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An Approach to the Synthesis 1-Propenylnaphthols and 3-Arylnaphtho[2,1-*b*] furans

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Abstract: A simple and efficient strategy for the synthesis of 1-propenylnaphthols from readily accessible 3-arylallylnaphthyl ethers has been developed. By using K_2CO_3 as base and 2-methoxyethanol as solvent, direct access to a wide range of 1-propenylnaphthols can be achieved in generally good yield (up to 99 %) with high stereoselectivity towards *Z*-isomer. The control experiments indicate that the reaction proceed through a sequential Claisen rearrangement/isomerization process. Furthermore, starting from the same material, the highly valuable 3-arylnaphtho[2,1-*b*]furans can be obtained in *N*,*N*-dimethylformamide and in the presence of Ag_2O as the oxidant *via* a one-pot sequential Claisen rearrangement/isomerization/cyclization reaction. Mechanistic studies confirm that 1-propenylnaphthols are the key

intermediates to form the 3-arylnaphtho[2,1-*b*] furans. In addition, these two operationally simple and practical protocols could be scaled up to gram level.

Keywords: Claisen rearrangement; Isomerization; Cyclization; Alkenylnaphthol; Naphthofuran.

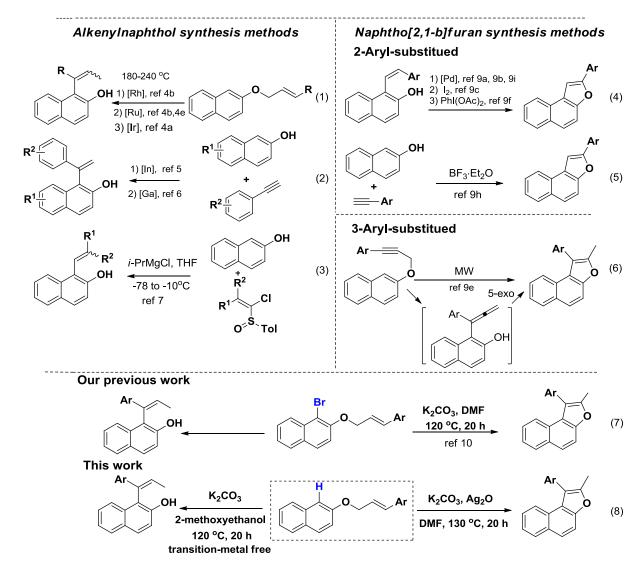
Introduction

The alkenylnaphthols are important structure unit existing in many biologically active compounds, showing anti-inflammatory or antiviral activity (Figure 1). Moreover, such compounds are very useful intermediates in organic synthesis because they can be readily participated in a wide spectrum of reactions by acting on the hydroxyl group and carbon-carbon double bonds. Particularly, they can serve as an important precursor for preparation naphtho[b]furans (Figure 1).

Figure 1. Structure of bioactive alkenylnaphthols and naphtho[*b*] furans.

The most convenient way for preparation of alkenylnaphthols is by Claisen rearrangement /isomerization of allyl naphthyl ethers.⁴ However, the major drawback of the reported procedure is that it often requires high temperature (ranging from 180 to 240 °C) and expensive isomerization catalysts, such as Rh,^{4b} Ru,^{4b,4e} Ir.^{4a} Moreover, the products were

usually obtained as a mixture of E- or Z-isomers [Scheme 1, eq.(1)]. Recently, a hydroarylation reaction of alkynes with naphthol protocol has been developed independently by Kumar,⁵ Yadav,^{6a} and Fedushkin,^{6b} by employing an expensive indium salt or gallium complex [Scheme 1, eq.(2)]. In addition, an example of alkenylation of naphthol by using magnesium alkylidene carbenoids under -78 °C has also been reported [Scheme 1, eq. (3)].⁷ However, the forcing reaction condition, poor selectivity, and the expensive catalysts limit the synthetic utility of these methods. Consequently, the development of an efficient and practical method for synthesis of alkenylnaphthols is highly desirable.



Scheme 1. The strategies for the construction of the alkenylnaphthol and naphtho[b]furan skeleton.

On the other hand, naphtho[2,1-b]furan derivatives are found in a large number of natural products and synthetic pharmaceuticals.⁸ A wide variety of synthetic methods have been established in the literature for synthesis of them.^{2f,9} The commonly used method is by cyclization of prefunctionalized naphthol such as 2-alkenylnaphthol, usually promoted either by employing transition metal catalyst^{9a,9b,9i} or toxic reagents such as I_2^{9c} and hypervalent iodine [Scheme 1, eq.(4)].^{9f} In recent years, significant progress has been made in development of more straightforward methods by transition metal catalyzed coupling reaction

of simple naphthol and alkynes. 2f Very recently, Dong, Zhou, and coworkers have developed an elegant metal-free approach whereby a direct oxidative coupling of free naphthols with terminal alkynes could be achieved in the presence of BF₂•Et₂O [Scheme 1, eq.(5)]. ^{9h} While the majority of these methods yielded 2-arylnaphtho[2,1-b] furan as products. In contrast, the Claisen rearrangement of an allyl or propargyl naphthyl ether followed by intramolecular cyclization provides 3-arylnaphtho[2,1-b]furan [Scheme 1, eq.(6)]. We have previously reported a transition-metal free protocol for synthesis of 3-arylnaphtho[2,1-b]furan starting from 3-arylallyl bromonaphthyl ethers by employing such a strategy. ¹⁰ Moreover, we found that the use of suitable base could lead to formation of alkenylnaphthols as the major products [Scheme 1, eq. (7)]. Nevertheless, the commercial availability of such special 3-arylallyl 2-bromonaphthyl ether substrates is very limited. In addition, undesired halogen-containing wastes are generated, which doesn't meet the requirement of green and atom economic chemistry. Herein, we demonstrate our efforts in the development of the novel protocols to synthesize both 1-propenylnaphthols and 3-arylnaphtho[2,1-b] furans from the simple 3-arylallyl naphthyl ether via a Claisen rearrangement, isomerization or cyclization cascade event [Scheme 1, eq.(8)].

Results and Discussion

We initiated the investigations by using [[(2E)-3-phenyl-2-propen-1-yl]oxy]naphthalene (1a) as model substrate, employing the conditions similar to those reported by our group for the Claisen rearrangement/cyclization of bromonaphthyl 3-phenylallyl ethers. Gratifyingly, the desired transformation proceeded readily under basic condition. In addition, this reaction performed well in controlling the stereoselectivity, and almost exclusively Z- product Z was

obtained, the structure of which was unambiguously assigned based on a single-crystal X-ray diffraction. Screening of bases for the reaction identified K₂CO₃ was the most effective one when *N*,*N*-dimethylformamide (DMF) was used as solvent (81% yield, Table 1, entry 5). NaHCO₃ and Na₂CO₃, were also effective, but gave slightly lower yields of the desired product **2a** (62% and 69%; Table 1, entries 3 and 4), while the weaker bases such as NaOAc and KOAc resulted in further reduced yields (30% and 53%; Table 1, entries 1 and 2). However, the use of stronger bases, such as K₃PO₄, NaOH, LiO*t*Bu, NaO*t*Bu, and KO*t*Bu, did not improve the yield of **2a** (48-73% yields; Table 1, entries 6-10). Remarkably, by employing sodium or potassium carbonate as base, a detectable amount of naphtho[2,1-*b*]furan **3a** was observed (7% and 6%; Table 1, entries 4 and 5).

Table 1. Effect of bases on this reaction^a

Yield $(\%)^b$

Entry	Base	2a	3a	
1	NaOAc	30	-	
2	KOAc	53	-	
3	NaHCO ₃	62	-	
4	Na ₂ CO ₃	69	7	

5	K_2CO_3	81	6
6	K_3PO_4	48	-
7	NaOH	56	-
8	LiOtBu	73	-
9	NaOtBu	52	-
10	KOtBu	55	-

^aReaction conditions: **1a** (0.25 mmol), Base (0.5 mmol), DMF (1 mL), under nitrogen, 120 °C, 20 h. ^bYields are determined by HPLC. "-" being not detected.

The effect of solvent was then examined by using K_2CO_3 as the base. The results are summarized in Table 2. Evidently, the reaction conversion among the tested aprotic solvents followed the order: toluene < 1,4-dioxane < NMP < DMA < DMF (15-81% yields; Table 2, entries 1-5), which is basically consistent with their solvent polarity trend. The reactivity increased in the polar solvent possibly owing to their relatively higher solubility towards the inorganic base. While for the protic solvents, there seemed no clear correlation between solvent polarity and conversions. For example, n-butanol and cyclohexanol gave 2a in comparable yields with DMF (Table 2, entries 6 and 7), but the more polar protic solvents such as 1,2-propanediol, ethylene glycol led to a significant decrease (Table 2, entries 9 and 10). Notably, the optimal solvent was found to be 2-methoxyethanol affording 2a in 97% yield (Table 2, entry 8). However, the structurally similar solvent 1,2-dimethoxyethane (DME) only provided the poor yield (Table 2, entry 11), testifying the positive effect of the –OH group in 2-methoxyethanol. The amount of K_2CO_3 was also briefly assessed. The best yield of 2a was observed when 2 equiv of K_2CO_3 was employed (Table 2, entries 8 vs 13). No desired product

2a was detected in the absence of base (Table 2, entry 14), showing that the presence of base is crucial for enabling this reaction. Notably, the reaction gave a significant yield of **3a** under oxygen atmosphere (13%, Table 2, entry 12). Based on these optimization studies, the following reactions were performed in 2-methoxyethanol at 120 °C in the presence of 2 equiv of K₂CO₃.

Table 2. Effect of solvents on this reaction^a

			Yield (%) ^p	
Entry	K ₂ CO ₃ (mmol)	Solvent	2a	3a
1	0.5	toluene	15	-
2	0.5	1,4-dioxane	23	-
3	0.5	NMP	51	-
4	0.5	DMA	77	-
5	0.5	DMF	81	6
6	0.5	<i>n</i> -butanol	81	-
7	0.5	cyclohexanol	78	-
8	0.5	2-methoxyethanol	97	3
9	0.5	1,2-propanediol	9	-
10	0.5	ethylene glycol	31	-
11	0.5	DME	28	-
12 ^c	0.5	2-methoxyethanol	87	13
13	0.25	2-methoxyethanol	8	-
14	0	2-methoxyethanol	-	-

^aReaction conditions: **1a** (0.25 mmol), under nitrogen, Solvent (1 mL), 120 °C, 20 h. ^bYields are determined by HPLC. ^cUnder oxygen atmosphere.

