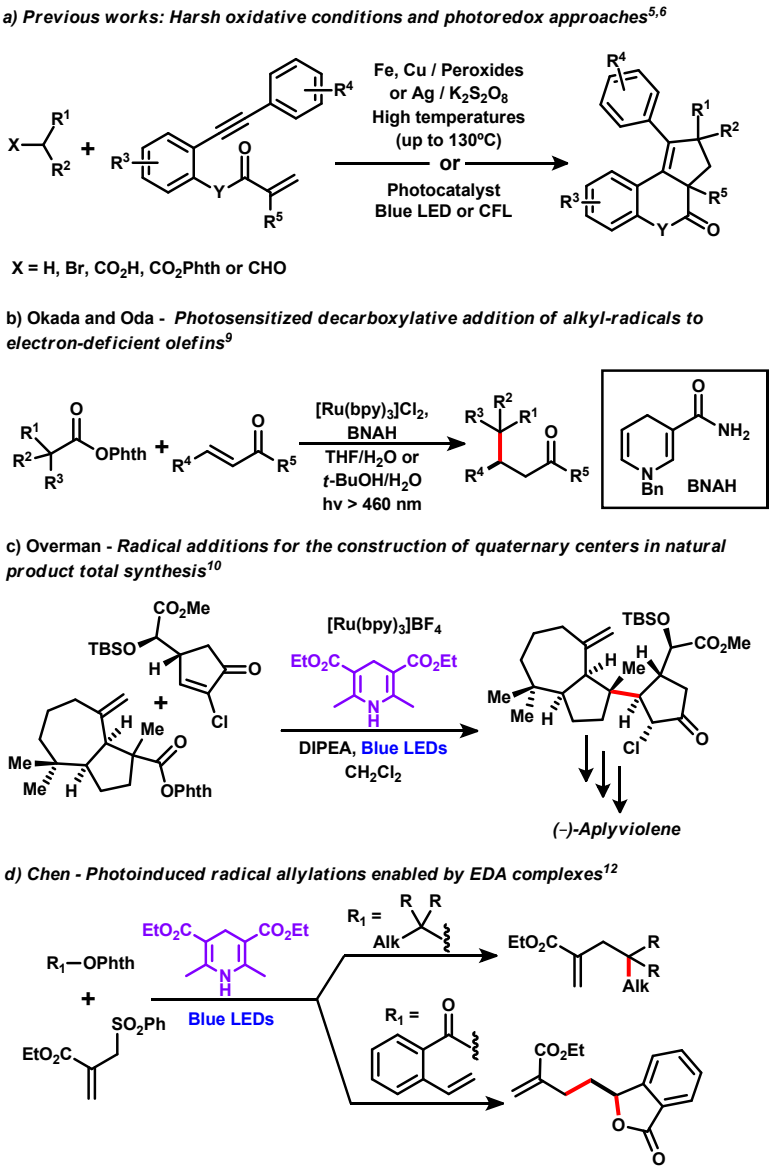
Figure 1. Representative examples of biologically active molecules with 3,4-dihydro-quinolin-2-one and quinolin-2-one skeletons.

In recent years, *N*- (acyloxy)-phthalimides (NHPI esters) have been established as versatile redox-active building blocks, widely employed for C-C and C-X photoredox or transition-metal-catalyzed couplings.[7,8] Earlier examples highlighting the applications of NHPI esters in photodecarboxylative processes were reported by Okada and co-workers in the late 80s/early 90s (Scheme 1b).[9] Notwithstanding, the great potential of these molecules was recognized after almost two decades, when Okada´s seminal work was revisited and adapted by Overman for the construction of congested quaternary centers, a strategy that was successfully employed in the total synthesis of (-)-Aplyviolene and (-

)-Chromodorolide (Scheme 1c).[10] These developments set the basis for this currently expanding field of synthetic chemistry.

Furthermore, Overman disclosed that slower reactions were observed in the absence of the photocatalyst and postulated that a direct electron-transfer event from a photoexcited Hantzsch ester to the NHPI ester would be the driving force for the process.[10d,11] However, this reactivity has not been explored until recently, when Chen and co-workers reported two elegant allylation strategies based on the photogeneration of alkoxy and carboxyl radicals through the combination of the respective *N*-alkoxy and *N*-(benzyloxy)-phthalimides with Hantzsch esters in the absence of photocatalysts (Scheme 1d).[12] Mechanistic studies for both transformations indicated the formation of an Electron-Donor-Acceptor (EDA) complex, which may be crucial for the photo-induced single electron transfer (SET) event. The development of strategies triggered by the direct photoexcitation of EDA complexes is a field in its golden age.[13] Beyond the success of photocatalysis using often expensive photocatalysts, the recent discoveries in the

chemistry of photoactive EDA complexes expands dramatically the boundary of the application of photochemistry in organic synthesis.

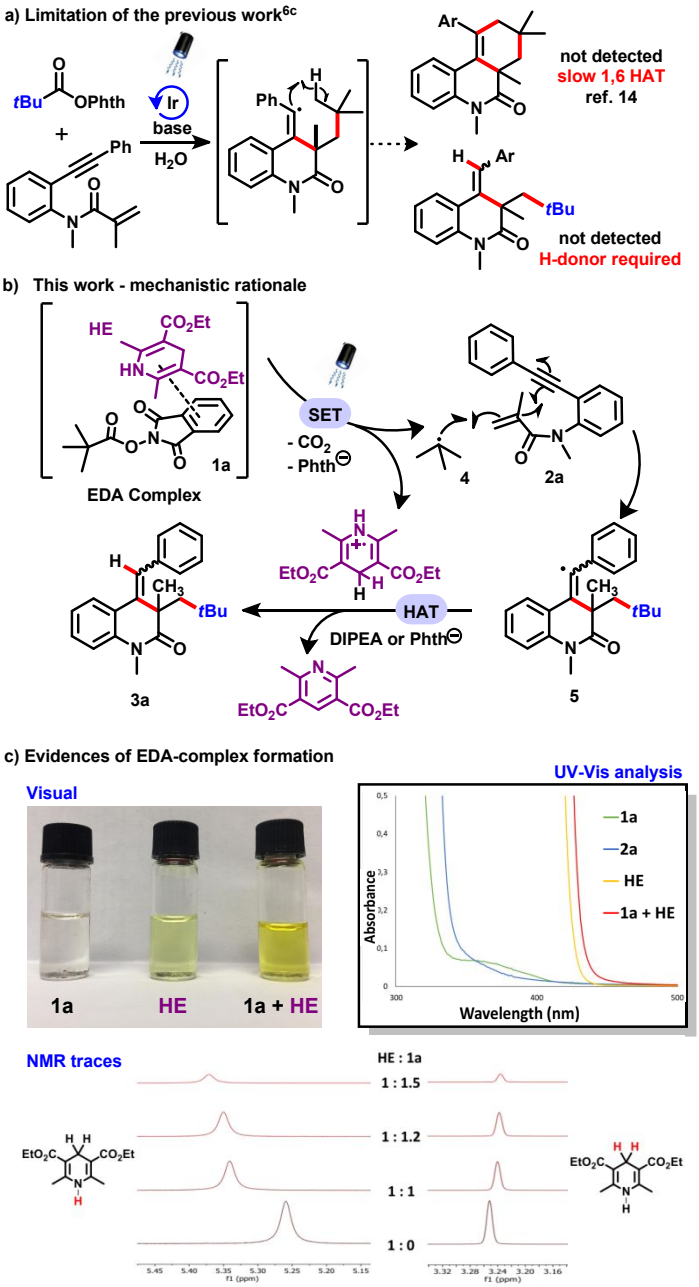


Scheme 1. a) Previous reports on cascade alkylation of 1,7-enynes; b) Seminal report on the use of NHPI esters in a photocatalytic Giese reactions by Okada and co-workers; c)

Applications of NHPI esters in total synthesis by Overman an co-workers; d) First reports of photoinduced radical processes involving an EDA complex between the NHPI esters and Hantzsch ester, by Chen and co-workers.

Recently, in continuation of our investigations on visible light photocatalytic cascade processes, we reported a photoredox radical cascade strategy between NHPI esters and 1,7-enynes (Scheme 2a).[6c] This mild and versatile methodology afforded a family of densely functionalized cyclopenta[*c*]quinolinones in moderate to good yields - however, no product was detected when tertiary NHPI esters were employed. In this respect, we anticipated that under suitable, mild conditions, a photoinduced SET event between NHPI-ester (**1a**) and the diethyl 2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate (HE) might take place, leading to the decomposition of **1** into carbon dioxide, phthalimide anion and the tertiary-radical (**4**) (Scheme 2b). This photoinduced SET event would be assisted by the formation of an EDA complex formed between the participating molecules, as postulated earlier based on visual and spectroscopic evidences (Scheme 2c). Once formed, **4** would couple with the (metha)acrylamide counterpart of **2a**, triggering a radical

cascade process to deliver the radical intermediate **5**, which abstracts a hydrogen atom from the oxidized Hantzsch ester, with the assistance of base, to afford the dihydroquinolinone product (**3a**). Distinct from our previous approach, this new method would offer a transition-metal- and photocatalyst-free straightforward route to a family of highly functionalized dihydroquinolinones.[15]

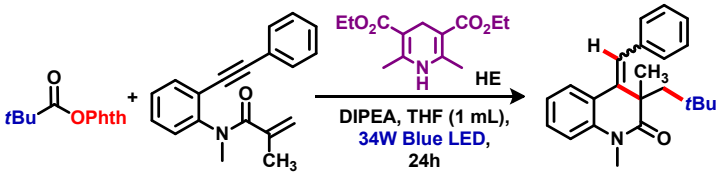


Scheme 2. Metal-free cascade hydroalkylation of 1,7-enynes.

Results and Discussion

Initially, we decided to justify our hypothesis by examining the cascade reaction between *N*-(pivaloxy)phthalimide (**1a**) and 1,7-enyne (**2a**) as a model (Table 1). Accordingly, the irradiation of a mixture of **1a** (2.0 equiv.), **2a** (1.0 equiv.), HE (1.5 equiv.) and DIPEA (2 equiv.) in THF as solvent delivered **3a** in 80% yield (entry 1). Encouraged by this preliminary result, other reaction parameters were screened to further improve the reaction efficiency. A comparison study showed that the transformation has not been drastically affected by degassed conditions (entry 1 vs 2) or increased amounts of NHPI (entry 3). Moreover, a solvent survey revealed that the use of DMF instead of THF led to a slightly increased yield, albeit lower selectivity (entry 4). Notably, the presence of base DIPEA was found to have a significant effect on the cascade process (entry 5). Control experiments demonstrated the necessity of visible light, base and Hantzsch ester (entries 6 and 7).

Table 1. Optimization of reaction conditions[a]



1a 2a (Z/E)-3a

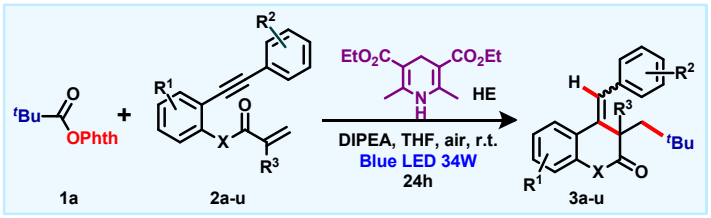
Entry	Deviation from the standard condition	3a (%)[b]	Z/E ratio[c]
1	none	80	1.5 : 1
2	Degassed conditions	81	1.5 : 1
3	3 equiv. of 1a	82	1: 1
4	DMF instead of THF	85	1 : 1
5	K_2CO_3 instead of DIPEA	50	1 : 1
6	No base	20	1.5 : 1
7	No light or no HE	0	-

[a] **1a** (0.2 mmol.), **2a** (0.1 mmol), **HE** (0.15 mmol), DIPEA (0.2 mmol), THF (1 mL), under air. [b] isolated yields. [c] determined by NMR.

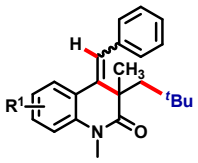
With optimal conditions established, the scope and limitation of this metal-free hydroalkylation cascade protocol was investigated (Table 2). The reaction between **1a** and a wide variety of 1,7-enynes **2a-u** has first been evaluated. As shown in Table 2, both electron-rich as well as electron-poor substituents at *meta*- and *para*-positions of both aromatic parts of the 1,7-enyne were well tolerated. The desired dihydroquinolinones (**3b-i**) were obtained in moderate to good yields (Table 2A). An *ortho*-substitution pattern was also compatible under the optimized conditions affording **3j** in 58% yield. Gratifyingly, the replacement of the methacrylamide portion by an acrylamide was also well tolerated, delivering the desired products **3k-3o** in 60-75% yield (Table 2B). Moreover, the presence of heterocyclic moieties has also been evaluated where the 3-ethynyl-pyridine and 3-

ethynyl-thiophene derivatives were smoothly converted to **3p** and **3q** in 54% and 60% yield, respectively (Table 2C). Hereafter, a variety of nitrogen protecting groups were also investigated to synthesize *N*-tosyl (**3r**), *N*-benzyl (**3s**) and *N*-acetyl (**3t**) dihydroquinolinones in moderate yields. Interestingly, the developed photochemical strategy was found to be compatible with an unprotected substrate (**3u**) isolated in 47% yield (Table 2D).

