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Ac_2O-Mediated Dearylacetylative Dimerization of 2-Arylacetyl-1-naphthols. Synthesis of Naphtho[1,2-*b*]furan-3-ones

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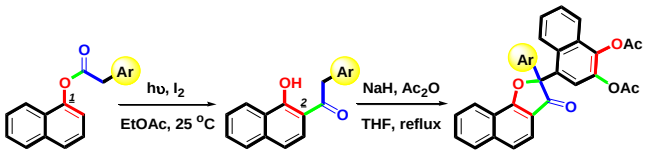
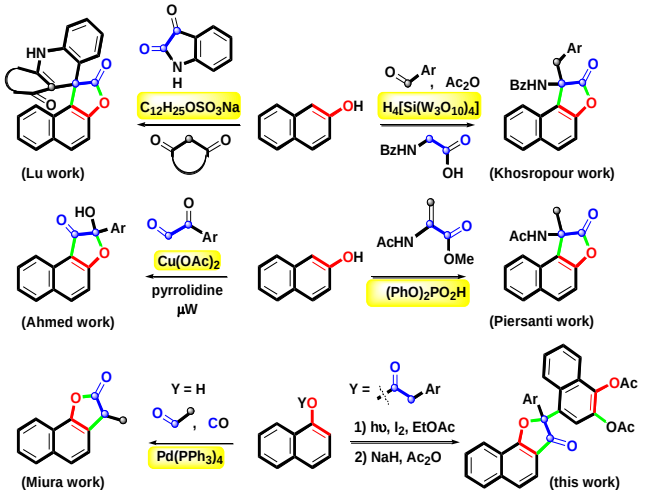


ABSTRACT: A novel and efficient route for the synthesis of 2-aryl-2-naphthyl naphtho[1,2-*b*]furan-3-ones is described via NaH/Ac_2O-mediated dearylacetylative dimerization of 2-arylacetyl-1-naphthols in refluxing THF under open-flask conditions. A plausible mechanism is also proposed and discussed. Various reaction conditions are investigated for one-pot transformation.

Introduction

Naphthofuranone derivatives are valuable core structures for heterocyclic molecules containing bioactive properties as a result of their broad presence in various natural sources or secondary metabolites.[1-3] For the reported synthetic routes of diversified angular[4-6] or linear[7] tricyclic naphtho-fused furanones, bicyclic α-naphthol and β-naphthol are often chosen as the common building blocks to set up the furanone-containing framework on the basis of an intermolecular formal (3+2) benzannulation.

Scheme 1. Synthetic Routes of Naphtho-fused Furanones



As shown in Scheme 1, for the involvement of anionic surfactant (10 wt% sodium dodecyl sulfate/H_2O), Lu *et al.* demonstrated that a catalyst-free reaction of isatin, β-naphthol, and 1,3-dicarbonyl species produced spiro-conjugated dihydroquinoline-naphthofuranone.[4a] Khosropour *et al.* investigated a *pseudo*-four-component synthesis of naphtho[2,1-*b*]furan-2-one via a $H_4[Si(W_3O_{10})_4]$-immobilized SiO_2@Fe_3O_4 nanocatalyst promoted domino conjugation of arylaldehyde, hippuric acid, β-naphthol, and acetic anhydride.[4b] The Ahmed group explored the idea that a $Cu(OAc)_2$-promoted a 2-oxo driven reaction of arylglyoxal, β-naphthol and pyrrolidine produced naphtho[2,1-*b*]furan-1-one under microwave-assisted conditions.[5a] Piersanti *et al.* reported a diphenyl phosphate-mediated cascade synthesis of naphtho[2,1-*b*]furan-1-one via an aza-Friedel-Crafts-lactonization reaction sequence of β-naphthol with 2-acetamidoacrylate.[5b] The Miura team developed a $Pd(PPh_3)_4$-catalyzed elegant synthesis of simple naphtho[1,2-*b*]furan-2-one via three component cross-coupling of α-naphthol (Y = H) and aldehydes in the presence of CO.[6]