With the optimized conditions in hand, we subsequently tested the scope of this reaction. First, the effect of varying the nature of functional groups on the 3-phenyl moiety was investigated. As shown in Scheme 2, both the electron-donating methyl group (2b, 2h) and the electron-withdrawing chloro, fluoro and trifluoromethyl groups (2c-g, 2i-j) were well tolerated. The transformation proceeded quite smoothly and afforded the desired 1-propenylnaphthol derivatives in moderate to good yields (31-90%). *Para*-substituted phenyl derivatives bearing electron donating methyl group afforded the products in higher yield than those bearing electron-withdrawing groups (Scheme 2, 2b vs 2c-e). Substituents at either the *meta*- or sterically demanding *ortho*-position led to moderate to excellent yields of products. Interestingly, the more sterically hindered *ortho*-substituted aryls afforded the corresponding products in much higher yields than those with *meta*- or *para*- substituents (2h-j vs 2b-g). Unexpectedly, for substrate 1k bearing a 2-CF₃ group, a double bond isomerization occurred to give vinyl ether 1k' in 23% yield, and no desired product was observed due to intramolecular [1,3]-type H-shift.^{2c,11} Notably, the isomer1-naphthyl ether

$$R^{2} = A - CF_{3} - 20, R^{2} = H; \\ X = C, R^{1} = H; \\ X = C, R^{1} = H; \\ X = C, R^{1} = H; \\ R^{2} = A - CF_{3} - 20, A_{3} - 3, A_{4} - A_{5} - A_{5}$$

X =C R1 =H, 2w

 $X = N, R^1 = 6-CH_3,$

R² = H; 2u, 92 %

 $X = N, R^1 = H,$

 $R^2 = 2-CH_3$; 2t, 99 %

 $X = N, R^1 = 6-CH_3,$

 $R^2 = 4-CH_3$; 2v, 78 %, 3v, 10 %

Scheme 2. Scope of the reaction for the synthesis of 1-propenylnaphthols. Reaction conditions: **1** (0.25 mmol), K₂CO₃ (0.5 mmol), 2-methoxyethanol (1 mL), under nitrogen, 120 °C, 20 h. Yields of isolated products.

^a**1a'** was used. ^c**1w** was used.

(1a') could also take place this rearrangement/isomerization procedure, giving the product 2a' in 35% yield as a mixture of *Z*- and *E*- isomer (about 6.6:1).

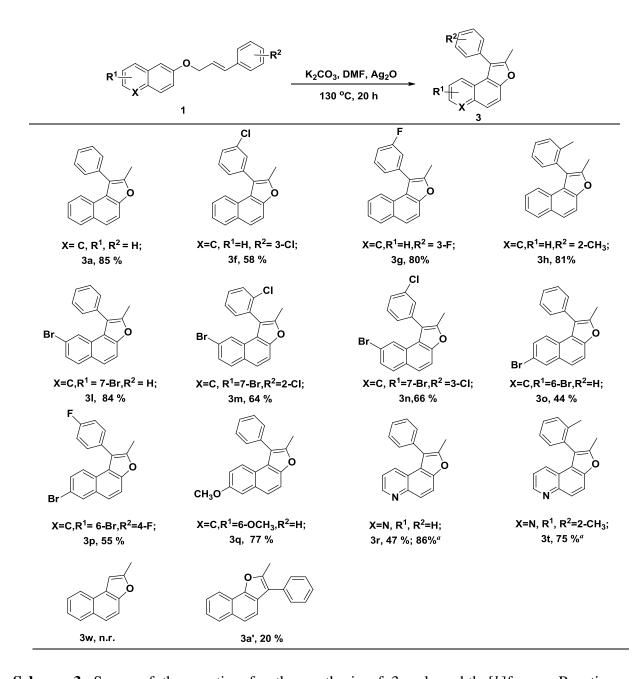
To further evaluate the scope of the reaction, the present protocol was then extended to the substrates having the naphthalene with different substitution patterns. All of the tested substrates also worked efficiently and gave moderate to excellent yields of the desired products 21-2v (35-99%). Again, a good functional group tolerance was observed. It was noteworthy that substrate 11 containing a 7-Br group at the naphthyl moiety, the corresponding naphthol 21 was obtained in up to 99% yield. Whereas when Br atom was at the C-6 position the yield decreased to 70% (20). The remaining bromide functional group can serve as a versatile handle for further manipulation. However, substitution at the 2-, 3- or 4-position of 3-phenyl moiety with electron-withdrawing fluoro or chloro groups remarkably reduced the yields (2m, 2n, 2p). Importantly, substrates bearing pharmaceutically relevant quinoline rings¹² could also react, resulting in the 6-quinolinols 2r-2v with up to 99% yields. Unfortunately, the rearrangement completely supressed reaction was when 2-(allyloxy)naphthalene 1w was employed. It is noteworthy that the highly valuable

^bIn the glove box.

naphtho[b] furans were generated as coproducts in a number of instances (3a, 3d, 3g, 3m, 3n, 3o, 3p, 3s, 3v) in 7-33% yields, it was possible that the trace amount of oxygen in the solvent might facilitate the formation of 3. As expected, the corresponding naphthol products were obviously increased for the substrates 1g, 1m, and 1p when the reaction was carried out in the glove box (86-89%).

The observation of the coproduct naphtho[2,1-b] furan promoted us to develop an efficient for preparing naphthofuran derivatives using one-pot Claisen way rearrangement/isomerization/cyclization cascade event. We were pleased to find that 1a can be easily transformed into the corresponding naphtho[2,1-b]furan 3a at 130 °C in 85% isolated yield in the presence of Ag₂O merely by switching the solvent from 2-methoxyethanol to DMF (for the detailed condition screening, see Table 1 in the Supporting Information). The substrate scope for this transformation was also investigated. As shown in Scheme 3, the reactions of 3-arylallylnaphthyl ethers, bearing various substituents, such as halogen, alkyl or alkoxyl group, all efficiently provided the corresponding naphthofurans in moderate to good yields. It should be noted that the substrate bearing Br atom on naphthalene exhibited the reactivity similar to that observed in naphthols system, and the substrate containing Br at C-7 position gave the higher yield than that at C-6 position (31 vs 30). In addition, the quinolinyl allyl ethers 1r and 1t could also be smoothly transformed into the quinoline[b] furan 3r and 3t in high yields by using more Ag₂O to accelerate the reaction. The isomer 1-naphthyl ether (1a') was also compatible with this novel one-pot protocol and formed the naphtho[1,2-b] furan 3a', albeit in lower yield (20%). The relatively lower reactivity of **1a** compared with **1a'** was well

in agreement with the literture. 13 Whereas no desired cyclization product was detected for the substrate 1w.



Scheme 3. Scope of the reaction for the synthesis of 3-aryl naphtho[b] furans. Reaction conditions: **1** (0.25 mmol), K₂CO₃ (0.5 mmol), DMF (1 mL), Ag₂O (0.25 mmol), under nitrogen, Yields of isolated products. a 0.5 mmol of Ag₂O.

Collectively, 3-arylallyl naphthyl ethers were found to be a useful substrate capable of being transformed into both alkenylnaphthols and naphtho[2,1-b] furans under different conditions. It is worthy mentioned that no transition metal catalyst was employed in the former reaction and Z-isomer product was nearly exclusively formed under the established conditions. This was far superior to the previously reported methods, which required noble metal catalysts and gave product in a mixture of E- and Z-isomers. In the latter case, 3-aryl substituted naphtho[2,1-b] furans were effectively formed, which is otherwise difficult to obtain. We subsequently tested the scalability of these two transformations by using 4 mmol 1a. The corresponding products 2a and naphtho[b] furan 3a could be obtained in 73% and 70% isolated yields [Scheme 4, eq.(1) and (2)], demonstrating that the reaction was amenable to scale-up although with slight loss of yield.

Scheme 4. Scale up and synthetic application.

To insight into the origin that underlie the reactions, several experiments to elucidate the mechanism were performed (Scheme 5). It was found that **1a** failed to give any of the desired product **2a** in absence of a base, instead the *ortho*-Claisen rearrangement product **4a** was formed in 90% yield [Scheme 5, eq.(1)]. But with the addition of K₂CO₃ it can be easily transformed into **2a** in high yield [Scheme 5, eq.(2)]. This implies that base was absolutely essential for the double bond isomerization process. We then treated **2a** and **4a** with Ag₂O respectively to investigate which one is the intermediate for the route to naphtho[2,1-*b*]furans. The desired product **3a** could be formed in 93% yield from **2a** [Scheme 5, eq.(2)]. In contrast, only trace amounts of **3a** was obtained from **4a**. While upon exposure to Ag₂O and K₂CO₃, **4a** could also be successfully cyclized *via* a dehydrogenation process to produce naphtho[2,1-*b*]furans in 87 % yield [Scheme 5, eq.(1)]. These results clearly indicated that **2a** was an important intermediate for the formation of **3a**. But the cyclization of **2a** did not occur in the absence of Ag₂O.

Scheme 5. Mechanistic investigations.

Scheme 6. Proposed mechanism.

On the basis of above results and literature reports, ¹⁴⁻¹⁶ a reasonable mechanism proposed for above two transformations is summarized in Scheme 6. First, allyl naphthyl ether **1a** readily undergoes a Claisen rearrangement to give an *ortho* benzodienone **A** which usually enolizes into naphthol **4a**. ¹⁴ And then the **4a** transformed to phenoxide **B** in the presence of base. Subsequently, **B** undergoes a base promoted synergistic hydrogen transfer to afford **2a**. ¹⁵ Almost exclusively *Z*-isomer was given due to the electrostatic attraction in **C**. ¹⁵ Finally, in the presence of Ag₂O, **2a** is easily dehydrogenated and cyclized into naphtho[2,1-*b*]furan **3a**. In order to explore the cyclization mechanism of **2a**, the introduction of TEMPO as a trapping agent resulted in the yield of **3a** decrease from 93% to 75%. When the amount of TEMPO was further increased, the yield of **3a** dropped to 61% (for the details see Table 2 in the Supporting Information). Obviously, the reactivity was partly inhibited by TEMPO. According to the reported results, ¹⁶ if a radical reaction occurs in intramolecular or the radical reaction rate is quickly, the radical trapping agent is difficult to trap it. The possibility for involvement of

intramolecular radical pathway still can not be rule out. So we speculated that naphthoxide ion of 2a is oxidized by Ag_2O to form naphthoxide radical, which subsequently undergoes the oxidative cyclization to give 3a.