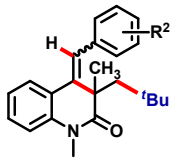
Table 2. Scope of 1,7-enynes[a,b]



A - Substituents on the aryl-moieties

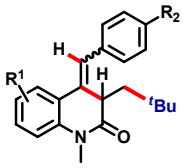


3a - R^1 = H - 80% (*Z*/*E* = 1.5:1)
3b - R^1 = 4-Me - 75% (*Z*/*E* = 2.5:1)
3c - R^1 = 5-Cl - 79% (*Z*/*E* = 3:1)
3d - R^1 = 5-F - 80% (*Z*/*E* = 3:1)



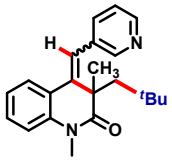
3e - R^2 = 4-CO_2Me - 40% (*Z*/*E* = 3:1)
3f - R^2 = 4-CH_3 - 88% (*Z*/*E* = 1:1)
3g - R^2 = 4-OMe - 61% (*Z*/*E* = 3:1)
3h - R^2 = 4-F - 63% (*Z*/*E* = 2:1)
3i - R^2 = 3-F - 73% (*Z*/*E* = 2.5:1)
3j - R^2 = 2-CH_3 - 58% (*Z*/*E* = 3:1)

B - Acrylic derivatives

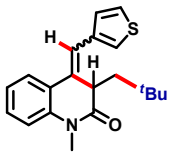


3k - R^1 = R^2 = H - 63% (*Z*/*E* = 1:1)
3l - R^1 = 4-Me - 75% (*Z*/*E* = 1:1)
3m - R^1 = 5-Cl - 68% (*Z*/*E* = 1:1.5)
3n - R^1 = 5-F - 60% (*Z*/*E* = 1:1.5)
3o - R^2 = CH_3 - 66% (*Z*/*E* = 1:1.5)

C - Heterocyclic moieties

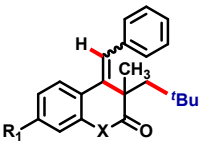


3p - 54% (*Z*/*E* = 1.5:1)



3q - 60% (*Z*/*E* = 1:3)

D - Substituents on the nitrogen



3r - X = NTs, 55% (*Z*/*E* = 3:1)
3s - X = NBn, 52% (*Z*/*E* = 2:1)
3t - X = NAc, 59% (*Z*/*E* = 3:1)
3u - R^1=F, X = NH, 47 % (*Z*/*E* = 2:1)

[a] **1a** (0.2 mmol), **2** (0.1 mmol), **HE** (0.15 mmol) and DIPEA (0.2 mmol) in 1 mL of THF - under air atmosphere. [b] Isolated yields, Z/E ratios determined by ^{1}H NMR.

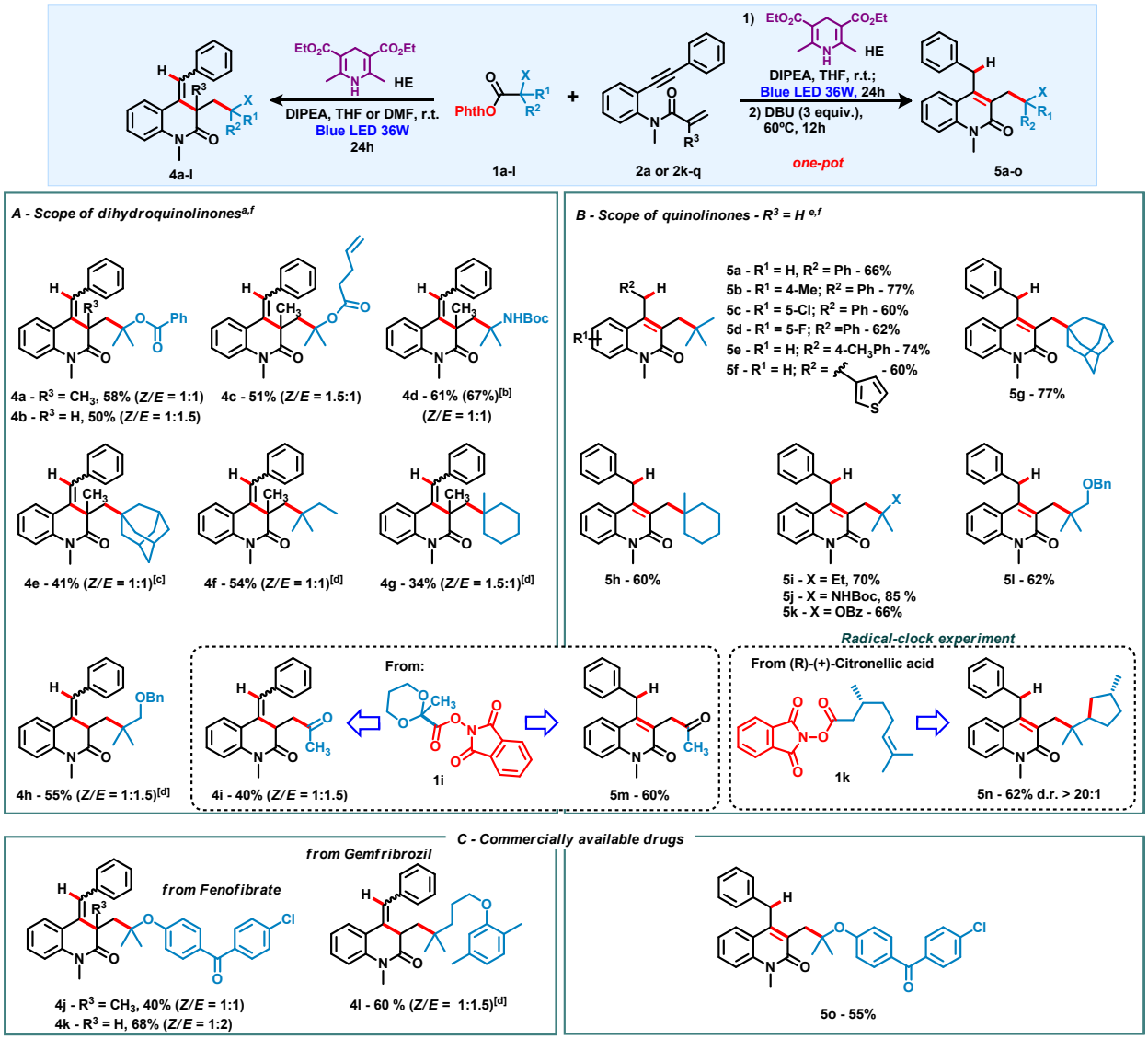
To further demonstrate the functional group compatibility of this cascade process, we explored a plethora of tertiary NHPI-esters (Table 3A – See section 5 of the supplementary material for the structure of the NHPI esters). Gratifyingly, NHPI-esters derived from 2-(benzoyloxy)-2-methylpropanoic, 2-methyl-2-(pent-4-enoyloxy)propanoic and *N*-Boc-aminoisobutyric acids (**1b-1d**) were well tolerated, affording the respective dihydroquinolinones (**4a-d**) in good yields. Once incorporated onto the dihydroquinolinone core, these moieties can further be employed as linkers or late-stage interconversion sites. To our delight, when a scale-up experiment was performed employing **1d** (1 mmol), the desired product **4d** could successfully be obtained in 67% yield. Moreover, a slight modification to the reaction conditions was employed for the sterically hindered 1-adamantyl NHPI-ester (**1e**) by increasing both the concentration and temperature (60 ºC), **4e** could be furnished in 41% yield. However, when NHPI-esters derived from the 2,2-dimethyl-butanoic and 1-methyl-1-cycloexanecarboxylic acid (**1f** and **1g**) were evaluated under the optimized conditions, indistinguishable mixtures of the products were obtained. To overcome this limitation, a further optimization was carried out, revealing the necessity of higher amount (4 equiv.) of Hantzsch ester and DMF as solvent. Under this modified

reaction condition, the dihydroquinolinones (**4f**) and (**4g**) were obtained in 54% and 34% respectively. Surprisingly, only the acrylic enyne (**2k**) afforded the desired product when NHPI esters derived from the 3-(benzyloxy)-2,2-dimethylpropanoic (**1h**) and 2-methyl-1,3-dioxane-2-carboxylic (**1i**) acids were subjected to the photochemical conditions. While the former acid afforded the respective **4h** in 55% yield, the latter delivered **4i**, after acetal hydrolysis, in 40% yield (Table 3A). Regarding these transformations, it is noteworthy that they did not require a high excess of Hantzsch ester, indicating a distinct reactivity of **2k** when compared to **2a** (Table 3A).

We then sought to develop a post-modification strategy for the obtained dihydroquinolinones. The *in situ* treatment of **3k** with DBU (3.0 equiv.) at 60 ºC in THF resulted in the isomerization product – quinolinone (**5a**) – in good yield (66%) (Table 3B). From the outset, we envisioned to expand this approach to a range of functional distinct acrylic enynes. To our delight, a new family of quinolinones (**5b-5f**) were obtained. Different NHPI esters were also tested, affording the desired products (**5g-5l**) in good to excellent yields. As earlier, the reaction involving the NHPI ester derived from 2-methyl-1,3-dioxane-2-carboxylic acid (**1i**) underwent hydrolysis during the work-up, affording **5m**

in 60% yield. Noteworthy, the NHPI-ester derived from the (*R*)-(+)-Citronellic acid (**1k**) reacted smoothly through a radical-relay process to afford **5n** in 62% yield and high diastereoselectivity (Table 3B).

Table 3. Scope of NHPI esters and one-pot hydroalkylation cascade-isomerization

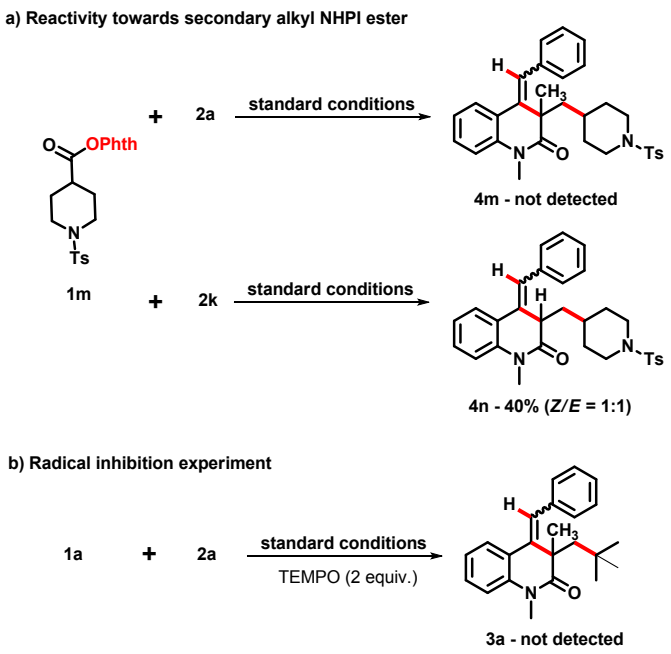


[a] Reactions were conducted as following unless otherwise mentioned: **1** (0.2 mmol), **2** (0.1 mmol), **HE** (0.15 mmol) and DIPEA (0.2 mmol) in 1 mL of THF - under air atmosphere. [b]1 mmol scale. [c]0.4M, 60°C, (without fan). [d] **HE** (0.4 mmol) and DMF as solvent. [e] i. **1** (0.2 mmol), **2** (0.1 mmol), **HE** (0.15 mmol) and DIPEA (0.2 mmol) in 1 mL of THF - under air atmosphere; ii. DBU (0.3 mmol), 60°C. [f] Isolated yields and Z/E ratio measured by ^{1}H NMR.

To demonstrate the broad applicability of this photochemical hydroalkylation protocols, NHPI esters derived from commercially available pharmaceutical ingredients – Fenofibrate and Gemfibrozil – were further examined. Gratifyingly, the respective

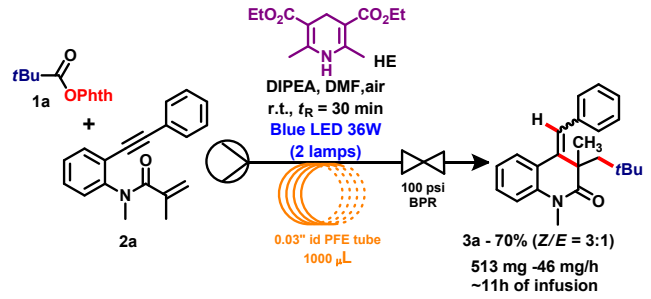
dihydroquinolinones (**4j-4l**) and quinolinone (**5o**) were readily afforded in good yields (Table 3C).

This study was further expanded to include secondary alkyl NHPI esters as radical precursor. Although the previously observed 1,5-HAT pathway may occur – we decided to evaluate the reaction between **1m** and the methacrylic (**2a**) and acrylic (**2k**) enynes. Delightfully, the dihydroquinolinone (**4n**) was smoothly obtained in 40% yield. In contrast, the formation of **4m** was not detected when **2a** was subjected to the established photochemical condition (Scheme 3a). Regarding to mechanistic aspects, when the standard reaction was performed in the presence of TEMPO, only traces of product was obtained (Scheme 3b). This experiment, together with the radical-clock experiment employing the citronellic acid NHPI ester derivative (**1k**) (Table 3B), serves as clear evidence that a radical process is taking place.



Scheme 3. a) Different reactivities between acrylic and methacrylic 1,7-enynes towards secondary alkyl NHPI ester. b) Radical inhibition experiment using TEMPO.

Taking advantage of the robustness and practicality of this new method, we envisioned its translation to the continuous flow conditions. After careful experimentation (see supporting information), **3a** could be obtained in 70% yield (513 mg after 11 hours of infusion - residence time (t_R) = 30 min (Scheme 4).



Reaction conditions: 1a (0.2 mol/L), **2a** (0.1 mol/L), **HE** (0.15 mol/L), DIPEA (0.2 mol/L), r.t, DMF, t_R = 30 min, (PBR: PFE tube 0.03" diameter; 1000 µL), back pack pressure (100 psi) - Injected 25 mL

Scheme 4. Translation to flow conditions

Conclusion

In summary, an efficient metal-free photoinduced cascade hydroalkylation process between NHPI-esters and 1,7-enynes has been developed. This reaction features mild reaction conditions, high functional group tolerance and scalability, allowing the preparation of a library of densely functionalized dihydroquinolinones with quaternary-carbon centers in moderate to good yields. According to our investigations, the reaction is triggered by a photoinduced SET process between the NHPI ester and the Hantzsch ester, which is enabled by the prior formation of an EDA complex. For some cases, an excess of the Hantzsch ester is required to obtain successful results, which can be related to the prevention of a plausible 1,6-HAT process, that may lead to several

indistinguishable side-products observed. Additionally, a better reactivity towards bulkier tertiary and secondary NHPI esters was observed when acrylic 1,7-enynes were employed. The dihydroquinolinones derived from acrylic enynes could be converted to the respective quinolinones, through a one-pot isomerization process. We believe that these findings may open new avenues in the application of photoinduced cascade process enabled by EDA complexation. Studies towards the expansion of this concept to other transformations are ongoing in our laboratory.