Among the synthetic variations toward different methods, the construction of carbon-carbon and carbon-oxygen bonds (C-C and C-O, green marks) has served as the major synthetic route. As observed in the above reports, the development of a facile synthetic route to access these functionalized naphtho-fused furanones has attracted significant attention. On the basis of the reports on intermolecular formal (3+2) benzannulation, we herein report a novel intramolecular benzannulation route for the synthesis of angular naphtho[1,2-*b*]furan-3-one via Ac_2O/NaH-mediated dearylacetylative dimerization of 2-arylacetyl-1-naphthols in refluxing THF under open-flask conditions. Various synthetic approaches using different types of reductive dimerization have been studied.[8] However, to the best of our knowledge, no direct synthetic route for the for-

mation of naphtho[1,2-*b*]furan-3-one with a geminal 2,2-diaryl group has been previously reported.

Results and Discussion

For the synthesis of 2,2-diaryl naphtho[1,2-*b*]furan-3-one **5** via Ac_2O-mediated dearylacetylative dimerization, 2-arylacetyl-1-naphthols **4a-4q** were prepared by a two-step route, as shown in Scheme 2, including (1) trifluoroacetic anhydride (TFAA)-mediated esterification of commercially available α-naphthols **1a-1e** (R = **a**, H; **b**, 5-MeO; **c**, 4-MeO; **d**, 4-Cl; **e**, 5-HO) with different arylacetic acids **2a-2l** (Ar = **a**, Ph; **b**, 3,4-$(MeO)_2C_6H_3$; **c**, 4-FC_6H_4; **d**, 4-MeC_6H_4; **e**, 4-PhC_6H_4; **f**, 3,4-$CH_2O_2C_6H_3$; **g**, 2-thienyl; **h**, 4-BrC_6H_4; **i**, 3,5-$F_2C_6H_3$; **j**, 4-$CF_3C_6H_4$; **k**, 1-naphthyl; **l**, 2-$CF_3C_6H_4$; **m**, 2-$MeOC_6H_4$) in the presence of H_3PO_4 at 25 ℃, and (2) iodine-catalyzed Fries rearrangement of the corresponding **3a-3q** at room temperature (25 ℃) by photolytic irradiation (λ = 2540 Å). The two-step route produced **4a-4q** with good to excellent yields under mild conditions. The molecular structures of **4e** and **4h** were determined by single-crystal X-ray crystallography.[9]

Scheme 2. Preparation of 2-Arylacetyl-1-naphthols **4**

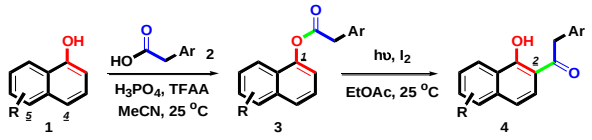
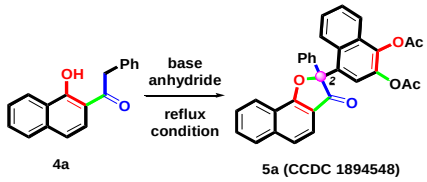


Table 1. Reaction Conditions[a]