Conclusions

We have developed simple and efficient methods to construct various 1-propenylnaphthols and 3-arylnaphtho[2,1-b]furans from readily accessible 3-arylallylnaphthyl ethers in a practical and atom economical way. In the former case, the reaction, involving the Claisen rearrangement followed by a base-induced double bond isomerization, stereoselectively produces (Z)-1-aryl-1-propenylnaphthols. More importantly, such an alkenylnaphthols can easily undergo the oxidative cyclization to form 3-arylnaphtho[2,1-b]furans in the presence of Ag₂O, thus enabling us to develop a one-pot sequential Claisen rearrangement/isomerization/cyclization reactions for synthesis of naphtho[2,1-b]furans. Compared with the previous approaches, the present novel methodologies comprise the advantages of simple reaction system, operational ease, high stereoselectivity, and broad applicability, and should be an attractive choice for the synthesis of 1-propenylnaphthol and 3-arylnaphtho[2,1-b]furans derivatives.

Experimental section

The synthesis of substrates 1a, 1a', 1l, 1o, 1q, 1r, 1u, 1w:

The starting naphthol (10 mmol) and K_2CO_3 (30 mmol) were dissolved in acetone (30 mL). Cinnamyl bromide (8 mmol) was added by syringe and the reaction was heated to 60 $^{\circ}$ C overnight. After cooling, the mixture was diluted with ethyl acetate and water. The organic

layer was washed with brine, dried with anhydrous MgSO₄, and concentrated under vacuum. The residue was purified by silica gel chromatography using petroleum ether/ethyl acetate (10:1) as eluent.

The synthesis of substrates 1b-1k, 1m, 1n, 1p, 1s, 1t, 1v:

For the synthesis of **1b**, 4-methylcinnamic acid **S-1b** (2.5 g) and *p*-toluenesulfonic acid (1.0 g) were dissolved in EtOH (20 mL). The resulting mixture was refluxed for 3 h, and then treated with petroleum ether (50 mL). The organic layer was washed with water (20 mL) and 10% Na₂CO₃ solution (20 mL), dried with anhydrous MgSO₄, and evaporated under reduced pressure to obtain compound **S-2b** (2.0 g) as a colorless liquid.

DIBAL-H (1.0 M, 25 ml) was slowly added to a stirred solution of ester **S-2b** (1.9 g, 10 mmol) in THF (35 ml) at -78 °C. The reaction mixture was stirred for 1 h at -78 °C and for another 1 h at room temperature. After completion, the reaction mixture was poured into cold diluted HCl (0.5 N), and extracted with ethyl acetate. The organic layer was dried over anhydrous MgSO₄, and evaporated under reduced pressure to obtain compound **S-3b** (1.5 g) as a colorless liquid.

To a stirred solution of **S-3b** (5 mmol), 2-naphthol (6 mmol), and triphenylphosphane (1.7 g, 6.5 mmol) in THF (30 ml) under nitrogen atmosphere was added diethylazodicarboxylate (DEAD, 1.2 mL) drop-wise at 0 °C. The mixture was further stirred at room temperature for 20 h. The solvent was evaporated under reduced pressure on a rotary evaporator to give a viscous residue. The residue was purified by silica gel column chromatography to give **1b** (0.6 g) as a white solid.

Typical procedure for the synthesis 2a: A mixture of 1a (0.25 mmol), K_2CO_3 (0.5 mmol) in 2-methoxyethanol (1 mL) was stirred in a dried Schlenk tube for 20 h at 120 °C under nitrogen. At the end of the reaction, water (3 mL) was added into the mixture and subsequently the mixture was extracted with ethyl acetate (3 \times 5 mL). The combined organic extract was washed with brine (3 \times 5 mL), dried with anhydrous MgSO₄, and concentrated under vacuum. The residue was purified by silica gel chromatography using petroleum ether-ethyl acetate (20:1) as eluent to give the pure product 2a (58 mg, 90 %).

Typical procedure for the synthesis 3a: A mixture of 1a (0.25 mmol), K_2CO_3 (0.5 mmol), Ag_2O (0.25 mmol) in DMF (1 mL) was stirred in a dried Schlenk tube for 20 h at 130 °C. At the end of the reaction, water (3 mL) was added into the mixture and subsequently the mixture was extracted with ethyl acetate (3 \times 5 mL). The combined organic extract was washed with brine (3 \times 5 mL), dried with anhydrous MgSO₄, and concentrated under vacuum. The residue was purified by silica gel chromatography using petroleum ether as eluent to give the pure product 3a (55 mg, 85 %).

2-[[(2*E***)-3-phenyl-2-propen-1-yl]oxy]Naphthalene (1a).** 78% (1.6 g) as a white solid; mp: $^{110-111}$ °C. 1 H NMR (400 MHz, CDCl₃) δ 7.81 – 7.71 (m, 3H), 7.48 – 7.41 (m, 3H), 7.38 – 7.31 (m, 3H), 7.29 – 7.25 (m, 1H), 7.24 – 7.19 (m, 2H), 6.80 (d, J = 16.0 Hz, 1H), 6.49 (dtd, J = 16.0, 5.8, 2.3 Hz, 1H), 4.82 (dd, J = 5.8, 1.3 Hz, 2H). 13 C NMR (101 MHz, CDCl₃) δ 155.5, 135.4, 133.5, 132.1, 128.4, 128.0, 127.6, 126.9, 126.6, 125.8, 125.6, 125.3, 123.3, 122.7, 118.0, 106.0, 67.6. HRMS(ESI): Calcd for $C_{19}H_{15}O$ [M-H] 259.1123, found 259.1104. Spectral data obtained were in agreement with those reported in the literature. 14b

2-[(2E)-3-(4-methylphenyl)-2-propen-1-yl]oxy]Naphthalene (1b). 85% (1.2 g) as a

white solid; mp: 133-134 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.70 (m, 3H), 7.46 – 7.41 (m, 1H), 7.36 – 7.30 (m, 3H), 7.23 – 7.18 (m, 2H), 7.14 (d, J = 7.9 Hz, 2H), 6.76 (d, J = 16.0 Hz, 1H), 6.44 (dt, J = 16.0, 5.9 Hz, 1H), 4.80 (dd, J = 5.9, 1.4 Hz, 2H), 2.34 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.6, 137.8, 134.5, 133.7, 133.2, 129.5, 129.3, 129.0, 127.7, 126.8, 126.5, 126.4, 123.7, 123.2, 119.0, 107.1, 68.8, 21.2. HRMS(ESI): Calcd for C₂₀H₁₇O [M-H]⁻ 273.1279, found 273.1274.

2-[[(2*E***)-3-(4-chlorophenyl)-2-propen-1-yl]oxy]Naphthalene (1c).** 80% (1.2 g) as a white solid; mp: 132-134 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.72 (m, 3H), 7.47 – 7.42 (m, 1H), 7.39 – 7.28 (m, 5H), 7.23 – 7.18 (m, 2H), 6.75 (d, J = 16.0 Hz, 1H), 6.47 (dt, J = 16.0, 5.7 Hz, 1H), 4.81 (dd, J = 5.7, 1.2 Hz,2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.5, 135.0, 134.5, 133.6, 131.8, 129.5, 129.1, 128.8, 127.8, 127.7, 126.8, 126.4, 125.0, 123.8, 118.9, 107.0, 68.4. HRMS(ESI): Calcd for C₁₉H₁₄ClO [M-H]⁻ 293.0733, found 293.0719.

2-[[(2*E***)-3-(4-fluorophenyl)-2-propen-1-yl]oxy]Naphthalene (1d).** 78% (1.1 g) as a white solid; mp: 124-125 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.82 – 7.71 (m, 3H), 7.47 – 7.31 (m, 4H), 7.24 – 7.18 (m, 2H), 7.02 (t, J = 8.5 Hz, 2H), 6.75 (d, J = 16.0 Hz, 1H), 6.40 (dt, J = 16.0, 5.8 Hz, 1H), 4.80 (dd, J = 5.8, 1.3 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 162.7, 160.3, 155.5, 133.5, 131.6, 131.0, 128.5, 128.1, 127.2, 127.1, 126.7, 125.8, 125.4, 123.1, 122.7, 117.9, 114.6, 114.4, 106.1, 67.5. HRMS(ESI): Calcd for C₁₉H₁₄FO [M-H]⁻ 277.1029, found 277.1013.

2-[[(2*E***)-3-(4-trifluoromethylphenyl)-2-propen-1-yl]oxy]Naphthalene (1e).** 83% (1.4 g) as a white solid; mp: 170-172 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.72 (m, 3H), 7.59 (d, *J* = 8.3 Hz, 2H), 7.52 (d, *J* = 8.2 Hz, 2H), 7.47 – 7.42 (m, 1H), 7.38 – 7.32 (m, 1H), 7.24 – 7.18

(m, 2H), 6.83 (d, J = 16.0 Hz, 1H), 6.58 (dt, J = 16.0, 5.5 Hz, 1H), 4.85 (dd, J = 5.4, 1.2 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.4, 139.9, 134.5, 131.3, 130.7, 129.9, 129.6, 129.1, 127.7, 127.1, 126.8, 126.7, 126.5, 125.7, 125.6 (2C), 125.5, 123.8, 118.9, 107.1, 68.2. HRMS(ESI): Calcd for C₂₀H₁₄F₃O [M-H]⁻ 327.0997, found 327.0983.

2-[[(2*E***)-3-(3-chlorophenyl)-2-propen-1-yl]oxy]Naphthalene (1f).** 75% (1.1 g) as a white solid; mp: 104-106 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.70 (m, 3H), 7.48 – 7.40 (m, 2H), 7.38 – 7.32 (m, 1H), 7.31 – 7.27 (m, 2H), 7.24 – 7.18 (m, 3H), 6.74 (d, J = 16.0 Hz, 1H), 6.49 (dt, J = 16.0, 5.6 Hz, 1H), 4.82 (d, J = 5.5 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.4, 138.3, 134.6, 134.5, 131.5, 129.9, 129.6, 129.1, 127.9, 127.7, 126.8, 126.5 (2C), 125.9, 124.8, 123.8, 118.9, 107.0, 68.3. HRMS(ESI): Calcd for $C_{19}H_{14}ClO$ [M-H]⁻ 293.0733, found 293.0715.

2-[[(2*E*)-3-(3-fluorophenyl)-2-propen-1-yl]oxy]Naphthalene (1g). 76% (1.1 g) as a white solid; mp: 78-80 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.71 (m, 3H), 7.48 – 7.41 (m, 1H), 7.38 – 7.31 (m, 1H), 7.31 – 7.26 (m, 1H), 7.23 – 7.17 (m, 3H), 7.13 (d, *J* = 10.0 Hz, 1H), 6.96 (td, *J* = 8.4, 1.3 Hz, 1H), 6.76 (d, *J* = 16.0 Hz, 1H), 6.49 (dt, *J* = 16.0, 5.6 Hz, 1H), 4.82 (d, *J* = 5.6 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 163.2, 160.8, 155.4, 137.7, 133.4, 130.7 (2C), 129.0, 128.9, 128.4, 128.0, 126.6, 125.7, 125.3, 124.7, 122.7, 121.4 (2C), 117.8, 113.7, 113.5, 112.0, 111.8, 105.9, 67.2. HRMS(ESI): Calcd for C₁₉H₁₄FO [M-H]⁻ 277.1029, found 277.1010.