EXPERIMENTAL SECTION

Commercially available chemicals and solvents were used without further purification unless otherwise noted. ^{1}H NMR and ^{13}C NMR spectra were recorded on a Bruker 400 MHz and 600 MHz NMR spectrometers (400 or 600 MHz for ^{1}H and 100 or 150 MHz for ^{13}C, respectively). Chemical shifts (δ) are reported in parts per million relatives to the residual solvent signals and coupling constants (J) are reported in hertz. High resolution mass spectra (HRMS) were recorded using electron spray ionization (ESI) (Hybrid linear ion trap–orbitrap FT-MS /MS – and QqTOF Microtof – QII models). Reagents and

materials were of the highest commercially available grade and used without further purification. Flash column chromatography was carried out using silica gel 60 (230-400 mesh) and analytical thin layer chromatography (TLC) was performed using silica gel aluminum sheets. Visualization of the compounds on TLC was achieved by UV or using suitable TLC stain. Melting points (MP) were determined by a BUCHI M-560 and are uncorrected. A 34W Kessil H150 blue LED (range of emission approximately 380 – 525 nm) was used as the visible light source for all the photoinduced cascade reactions.

Enynes **2a – 2u** and the carboxylic acid precursors for the preparation of NHPI esters **1h**, **1i**, **1m** were synthesized according to previous reports in literature.[16,17,18,19,20]

General procedure for the synthesis of NHPI esters (1a-1m):[21] A round-bottom flask was charged with (if solid) carboxylic acid (1.0 equiv.), *N*-hydroxyphthalimide (1.0 equiv.) and DMAP (0.1 equiv.). Dichloromethane was added (0.15 M), and the mixture was stirred vigorously. Carboxylic acid (1.0 equiv.) was added via syringe (if liquid). DIC (1.1 equiv.) was then added dropwise via syringe, and the mixture was allowed to stir until the acid was consumed (determined by TLC). Typical reaction times were between 0.5 h and 12

h. The mixture was filtered (over Celite, SiO_2, or through a fritted funnel) and rinsed with additional CH_2Cl_2. The solvent was removed under reduced pressure, and purification by column chromatography afforded the corresponding *N*-(acyloxy)phthalimides. Note: Some esters are prone to hydrolysis on silica gel during column chromatography and should be purified as quickly as possible to obtain reasonable separation.

Alternative synthetic route to NHPI esters: The carboxylic acid (1.0 equiv.), oxalyl chloride (1.1 equiv.), *N*,*N*-dimethylformamide (DMF; 5 drops), and anhydrous dichloromethane (to form a 0.2 M solution of the carboxylic acid) were mixed in an oven-dried round-bottom flask under nitrogen. The mixture was stirred until gas evolution ceased. Then, all volatiles were removed under vacuum to yield the desired acid chloride, which was used in the next step without purification. To a solution of the acid chloride (synthesized or purchased; 1.0 equiv. – 0.2M) in anhydrous dichloromethane under nitrogen was added *N*-hydroxyphthalimide (1.1 equiv.). Triethylamine (1.1 equiv.) was then added to the mixture slowly. The resulting solution was stirred from few hours to overnight at r.t. Next, all

volatiles were removed under reduced pressure, and the residue was purified via flash chromatography.

Full characterization of the NHPI esters reported for the first time (**1b**, **1d**, **1h**, **1j** and **1l**) are reported below:

1-((1,3-dioxoisoindolin-2-yl)oxy)-2-methyl-1-oxopropan-2-yl benzoate (1b). 70% yield (660 mg), white solid, 80.5 – 82.3 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.0 Hz, 2H), 7.92 – 7.86 (m, 2H), 7.82 – 7.76 (m, 2H), 7.61 (t, *J* = 7.2 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 1.94 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 169.1, 165.1, 161.56, 134.7, 133.4, 130.0, 129.4, 129.0, 128.4, 123.9, 25.0. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{19}H_{15}NNaO_6$ 376.0792; found 376.0787.

1,3-dioxoisoindolin-2-yl 2-((tert-butoxycarbonyl)amino)-2-methylpropanoate (1d). 86% yield (1200 mg), white solid. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20 – 70:30). Spectroscopic data match those previously

reported in the literature. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.84 – 7.78 (m, 2H), 7.74 – 7.68 (m, 2H), 4.97 (s, 1H), 1.64 (s, 6H), 1.44 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 171.1, 161.7, 154.3, 134.7, 129.0, 123.9, 55.8, 42.3, 28.2, 23.4. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{17}H_{20}N_2NaO_6$ 371.1219; found 371.1207.

1,3-dioxoisoindolin-2-yl 3-(benzyloxy)-2,2-dimethylpropanoate (1h). 83% yield (630 mg), white solid. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). Spectroscopic data match those previously reported in the literature. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 – 7.88 (m, 2H), 7.83 – 7.78 (m, 2H), 7.41 (t, J = 7.3 Hz, 2H), 7.37 (d, J = 7.9 Hz, 2H), 7.33 – 7.28 (m, 1H), 4.67 (s, 2H), 3.65 (s, 2H), 1.46 (s, 6H). **^{13}C{^{1}H} NM**R (100 MHz, $CDCl_3$) δ 172.7, 162.0, 138.2, 134.7, 129.1, 128.3, 127.6, 127.6, 123.9, 76.1, 73.5, 43.6, 22.4. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}NO_5$ 354.1336; found 354.1333.

1,3-dioxoisoindolin-2-yl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (1j). 80% yield (1100 mg), pale-yellow solid, 111.9 – 115.2 °C. The product was obtained after flash

chromatography using hexane/ethyl acetate (70:30). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 – 7.89 (m, 2H), 7.86 – 7.80 (m, 4H), 7.75 (d, *J* = 8.3 Hz, 2H), 7.48 (d, *J* = 8.1 Hz, 2H), 7.14 (d, *J* = 8.5 Hz, 2H), 1.89 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 194.4, 170.4, 161.7, 158.6, 138.5, 136.3, 135.0, 132.1, 131.4, 131.3, 128.9, 128.6, 124.1, 118.5, 78.6, 25.7. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{25}H_{19}ClNO_6$ 464.0895; found 464.0883.

1,3-dioxoisoindolin-2-yl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (1l). 83% yield (920 mg), pale-yellow solid, 62.8 – 64.1 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (70:30). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 – 7.87 (m, 2H), 7.85 – 7.78 (m, 2H), 7.03 (d, *J* = 7.5 Hz, 1H), 6.68 (d, *J* = 6.6 Hz, 2H), 4.04 (t, *J* = 4.9 Hz, 2H), 2.34 (s, 3H), 2.22 (s, 3H), 2.01 – 1.97 (m, 4H), 1.48 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.8, 162.1, 157.0, 136.5, 134.7, 130.3, 129.1, 123.9, 123.6, 120.7, 112.0, 67.7, 42.0, 37.4, 25.1, 25.0, 21.4, 15.8. **HRMS** (ESI/Q-TOF) m/z: $[M+MeOH+Na]^+$ Calcd for $C_{24}H_{29}NNaO_5$ 450.1887; found 450.1884.

General photoinduced cascade procedure: ***General procedure on 0.1 mmol scale (3a-3u and 4a-4n)*****:** An oven-dried screw-cap 10 mL reaction glass tube equipped with a magnetic stirring bar was charged with *N*-(acyloxy)phthalimide 1 (2 equiv.), enyne 2 (1 equiv.), diethyl 2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate (1.5 equiv.) and *N,N*-Diisopropylethylamine (2 equiv.). Freshly distilled THF or DMF (0.1 mol L^{-1}) was added under atmospheric air. The tube was caped and placed approximately at 3 cm distance from the blue LED 34W Kessil lamp and irradiated at room temperature – the temperature was mantained by placing a fan righ above the reaction tube - for 24 h. After this period, the solvent was removed under reduced pressure and the crude residue was solubilized in ethyl acetate and washed with HCl 10% (3x) and NaOH 0.1 M (3x) (Note: When the solvent is DMF, an alternative work-up was dilute the reaction in ethyl acetate directly). The collected organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure to give the crude product. Column chromatography on silica afforded pure compounds.

EDA photoinduced cascade performed at gram-scale: To a Schlenk tube (50 mL) equipped with a magnetic stirring bar was charged with enyne **2a** (593 mg, 2.15 mmol, 1 equiv.), *N*-(acyloxy)phthalimide **1d** (1.5 g, 4.3 mmol, 2 equiv.), diethyl 2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate (817mg, 3.23 mmol, 1.5 equiv.) and *N*,*N*-Diisopropylethylamine (555,7 mg, 4.3 mmol, 2 equiv). Anhydrous THF (21.5 mL, 0.1 $molL^{-1}$) was added under atmospheric air. The tube was placed and irradiated approximately at 3 cm distance from the blue LEDs 34W light for 24 h. The solvent was removed under reduced pressure and the crude residue was extracted with ethyl acetate, washing 3 times the system with HCl 10% and NaOH 0.1 M each. The collected organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure to give the crude product. Column chromatography on silica (hexane/ethyl acetate = 9:2) to afford product **4d** (628 mg, 67% yield; Z/E= 1:1) as a pale-yellow oil. The diastereomeric ratio (dr) was determined to be 1:1 by ^1H NMR.

4-benzylidene-1,3-dimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3a). 80% yield (26.7 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.6 Hz, 1H), 7.30 – 7.21 (m, 3H), 7.20 – 7.15 (m, 4H), 7.13 – 7.08 (m, 3H), 7.06 – 7.00 (m, 4H), 6.96 – 6.88 (m, 4H), 6.68 (t, *J* = 7.5 Hz, 1H), 6.62 (s, 1H), 3.31 (s, 3H), 3.29 (s, 2H), 1.63 (s, 3H), 1.59 – 1.47 (m, 2H), 1.43 – 1.29 (m, 2H), 1.20 (s, 3H), 0.79 (s, 9H), 0.76 (s, 8H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 173.8, 173.6, 139.9, 139.4, 139.2, 138.5, 137.6, 130.8,

130.5, 129.4, 128.7, 128.7, 128.5, 128.4, 128.2, 127.8, 127.0, 126.8, 126.7, 126.2, 124.5, 123.2, 122.1, 114.3, 113.7, 52.9, 49.7, 49.6, 48.9, 33.0, 32.8, 31.1, 30.8, 30.5, 29.7, 25.0, 20.8. The diastereomeric ratio (dr) was determined to be 1.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2165.

4-benzylidene-1,3,6-trimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3b). 75% yield (26.1 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.27 – 7.22 (m, 3H), 7.20 – 7.14 (m, 4H), 7.12 – 7.02 (m, 3H), 6.95 (s, 2H), 6.84 – 6.77 (m, 2H), 6.72 (s, 1H), 6.59 (s, 1H), 3.29 (s, 1H), 3.27 (s, 3H), 2.30 (s, 3H), 1.96 (s, 1H), 1.62 (s, 1H), 1.57 – 1.55 (m, 1H), 1.53 (s, 1H), 1.43 – 1.39 (m, 1H), 1.34 – 1.32 (m, 1H), 1.19 (s, 3H), 0.80 (s, 4H), 0.76 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.6, 173.5, 140.1, 139.5, 137.7, 136.9, 136.2, 132.6, 131.3, 131.0, 130.4, 129.3, 129.2, 129.0, 128.4, 128.0, 127.8, 127.6, 126.7, 126.6, 126.0, 114.2, 113.6, 52.9, 49.7, 49.6, 49.1, 32.9, 32.7, 31.0, 30.8, 30.5, 27.1, 25.1, 20.8, 20.5. The diastereomeric ratio (dr) was determined to be 2.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{30}NO$ 348.2322; found 348.2321.

4-benzylidene-7-chloro-1,3-dimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3c). 79% yield (29.1 mg), brown oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.35 (d, J = 8.2 Hz, 1H), 7.25 (t, J = 7.4 Hz, 2H), 7.22 – 7.18 (m, 2H), 7.17 – 7.09 (m, 3H), 7.01 (d, J = 8.2 Hz, 2H), 6.95 – 6.92 (m, 1H), 6.90 (s, 1H), 6.86 – 6.81 (m, 1H), 6.68 – 6.65 (m, 1H), 6.64 (s, 1H), 3.29 (s, 1H), 3.27 (s, 3H), 1.63 (s, 1H), 1.55 (d, J = 14.4 Hz, 1H), 1.49 (d, J = 14.4 Hz, 1H), 1.39 – 1.36 (m, 1H), 1.32 – 1.27 (m, 1H), 1.18 (s, 3H), 0.80 (s, 3H), 0.76 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.7, 173.5, 140.5, 139.6, 139.0, 138.0, 137.2, 134.4, 134.0, 131.5, 131.2, 129.3, 128.3, 128.0, 127.8, 127.1, 127.0, 126.9, 123.0, 122.9, 122.1, 114.7, 114.0, 53.0, 49.7, 49.5, 49.0, 33.0, 32.8, 31.0, 30.8, 30.6, 30.5, 25.0, 20.7. The diastereomeric ratio (dr) was determined to be 3:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd $C_{23}H_{27}ClNO$ for 368.1776; found 368.1775.