entry	base (equiv)	anhydride (equiv)	time (h)	solvent (mL)	**5a** (%)[b]
1	NaH (2)	Ac_2O (2)	20	THF (20)	10
2	NaH (3)	Ac_2O (3)	20	THF (20)	34
3	NaH (4)	Ac_2O (4)	20	THF (20)	59
4	NaH (5)	Ac_2O (5)	20	THF (20)	68
5	NaH (6)	Ac_2O (6)	20	THF (20)	74
6	NaH (4)	Ac_2O (6)	20	THF (20)	78
7	*t*BuOK (4)	Ac_2O (6)	20	THF (20)	—[c]
8	DBU (4)	Ac_2O (6)	20	THF (20)	35
9	Et_3N (4)	Ac_2O (6)	20	THF (20)	—[d]
10	NaH (4)	Bz_2O (6)	20	THF (20)	—[d]
11	NaH (4)	Ac_2O (6)	30	THF (20)	62
12	NaH (4)	Ac_2O (6)	40	THF (20)	37
13	NaH (4)	Ac_2O (6)	20	DME (20)	51
14	NaH (4)	Ac_2O (6)	20	dioxane (20)	50
15	NaH (4)	Ac_2O (6)	20	THF (10)	48
16	NaH (4)	Ac_2O (6)	20	THF (30)	72
17	NaH (4)	Ac_2O (6)	20	THF (20)	76[e]

[a]Reactions were run on a 0.5 mmol scale with **4a**, base (1-6 equiv), anhydride (1-6 equiv), reflux temp, open-flask. [b]Isolated yields. [c]Complex unknown mixture. [d]No reaction. [e]O_2 atmosphere.

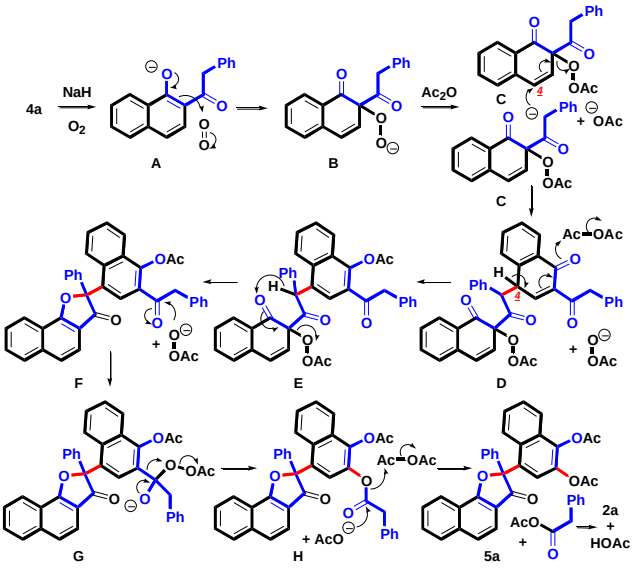
However, no reaction was observed for TFAA-mediated esterification of 4-nitro-1-naphthol (**1f**) with **2a**. A possible explanation is that the push-pull conjugated system on **1f** decreased the nucleophilic ability such that no desired ester product **3r** was generated. With the amounts of **4a-4q** on hand, **4a** (R = H, Ar = Ph) was chosen as the model substrate to examine the dearylacetylative dimerization. The initial study commenced with the treatment of **4a** (0.5 mmol), NaH (2 equiv), and Ac_2O (2 equiv) in THF (20 mL) at 25 ℃ for 5 h under an open-flask condition. However, no desired **5a** was detected, and **4a** was recovered at an 87% yield. By elongating the time from 5 h to 10 or 20 h, **5a** was still not observed. With these results in mind, the reflux temperature was examined next, as shown in Table 1. Controlling the amounts of NaH and Ac_2O at 2 equivalents, the reflux temperature (25 → 67 ℃) produced **5a** at a low yield (10%) for 20 h (entry 1). Increasing the equivalents for the combination of NaH/Ac_2O (2/2 → 3/3 → 4/4 → 5/5 → 6/6), the isolated yields of **5a** improved from 10% to 34%, 59%, 68%, and 74%, respectively (entries 2-5). Based on this result, 6/6 was determined to be a reasonable equivalent ratio of NaH/Ac_2O for increasing the yield of **5a**.