2-[[(2*E***)-3-(2-methylphenyl)-2-propen-1-yl]oxy]Naphthalene (1h).** 62% (0.8 g) as a white solid; mp: 94 °C. 1 H NMR (400 MHz, CDCl₃) δ 7.81 – 7.71 (m, 3H), 7.52 – 7.48 (m, 1H), 7.47 – 7.42 (m, 1H), 7.37 – 7.32 (m, 1H), 7.23 – 7.20 (m, 2H), 7.19 – 7.14 (m, 3H), 7.02 (d, *J*

= 15.8 Hz, 1H), 6.37 (dt, J = 15.8, 5.8 Hz, 1H), 4.84 (dd, J = 5.8, 1.4 Hz, 2H), 2.36 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.5, 134.6 (2C), 133.5, 130.1, 129.3, 128.4, 128.0, 126.8, 126.6, 125.7, 125.3, 125.1, 124.8, 124.6, 122.6, 118.0, 106.1, 67.9, 18.8. HRMS(ESI): Calcd for C₂₀H₁₇O [M-H]⁻ 273.1279, found 273.1273.

2-[[(2*E***)-3-(2-chlorophenyl)-2-propen-1-yl]oxy]Naphthalene (1i).** 64% (0.9 g) as a white solid; mp: 84-85 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.73 (m, 3H), 7.59 (dd, J = 7.5, 1.9 Hz, 1H), 7.47 – 7.42 (m, 1H), 7.39 – 7.31 (m, 2H), 7.25 – 7.17 (m, 5H), 6.47 (dt, J = 16.0, 5.8 Hz, 1H), 4.86 (dd, J = 5.8, 1.5 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 155.4, 133.6, 133.5, 132.2, 128.7, 128.5, 128.4, 128.0, 127.9, 126.6, 126.3, 126.0, 125.9, 125.8, 125.4, 122.7, 118.0, 106.0, 67.6. HRMS(ESI): Calcd for C₁₉H₁₄ClO [M-H]⁻ 293.0733, found 293.0723.

2-[[(2*E*)-3-(2-fluorophenyl)-2-propen-1-yl]oxy]Naphthalene (1j). 70% (1.0 g) as a white solid; mp: 97-98 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.72 (m, 3H), 7.47 (dtd, J = 15.1, 8.1, 1.2 Hz, 2H), 7.37 – 7.31 (m, 1H), 7.24 – 7.19 (m, 3H), 7.11 (td, J = 7.6, 0.9 Hz, 1H), 7.05 (ddd, J = 10.7, 8.2, 1.0 Hz, 1H), 6.96 (d, J = 16.2 Hz, 1H), 6.58 (dt, J = 16.2, 5.7 Hz, 1H), 4.84 (dd, J = 5.7, 1.4 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 161.6, 159.1, 156.5, 134.5, 129.5, 129.3, 129.2, 129.1, 127.7, 127.1, 127.0, 126.8, 126.4, 125.6 (2C), 124.3, 124.2 (2C), 124.1, 123.7, 119.0, 115.9, 115.7, 107.1, 68.7. HRMS(ESI): Calcd for C₁₉H₁₄FO [M-H]⁻² 277.1029, found 277.1015.

2-[[(2*E***)-3-(2-trifluoromethylphenyl)-2-propen-1-yl]oxy]Naphthalene (1k).** 73% (1.2 g) as a white solid; mp: 102-103 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.70 (m, 3H), 7.68 – 7.60 (m, 2H), 7.53 – 7.40 (m, 2H), 7.37 – 7.31 (m, 2H), 7.24 – 7.14 (m, 3H), 6.44 (dt, J = 15.8, 5.7 Hz, 1H), 4.84 (dd, J = 5.7, 1.3 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.5, 135.7,

134.6, 132.0, 129.6, 129.2, 129.1, 127.8, 127.7 (2C), 127.5, 126.9, 126.5, 126.0, 125.9 (2C), 125.8 (2C), 123.9, 123.1, 119.1, 107.2, 68.6. HRMS(ESI): Calcd for C₂₀H₁₄F₃O [M-H]⁻ 327.0997, found 327.0977.

1-[[(2E)-3-phenyl-2-propen-1-yl]oxy]Naphthalene (**1a').** 75% (1.5 g) as a white solid; mp: 80-82 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.36 – 8.32 (m, 1H), 7.83 – 7.78 (m, 1H), 7.52 – 7.46 (m, 2H), 7.46 – 7.43 (m, 3H), 7.39 – 7.33 (m, 3H), 7.27 (t, J = 7.3 Hz, 1H), 6.88 (d, J = 7.6 Hz, 1H), 6.83 (d, J = 16.0 Hz, 1H), 6.54 (dt, J = 16.0, 5.7 Hz, 1H), 4.89 (d, J = 5.6 Hz, 2H). Spectral data obtained were in agreement with those reported in the literature. ^{14b}

7-bromo-2-[[(2*E***)-3-phenyl-2-propen-1-yl]oxy]Naphthalene (1l).** 74% (2 g) as a white solid; mp: 130 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, J = 1.7 Hz, 1H), 7.72 (d, J = 9.0 Hz, 1H), 7.63 (d, J = 8.7 Hz, 1H), 7.45 – 7.39 (m, 3H), 7.37 – 7.31 (m, 2H), 7.28 (d, J = 7.5 Hz, 1H), 7.21 (dd, J = 9.0, 2.4 Hz, 1H), 7.09 (d, J = 2.4 Hz, 1H), 6.79 (d, J = 16.0 Hz, 1H), 6.47 (dt, J = 16.0, 5.8 Hz, 1H), 4.80 (d, J = 5.8 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 157.3, 136.3, 135.8, 133.4, 129.5, 129.3, 128.8, 128.6, 128.0, 127.4, 127.0, 126.6, 124.0, 120.6, 119.4, 106.2, 68.7. HRMS(ESI): Calcd for C₁₉H₁₄BrO [M-H]⁻ 337.0228, found 337.0209.

7-bromo-2-[[(2*E***)-3-(2-chlorophenyl)-2-propen-1-yl]oxy]Naphthalene (1m).** 63% (1.2 g) as a white solid; mp: 98-99 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, J = 1.8 Hz, 1H), 7.72 (d, J = 9.0 Hz, 1H), 7.63 (d, J = 8.7 Hz, 1H), 7.58 (dd, J = 7.4, 2.0 Hz, 1H), 7.39 (ddd, J = 9.3, 8.2, 1.9 Hz, 2H), 7.25 – 7.17 (m, 4H), 7.10 (d, J = 2.4 Hz, 1H), 6.45 (dt, J = 16.0, 5.8 Hz, 1H), 4.84 (dd, J = 5.8, 1.5 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.2, 134.7, 133.5, 132.2, 128.7, 128.6, 128.5, 128.3, 128.0, 127.7, 126.4, 126.0 (2C), 125.9, 125.1, 119.6, 118.4, 105.2, 67.6. HRMS(ESI): Calcd for C₁₉H₁₃BrClO [M-H] 370.9838, found 370.9815.

7-bromo-2-[(2E)-3-(3-chlorophenyl)-2-propen-1-yl]oxy]Naphthalene (1n). 67% (1.2 g) as a white solid; mp: 123 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, J = 1.7 Hz, 1H), 7.73 (d, J = 9.0 Hz, 1H), 7.63 (d, J = 8.7 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.33 – 7.26 (m, 2H), 7.25 – 7.19 (m, 2H), 7.08 (d, J = 2.4 Hz, 1H), 6.73 (d, J = 16.0 Hz, 1H), 6.48 (dt, J = 16.0, 5.6 Hz, 1H), 4.81 (dd, J = 5.6, 1.4 Hz, 2H). ¹³C NMR (101 MHz, CDCl3) δ 156.1, 137.1, 134.6, 133.5, 130.6, 128.8, 128.4, 128.2, 127.7, 126.8, 126.3, 126.0, 125.4, 124.5, 123.7, 119.5, 118.2, 105.0, 67.2. HRMS(ESI): Calcd for C₁₉H₁₃BrClO [M-H]⁻ 370.9838, found 370.9823. **6-bromo-2-[[(2E)-3-phenyl-2-propen-1-yl]oxy]Naphthalene (1o).** 71% (1.9 g) as a white solid; mp: 148 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, J = 1.8 Hz, 1H), 7.66 (d, J = 9.0 Hz, 1H), 7.60 (d, J = 8.8 Hz, 1H), 7.50 (dd, J = 8.7, 2.0 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.37 – 7.31 (m, 2H), 7.29 - 7.26 (m, 1H), 7.22 (dd, J = 9.0, 2.5 Hz, 1H), 7.16 (d, J = 2.4 Hz, 1H), 6.79 (d, J = 2.4 Hz, 1H), 6.70 (J = 16.0 Hz, 1H), 6.47 (dt, J = 16.0, 5.8 Hz, 1H), 4.80 (dd, J = 5.8, 1.4 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 155.8, 135.3, 132.3, 132.0, 129.1, 128.6 (2C), 127.6 (2C), 127.4, 127.0, 125.6, 123.0, 119.0, 116.1, 106.0, 67.7. HRMS(ESI): Calcd for C₁₉H₁₄BrO [M-H]⁻ 337.0228. found 337.0217.

6-bromo-2-[[(2*E***)-3-(4-fluorophenyl)-2-propen-1-yl]oxy]Naphthalene (1p).** 68% (1.2 g) as a white solid; mp: 134-136 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, J = 1.4 Hz, 1H), 7.66 (d, J = 9.0 Hz, 1H), 7.59 (d, J = 8.8 Hz, 1H), 7.50 (dd, J = 8.7, 1.9 Hz, 1H), 7.42 – 7.36 (m, 2H), 7.26 – 7.19 (m, 1H), 7.14 (d, J = 2.3 Hz, 1H), 7.02 (t, J = 8.6 Hz, 2H), 6.74 (d, J = 16.0 Hz, 1H), 6.38 (dt, J = 16.0, 5.8 Hz, 1H), 4.78 (d, J = 5.8 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 163.8, 161.3, 156.8, 133.0, 132.5 (2C), 132.2, 130.1, 129.7, 128.6, 128.4, 128.2,

128.1, 123.7 (2C), 120.0, 117.2, 115.7, 115.5, 107.0, 68.6. HRMS(ESI): Calcd for C₁₉H₁₃BrFO [M-H]⁻ 355.0134, found 355.0117.