4-benzylidene-7-fluoro-1,3-dimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3d). 80% yield (28.12 mg), yellow oil. The product was obtained after flash chromatography

using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 – 7.35 (m, 1H), 7.25 (t, *J* = 7.5 Hz, 2H), 7.22 – 7.19 (m, 1H), 7.18 – 7.13 (m, 3H), 7.12 – 7.07 (m, 1H), 7.02 (d, *J* = 7.3 Hz, 1H), 6.90 (s, 1H), 6.89 – 6.83 (m, 1H), 6.76 – 6.69 (m, 1H), 6.68 – 6.59 (m, 2H), 6.44 – 6.36 (m, 1H), 3.29 (s, 1H), 3.26 (s, 3H), 1.63 (s, 1H), 1.56 (d, *J* = 14.5 Hz, 1H), 1.50 (d, *J* = 14.5 Hz, 1H), 1.43 – 1.37 (m, 1H), 1.29 – 1.26 (m, 1H), 1.19 (s, 3H), 0.80 (s, 3H), 0.77 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.8, 173.6, 163.2 (*J* = 245 Hz), 139.9 (*J* = 10.3 Hz), 139.1 (*J* = 17.7 Hz), 138.1, 137.4, 131.9 (*J* = 9.4 Hz) 130.6, 129.3, 128.4, 128.3, 128.3, 127.8, 126.9, 126.8, 126.2, 124.5, 109.5 (*J* = 21.3 Hz), 108.7 (*J* = 21.3 Hz), 102.2 (*J* = 26.5 Hz), 101.5 (*J* = 26.8 Hz), 53.0, 49.8, 49.6, 49.1, 33.0, 32.8, 31.0, 30.7, 30.6, 30.5, 25.1, 20.7. The diastereomeric ratio (dr) was determined to be 3:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{27}FNO$ 352.2071; found 352.2076.

Methyl-4-((1,3-dimethyl-3-neopentyl-2-oxo-2,3-dihydroquinolin-4(1H)-ylidene)methyl) benzoate (3e). 40% yield (15.7 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$)

δ 7.95 – 7.91 (m, 2H), 7.80 – 7.76 (m, 1H), 7.42 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.30 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.28 – 7.22 (m, 2H), 7.18 – 7.14 (m, 1H), 7.10 (d, *J* = 8.2 Hz, 1H), 7.04 (td, *J* = 7.5, 1.0 Hz, 1H), 6.96 – 6.89 (m, 3H), 6.85 (dd, *J* = 7.7, 1.4 Hz, 1H), 6.68 (td, *J* = 7.6, 1.0 Hz, 1H), 6.62 (s, 1H), 3.86 (s, 3H), 3.82 (s, 1H), 3.32 (s, 1H), 3.30 (s, 3H), 1.64 (s, 1H), 1.52 (d, *J* = 8.1 Hz, 2H), 1.34 (t, *J* = 8.1 Hz, 2H), 1.17 (s, 3H), 0.80 (s, 3H), 0.76 (s, 9H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 173.9, 166.0, 162.2, 158.4, 140.9, 139.8, 138.4, 137.5, 131.6, 130.7, 130.6, 130.3, 129.6, 128.8, 128.7, 128.3, 127.0, 125.7, 123.2, 122.1, 114.4, 113.6, 113.6, 113.2, 61.4, 55.2, 53.0, 49.7, 49.4, 48.8, 32.7, 31.0, 30.8, 30.5, 25.1, 25.0, 20.8, 14.3. The diastereomeric ratio (dr) was determined to be 3:1 by ^1H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{25}H_{30}NO_3$ 392.2220; found 392.2232.

1,3-dimethyl-4-(4-methylbenzylidene)-3-neopentyl-3,4-dihydro quinolin-2(1H)-one (3f). 88% yield (30.58 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.48 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.33 (ddd, *J* = 8.1, 7.5, 1.5 Hz, 1H), 7.22 (ddd, *J* = 8.2, 7.4, 1.5 Hz, 1H), 7.13 – 7.12 (m, 4H), 7.12 – 7.07 (m, 1H), 7.05 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.01 – 6.98 (m,

4H), 6.98 – 6.95 (m, 2H), 6.77 (ddd, *J* = 5.8, 5.2, 1.3 Hz, 1H), 6.65 (s, 1H), 3.38 (s, 2H), 3.36 (s, 3H), 2.36 (d, *J* = 0.6 Hz, 3H), 2.29 (s, 2H), 1.69 (s, 2H), 1.64 (d, *J* = 14.3 Hz, 2H), 1.57 (d, *J* = 14.3 Hz, 1H), 1.48 – 1.44 (m, 1H), 1.40 – 1.34 (m, 1H), 1.29 (s, 3H), 0.86 (s, 7H), 0.83 (s, 9H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 173.9, 173.7, 139.7, 139.3, 138.5, 138.3, 136.5, 136.4, 136.3, 134.6, 130.9, 130.4, 129.3, 128.9, 128.7, 128.7, 128.5, 128.4, 128.3, 127.0, 126.1, 124.7, 123.2, 122.1, 114.3, 113.6, 53.0, 49.7, 49.5, 48.9, 32.9, 32.8, 31.0, 30.8, 30.5, 25.1, 21.2, 20.8. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{30}NO$ 348,2327; found 348,2321.

4-(4-methoxybenzylidene)-1,3-dimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3g). 61% yield (22.17 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 (d, *J* = 7.7 Hz, 1H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.16 (t, *J* = 7.7 Hz, 1H), 7.08 (d, *J* = 8.2 Hz, 2H), 7.05 – 6.95 (m, 2H), 6.94 – 6.88 (m, 2H), 6.79 (d, *J* = 8.4 Hz, 2H), 6.72 (t, *J* = 7.4 Hz, 1H), 6.65 (d, *J* = 8.2 Hz, 1H), 6.55 (s, 1H), 3.76 (s, 3H), 3.70 (s, 1H), 3.31 (s, 1H), 3.29 (s, 3H), 1.62 (s, 1H), 1.60 – 1.47 (m, 3H), 1.41 – 1.29 (m, 1H), 1.23 (s, 3H), 0.79 (s, 3H), 0.76 (s, 9H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 173.9, 166.0, 162.2, 158.4, 140.9, 139.8, 138.4, 137.5, 131.6, 131.0, 130.6, 130.3, 129.6, 128.8, 128.7, 128.3, 127.0, 125.7,

123.2, 122.1, 114.4, 113.6, 113.6, 113.2, 61.4, 55.2, 53.0, 49.7, 49.4, 48.8, 32.7, 31.0, 30.8, 30.5, 25.1, 25.0, 20.8, 14.3. The diastereomeric ratio (dr) was determined to be 3:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{30}NO_2$ 364.2271; found 364.2273.

4-(4-fluorobenzylidene)-1,3-dimethyl-3-neopentyl-3,4-dihydroqui nolin-2(1H)-one (3h). 63% yield (22.14 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.35 (ddd, *J* = 8.1, 7.5, 1.5 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.22 – 7.17 (m, 2H), 7.11 (td, *J* = 7.5, 1.1 Hz, 1H), 7.08 – 7.06 (m, 1H), 7.05 – 7.03 (m, 1H), 7.03 – 7.00 (m, 1H), 7.00 – 6.98 (m, 1H), 6.98 – 6.94 (m, 2H), 6.91 – 6.84 (m, 1H), 6.78 (td, *J* = 7.5, 1.1 Hz, 1H), 6.64 (s, 1H), 3.38 (s, 1H), 3.37 (s, 3H), 1.69 (s, 1H), 1.59 (q, *J* = 14.4 Hz, 2H), 1.49 – 1.38 (m, 1H), 1.26 (s, 3H), 0.86 (s, 4H), 0.83 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.6, 173.5, 161.7 (*J* = 245.8 Hz), 161.7 (*J* = 246.8 Hz), 140.7, 139.4, 139.3, 138.5, 135.1, 135.1, 133.5, 133.5, 131.0 (*J* = 7.8 Hz), 130.3, 130.0 (*J* = 7.8 Hz), 129.6, 128.9, 128.6 (*J* = 14.7 Hz), 127.0, 124.9, 124.3, 123.2, 122.1, 115.1 (*J* = 21.4 Hz), 114.8

(J = 21.3 Hz), 114.5, 113.7, 52.8, 49.8, 49.5, 48.9, 33.0, 32.8, 31.0, 30.8, 30.5, 30.5, 25.1, 20.7. The diastereomeric ratio (dr) was determined to be 2:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{27}FNO$ 352.2071; found 352.2071.

4-benzylidene-7-fluoro-1,3-dimethyl-3-neopentyl-3,4-dihydroquino lin-2(1H)-one (3i). 73% yield (25.66 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.40 (dd, J = 7.6, 1.4 Hz, 1H), 7.28 (td, J = 8.1, 1.5 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.18 – 7.15 (m, 1H), 7.11 – 7.06 (m, 1H), 7.06 – 7.01 (m, 1H), 6.97 – 6.93 (m, 1H), 6.93 – 6.88 (m, 3H), 6.87 (s, 2H), 6.82 – 6.74 (m, 1H), 6.74 – 6.69 (m, 1H), 6.56 (s, 1H), 3.31 (s, 1H), 3.29 (s, 3H), 1.62 (s, 1H), 1.52 (q, J = 14.4 Hz, 3H), 1.43 – 1.32 (m, 2H), 1.21 (s, 3H), 0.79 (s, 3H), 0.76 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.8, 173.6, 163.2 (J = 245 Hz), 139.9 (J = 10.3 Hz), 139.1 (J = 17.7 Hz), 138.1, 137.4, 131.9 (J = 9.4 Hz) 130.6, 129.3, 128.4, 128.3, 128.3, 127.8, 126.9, 126.8, 126.2, 124.5, 109.5 (J = 21.3 Hz), 108.7 (J = 21.3 Hz), 102.2 (J = 26.5 Hz), 101.5 (J = 26.8 Hz), 53.0, 49.8, 49.6, 49.1, 33.0, 32.8, 31.0, 30.7,

30.6, 30.5, 25.1, 20.7. The diastereomeric ratio (dr) was determined to be 2.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{27}FNO$ 352.2071; found 352.2071.

1,3-dimethyl-4-(2-methylbenzylidene)-3-neopentyl-3,4-dihydroquino lin-2(1H)-one (3j). 58% yield (20.01 mg), pale yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54 (dd, *J* = 7.6, 1.3 Hz, 1H), 7.38 (td, *J* = 8.0, 1.5 Hz, 1H), 7.24 – 7.18 (m, 4H), 7.17 – 7.09 (m, 2H), 7.03 – 6.98 (m, 2H), 6.98 – 6.94 (m, 1H), 6.90 (s, 1H), 6.85 (d, *J* = 7.7 Hz, 1H), 6.80 – 6.76 (m, 1H), 6.72 (td, *J* = 7.6, 0.9 Hz, 1H), 3.42 (s, 1H), 3.40 (s, 3H), 2.26 (s, 3H), 2.24 (s, 1H), 1.77 (s, 1H), 1.70 – 1.58 (m, 3H), 1.56 – 1.43 (m, 1H), 1.24 (s, 3H), 0.92 (s, 3H), 0.85 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.8, 173.6, 173.2, 140.0, 139.1, 138.7, 138.5, 137.0, 136.4, 136.0, 130.2, 130.0, 129.9, 129.6, 128.7, 128.4, 128.2, 127.2, 127.0, 126.9, 125.9, 125.5, 125.0, 124.7, 123.1, 122.0, 114.1, 113.7, 52.9, 49.5, 49.5, 49.2, 33.1, 32.6, 31.1, 30.7, 30.5, 30.5, 23.9, 20.8, 20.6, 19.8. The diastereomeric ratio (dr) was determined to be 3:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{30}NO$ 348.2322; found 348.2327.

4-benzylidene-1-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3k). 63% yield (20.12 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 7.53 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 2H), 7.35 – 7.32 (m, 3H), 7.30 – 7.24 (m, 3H), 7.22 – 7.16 (m, 5H), 7.15 – 7.08 (m, 2H), 7.04 (dd, *J* = 8.1, 2.5 Hz, 2H), 6.85 – 6.80 (m, 2H), 6.57 (s, 1H), 4.18 (t, *J* = 7.1 Hz, 1H), 3.50 (dd, *J* = 9.2, 4.6 Hz, 1H), 3.39 (s, 3H), 3.38 (s, 3H), 1.53 – 1.45 (m, 2H), 1.45 – 1.38 (m, 2H), 0.96 (s, 9H), 0.77 (s, 9H). **^{13}C{^{1}H} NMR** (150 MHz, $CDCl_3$) δ 172.6, 172.0, 140.0, 139.0, 136.6, 136.5, 136.4, 134.7, 129.4, 129.0, 128.8, 128.8, 128.7, 128.6, 128.6, 128.5, 128.2, 128.2, 127.3, 127.1, 125.5, 123.8, 123.2, 122.4, 115.0, 114.5, 51.0, 46.2, 43.9, 42.8, 29.8, 29.8, 29.8, 29.5. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{26}NO$ 320.2009; found 320.2012.

4-benzylidene-1,6-dimethyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3l). 75% yield (25.11 mg), colorless oil. The product was obtained after flash chromatography using

hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.34 – 7.28 (m, 2H), 7.27 – 7.24 (m, 3H), 7.23 – 7.19 (m, 1H), 7.13 – 7.10 (m, 4H), 7.10 – 7.05 (m, 2H), 6.98 (dd, *J* = 8.3, 1.4 Hz, 1H), 6.87 – 6.82 (m, 3H), 6.73 (s, 1H), 6.47 (s, 1H), 4.08 (t, *J* = 8.4, 5.7 Hz, 1H), 3.40 (dd, *J* = 8.3, 5.4 Hz, 1H), 3.29 (s, 3H), 3.28 (s, 3H), 2.31 (s, 3H), 2.01 (s, 3H), 1.44 – 1.38 (m, 2H), 1.38 – 1.33 (m, 2H), 0.89 (s, 9H), 0.70 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 172.5, 171.9, 137.6, 136.7, 136.7, 136.6, 136.6, 136.2, 134.9, 132.7, 131.7, 129.9, 129.3, 129.0, 128.8, 128.1, 127.8, 127.2, 127.1, 126.9, 126.0, 123.6, 114.8, 114.4, 51.1, 46.2, 43.9, 42.8, 31.9, 31.6, 29.8, 29.5, 20.8, 20.5. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2174.