6-methoxy-2-[[(2*E***)-3-phenyl-2-propen-1-yl]oxy]Naphthalene (1q).** 71% (1.6 g) as a white solid; mp: 156 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.65 (t, J = 8.9 Hz, 2H), 7.45 – 7.41 (m, 2H), 7.36 - 7.30 (m, 2H), 7.29 – 7.26 (m, 1H), 7.21 – 7.16 (m, 2H), 7.15 – 7.10 (m, 2H), 6.78 (d, J = 16.0 Hz, 1H), 6.48 (dt, J = 16.0, 5.8 Hz, 1H), 4.79 (dd, J = 5.8, 1.4 Hz, 2H), 3.90 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.2, 155.1, 136.5, 133.1, 129.9, 129.7, 128.6, 128.2, 127.9, 126.6, 124.5, 119.2, 119.0, 109.7, 107.5, 106.1, 68.8, 55.3. HRMS(ESI): Calcd for $C_{20}H_{17}O_2$ [M-H]⁻ 289.1229, found 289.1207.

7-[[(2*E***)-3-phenyl-2-propen-1-yl]oxy] quinoline (1r).** 65% (1.4 g) as a white solid; mp: 80-81 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.77 (dd, J = 4.2, 1.5 Hz, 1H), 8.10 – 8.01 (m, 2H), 7.47 – 7.41 (m, 3H), 7.39 – 7.31 (m, 3H), 7.30 – 7.24 (m, 1H), 7.14 (d, J = 2.7 Hz, 1H), 6.80 (d, J = 16.0 Hz, 1H), 6.47 (dt, J = 16.0, 5.8 Hz, 1H), 4.83 (d, J = 5.8 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 155.8, 146.9, 143.3, 135.3, 134.1, 132.5, 129.9, 128.3, 127.7, 127.1, 125.7, 122.9, 121.7, 120.4, 105.5, 68.0. HRMS(ESI): Calcd for C₁₈H₁₄NO [M-H]⁻260.1075, found 260.1067.

7-[[(2*E*)-3-(4-methylphenyl)phenyl-2-propen-1-yl]oxy] quinoline (1s). 68% (0.9 g) as a white solid; mp: 93-95 °C . ¹H NMR (400 MHz, CDCl₃) δ 8.78 (dd, J = 4.2, 1.4 Hz, 1H), 8.09 – 8.01 (m, 2H), 7.44 (dd, J = 9.2, 2.8 Hz, 1H), 7.39 – 7.31 (m, 3H), 7.18 – 7.12 (m, 3H), 6.76 (d, J = 16.0 Hz, 1H), 6.43 (dt, J = 15.9, 5.9 Hz, 1H), 4.81 (dd, J = 5.9, 1.2 Hz, 2H), 2.35 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.7, 146.9, 143.3, 137.0, 134.0, 132.5, 132.4, 129.8,

128.3 (2C), 125.5, 121.7, 121.6, 120.3, 105.3, 68.0, 20.2. HRMS(ESI): Calcd for C₁₉H₁₆NO [M-H]⁻ 274.1232, found 274.1226.

7-[[(2*E***)-3-(2-methylphenyl)phenyl-2-propen-1-yl]oxy] quinoline (1t).** 76% (1.0 g) as a white solid; mp: 83 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.79 (dd, J = 4.3, 1.6 Hz, 1H), 8.12 – 8.01 (m, 2H), 7.53 – 7.49 (m, 1H), 7.46 (dd, J = 9.2, 2.7 Hz, 1H), 7.37 (dd, J = 8.3, 4.2 Hz, 1H), 7.22 – 7.14 (m, 4H), 7.02 (d, J = 15.8 Hz, 1H), 6.37 (dt, J = 15.8, 5.8 Hz, 1H), 4.85 (d, J = 5.8 Hz, 2H), 2.36 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.7, 147.0, 143.3, 134.6, 134.4, 133.9, 130.4, 129.9, 129.3, 128.2, 126.9, 125.2, 124.8, 124.1, 121.6, 120.4, 105.4, 68.1, 18.8. HRMS(ESI): Calcd for C₁₉H₁₆NO [M-H]⁻ 274.1232, found 274.1223.

2-methyl -7-[[(2*E***)-3-phenyl-2-propen-1-yl]oxy] quinoline (1u).** 74% (1.6 g) as a white solid; mp: 98-99 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.99 – 7.92 (m, 2H), 7.46 – 7.38 (m, 3H), 7.37 – 7.31 (m, 2H), 7.29 – 7.26 (m, 1H), 7.26 – 7.22 (m, 1H), 7.11 (d, J = 2.8 Hz, 1H), 6.79 (d, J = 16.0 Hz, 1H), 6.47 (dt, J = 16.0, 5.8 Hz, 1H), 4.81 (dd, J = 5.8, 1.2 Hz, 2H), 2.72 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.4, 155.1, 142.7, 135.2, 134.1, 132.2, 128.9, 127.5, 126.9, 126.2, 125.5, 122.9, 121.2, 121.1, 105.5, 67.8, 23.9. HRMS(ESI): Calcd for C₁₉H₁₈NO [M+H]⁺ 276.1388, found 276.1371.

2-methyl-7-[[(2*E*)-3-(4-methylphenyl)phenyl-2-propen-1-yl]oxy] quinoline (1v). 76% (1.1 g) as a white solid; mp: 125-126 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, J = 8.8 Hz, 2H), 7.40 (dd, J = 9.1, 2.7 Hz, 1H), 7.33 (d, J = 8.0 Hz, 2H), 7.28 – 7.22 (m, 1H), 7.17 – 7.09 (m, 3H), 6.75 (d, J = 16.0 Hz, 1H), 6.42 (dt, J = 15.9, 5.9 Hz, 1H), 4.79 (d, J = 5.8 Hz, 2H), 2.71 (s, 3H), 2.34 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.4, 156.2, 143.8, 137.9, 135.2, 133.5,

133.4, 130.0, 129.3, 127.3, 126.5, 122.9, 122.3, 106.5, 69.1, 25.0, 21.3. HRMS(ESI): Calcd for C₂₀H₂₀NO [M+H]⁺ 290.1545, found 290.1529.

2-(allyloxy)naphthalene (**1w**). 75% (1.1 g) as a colorless liquid; ¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.65 (m, 3H), 7.44 – 7.38 (m, 1H), 7.34 – 7.27 (m, 1H), 7.18 – 7.13 (m, 1H), 7.10 (d, J = 1.5 Hz, 1H), 6.15 – 6.03 (m, 1H), 5.48 – 5.40 (m, 1H), 5.29 (dd, J = 10.5, 1.4 Hz, 1H), 4.60 (dt, J = 5.3, 1.3 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 156.6, 134.6, 133.3, 129.5, 129.1, 127.8, 126.9, 126.5, 123.8, 119.1, 117.9, 107.1, 68.9. Spectral data obtained were in agreement with those reported in the literature. ¹⁷

1-(1-phenyl-1-propen-1-yl)-2-Naphthol (**2a).** 90% (59 mg) as a white solid; mp: 108-109 $^{\circ}$ C. 1 H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 2H), 7.52 – 7.46 (m, 1H), 7.34 – 7.28 (m, 3H), 7.27 – 7.18 (m, 5H), 6.80 (q, J = 6.9 Hz, 1H), 5.37 (s, 1H), 1.62 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 150.3, 139.8, 134.4, 132.7, 129.7, 129.6, 129.2, 128.7, 128.2, 127.5, 126.6, 125.9, 124.6, 123.3, 117.6, 117.1, 15.5. MS (ESI): Calcd for C₁₉H₁₅O [M-H]⁻ 259.1123, found 259.11. Spectral data obtained were in agreement with those reported in the literature. 10

1-[1-(4-methylphenyl)-1-propen-1-yl]-2-Naphthol (**2b**). 68% (46 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.84 – 7.76 (m, 2H), 7.53 – 7.46 (m, 1H), 7.34 – 7.31 (m, 1H), 7.30 – 7.28 (m, 1H), 7.27 – 7.23 (m, 1H), 7.16 (d, J = 8.2 Hz, 2H), 7.04 (d, J = 8.2 Hz, 2H), 6.76 (q, J = 6.9 Hz, 1H), 5.38 (s, 1H), 2.29 (s, 3H), 1.61 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 150.2, 137.4, 136.9, 134.2, 132.7, 129.5, 129.4, 129.1, 128.6, 128.1, 126.6, 125.8, 124.7, 123.3, 117.8, 117.1, 21.1, 15.4. HRMS (ESI): Calcd for C₂₀H₁₇O [M-H]⁻ 273.1279, found 273.1278.

1-[1-(4-chlorophenyl)-1-propen-1-yl]-2-Naphthol (**2c**). 31% (23 mg) as a yellow oil; ¹H NMR (400 MHz, CDCl₃) δ 7.85 – 7.77 (m, 2H), 7.46 – 7.41 (m, 1H), 7.35 – 7.29 (m, 2H), 7.27 (d, J = 8.9 Hz, 1H), 7.19 (s, 4H), 6.80 (q, J = 6.9 Hz, 1H), 5.31 (s, 1H), 1.63 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 134.7, 122.7, 118.0, 117.8, 117.0, 114.6, 114.3, 113.7, 113.3, 112.7, 111.7, 111.2, 108.9, 107.9, 101.6, 101.5, 14.2. HRMS(ESI): Calcd for C₁₉H₁₄ClO [M-H]⁻ 293.0733, found 293.0728.

1-[1-(4-fluorophenyl)-1-propen-1-yl]-2-Naphthol (**2d**). 47% (33 mg) as a yellow solid; mp: 89 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.85 – 7.78 (m, 2H), 7.48 – 7.43 (m, 1H), 7.35 – 7.29 (m, 2H), 7.29 – 7.26 (m, 1H), 7.25 – 7.21 (m, 2H), 6.96 – 6.89 (m, 2H), 6.74 (q, J = 6.9 Hz, 1H), 5.35 (s, 1H), 1.62 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 163.5, 161.1, 150.2, 135.9, 135.8, 133.4, 132.5, 129.7, 129.4, 129.2, 128.2, 127.6, 127.5, 126.7, 124.5, 123.4, 117.3, 117.1, 115.6, 115.4, 15.5. HRMS(ESI): Calcd for C₁₉H₁₄FO [M-H]⁻ 277.1029, found 277.1033.

1-[1-(4-trifluoromethylphenyl)-1-propen-1-yl]-2-Naphthol (**2e**). 41% (33 mg) as a white solid; mp: 146-148 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.86 – 7.80 (m, 2H), 7.52 – 7.47 (m, 2H), 7.45 – 7.40 (m, 1H), 7.37 (d, J = 8.6 Hz, 2H), 7.34 – 7.31 (m, 2H), 7.28 (d, J = 8.9 Hz, 1H), 6.92 (q, J = 6.9 Hz, 1H), 1.68 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.3, 143.3, 133.7, 132.5, 132.1, 130.0, 129.6, 129.2, 128.3, 126.9, 126.2, 125.7 (2C), 125.6 (2C), 124.3, 123.6, 122.8, 117.2, 116.8, 15.7. HRMS(ESI): Calcd for C₂₀H₁₄F₃O [M-H]⁻ 327.0997, found 327.1018.

1-[1-(3-chlorophenyl)-1-propen-1-yl]-2-Naphthol (**2f).** 69% (51 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.85 – 7.79 (m, 2H), 7.48 – 7.42 (m, 1H), 7.37 – 7.30 (m, 3H),

7.27 (d, J = 8.9 Hz, 1H), 7.19 (dt, J = 7.9, 1.7 Hz, 1H), 7.17 – 7.12 (m, 1H), 7.08 (dt, J = 7.4, 1.6 Hz, 1H), 6.83 (q, J = 6.9 Hz, 1H), 5.30 (s, 1H), 1.64 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.3, 141.8, 134.7, 133.5, 132.5, 131.1, 129.9 (2C), 129.2, 128.3, 127.6, 126.8, 125.9, 124.4, 124.3, 123.5, 117.2, 116.9, 15.6. HRMS(ESI): Calcd for C₁₉H₁₄ClO [M-H]⁻ 293.0733, found 293.0738.

1-[1-(3- fluorophenyl)-1-propen-1-yl]-2-Naphthol (**2g**). 44% (30 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.87 – 7.78 (m, 2H), 7.50 – 7.42 (m, 1H), 7.36 – 7.31 (m, 2H), 7.28 (d, J = 9.0 Hz, 1H), 7.20 (td, J = 8.0, 6.1 Hz, 1H), 7.04 (d, J = 7.9 Hz, 1H), 7.01 – 6.95 (m, 1H), 6.91 (td, J = 8.3, 2.5 Hz, 1H), 6.84 (q, J = 6.9 Hz, 1H), 5.30 (s, 1H), 1.64 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 163.4, 161.0, 149.3, 141.2 (2C), 132.6, 131.5, 129.9, 129.1 (2C), 128.9, 128.2, 127.3, 125.8, 123.4, 122.5, 120.6 (2C), 116.2, 116.0, 113.5, 113.3, 111.9, 111.7, 14.6. HRMS(ESI): Calcd for $C_{19}H_{14}FO$ [M-H] $^{-}$ 277.1029, found 277.1022.

1-[1-(2-methylphenyl)-1-propen-1-yl]-2-Naphthol (**2h**). 88% (60 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.79 – 7.74 (m, 2H), 7.54 (dd, J = 8.2, 0.8 Hz, 1H), 7.34 – 7.26 (m, 2H), 7.23 (d, J = 8.9 Hz, 1H), 7.20 – 7.12 (m, 3H), 7.11 – 7.08 (m, 1H), 6.37 (q, J = 6.9 Hz, 1H), 5.58 (s, 1H), 2.31 (s, 3H), 1.70 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 150.3, 141.1, 135.3, 135.0, 133.5, 132.6, 131.4, 129.7, 129.3, 129.2, 128.2, 127.3, 126.5, 126.1, 124.8, 123.2, 118.7, 117.1, 20.9, 15.7. HRMS(ESI): Calcd for $C_{20}H_{17}O$ [M-H]⁻² 273.1279, found 273.1271.

1-[1-(2-chlorophenyl)-1-propen-1-yl]-2-Naphthol (**2i**). 70% (52 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.70 (d, J = 9.1 Hz, 2H), 7.64 (d, J = 8.4 Hz, 1H), 7.31 (t, J = 7.5 Hz, 2H), 7.26 – 7.20 (m, 1H), 7.16 (d, J = 8.9 Hz, 1H), 7.10 – 6.98 (m, 3H), 6.54 (q, J = 6.8

Hz, 1H), 5.61 (s, 1H), 1.62 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 149.6, 138.9, 135.8, 131.5, 130.9, 130.3, 129.5, 129.4, 128.7, 128.1, 127.3, 127.2, 125.8, 125.7, 123.5, 122.2, 117.2, 116.2, 14.6. HRMS(ESI): Calcd for $C_{19}H_{14}ClO$ [M-H]⁻ 293.0733, found 293.0724.

1-[1-(2- fluorophenyl)-1-propen-1-yl]-2-Naphthol (**2j).** 78% (54 mg) as a yellow oil; ${}^{1}H$ NMR (400 MHz, CDCl₃) δ 7.82 – 7.75 (m, 2H), 7.59 (d, J = 8.3 Hz, 1H), 7.37 – 7.29 (m, 2H), 7.26 – 7.24 (m, 1H), 7.18 – 7.12 (m, 1H), 7.07 (dd, J = 11.4, 8.1 Hz, 1H), 7.00 – 6.89 (m, 2H), 6.83 (q, J = 6.9 Hz, 1H), 5.51 (s, 1H), 1.66 (d, J = 6.9 Hz, 3H). ${}^{13}C$ NMR (101 MHz, CDCl₃) δ 160.6, 158.1, 149.3, 134.6, 134.5, 131.5, 129.1 (2C), 128.7, 128.2, 127.9, 127.7, 127.6, 127.4, 127.3, 125.8, 123.4, 123.3, 123.2, 122.4, 116.9, 116.2, 115.4, 115.1, 14.7. HRMS(ESI): Calcd for $C_{19}H_{14}FO$ [M-H]⁻ 277.1029, found 277.1017.

2-[[(1Z)-3-(2-trifluoromethylphenyl)-1-propen-1-yl]oxy]Naphthalene (**1k'**). 23% (19 mg) as a white solid; mp: 95-96 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.72 (m, 3H), 7.66 (dd, J = 12.9, 7.9 Hz, 2H), 7.51 (t, J = 7.6 Hz, 1H), 7.46 - 7.41 (m, 1H), 7.39 – 7.32 (m, 2H), 7.23 – 7.15 (m, 3H), 6.45 (dt, J = 15.8, 5.7 Hz, 1H), 4.86 (dd, $J = 5.8, 1.4 \text{ Hz}, 2\text{H}). ¹³C NMR (101 MHz, CDCl₃) <math>\delta$ 155.4, 134.5, 133.4, 130.9, 129.7, 129.0, 128.5, 128.0, 127.9, 127.3, 126.6 (2C), 126.5, 126.0, 125.8, 125.4, 124.8, 124.7, 122.7, 122.3, 117.9, 106.1, 67.4. HRMS(ESI): Calcd for C₂₀H₁₄F₃O [M-H]⁻ 327.0997, found 327.0996.

2-(1-phenyl-1-propen-1-yl)-1-Naphthol [**2a'(Z)**]. 35% (23 mg) as a white solid; mp: 89-91 $^{\circ}$ C. 1 H NMR (600 MHz, CDCl₃) δ 8.32 – 8.23 (m, 1H), 7.86 – 7.78 (m, 1H), 7.55 – 7.49 (m, 2H), 7.44 (d, J = 8.3 Hz, 1H), 7.28 (d, J = 3.7 Hz, 3H), 7.25 (s, 2H), 7.10 (d, J = 8.3 Hz, 1H), 6.56 (q, J = 6.8 Hz, 1H), 5.61 (s, 1H), 1.76 (d, J = 6.9 Hz, 3H). HRMS(ESI): Calcd for

C₁₉H₁₅O [M-H]⁻ 259.1123, found 259.1131. Spectral data obtained were in agreement with those reported in the literature.¹⁰

7-bromo-1-(1-phenyl-1-propen-1-yl)-2-Naphthol (**2l).** 99% (84 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.77 (d, J = 8.8 Hz, 1H), 7.68 – 7.63 (m, 2H), 7.38 (dd, J = 8.6, 2.0 Hz, 1H), 7.28 (d, J = 8.9 Hz, 2H), 7.26 (s, 3H), 7.25 – 7.23 (m, 1H), 6.83 (q, J = 6.9 Hz, 1H), 5.40 (s, 1H), 1.62 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 150.1, 138.2, 133.0, 132.7, 129.3, 128.8, 128.5, 127.8, 126.8, 126.5, 125.8, 125.6, 124.8, 120.2, 116.6, 116.1, 14.5. HRMS(ESI): Calcd for C₁₉H₁₄BrO [M-H]⁻ 337.0228, found 337.0227.

7-bromo-1-[1-(2-chlorophenyl)-1-propen-1-yl]-2-Naphthol (**2m**). 42% (39 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.89 (d, J = 1.8 Hz, 1H), 7.73 (d, J = 8.9 Hz, 1H), 7.63 (d, J = 8.6 Hz, 1H), 7.43 – 7.40 (m, 1H), 7.38 (dd, J = 8.6, 1.9 Hz, 1H), 7.23 (d, J = 8.9 Hz, 1H), 7.19 – 7.10 (m, 3H), 6.61 (q, J = 6.9 Hz, 1H), 5.71 (s, 1H), 1.70 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 150.4, 138.6, 136.4, 132.8, 130.9, 129.8, 129.5 (2C), 128.9, 128.7, 127.5, 126.5, 125.9, 125.7, 125.6, 120.2, 116.7, 116.6, 14.7. HRMS(ESI): Calcd for $C_{19}H_{13}BrClO$ [M-H] 370.9838, found 370.9831.

7-bromo-1-[1-(3-chlorophenyl)-1-propen-1-yl]-2-Naphthol (**2n**). 80% (75 mg) as a yellow solid; mp: 121-123 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.77 (d, J = 8.9 Hz, 1H), 7.66 (d, J = 8.6 Hz, 1H), 7.60 (d, J = 1.7 Hz, 1H), 7.38 (dd, J = 8.6, 1.9 Hz, 1H), 7.29 – 7.24 (m, 2H), 7.22 – 7.13 (m, 2H), 7.08 (dt, J = 7.5, 1.4 Hz, 1H), 6.82 (q, J = 6.9 Hz, 1H), 5.36 (s, 1H), 1.61 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.1, 140.2, 133.8, 132.8, 131.7, 130.6, 129.0, 128.9, 128.8, 126.8, 126.6, 125.9, 125.4, 124.7, 123.1, 120.4, 116.7, 115.3, 14.6. HRMS(ESI): Calcd for C₁₉H₁₃BrClO [M-H]⁻ 370.9838, found 370.9834.