4-benzylidene-7-chloro-1-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3m). 68% yield (24.07 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 8.2 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.26 – 7.21 (m, 2H), 7.16 – 7.11 (m, 3H), 7.09 – 7.05 (m, 2H), 7.02 (dd, *J* = 8.2, 1.9 Hz, 1H), 6.97 – 6.94 (m, 2H), 6.92 (s, 1H), 6.74 – 6.70 (m, 2H),

6.52 (s, 1H), 4.10 (t, *J* = 7.1 Hz, 1H), 3.44 (dd, *J* = 9.0, 4.8 Hz, 1H), 3.30 (s, 3H), 3.28 (s, 2H), 1.45 – 1.37 (m, 2H), 1.37 – 1.30 (m, 2H), 0.89 (s, 9H), 0.70 (s, 7H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 172.4, 171.8, 141.2, 140.1, 136.2, 136.1, 135.3, 134.4, 134.3, 133.5, 130.4, 129.2, 129.0, 128.7, 128.6, 128.6, 128.4, 127.5, 127.4, 126.4, 125.5, 123.1, 122.4, 122.2, 115.4, 114.9, 50.7, 46.3, 44.0, 42.6, 31.9, 31.6, 29.9, 29.8, 29.7, 29.4. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{25}ClNO$ 354.1619; found 354.1624.

4-benzylidene-7-fluoro-1-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3n). 60% yield (20.24 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.41 (dd, *J* = 8.4, 6.2 Hz, 1H), 7.35 – 7.28 (m, 2H), 7.26 – 7.20 (m, 2H), 7.17 – 7.11 (m, 3H), 7.10 – 7.05 (m, 2H), 6.97 (dd, *J* = 8.5, 6.4 Hz, 1H), 6.74 (ddd, *J* = 8.0, 6.3, 2.2 Hz, 1H), 6.71 – 6.66 (m, 2H), 6.49 (s, 1H), 6.45 (td, *J* = 8.4, 2.4 Hz, 1H), 4.10 (t, *J* = 7.1 Hz, 1H), 3.43 (dd, *J* = 8.8, 5.0 Hz, 1H), 3.29 (s, 3H), 3.27 (s, 2H), 1.43 – 1.38 (m, 2H), 1.35 (dd, *J* = 9.9, 5.4 Hz, 2H), 0.89 (s, 9H), 0.70 (s, 7H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 172.5, 171.9, 163.2

(*J* = 245.9 Hz), 162.9 (*J* = 246.4 Hz), 141.7, 141.6, 140.6, 140.5, 136.3, 136.26, 135.4, 133.6, 130.8 (*J* = 9.2 Hz), 129.0, 128.7, 128.6, 128.6, 128.3, 128.0, 127.3 (*J* = 17.1 Hz), 126.7 (*J* = 9.4 Hz), 123.0, 123.0, 119.5, 119.5, 109.6 (*J* = 21.7 Hz), 109.0 (*J* = 21.5 Hz), 102.9 (*J* = 26.7 Hz), 102.5 (*J* = 27.0 Hz), 50.9, 46.3, 44.0, 42.7, 31.9, 31.6, 29.9, 29.8, 29.7, 29.4. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{25}FNO$ 338.1915; found 338.1922.

1-methyl-4-(4-methylbenzylidene)-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3o). 66% yield (22.01 mg), dark-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 7.6 Hz, 1H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.20 – 7.14 (m, 3H), 7.13 – 7.05 (m, 3H), 7.05 – 6.98 (m, 3H), 6.98 – 6.91 (m, 4H), 6.76 (t, *J* = 7.5 Hz, 1H), 6.71 (s, 1H), 6.46 (s, 1H), 4.12 (t, *J* = 7.1 Hz, 1H), 3.41 (dd, *J* = 8.6, 5.1 Hz, 1H), 3.31 (s, 3H), 3.30 (s, 2H), 2.29 (s, 2H), 2.22 (s, 3H), 1.47 – 1.37 (m, 2H), 1.36 – 1.31 (m, 2H), 0.88 (s, 9H), 0.72 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 172.7, 172.0, 140.0, 139.0, 137.1, 136.9, 135.7, 133.7, 133.6, 129.4, 129.3, 128.9, 128.7, 128.6, 128.2, 127.3, 125.4, 124.0, 123.2, 122.3, 115.0, 114.5, 51.0,

46.1, 43.8, 42.7, 31.9, 31.6, 29.8, 29.8, 29.5, 21.3, 21.2. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2170.

1,3-dimethyl-3-neopentyl-4-(pyridin-3-ylmethylene)-3,4-dihydroquinolin-2(1H)-one (3p). 54% yield (18.06 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 70:30). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.49 – 8.42 (m, 2H), 8.34 – 8.25 (m, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.43 (d, *J* = 7.7 Hz, 1H), 7.35 – 7.27 (m, 2H), 7.22 – 7.16 (m, 3H), 7.04 (dd, *J* = 14.2, 7.1 Hz, 2H), 6.97 – 6.89 (m, 2H), 6.88 – 6.82 (m, 2H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.56 (s, 1H), 3.32 (s, 2H), 3.30 (s, 3H), 1.65 (s, 2H), 1.59 – 1.49 (m, 2H), 1.45 – 1.30 (m, 2H), 1.18 (s, 3H), 0.80 (s, 7H), 0.76 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.2, 150.4, 148.9, 148.0, 147.6, 142.8, 142.3, 139.4, 138.5, 136.6, 135.9, 135.2, 133.6, 130.1, 129.2, 129.1, 128.1, 127.0, 126.3, 123.7, 123.3, 123.0, 122.7, 122.4, 122.1, 114.7, 113.8, 53.0, 49.9, 49.9, 48.9, 33.0, 32.8, 31.0, 30.7, 30.6, 30.5, 25.6, 20.7. The diastereomeric ratio (dr) was determined to be 1.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{27}N_2O$ 335.2118; found 335.2122.

1-methyl-3-neopentyl-4-(thiophen-3-ylmethylene)-3,4-dihydroquinolin-2(1H)-one (3q). 60% yield (20.37 mg), brown oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.5 Hz, 1H), 7.30 – 7.24 (m, 2H), 7.23 – 7.20 (m, 1H), 7.10 (d, *J* = 4.9 Hz, 1H), 7.08 – 7.03 (m, 2H), 6.99 – 6.94 (m, 2H), 6.86 (t, *J* = 7.5 Hz, 1H), 6.83 – 6.80 (m, 1H), 6.66 (s, 1H), 6.43 (s, 1H), 4.17 (t, *J* = 7.3 Hz, 1H), 3.39 (dd, *J* = 9.0, 4.6 Hz, 1H), 3.30 (s, 4H), 1.44 – 1.34 (m, 2H), 1.34 – 1.31 (m, 1H), 0.88 (s, 9H), 0.76 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 172.6, 171.8, 139.8, 137.5, 133.8, 129.3, 128.9, 128.7, 128.3, 127.9, 125.9, 125.3, 125.0, 124.2, 124.2, 123.6, 123.2, 122.7, 122.4, 122.3, 114.9, 114.5, 51.0, 46.1, 43.8, 43.4, 31.8, 31.6, 31.5, 29.7, 29.5. The diastereomeric ratio (dr) was determined to be 1:3 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{24}NOS$ 326.1573; found 326.1577.

4-benzylidene-3-methyl-3-neopentyl-1-tosyl-3,4-dihydroquinolin-2(1H)-one (3r). 55% yield (26.05 mg), pale yellow oil. The product was obtained after flash chromatography

using hexane/ethyl acetate (85:15 – 70:30). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 (d, *J* = 8.3 Hz, 2H), 7.88 (d, *J* = 8.3 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.71 (dd, *J* = 8.1, 0.5 Hz, 1H), 7.49 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.43 (td, *J* = 7.9, 1.6 Hz, 1H), 7.38 – 7.33 (m, 3H), 7.33 – 7.21 (m, 6H), 7.14 (s, 1H), 7.11 – 7.02 (m, 3H), 6.60 (s, 1H), 2.48 (s, 3H), 2.39 (s, 1H), 1.60 (d, *J* = 14.5 Hz, 1H), 1.50 (s, 1H), 1.41 (d, *J* = 14.5 Hz, 1H), 1.31 – 1.24 (m, 1H), 1.03 (s, 3H), 0.81 (s, 3H), 0.76 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 144.7, 138.3, 138.2, 137.4, 136.8, 134.3, 133.4, 132.6, 132.2, 129.9, 129.5, 129.3, 128.5, 128.3, 128.2, 128.1, 127.9, 127.5, 127.0, 126.6, 125.9, 124.1, 122.4, 53.1, 52.7, 51.9, 48.5, 32.6, 32.5, 30.8, 30.7, 25.5, 21.7, 21.6, 21.1. The diastereomeric ratio (dr) was determined to be 3:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{29}H_{31}NNaO_3S$ 496.1917; found 496.1907.

1-benzyl-4-benzylidene-3-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3s). 52% yield (21.30 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.49 (dd, *J* = 7.6, 1.4

Hz, 1H), 7.36 – 7.26 (m, 10H), 7.26 – 7.21 (m, 6H), 7.20 – 7.13 (m, 3H), 7.10 – 7.04 (m, 3H), 7.03 (s, 1H), 6.98 (dd, *J* = 7.7, 1.3 Hz, 1H), 6.91 (t, *J* = 7.8 Hz, 2H), 6.77 (s, 1H), 6.71 (t, *J* = 7.1 Hz, 1H), 5.30 (d, *J* = 14.8 Hz, 1H), 5.20 (d, *J* = 4.7 Hz, 1H), 5.04 (d, *J* = 16.3 Hz, 1H), 1.80 (d, *J* = 14.2 Hz, 1H), 1.77 (s, 1H), 1.65 – 1.61 (m, 1H), 1.58 – 1.53 (m, 2H), 1.31 (s, 3H), 0.92 (s, 4H), 0.90 (s, 9H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 174.3, 174.1, 139.5, 139.3, 138.8, 138.5, 137.8, 137.6, 137.3, 131.4, 130.6, 129.4, 129.2, 128.7, 128.6, 128.5, 128.4, 128.2, 127.8, 127.1, 127.0, 126.8, 126.7, 126.6, 126.5, 126.5, 123.3, 122.3, 115.3, 114.5, 51.7, 50.3, 50.1, 48.0, 47.0, 46.6, 33.4, 33.3, 31.3, 31.1, 24.1, 20.4. The diastereomeric ratio (dr) was determined to be 2:1 by ^1H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{29}H_{32}NO$ 410.2478; found 410.2483.

1-acetyl-4-benzylidene-3-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3t). 59% yield (21.33 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.40 (d, *J* = 7.6 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.30 – 7.22 (m, 4H), 7.22 – 7.16 (m, 4H), 7.16 – 7.10 (m, 3H), 7.03 (s, 1H), 6.94 (d, *J* = 7.7 Hz, 1H), 6.84 (t, *J* = 7.5 Hz, 1H), 6.63 (s, 1H), 2.60

(s, 1H), 2.48 (s, 3H), 1.81 (d, J = 14.4 Hz, 1H), 1.64 (s, 1H), 1.54 (d, J = 14.4 Hz, 1H), 1.33 – 1.31 (m, 1H), 1.19 (s, 3H), 0.80 (s, 3H), 0.75 (s, 9H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 177.5, 175.7, 174.6, 173.7, 138.6, 138.6, 137.7, 136.7, 135.0, 133.9, 131.9, 131.6, 130.0, 129.6, 128.2, 128.0, 127.5, 127.3, 127.0, 125.8, 124.9, 122.5, 121.4, 52.8, 52.5, 52.2, 48.7, 32.9, 32.5, 31.1, 30.8, 28.5, 27.2, 26.2, 21.1. The diastereomeric ratio (dr) was determined to be 3:1 by ^1H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{28}NO_2$ 362.2115; found 362.2124.

4-benzylidene-7-fluoro-3-methyl-3-neopentyl-3,4-dihydroquinolin-2(1H)-one (3u). 47% yield (15.86 mg), viscous oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^1H NMR** (400 MHz, $CDCl_3$) δ 9.02 – 8.90 (m, 1H), 8.88 – 8.78 (m, 1H), 7.39 (dd, J = 8.6, 5.8 Hz, 1H), 7.30 – 7.21 (m, 3H), 7.21 – 7.15 (m, 3H), 7.15 – 7.09 (m, 1H), 7.04 – 6.98 (m, 1H), 6.97 (s, 1H), 6.83 (dd, J = 8.6, 6.1 Hz, 1H), 6.69 (td, J = 8.5, 2.5 Hz, 1H), 6.66 (s, 1H), 6.53 – 6.45 (m, 2H), 6.35 (td, J = 8.6, 2.5 Hz, 1H), 1.78 (d, J = 14.4 Hz, 1H), 1.66 – 1.61 (m, 2H), 1.47 (s, 1H), 1.21 (s, 3H), 0.86 (s, 3H), 0.82 (s, 9H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 176.1, 175.6, 163.3 (J = 207.1 Hz),

162.8 (J = 197.4 Hz), 140.9, 139.2, 138.5, 137.6 (J = 10.7 Hz), 136.7 (J = 10.6 Hz), 132.2 (J = 9.3 Hz), 131.0, 129.2, 128.4, 128.3, 128.1, 128.1, 127.9, 127.3, 126.9, 126.9, 122.5, 118.4, 110.3 (J = 21.7 Hz), 109.2 (J = 21.7 Hz), 102.4 (J = 25.3 Hz), 102.0 (J = 25.5 Hz), 54.2, 49.5, 49.2, 49.0, 33.4, 32.8, 31.2, 30.8, 25.6. The diastereomeric ratio (dr) was determined to be 2:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{25}FNO$ 338.1915; found 338.1918.