6-bromo-1-(1-phenyl-1-propen-1-yl)-2-Naphthol (**2o**). 70% (59 mg) as a yellow oil; ¹H NMR (400 MHz, CDCl₃) δ 7.95 (s, 1H), 7.72 (d, J = 8.9 Hz, 1H), 7.37 (d, J = 1.6 Hz, 2H), 7.31 – 7.28 (m, 1H), 7.26 – 7.25 (m, 1H), 7.25 – 7.22 (m, 4H), 6.82 (q, J = 6.9 Hz, 1H), 5.39 (s, 1H), 1.62 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.6, 139.4, 133.9, 131.2, 130.3, 130.1, 130.0, 129.9, 128.8, 128.7, 127.7, 126.5, 125.9, 118.3, 117.9, 117.1, 15.5. HRMS(ESI): Calcd for C₁₉H₁₄BrO [M-H]⁻ 337.0228, found 337.0221.

6-bromo-1-[1-(4- fluorophenyl)-1-propen-1-yl]-2-Naphthol (2p). 45% (40 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 1.7 Hz, 1H), 7.71 (d, J = 8.9 Hz, 1H), 7.38 (dd, J = 9.0, 1.9 Hz, 1H), 7.30 (dd, J = 14.9, 8.9 Hz, 2H), 7.22 – 7.17 (m, 2H), 6.96 – 6.88 (m, 2H), 6.73 (q, J = 6.9 Hz, 1H), 5.39 (s, 1H), 1.60 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 162.6, 160.1, 149.5, 134.5 (2C), 131.9, 130.0, 129.3, 129.1, 128.9, 128.6 (2C), 127.8, 126.5, 126.4, 125.3, 117.3, 116.6, 116.2, 114.7, 114.5, 14.4. HRMS(ESI): Calcd for $C_{19}H_{13}BrFO$ [M-H] ${}^{-}$ 335.0134, found 355.0128.

6-methoxy-1-(1-phenyl-1-propen-1-yl)-2-Naphthol (2q). 96% (70 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 7.70 (d, J = 8.9 Hz, 1H), 7.40 (d, J = 9.2 Hz, 1H), 7.29 – 7.26 (m, 2H), 7.25 – 7.18 (m, 4H), 7.13 (d, J = 2.6 Hz, 1H), 7.00 (dd, J = 9.1, 2.6 Hz, 1H), 6.78 (q, J = 6.9 Hz, 1H), 5.21 (s, 1H), 3.87 (s, 3H), 1.62 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 155.9, 148.7, 139.8, 134.6, 130.0, 129.4, 128.7, 128.2, 127.9, 127.5, 126.2, 125.9, 119.1, 118.0, 117.5, 106.6, 55.3, 15.5. HRMS(ESI): Calcd for $C_{20}H_{17}O_{2}$ [M-H]⁻ 289.1229, found 289.1226.

7-hydroxy-6-(1-phenyl-1-propen-1-yl)-quinoline(2r). 92% (60 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 8.65 (dd, J = 4.2, 1.5 Hz, 1H), 8.01 (d, J = 9.2 Hz, 1H), 7.87 (d, J

= 8.0 Hz, 1H), 7.50 (d, J = 9.1 Hz, 1H), 7.35 – 7.13 (m, 7H), 6.77 (q, J = 6.9 Hz, 1H), 1.62 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.3, 146.0, 142.7, 138.7, 132.8, 132.3, 129.1, 128.4, 127.6, 127.0, 126.5, 124.8, 120.4, 120.3, 116.8, 14.5. HRMS(ESI): Calcd for $C_{18}H_{14}NO$ [M-H]⁻² 260.1075, found 260.1074.

7-hydroxy-6-[1-(4-methylphenyl)-1-propen-1-yl] -quinoline(2s). 35% (24 mg) as a yellow oil; 1 H NMR (400 MHz, CDCl₃) δ 8.75 (s, 1H), 8.16 (d, J = 9.1 Hz, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.54 (d, J = 9.1 Hz, 1H), 7.27 (s, 1H), 7.13 (d, J = 8.3 Hz, 2H), 7.06 (d, J = 8.1 Hz, 2H), 6.80 (q, J = 6.9 Hz, 1H), 5.34 (s, 1H), 2.30 (s, 3H), 1.62 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 149.8, 146.2, 143.0, 136.6, 135.6, 132.3 (2C), 129.4, 128.5, 128.4, 128.0, 126.9, 124.7, 120.4, 120.1, 116.7, 20.0, 14.5. HRMS(ESI): Calcd for C₁₉H₁₈NO [M+H]⁺ 276.1388, found 276.1380.

7-hydroxy-6-[1-(2-methylphenyl)-1-propen-1-yl] -quinoline (**2t**). 99% (68 mg) as a white solid; mp: 201-202 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.71 (d, J = 2.8 Hz, 1H), 8.02 (d, J = 9.0 Hz, 1H), 7.93 (d, J = 8.4 Hz, 1H), 7.45 (d, J = 9.1 Hz, 1H), 7.26 – 7.22 (m, 1H), 7.21 – 7.08 (m, 4H), 6.39 (q, J = 6.9 Hz, 1H), 2.26 (s, 3H), 1.70 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 151.0, 147.2, 144.0, 141.0, 135.4, 134.4, 133.8, 133.3, 131.5, 130.6, 129.4, 127.8, 127.5, 126.2, 121.3, 121.2, 118.7, 20.8, 15.8. HRMS(ESI): Calcd for C₁₉H₁₈NO [M+H]⁺ 276.1388, found 276.1381.

2-methyl-7-hydroxy-6-(1-phenyl-1-propen-1-yl)-quinoline (**2u**). 92% (64 mg) as a white solid; mp: 220 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, J = 9.1 Hz, 1H), 7.73 (d, J = 8.6 Hz, 1H), 7.46 (d, J = 9.1 Hz, 1H), 7.30 – 7.21 (m, 6H), 7.12 (d, J = 8.6 Hz, 1H), 6.82 (q, J = 6.9 Hz, 1H), 2.68 (s, 3H), 1.63 (d, J = 6.9 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.0, 149.2,

142.7, 138.6, 132.8, 132.5, 132.4, 128.9, 128.8, 127.8, 126.8, 124.9, 121.5, 119.7, 116.6, 23.8, 14.6. HRMS(ESI): Calcd for C₁₉H₁₆NO [M-H]⁻ 274.1232, found 274.1233.

2-methyl-7-hydroxy-6-[1-(4-methylphenyl)-1-propen-1-yl]-quinoline (**2v**). 78% (56 mg) as a yellow oil. 1 H NMR (400 MHz, CDCl₃) δ 7.90 (dd, J = 9.1, 0.6 Hz, 1H), 7.66 (d, J = 8.6 Hz, 1H), 7.38 (d, J = 9.1 Hz, 1H), 7.08 – 7.02 (m, 3H), 7.00 – 6.95 (m, 2H), 6.68 (q, J = 6.9 Hz, 1H), 2.59 (s, 3H), 2.22 (s, 3H), 1.53 (d, J = 6.9 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 155.9, 150.2, 143.6, 137.5, 136.8, 133.6, 133.5, 129.6, 129.4, 128.7, 126.0, 125.8, 122.4, 120.8, 117.8, 24.7, 21.1, 15.5. HRMS(ESI): Calcd for C₂₀H₂₀NO [M+H]⁺ 290.1545, found, 290.1537.

2-Methyl-1-phenylnaphtho[2,1-*b***]furan (3a).** 85% (55 mg) as a colorless liquid; ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, J = 8.1 Hz, 1H), 7.77 (d, J = 8.4 Hz, 1H), 7.67 (dd, J = 20.8, 8.9 Hz, 2H), 7.55 – 7.44 (m, 5H), 7.38 (t, J = 6.9 Hz, 1H), 7.28 (t, J = 7.0 Hz, 1H), 2.43 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.2 (2C), 133.1, 129.7, 129.5, 127.8, 127.6, 126.8, 126.5, 124.6, 123.5, 122.9, 122.1, 121.2, 117.9, 111.0, 11.3. Spectral data obtained were in agreement with those reported in the literature. ¹⁰

1-(1-Phenylallyl)-2-naphthol (**4a).** 90% (59 mg) as yellow liquid; ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, J = 8.6 Hz, 1H), 7.79 (d, J = 8.0 Hz, 1H), 7.73 (d, J = 8.8 Hz, 1H), 7.45 – 7.39 (m, 1H), 7.35 – 7.28 (m, 5H), 7.10 (d, J = 8.8 Hz, 1H), 6.60 (ddd, J = 16.8, 10.2, 6.0 Hz, 1H), 5.70 (d, J = 6.2 Hz, 2H), 5.42 (d, J = 10.2 Hz, 1H), 5.16 (d, J = 17.3 Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 151.6, 139.7, 137.9, 132.0, 128.6, 128.4, 127.9, 127.8, 127.1, 125.9, 125.6, 122.1, 121.8, 118.3, 117.8, 117.6, 45.1. Spectral data obtained were in agreement with those reported in the literature. ^{14b}

2-Methyl-1-(4-fluorophenyl)naphtho[2,1-*b***]furan (3d).** 14% (10 mg) as a white solid; ${}^{1}\text{H}$ NMR (400 MHz, CDCl₃) δ 7.91 (d, J = 7.7 Hz, 1H), 7.73 – 7.67 (m, 2H), 7.63 (d, J = 8.9 Hz, 1H), 7.49 – 7.43 (m, 2H), 7.39 (t, J = 6.9 Hz, 1H), 7.30 (t, J = 7.0 Hz, 1H), 7.24 – 7.19 (m, 2H), 2.41 (s, 3H). ${}^{13}\text{C}$ NMR (101 MHz, CDCl₃) δ 163.6, 161.2, 151.4, 151.2, 132.1 (2C), 130.7, 130.1, 130.0, 128.9, 127.8, 125.7, 124.6, 124.0, 122.9, 122.2, 118.0, 115.8, 115.6, 112.1, 12.3. Spectral data obtained were in agreement with those reported in the literature. 10 **2-Methyl-1-(3-chlorophenyl)naphtho[2,1-***b***]furan (3f).** 58% (43 mg) as a yellow liquid; ${}^{1}\text{H}$ NMR (400 MHz, CDCl₃) δ 7.92 (d, J = 8.1 Hz, 1H), 7.74 – 7.68 (m, 2H), 7.63 (dd, J = 8.9, 1.7 Hz, 1H), 7.51 (s, 1H), 7.48 – 7.44 (m, 2H), 7.43 – 7.37 (m, 2H), 7.35 – 7.29 (m, 1H), 2.43 (d, J = 1.8 Hz, 3H). ${}^{13}\text{C}$ NMR (101 MHz, CDCl₃) δ 151.5, 151.3, 136.2, 134.4, 130.8, 130.5, 129.9, 128.9, 128.8, 127.8, 127.7, 125.8, 124.8, 124.1, 123.0, 121.9, 117.8, 112.0, 12.3. HRMS(APCI): Calcd for C₁₉H₁₄ClO [M+H] $^{+}$ 293.0733, found 293.0732.