1-(4-benzylidene-1,3-dimethyl-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-2-methylpropan-2-yl benzoate (4a). 58% yield (25.50 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 (d, J = 8.0 Hz, 2H), 7.80 (d, J = 8.0 Hz, 2H), 7.50 – 7.41 (m, 2H), 7.36 (t, J = 7.6 Hz, 2H), 7.33 – 7.28 (m, 3H), 7.25 (t, J = 7.8 Hz, 1H), 7.21 – 7.17 (m, 5H), 7.17 – 7.11 (m, 2H), 7.08 (t, J = 6.5 Hz, 3H), 7.02 – 6.98 (m, 2H), 6.98 – 6.94 (m, 2H), 6.92 (d, J = 8.2 Hz, 2H), 6.81 (d, J = 8.1 Hz, 1H), 6.71 (t, J = 7.5 Hz, 1H), 6.64 (s, 1H), 3.27 (s, 3H), 3.18 (s, 3H), 2.41 (d, J = 15.0 Hz, 1H), 2.27 (d, J = 15.1 Hz, 1H), 2.14 (d, J = 15.0 Hz, 1H), 1.83 (d, J = 15.0 Hz, 1H), 1.68 (s, 3H), 1.53 (s, 3H), 1.48 (s, 3H), 1.43 (s, 3H), 1.39

(s, 3H), 1.26 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 173.2, 172.8, 165.6, 165.6, 139.3, 139.1, 138.5, 138.4, 137.3, 132.6, 132.5, 131.6, 131.8, 131.4, 130.2, 129.6, 129.4, 128.9, 128.8, 128.4, 128.3, 128.2, 128.1, 127.8, 127.0, 127.0, 126.9, 126.8, 124.2, 123.4, 122.4, 114.7, 113.9, 84.0, 83.5, 49.2, 48.6, 44.8, 30.7, 30.6, 28.2, 28.0, 26.9, 26.6, 24.7, 19.9. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{29}H_{29}NNaO_3$ 462.2040; found 462.2031.

1-(4-benzylidene-1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-2-methylpropan-2-yl benzoate (4b). 50% yield (21.28 mg), yellow solid, 127.8 – 129.3 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 7.9 Hz, 2H), 7.83 (d, *J* = 7.9 Hz, 2H), 7.50 – 7.43 (m, 2H), 7.41 – 7.31 (m, 5H), 7.28 (t, *J* = 7.8 Hz, 1H), 7.23 – 7.16 (m, 5H), 7.16 – 7.10 (m, 1H), 7.07 – 6.99 (m, 4H), 6.98 – 6.91 (m, 3H), 6.88 – 6.81 (m, 2H), 6.77 – 6.70 (m, 2H), 6.40 (s, 1H), 4.30 (t, *J* = 7.3 Hz, 1H), 3.58 (dd, *J* = 8.5, 4.4 Hz, 1H), 3.26 (s, 3H), 3.15 (s, 2H), 2.25 (ddd, *J* = 22.7, 14.5, 8.1 Hz, 2H), 2.06 (dd, *J* = 14.8, 6.9 Hz, 1H), 1.98 (dd, *J* = 14.4, 4.4 Hz, 1H), 1.58 (s, 6H), 1.47 (s, 2H), 1.41 (s, 2H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$)

δ 171.6, 170.6, 165.5, 139.8, 138.9, 136.2, 136.1, 135.2, 133.4, 132.6, 132.6, 131.8, 131.7, 129.6, 129.5, 129.0, 129.0, 128.8, 128.7, 128.6, 128.3, 128.1, 128.1, 127.4, 127.1, 126.8, 125.6, 123.5, 123.4, 122.5, 115.2, 114.7, 82.3, 49.7, 42.6, 42.0, 40.7, 29.9, 29.8, 27.0, 26.7, 26.6, 26.2. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{28}H_{27}NNaO_3$ 448.1883; found 448.1879.

1-(4-benzylidene-1,3-dimethyl-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-2-methylpropan-2-yl pent-4-enoate (4c). 51% yield (21.29 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.42 (dd, *J* = 7.7, 1.1 Hz, 1H), 7.28 – 7.24 (m, 4H), 7.20 – 7.16 (m, 6H), 7.10 (t, *J* = 4.7 Hz, 3H), 7.04 – 7.01 (m, 3H), 7.00 – 6.95 (m, 2H), 6.94 – 6.89 (m, 3H), 6.74 – 6.68 (m, 1H), 6.65 (s, 1H), 5.81 – 5.63 (m, 2H), 5.01 – 4.87 (m, 4H), 3.33 (s, 3H), 3.31 (s, 3H), 2.26 (s, 3H), 2.19 – 2.14 (m, 3H), 2.13 – 2.08 (m, 2H), 2.06 – 2.03 (m, 3H), 1.68 (d, *J* = 14.5 Hz, 1H), 1.64 (s, 3H), 1.35 (s, 6H), 1.30 (s, 3H), 1.26 (s, 3H), 1.21 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 139.3, 139.2, 139.1, 138.5, 138.5, 137.3, 136.9, 136.9, 131.3,

130.2, 129.4, 129.0, 128.8, 128.4, 128.2, 128.1, 127.9, 127.0, 126.9, 126.8, 126.7, 124.2, 123.4, 122.3, 115.3, 115.3, 114.6, 113.8, 83.2, 82.6, 61.4, 49.9, 48.5, 45.3, 34.9, 34.6, 30.7, 30.6, 28.9, 28.8, 27.8, 27.4, 26.6, 26.5, 24.6, 19.6, 14.3. The diastereomeric ratio (dr) was determined to be 1.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{27}H_{31}NNaO_3$ 440.2196; found 440.2191.

Tert-butyl-(1-(4-benzylidene-1,3-dimethyl-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-2-methylpropan-2-yl) carbamate (4d). 61% yield (26.51 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.38 – 7.34 (m, 1H), 7.34 – 7.29 (m, 4H), 7.26 – 7.20 (m, 2H), 7.20 – 7.15 (m, 3H), 7.14 – 7.09 (m, 3H), 7.08 (s, 1H), 7.03 – 6.99 (m, 2H), 6.98 (d, *J* = 8.1 Hz, 1H), 6.77 (td, *J* = 7.6, 1.0 Hz, 1H), 6.71 (s, 1H), 4.57 (s, 1H), 4.34 (s, 1H), 3.40 (s, 3H), 3.37 (s, 3H), 2.20 (d, *J* = 14.7 Hz, 1H), 1.73 (s, 3H), 1.46 (s, 1H), 1.43 (s, 9H), 1.41 (s, 1H), 1.38 (s, 9H), 1.31 (s, 3H), 1.23 (s, 3H), 1.21 (s, 3H), 1.14 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.1, 154.0, 139.2, 139.1, 138.9, 138.3, 137.4, 131.6, 130.4, 129.5, 129.1, 128.8, 128.6, 128.2, 128.0, 127.9, 127.0, 126.9, 126.8,

126.6, 123.5, 122.3, 114.6, 113.8, 77.3, 61.4, 53.3, 52.9, 49.4, 48.6, 48.5, 44.5, 30.7, 30.6, 29.0, 28.6, 25.5, 20.0, 14.3. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{27}H_{34}N_2NaO_3$ 457.2462; found 457.2462.

3-(((1*R*,3*R*)-adamantan-1-yl)methyl)-4-((E)-benzylidene)-1,3-dimethyl-3,4-dihydroquinolin-2(1H)-one (4e). 41% yield (16.88 mg), brown oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.6 Hz, 1H), 7.31 – 7.23 (m, 3H), 7.22 – 7.15 (m, 4H), 7.15 – 7.07 (m, 3H), 7.04 (t, *J* = 6.9 Hz, 3H), 6.93 (t, *J* = 7.0 Hz, 3H), 6.89 (s, 1H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.62 (s, 1H), 3.32 (s, 3H), 3.29 (s, 3H), 1.75 (s, 5H), 1.65 (s, 3H), 1.58 – 1.48 (m, 9H), 1.47 – 1.35 (m, 17H), 1.25 (d, *J* = 14.5 Hz, 1H), 1.20 (s, 3H), 1.14 (d, *J* = 14.6 Hz, 1H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 174.1, 173.6, 140.0, 139.4, 139.3, 139.2, 138.6, 137.7, 130.6, 129.4, 128.7, 128.7, 128.5, 128.4, 128.2, 127.8, 127.0, 126.8, 126.7, 126.0, 124.5, 123.2, 122.0, 114.3, 113.7, 54.4, 50.3, 49.3, 49.2, 43.3, 43.0, 36.9, 36.8, 35.3, 35.1, 30.6, 30.5, 28.8, 28.7, 25.7, 21.4. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{29}H_{34}NO$ 412.2635; found 412.2639.

4-benzylidene-3-(2,2-dimethylbutyl)-1,3-dimethyl-3,4-dihydroquinolin-2(1H)-one (4f). 54% yield (18.77 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.7 Hz, 1H), 7.29 – 7.21 (m, 3H), 7.21 – 7.14 (m, 4H), 7.12 (d, *J* = 6.3 Hz, 1H), 7.10 – 7.07 (m, 2H), 7.06 – 7.01 (m, 3H), 6.94 (d, *J* = 5.0 Hz, 2H), 6.90 (d, *J* = 8.9 Hz, 2H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.62 (s, 1H), 3.32 (s, 3H), 3.30 (s, 3H), 1.64 (s, 3H), 1.51 (d, *J* = 2.6 Hz, 2H), 1.39 (d, *J* = 14.4 Hz, 1H), 1.31 – 1.26 (m, 1H), 1.20 (s, 3H), 1.08 – 0.99 (m, 4H), 0.78 (s, 3H), 0.75 (s, 3H), 0.72 (d, *J* = 2.5 Hz, 6H), 0.56 (t, *J* = 5.5 Hz, 3H), 0.53 (t, *J* = 5.5 Hz, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.9, 173.7, 140.1, 139.4, 139.4, 138.5, 137.7, 130.7, 130.5, 129.4, 128.7, 128.5, 128.4, 128.1, 127.8, 127.0, 126.8, 126.7, 126.2, 124.6, 123.2, 122.1, 114.3, 113.7, 50.5, 49.6, 49.4, 46.4, 36.5, 36.1, 35.4, 35.2, 30.5, 30.5, 27.9, 27.5, 27.1, 26.9, 25.0, 20.8, 8.3. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{24}H_{30}NO$ 348.2322; found 348.2328.

4-benzylidene-1,3-dimethyl-3-((1-methylcyclohexyl)methyl)-3,4-dihydroquinolin-2(1H)-one (4g). 34% yield (12.70 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.6 Hz, 1H), 7.29 – 7.22 (m, 3H), 7.21 – 7.14 (m, 4H), 7.13 – 7.07 (m, 2H), 7.06 – 7.00 (m, 3H), 6.95 – 6.90 (m, 3H), 6.89 (s, 1H), 6.68 (t, *J* = 7.5 Hz, 1H), 6.61 (s, 1H), 3.31 (s, 2H), 3.29 (s, 3H), 1.65 (s, 2H), 1.59 – 1.53 (m, 2H), 1.53 – 1.47 (m, 2H), 1.25 – 1.14 (m, 14H), 1.11 – 0.98 (m, 7H), 0.86 (s, 2H), 0.80 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 173.9, 173.7, 140.3, 139.5, 139.4, 139.3, 138.5, 137.7, 130.5, 129.4, 128.7, 128.5, 128.5, 128.1, 127.8, 127.1, 126.8, 126.7, 126.1, 124.6, 123.2, 122.1, 114.4, 113.7, 52.8, 49.6, 49.4, 49.3, 48.8, 39.7, 39.2, 39.1, 38.8, 38.0, 37.9, 37.3, 35.5, 35.3, 30.5, 26.7, 26.2, 25.3, 24.1, 23.7, 22.6, 22.6, 21.9, 21.3. The diastereomeric ratio (dr) was determined to be 1.5:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{26}H_{32}NO$ 374.2478; found 374.2482.

4-benzylidene-3-(3-(benzyloxy)-2,2-dimethylpropyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one (4h). 55% yield (23.41 mg), colorless oil. The product was obtained after flash

chromatography using hexane/ethyl acetate (90:10 – 85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.6 Hz, 1H), 7.27 – 7.23 (m, 7H), 7.22 (d, *J* = 3.3 Hz, 3H), 7.20 – 7.15 (m, 7H), 7.13 – 7.09 (m, 4H), 7.06 (d, *J* = 8.5 Hz, 2H), 7.04 – 6.97 (m, 2H), 6.92 (t, *J* = 8.7 Hz, 2H), 6.75 – 6.70 (m, 2H), 6.43 (s, 1H), 4.45 – 4.36 (m, 2H), 4.35 – 4.27 (m, 2H), 4.15 – 4.07 (m, 1H), 3.46 – 3.39 (m, 1H), 3.29 (s, 3H), 3.24 (s, 3H), 3.12 (q, *J* = 8.9 Hz, 2H), 3.01 (q, *J* = 8.6 Hz, 2H), 1.68 – 1.61 (m, 2H), 1.55 – 1.49 (m, 3H), 0.93 (s, 3H), 0.90 (s, 3H), 0.76 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 172.5, 171.8, 140.0, 139.1, 138.9, 138.9, 136.5, 136.5, 136.4, 134.8, 129.3, 129.1, 128.8, 128.8, 128.7, 128.6, 128.3, 128.2, 127.9, 127.3, 127.2, 127.1, 127.0, 126.7, 125.5, 123.8, 123.2, 122.3, 115.0, 114.6, 78.8, 78.1, 73.1, 72.7, 50.3, 42.2, 41.4, 39.8, 35.9, 35.5, 29.8, 29.8, 25.2, 24.9. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{29}H_{32}NO_2$ 426.2428; found 426.2427.