2-Methyl-1-(3-fluorophenyl)naphtho[2,1-*b***]furan (3g).** 80% (56 mg) as a colorless liquid; ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, J = 8.1 Hz, 1H), 7.74 (d, J = 8.3 Hz, 1H), 7.69 (d, J = 8.9 Hz, 1H), 7.63 (dd, J = 8.9, 1.3 Hz, 1H), 7.51 – 7.44 (m, 1H), 7.42 – 7.36 (m, 1H), 7.34 – 7.27 (m, 2H), 7.23 – 7.12 (m, 2H), 2.42 (d, J = 1.3 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 163.0, 160.6, 150.4, 150.2, 135.5, 135.4, 129.7, 129.1, 129.0, 127.9, 126.6, 125.3 (2C), 124.7, 123.7, 123.1, 122.0, 120.9, 116.9 (2C), 116.5, 116.3, 113.7, 113.4, 111.0, 11.3. Spectral data obtained were in agreement with those reported in the literature. ¹⁰

2-Methyl-1-(2-methylphenyl)naphtho[2,1-*b***]furan (3h).** 81% (56 mg) as a colorless liquid; ¹H NMR (400 MHz, CDCl3) δ 7.89 (d, J = 8.1 Hz, 1H), 7.66 (q, J = 8.9 Hz, 2H), 7.43 (d, J = 8.4 Hz, 1H), 7.41 – 7.30 (m, 5H), 7.24 (d, J = 6.0 Hz, 1H), 2.35 (s, 3H), 2.13 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 151.4, 150.8, 138.3, 133.6, 131.0, 130.7, 130.2, 128.7, 128.2, 126.2, 126.0, 124.4, 124.0, 122.6, 118.0, 112.2, 20.0, 12.3. Spectral data obtained were in agreement with those reported in the literature.¹⁰

2-Methyl-7-bromo-1-phenylnaphtho[2,1-*b***]furan (3l).** 84% (71 mg) as a white solid; mp:78-80 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.91 (s, 1H), 7.74 (d, J = 8.7 Hz, 1H), 7.65 – 7.59 (m, 2H), 7.57 – 7.52 (m, 2H), 7.51 – 7.43 (m, 4H), 2.43 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 150.6 (2C), 132.3, 129.3, 128.1, 127.9, 127.7, 126.9, 126.3, 124.6, 123.2, 120.5, 118.8, 117.8, 111.4, 11.3. HRMS(APCI): Calcd for C₁₉H₁₄BrO [M+H]⁺ 337.0228, found 337.0202.

2-Methyl-7-bromo-1-(2-chlorophenyl)naphtho[2,1-*b***]furan (3m).** 64% (60 mg) as a colorless liquid; 1 H NMR (400 MHz, CDCl₃) δ 7.74 (d, J = 8.7 Hz, 1H), 7.67 – 7.61 (m, 3H), 7.58 (d, J = 1.9 Hz, 1H), 7.49 – 7.40 (m, 4H), 2.38 (s, 3H). 13 C NMR (101 MHz, CDCl₃) δ 151.2, 150.7, 134.2, 131.5, 131.3, 129.2, 129.0, 128.8, 128.0, 127.9, 126.4, 126.1, 124.2, 123.3, 120.7, 119.0, 115.0, 111.5, 11.5. HRMS(APCI): Calcd for $C_{19}H_{13}BrClO$ [M+H]⁺ 370.9838, found 370.9809.

2-Methyl-7-bromo-1-(3-chlorophenyl)naphtho[2,1-*b***]furan (3n).** 66% (62 mg) as a yellow liquid; 1 H NMR (400 MHz, CDCl₃) δ 7.88 (d, J = 1.6 Hz, 1H), 7.75 (d, J = 8.7 Hz, 1H), 7.66 - 7.59 (m, 2H), 7.51 - 7.44 (m, 4H), 7.41 - 7.35 (m, 1H), 2.43 (s, 3H). 13 C NMR (101 MHz, CDCl₃) δ 151.9, 151.7, 135.4, 134.6, 130.4, 130.3, 130.0, 129.2, 128.7, 128.6, 128.1, 127.5, 125.5, 124.6, 121.1, 120.0, 117.6, 112.5, 12.4. HRMS(APCI): Calcd for C₁₉H₁₃BrClO [M+H]⁺ 370.9838, found 370.9810.

2-Methyl-6-bromo-1-phenylnaphtho[2,1-*b***]furan (3o).** 44% (38 mg) as a white solid; mp: 80-82 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, J = 2.0 Hz, 1H), 7.64 (t, J = 9.0 Hz, 2H), 7.57 (d, J = 8.9 Hz, 1H), 7.55 – 7.44 (m, 5H), 7.34 (dd, J = 8.9, 1.7 Hz, 1H), 2.43 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 151.8, 151.3, 133.8, 132.1, 130.7, 130.4, 128.8, 128.7, 127.8, 126.3, 124.9, 123.5, 122.5, 118.8, 117.7, 113.1, 12.3. Spectral data obtained were in agreement with those reported in the literature. ¹⁰

2-Methyl-6-bromo-1-(4-fluorophenyl)naphtho[2,1-*b***]furan (3p). 55% (49 mg) as a white solid; mp: 116-118 °C. ¹H NMR (400 MHz, CDCl₃) \delta 8.05 (s, 1H), 7.64 (dd, J = 8.9, 1.5 Hz, 1H), 7.60 – 7.55 (m, 2H), 7.47 – 7.40 (m, 2H), 7.36 (dd, J = 8.9, 1.7 Hz, 1H), 7.24 – 7.19 (m, 2H), 2.41 (d, J = 1.5 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) \delta 163.7, 161.2, 152.0, 151.2, 132.1, 132.0, 130.8, 129.6 (2C), 128.9, 126.2, 124.6, 123.6, 122.4, 117.8 (2C), 115.9, 115.7, 113.1, 12.3. Spectral data obtained were in agreement with those reported in the literature. ¹⁰
2-Methyl-6-methoxy-1-phenylnaphtho[2,1-b]furan (3q).** 77% (56 mg) as a white solid; mp: 68-69 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.67 (d, J = 9.2 Hz, 1H), 7.62 – 7.58 (m, 2H), 7.54 – 7.45 (m, 5H), 7.24 (s, 1H), 6.96 (dd, J = 9.1, 2.6 Hz, 1H), 3.89 (s, 3H), 2.41 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.1, 151.3, 150.2, 134.2, 131.9, 130.5, 128.6, 127.5, 124.6, 123.3, 122.8, 122.6, 118.7, 117.5, 112.4, 107.6, 55.3, 12.3. HRMS(APCI): Calcd for $C_{20}H_{17}O_{2}$ [M+H]⁺ 289.1229, found 289.1225.

5-Methyl-6-phenyl-Furo[2,3-h]quinoline (**3r**). 86% (56 mg) as a yellow solid; mp: 100-101 $^{\circ}$ C. 1 H NMR (400 MHz, CDCl₃) δ 8.86 – 8.78 (m, 1H), 8.13 – 8.06 (m, 1H), 7.98 (d, J = 9.1 Hz, 1H), 7.86 (dd, J = 9.1, 1.3 Hz, 1H), 7.57 – 7.45 (m, 5H), 7.22 – 7.17 (m, 1H), 2.45 (d, J = 1.2 Hz, 3H). 13 C NMR (101 MHz, CDCl₃) δ 151.4, 149.8, 147.0, 145.1, 132.4, 130.3, 129.2,

127.8, 126.9, 124.5, 121.9, 121.1, 119.2, 118.1, 114.4, 11.3. MS(ESI): Calcd for C₁₈H₁₄NO [M+H]⁺ 260.1075, found 260.1046.

5-Methyl-6-(2-methylphenyl)-Furo[2,3-h]quinoline (**3t).**75% (52 mg) as a yellow liquid; 1 H NMR (400 MHz, CDCl₃) δ 8.81 (d, J = 2.9 Hz, 1H), 7.98 (d, J = 9.1 Hz, 1H), 7.87 (d, J = 9.1 Hz, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.45 – 7.38 (m, 2H), 7.37 – 7.29 (m, 2H), 7.17 (dd, J = 8.4, 4.3 Hz, 1H), 2.37 (s, 3H), 2.12 (s, 3H). 13 C NMR (101 MHz, CDCl₃) δ 151.0, 149.8, 147.1, 145.0, 136.9, 131.7, 129.8, 129.3, 127.4 (2C), 125.3, 124.4, 122.1, 121.5, 119.5, 117.1, 114.4, 114.3, 18.9, 11.3. HRMS(ESI): Calcd for $C_{19}H_{16}NO$ [M+H]⁺ 274.1232, found 274.1199.

5-Methyl-6-(4-methylphenyl)-9-methyl-Furo[2,3-h]quinoline (3v). 10% (7 mg) as yellow solid; mp: 100-101 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, J = 8.6 Hz, 1H), 7.88 (d, J = 9.1 Hz, 1H), 7.80 (d, J = 9.1 Hz, 1H), 7.38 – 7.30 (m, 4H), 7.08 (d, J = 8.6 Hz, 1H), 2.70 (s, 3H), 2.48 (s, 3H), 2.43 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 156.5, 152.2, 150.5, 145.7, 137.5, 131.5, 130.5, 130.1, 129.5, 124.8, 122.3, 120.9 (2C), 118.9, 115.0, 25.1, 21.4, 12.3. HRMS(ESI): Calcd for C₂₀H₁₈NO [M+H]⁺ 288.1388, found 288.1387.

2-Methyl-3-phenylnaphtho[1,2-b]furan (**3a'**). 20% (13 mg) as white solid; 1 H NMR (400 MHz, CDCl₃) δ 8.32 (d, J = 8.2 Hz, 1H), 7.93 (d, J = 8.2 Hz, 1H), 7.70 – 7.64 (m, 2H), 7.61 – 7.46 (m, 6H), 7.39 (t, J = 7.2 Hz, 1H), 2.66 (s, 3H). Spectral data obtained were in agreement with those reported in the literature. 10

ASSOCIATED CONTENT

Supporting Information.

General experimental details and supplementary experimental data. ¹H and ¹³C NMR spectra for compounds **1**, **2**, **3 and 4a**.

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