4-benzylidene-1-methyl-3-(2-oxopropyl)-3,4-dihydroquinolin-2(1H)-one (4i). 40% yield (12.22 mg), pale yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.5 Hz,

1H), 7.37 – 7.27 (m, 5H), 7.26 – 7.21 (m, 1H), 7.21 – 7.15 (m, 2H), 7.15 – 7.06 (m, 6H), 7.02 (d, *J* = 7.6 Hz, 1H), 6.98 (d, *J* = 8.1 Hz, 2H), 6.86 (s, 1H), 6.74 (t, *J* = 7.5 Hz, 1H), 6.43 (s, 1H), 4.42 (t, *J* = 7.3 Hz, 1H), 3.92 (t, *J* = 6.5 Hz, 1H), 3.79 (t, *J* = 5.4 Hz, 1H), 3.33 (s, 3H), 3.31 (s, 3H), 2.93 (dd, *J* = 16.3, 6.8 Hz, 1H), 2.68 – 2.57 (m, 2H), 2.53 (dd, *J* = 14.6, 7.1 Hz, 1H), 2.21 (s, 3H), 1.96 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 206.0, 205.3, 170.3, 169.6, 139.6, 136.3, 135.8, 133.3, 131.4, 129.7, 129.3, 129.2, 129.0, 128.8, 128.7, 128.3, 127.7, 127.3, 126.7, 125.6, 123.7, 122.6, 115.4, 114.8, 46.6, 46.2, 41.9, 41.5, 30.8, 30.2, 30.0, 29.8. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{20}NO_2$ 306.1489; found 306.1491.

4-benzylidene-3-(2-(3-(4-chlorobenzoyl)phenoxy)-2-methylpropyl)-1,3-dimethyl-3,4-dihydroquinolin-2(1H)-one (4j). The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 85:25). 40% yield (22.00 mg), yellow oil. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 – 7.62 (m, 4H), 7.62 – 7.58 (m, 2H), 7.58 – 7.53 (m, 2H), 7.45 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.40 – 7.36 (m, 4H), 7.29 – 7.23 (m, 3H), 7.22 – 7.19 (m, 3H), 7.17 – 7.14 (m, 1H), 7.13 – 7.08 (m, 2H), 7.07 – 7.03 (m, 4H), 6.96 (dd, *J* = 7.7, 1.4 Hz,

1H), 6.92 – 6.89 (m, 1H), 6.88 – 6.81 (m, 3H), 6.74 – 6.69 (m, 2H), 6.69 – 6.65 (m, 2H), 3.30 (s, 3H), 3.24 (s, 3H), 2.09 – 2.03 (m, 1H), 1.75 – 1.70 (m, 3H), 1.55 – 1.52 (m, 3H), 1.34 (s, 3H), 1.30 (s, 3H), 1.24 (s, 3H), 1.21 (s, 3H), 1.17 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 194.5, 194.5, 173.6, 172.8, 159.9, 159.6, 139.5, 139.3, 138.8, 138.7, 138.5, 137.3, 136.3, 131.6, 131.4, 131.2, 130.3, 129.5, 128.9, 128.8, 128.6, 128.5, 128.2, 128.0, 127.9, 127.0, 126.9, 126.8, 124.2, 123.2, 122.5, 122.2, 122.1, 114.6, 113.8, 82.4, 81.8, 52.7, 48.5, 48.3, 47.4, 30.6, 28.3, 27.8, 27.4, 27.3, 25.9, 20.6. The diastereomeric ratio (dr) was determined to be 1:1 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{35}H_{32}ClNNaO_3$ 572.1963; found 572.1957.

4-benzylidene-3-(2-(3-(4-chlorobenzoyl)phenoxy)-2-methylpropyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one (4k). 68% yield (36.45 mg – 24.30 mg major diastereomer + 12.15 mg minor diasteromer), pale yellow oil (major diastereomer) and colorless oil (minor diastereomer). The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). *Major diastereomer:* **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 – 7.63 (m, 3H), 7.62 – 7.57 (m, 2H), 7.44 (dd, J = 7.6, 1.4 Hz, 1H), 7.41 – 7.36 (m, 2H), 7.34 –

7.26 (m, 5H), 7.24 – 7.19 (m, 1H), 7.06 (td, *J* = 7.5, 1.0 Hz, 1H), 6.99 – 6.94 (m, 2H), 6.85 – 6.81 (m, 2H), 6.80 (s, 1H), 4.40 (t, *J* = 7.2 Hz, 1H), 3.27 (s, 3H), 2.06 (dd, *J* = 14.4, 7.6 Hz, 1H), 1.90 – 1.83 (m, 1H), 1.26 (s, 3H), 1.21 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 194.6, 170.8, 159.8, 138.9, 138.4, 136.4, 136.2, 135.3, 131.5, 131.2, 128.9, 128.9, 128.8, 128.6, 128.6, 127.5, 126.9, 125.6, 123.3, 122.1, 114.6, 81.1, 44.7, 42.0, 29.7, 27.1, 26.0. *Minor diastereomer:* **^{1}H NMR** (400 MHz,) δ 7.66 – 7.63 (m, 5H), 7.40 – 7.36 (m, 2H), 7.22 – 7.17 (m, 2H), 7.13 – 7.10 (m, 4H), 7.09 – 7.05 (m, 3H), 6.99 – 6.95 (m, 4H), 6.74 (td, *J* = 7.5, 0.7 Hz, 1H), 6.53 (s, 1H), 3.69 (dd, *J* = 8.6, 5.1 Hz, 1H), 3.32 (s, 3H), 1.97 – 1.92 (m, 1H), 1.92 – 1.86 (m, 1H), 1.40 (s, 3H), 1.36 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 194.5, 171.7, 160.1, 139.9, 138.4, 136.4, 133.4, 131.7, 131.2, 129.4, 129.2, 129.1, 128.9, 128.6, 128.3, 127.3, 123.6, 122.5, 121.7, 115.2, 81.2, 60.4, 53.4, 49.7, 42.4, 29.9, 27.5, 26.8, 21.1, 14.2. The diastereomeric ratio (dr) was determined to be 1:2 by the mass ratio. **HRMS** (ESI/Q-TOF) m/z: $[M + Na]^+$ Calcd for $C_{34}H_{30}ClNNaO_3$ 558.1806; found 558.1816.

4-benzylidene-3-(5-(2,5-dimethylphenoxy)-2,2-dimethylpentyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one (4l). 60% yield (28.06 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.46 (d, *J* = 7.5 Hz, 1H), 7.29 – 7.25 (m, 3H), 7.20 – 7.15 (m, 2H), 7.11 – 7.06 (m, 5H), 7.05 – 7.01 (m, 2H), 6.96 (dd, *J* = 8.1, 3.5 Hz, 2H), 6.91 (d, *J* = 7.4 Hz, 2H), 6.77 – 6.71 (m, 2H), 6.57 (d, *J* = 7.5 Hz, 2H), 6.53 (s, 1H), 6.51 – 6.48 (m, 2H), 4.10 (t, *J* = 6.7 Hz, 1H), 3.82 (t, *J* = 6.3 Hz, 2H), 3.62 – 3.58 (m, 1H), 3.44 – 3.37 (m, 1H), 3.31 (s, 3H), 3.30 (s, 2H), 2.24 (s, 2H), 2.23 (s, 3H), 2.08 (s, 3H), 2.07 (s, 2H), 1.66 – 1.55 (m, 3H), 1.50 – 1.41 (m, 4H), 1.40 – 1.36 (m, 3H), 1.13 – 1.04 (m, 2H), 0.91 (s, 3H), 0.90 (s, 3H), 0.71 (s, 2H), 0.69 (s, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 172.5, 172.0, 157.07, 140.0, 139.0, 136.5, 134.7, 130.3, 130.3, 129.4, 129.0, 128.8, 128.8, 128.7, 128.6, 128.2, 127.4, 127.2, 127.0, 125.4, 123.8, 123.6, 123.3, 122.4, 120.6, 120.6, 115.0, 114.6, 112.1, 112.0, 68.5, 50.5, 44.1, 42.3, 41.8, 38.4, 37.7, 34.1, 33.8, 29.8, 29.8, 27.6, 27.4, 27.2, 27.2, 24.2, 24.1, 21.4, 15.8. The diastereomeric ratio (dr) was determined to be 1:1.5 by ^{1}H NMR. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{32}H_{38}NO_2$ 468.2897; found 468.2910.

4-benzylidene-1-methyl-3-((1-tosylpiperidin-4-yl)methyl)-3,4-dihydroquinolin-2(1H)-one (4n). 40% yield (20 mg - two diasteromers), colorless oil (diastereomer 1) and colorless oil (diastereomer 2). The product was obtained after flash chromatography using hexane/ethyl acetate (85:15 – 75:25). *Diastereomer 1:* **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.2 Hz, 2H), 7.54 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.41 – 7.35 (m, 3H), 7.33 (d, *J* = 8.5 Hz, 3H), 7.24 (d, *J* = 7.2 Hz, 2H), 7.14 (td, *J* = 7.5, 0.9 Hz, 1H), 7.02 (d, *J* = 7.8 Hz, 1H), 6.92 (s, 1H), 4.05 (dd, *J* = 9.9, 6.0 Hz, 1H), 3.75 (d, *J* = 9.6 Hz, 1H), 3.67 – 3.60 (m, 1H), 3.34 (s, 3H), 2.46 (s, 3H), 2.19 (td, *J* = 11.9, 2.5 Hz, 1H), 2.06 – 1.97 (m, 2H), 1.66 – 1.54 (m, 2H), 1.46 – 1.37 (m, 1H), 1.35 – 1.31 (m, 1H), 1.25 – 1.15 (m, 2H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 170.4, 143.4, 138.4, 136.1, 135.0, 132.9, 129.5, 129.0, 128.6, 128.2, 127.7, 127.6, 125.7, 125.5, 123.5, 114.6, 46.4, 46.3, 42.4, 39.2, 32.6, 31.7, 30.7, 29.6, 21.50. *Diastereomer 2:* **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 6.7 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.16 (m, 6H), 7.12 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.03 (d, *J* = 7.8 Hz, 1H), 6.81 (td, *J* = 7.6, 0.9 Hz, 1H), 6.46 (s, 1H), 3.81 – 3.71 (m, 2H), 3.38 (s, 3H), 3.36 (d, *J* = 8.0 Hz, 1H), 2.47 (s, 3H), 2.31 – 2.21 (m, 2H), 1.90 – 1.83 (m, 1H), 1.79 – 1.72 (m, 1H),

1.70 – 1.61 (m, 1H), 1.56 – 1.48 (m, 1H), 1.37 – 1.31 (m, 3H). **$^{13}C\{^1H\}$ NMR** (100 MHz, $CDCl_3$) δ 171.4, 143.4, 139.6, 136.3, 133.1, 133.1, 129.6, 129.1, 129.0, 128.4, 128.3, 127.7, 127.3, 123.0, 122.5, 115.1, 60.4, 50.5, 46.2, 37.3, 32.5, 31.4, 31.2, 29.8, 21.5. The diastereomeric ratio (dr) was determined to be 1:1 by the mass ratio. **HRMS** (ESI/Q-TOF) m/z: $[M + H]^+$ Calcd for $C_{30}H_{33}N_2O_3S$ 501.2206, found 501.2216.

General procedure for one-pot cascade cyclization-isomerization protocol: ***General procedure on 0.1 mmol scale (5a – 5q)*****:** An oven-dried screw-cap 10 mL reaction glass tube equipped with a magnetic stirring bar was charged with *N*-(acyloxy)phthalimide 1 (2 equiv.), enyne 2 (1 equiv.), diethyl 2,6-dimethyl-1,4-dihydropyridine-3,5-dicar-boxylate (1.5 equiv.) and *N,N*-Diisopropylethylamine (2 equiv). Freshly distilled THF or DMF (0.1 mol L^{-1}) was added under atmospheric air. The tube was caped and placed approximately at 3 cm distance from the blue LED 34W Kessil lamp and irradiated at room temperature – the temperature was maintained by placing a fan right above the reaction tube - for 24 h. After this period, DBU (3 equiv.) was added and the reaction tube was transferred to an oil bath at 60 °C, where it was kept under stirring for 12 h. After completion, the solvent

was removed under reduced pressure and the crude residue was solubilized in ethyl acetate and washed with HCl 10% (3x) and NaOH 0.1 M (3x). The collected organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure to give the crude product. Column chromatography on silica afforded pure compounds.

4-benzyl-1-methyl-3-((1-methylcyclohexyl)methyl)quinolin-2(1H)-one (5a). 66% yield (21.08 mg), white solid, 122.0 – 124.0 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.55 (d, *J* = 8.1 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 8.4 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 2H), 7.08 (t, *J* = 7.2 Hz, 1H), 7.04 – 6.97 (m, 3H), 4.31 (s, 2H), 3.69 (s, 3H), 2.83 (s, 2H), 0.94 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 162.9, 144.0, 139.1, 138.7, 131.2, 129.3, 128.7, 127.9, 126.4, 121.8, 120.6, 114.1, 39.4, 35.5, 34.2, 30.4, 30.3. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{22}H_{26}NO$ 320.2009; found 320.2012.

4-benzyl-1,6-dimethyl-3-neopentylquinolin-2(1H)-one (5b). 77% yield (25.68 mg), pale-yellow solid, 97.0 – 98.8 °C. The product was obtained after flash chromatography using

hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.35 (s, 1H), 7.19 – 7.15 (m, 3H), 7.15 – 7.12 (m, 1H), 7.08 (t, *J* = 7.3 Hz, 1H), 7.02 (d, *J* = 7.0 Hz, 2H), 4.29 (s, 2H), 3.67 (s, 3H), 2.82 (s, 2H), 2.21 (s, 3H), 0.93 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 162.8, 143.7, 138.7, 137.1, 131.1, 31.1, 130.5, 128.7, 127.9, 126.3, 126.2, 120.6, 114.1, 39.4, 35.4, 34.2, 30.4, 30.2, 21.0. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2168.

4-benzyl-7-chloro-1-methyl-3-neopentylquinolin-2(1H)-one (5c). 60% yield (21.23 mg), white solid, 151.0 – 152.3 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 8.7 Hz, 1H), 7.24 (d, *J* = 2.0 Hz, 1H), 7.19 – 7.13 (m, 2H), 7.12 – 7.07 (m, 1H), 6.99 (d, *J* = 7.0 Hz, 2H), 6.95 (dd, *J* = 8.7, 2.0 Hz, 1H), 4.27 (s, 2H), 3.65 (s, 3H), 2.81 (s, 2H), 0.93 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 162.7, 143.5, 140.0, 138.3, 135.3, 131.4, 128.8, 127.8, 127.6, 126.5, 122.1, 119.1, 114.1, 39.4, 35.6, 34.2, 30.4. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{22}H_{25}ClNO$ 354.1619; found 354.1620.

4-benzyl-7-fluoro-1-methyl-3-neopentylquinolin-2(1H)-one (5d). 62% yield (20.92 mg), white solid, 141.9 – 143.0 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 8.9, 6.2 Hz, 1H), 7.19 – 7.13 (m, 2H), 7.12 – 7.06 (m, 1H), 7.00 (d, *J* = 7.6 Hz, 2H), 6.93 (d, *J* = 9.6 Hz, 1H), 6.74 – 6.67 (m, 1H), 4.27 (s, 2H), 3.64 (s, 3H), 2.81 (s, 2H), 0.93 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 163.1 (*J* = 248.5 Hz), 163.0, 143.6, 140.6 (*J* = 10.7 Hz), 138.4, 130.1 (*J* = 1.8 Hz), 128.8, 128.4 (*J* = 10.0 Hz), 127.8, 126.5, 109.6 (*J* = 22.4 Hz), 100.9 (*J* = 26.5 Hz), 39.3, 35.7, 34.1, 30.5, 30.4. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{22}H_{25}FNO$ 338.1915; found 338.1917.

1-methyl-4-(4-methylbenzyl)-3-neopentylquinolin-2(1H)-one (5e). 74% yield (24.68 mg), viscous yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.56 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.35 (ddd, *J* = 8.5, 7.1, 1.4 Hz, 1H), 7.25 (dd, *J* = 8.5, 0.9 Hz, 1H), 7.02 – 6.94 (m, 3H), 6.90 (d, *J* = 8.1 Hz, 2H), 4.26 (s, 2H), 3.69 (s, 3H), 2.83 (s, 2H), 2.19 (s, 3H), 0.93 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 162.9, 144.1, 139.1, 135.9, 135.5, 131.1, 129.4, 129.2,

127.8, 126.4, 121.8, 120.7, 114.1, 39.4, 35.1, 34.1, 30.4, 30.2, 21.0. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2168.

1-methyl-3-neopentyl-4-(thiophen-3-ylmethyl)quinolin-2(1H)-one (5f). 60% yield (19.53 mg), yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.59 (d, *J* = 8.1 Hz, 1H), 7.39 (t, *J* = 7.8 Hz, 1H), 7.26 (d, *J* = 8.4 Hz, 1H), 7.16 – 7.12 (m, 1H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.81 (d, *J* = 4.9 Hz, 1H), 6.69 (s, 1H), 4.26 (s, 2H), 3.69 (s, 3H), 2.82 (s, 2H), 0.93 (s, 9H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 162.9, 144.0, 139.1, 138.8, 130.5, 129.4, 127.7, 126.1, 125.8, 121.7, 121.2, 120.5, 114.1, 39.3, 34.1, 30.7, 30.4, 30.2. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{24}NOS$ 326.1573; found 326.1577.

3-(((1*R*, 3*R*)-adamantan-1-yl)methyl)-4-benzyl-1-methylquinolin-2(1H)-one (5g). 77% (30.61 mg), pale-brown solid, 150.5 – 152.3 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.55 (d, *J* = 8.1 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 8.4 Hz, 1H), 7.18 – 7.11 (m, 2H),

7.10 – 7.04 (m, 1H), 7.03 – 6.96 (m, 3H), 4.31 (s, 2H), 3.69 (s, 3H), 2.70 (s, 2H), 1.85 (s, 3H), 1.62 – 1.49 (m, 13H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 163.0, 144.0, 139.1, 138.7, 130.2, 129.2, 128.7, 127.9, 126.3, 121.8, 120.7, 114.1, 43.1, 41.0, 37.0, 36.3, 35.6, 30.3, 29.0. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{28}H_{32}NO$ 398.2478; found 398.2474.

4-benzyl-1-methyl-3-((1-methylcyclohexyl)methyl)quinolin-2(1H)-one (5h). 60% yield (21.57 mg), white solid, 114.0 – 115.7 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (85:15). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.1 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.24 (d, *J* = 8.5 Hz, 1H), 7.18 – 7.11 (m, 2H), 7.10 – 7.04 (m, 1H), 7.03 – 6.96 (m, 3H), 4.31 (s, 2H), 3.69 (s, 3H), 2.82 (s, 2H), 1.50 – 1.39 (m, 4H), 1.38 – 1.29 (m, 6H), 0.90 (s, 3H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 163.1, 144.1, 139.1, 138.7, 131.0, 129.2, 128.7, 127.9, 126.4, 121.7, 120.7, 114.1, 40.2, 38.3, 36.8, 35.7, 30.3, 26.3, 23.9, 22.3. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{25}H_{30}NO$ 360.2322; found 360.2324.

4-benzyl-3-(2,2-dimethylbutyl)-1-methylquinolin-2(1H)-one (5i). 70% yield (23.34 mg), white solid, 112.2 – 113.5 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.1 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 8.5 Hz, 1H), 7.18 – 7.12 (m, 2H), 7.10 – 7.05 (m, 1H), 7.03 – 6.96 (m, 3H), 4.30 (s, 2H), 3.69 (s, 3H), 2.82 (s, 2H), 1.34 (q, *J* = 7.5 Hz, 2H), 0.84 (s, 6H), 0.82 (d, *J* = 7.5 Hz, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 163.0, 144.0, 139.1, 138.7, 131.3, 129.2, 128.7, 127.9, 126.3, 121.7, 120.7, 114.1, 38.1, 36.7, 36.1, 35.5, 30.3, 26.6, 8.7. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{23}H_{28}NO$ 334.2165; found 334.2172.

***tert*-butyl (1-(4-benzyl-1-methyl-2-oxo-1,2-dihydroquinolin-3-yl)-2-methylpropan-2-yl)carbamate (5j).** 85% yield (34.05 mg), white solid, 161.0 – 162.2 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.59 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.41 (ddd, *J* = 8.4, 7.2, 1.3 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 1H), 7.19 – 7.12 (m, 2H), 7.11 – 7.06 (m, 1H), 7.06 – 7.01 (m, 1H), 6.98 (d, *J* = 7.1 Hz, 2H), 6.73 (s, 1H), 4.33 (s, 2H), 3.73 (s, 3H), 2.99 (s, 2H), 1.37 (s, 6H), 1.34

(s, 9H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 163.9, 155.0, 145.8, 139.0, 138.2, 129.9, 129.1, 128.8, 127.9, 126.6, 126.5, 122.3, 120.6, 114.4, 54.7, 40.0, 35.2, 30.5, 28.6, 26.7. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{26}H_{33}N_2O_3$ 421.2486; found 421.2482.

1-(4-benzyl-1-methyl-2-oxo-1,2-dihydroquinolin-3-yl)-2-methyl propan-2-yl benzoate (5k). 66% yield (28.08 mg), yellow solid, 127.8 – 129.3 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 8.2 Hz, 1H), 7.45 – 7.36 (m, 2H), 7.29 (t, *J* = 8.0 Hz, 3H), 7.12 (t, *J* = 7.4 Hz, 2H), 7.06 (d, *J* = 6.9 Hz, 1H), 7.02 (d, *J* = 7.6 Hz, 1H), 7.00 – 6.94 (m, 2H), 4.41 (s, 2H), 3.71 (s, 3H), 3.47 (s, 2H), 1.65 (s, 6H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 166.2, 162.8, 145.4, 139.3, 138.3, 132.4, 132.2, 129.8, 129.4, 129.0, 128.7, 128.1, 127.9, 126.5, 126.4, 122.0, 120.6, 114.3, 84.9, 38.3, 35.4, 30.3, 26.7. **HRMS** (ESI/Q-TOF) m/z: $[M+Na]^+$ Calcd for $C_{28}H_{27}NNaO_3$ 448.1883; found 448.1874.

4-benzyl-3-(3-(benzyloxy)-2,2-dimethylpropyl)-1-methylquinolin-2(1H)-one (5l). 62% yield (26.39 mg), yellow oil. The product was obtained after flash chromatography using

hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.1 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 1H), 7.32 – 7.27 (m, 2H), 7.26 – 7.24 (m, 5H), 7.23 – 7.15 (m, 2H), 7.12 (d, *J* = 7.7 Hz, 1H), 7.07 (d, *J* = 7.9 Hz, 3H), 4.51 (s, 2H), 4.46 (s, 2H), 3.71 (s, 3H), 3.31 (s, 2H), 3.03 (s, 2H), 1.07 (s, 6H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 163.0, 143.9, 139.1, 138.9, 138.8, 131.2, 129.2, 128.6, 128.2, 127.9, 127.1, 127.0, 126.3, 126.2, 121.7, 120.7, 114.1, 79.5, 72.9, 37.9, 35.6, 34.8, 30.1, 25.6. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{29}H_{32}NO_2$ 426.2428; found 426.2428.

4-benzyl-1-methyl-3-(2-oxopropyl)quinolin-2(1H)-one (5m). 60% yield (18.32 mg), white solid, 140.3 – 141.8 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.60 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.44 (ddd, *J* = 8.5, 7.2, 1.4 Hz, 1H), 7.34 – 7.29 (m, 1H), 7.22 – 7.15 (m, 2H), 7.15 – 7.09 (m, 1H), 7.09 – 7.04 (m, 3H), 4.18 (s, 2H), 3.82 (s, 2H), 3.70 (s, 3H), 2.21 (s, 3H). **^{13}C{^{1}H} NMR** (100 MHz, $CDCl_3$) δ 205.8, 161.9, 144.9, 139.2, 137.7, 130.0, 128.8, 127.9, 127.1, 126.6, 126.2, 122.3, 120.7, 114.4, 42.9, 34.9, 30.2, 30.1. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{20}NO_2$ 306.1489; found 306.1491.

4-benzyl-1-methyl-3-(2-methyl-2-(3-methylcyclopentyl)propyl) quinolin-2(1H)-one (5n). 62% yield (24.03 mg), white solid, 107.8 – 109.7 °C. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.1 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 8.4 Hz, 1H), 7.18 – 7.12 (m, 2H), 7.07 (t, *J* = 7.1 Hz, 1H), 7.04 – 6.96 (m, 3H), 4.30 (s, 2H), 3.69 (s, 3H), 2.82 (s, 2H), 2.02 – 1.47 (m, 7H), 1.42 – 1.28 (m, 1H), 1.24 – 1.12 (m, 1H), 1.07 – 0.94 (m, 1H), 0.90 (t, *J* = 7.3 Hz, 3H), 0.78 (d, *J* = 3.0 Hz, 6H). **^{13}C{^{1}H} NMR (**100 MHz, $CDCl_3$**)** δ 163.1, 143.9, 139.1, 138.8, 131.4, 129.2, 128.7, 127.9, 126.3, 121.7, 120.7, 114.1, 52.4, 50.8, 38.8, 38.6, 37.0, 36.3, 35.6, 35.3, 34.7, 34.2, 30.2, 28.0, 26.3, 24.8, 24.4, 21.2, 20.6. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{27}H_{34}NO$ 388.2635; found 388.2633.

4-benzyl-3-(2-(3-(4-chlorobenzoyl)phenoxy)-2-methylpropyl)-1-methylquinolin-2(1H)-one (5o). 55% yield (29.49 mg), pale-yellow oil. The product was obtained after flash chromatography using hexane/ethyl acetate (80:20). **^{1}H NMR** ^{1}H NMR (400 MHz,) δ 7.62 – 7.60 (m, 4H), 7.40 – 7.30 (m, 5H), 7.19 – 7.12 (m, 3H), 7.08 – 7.00 (m, 4H), 6.89 (d, *J* =

6.9 Hz, 3H), 4.57 (s, 2H), 3.73 (s, 3H), 3.34 (s, 2H), 1.40 (s, 6H). **$^{13}C\{^{1}H\}$ NMR** (100 MHz, $CDCl_3$) δ 194.6, 163.0, 159.9, 146.3, 139.2, 138.8, 138.5, 136.3, 132.8, 131.6, 131.3, 129.8, 128.9, 128.7, 128.5, 127.9, 126.6, 126.3, 122.3, 122.2, 120.9, 115.4, 114.3, 83.8, 40.1, 35.3, 30.4, 26.7. **HRMS** (ESI/Q-TOF) m/z: $[M+H]^+$ Calcd for $C_{34}H_{31}ClNO_3$ 536.1987; found 536.1989.

ASSOCIATED CONTENT

An initial version of this manuscript was posted in ChemRvix. See ref. 22

Supporting information: Details regarding mechanistic investigations experiments, copies of ^{1} H and ^{13}C NMR spectra for all compounds, determination of major diastereoisomer´s configuration by NOE experiments and details regarding large scale and flow conditions experiments. This material is available free of charge via the Internet at http://pubs.acs.org.

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Note

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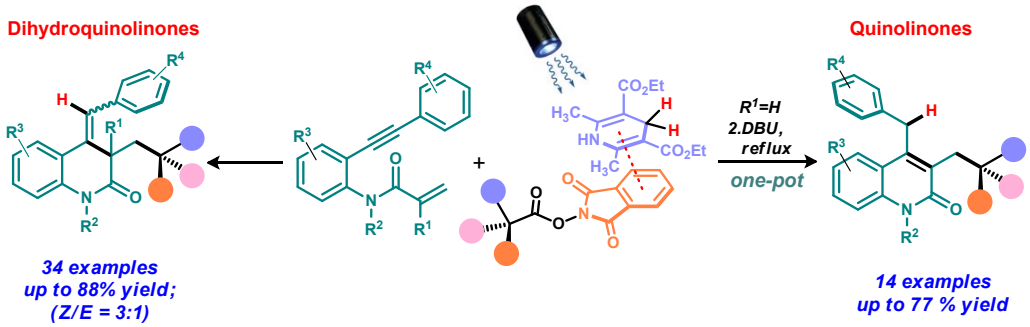
Table of Contents graphic:


Dihydroquinolinones
34 examples
up to 88% yield;
(Z/E = 3:1)
R1=H
2.DBU,
reflux
one-pot
Quinolinones
14 examples
up to 77 % yield