Furthermore, modifying the relative amounts of the combination to 4/6, a higher yield (78%) is achieved (entry 6). Based on these results, 4 equivalents of NaH and 6 equivalents of Ac_2O were found to increase the yield of **5a**. Different bases were then examined; however, no improvements in the yield of **5a** were observed after changing NaH to *t*BuOK, DBU, or Et_3N. In entry 7, *t*BuOK afforded a complex mixture. DBU produced **5a** at a 35% yield (entry 8). Interestingly, no reaction was observed for the addition of Et_3N (entry 9). Compared to the role of the three bases, different basicity and nucleophilc ability provided three types of results. By adjusting the anhydride from Ac_2O to benzoyl anhydride (Bz_2O), attempts to afford the desired **5a** failed due to a bulkier phenyl substituent result (entry 10). Extending the reaction time (20 → 30 and 40 h), the yields of **5a** fell to 62% and 37%, respectively (entries 11-12). Solvent screening was performed next in which refluxing in DME (an acyclic ether) and dioxane (a cyclic ether) provided **5a** at 51% and 50% yields, respectively (entries 13-14), indicating that the solvent with the higher boiling point decreased the yield of **5a**. The next step investigated the effect of reaction concentration. By diminishing the solvent volume from 20 to 10 mL, the yield (48%) of **5a** decreased as a result of the concentrated solvent system complicating the reaction (entry 15). However, a diluted solution (30 mL of THF) provided a 72% yield of **5a**, and the yield was maintained (entry 16). Under the oxygen atmosphere condition, the obtained yield (76%) of **5a** was similar to air atmosphere (entry 17). For the approximate yields of **5a** in entries 6 (78%, for air) and 17 (75%, for O_2), the air atmosphere with an appropriate amount of molecular oxygen was expected to be sufficient to initiate the reaction to produce **5a**. Compared to air, an oxygen atmosphere could not enhance the yield of **5a** due to excess molecular oxygen inhibiting the generation of **5a**. NaH (4 equiv)/Ac_2O (6 equiv) in refluxing THF (20 mL) for 20 h was thus concluded to be the optimal conditions for the dearylacetylative dimerization ring-closure procedure under open-vessel conditions. The expeditious synthetic route sets up the naphtho[1,2-*b*]furan-3-one skeleton, including the formation of the C-O and the C-C bonds via a formal (3+2) benzannula-

tion. A quaternary center at the 2-position carbon (pink) was also established. The structure of **5a** was determined by single-crystal X-ray crystallography.[9]

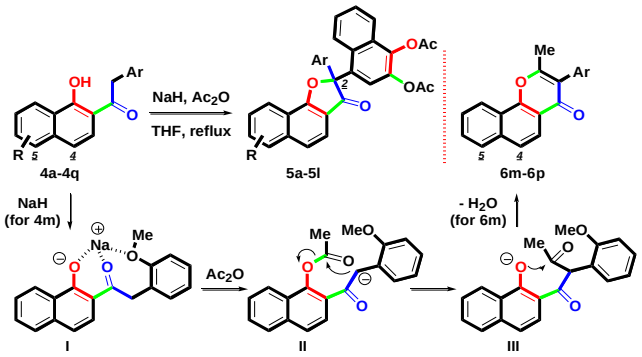
On the basis of the abovementioned experimental results, a plausible mechanism for the formation of **5a** is illustrated in Scheme 3. Initially, deprotonation of **4a** with NaH yields naphthoxide ion **A**. The involvement of molecular oxygen generates **B** with an α-peroxy anion. After the acetylation of **B** is treated with Ac_2O, **C** with a peroxy acetate arm could be formed along with acetate ion. Following the deprotonation of **C**, the α-carbanion of **C** could attack another C-4 position on the *in situ* **C** to lead to **D**, releasing an acylperoxy anion via intermolecular nucleophilic substitution. This self-dimerization process is a key step to conjugate two naphthyl skeletons. By the removal of the proton at the C-4 position, the dehydrogenative aromatization of **D** followed by acetylation of the resulting 1-naphthoxide ion converts into **E**. The construction of a furanone ring on **F** was then completed via intramolecular annulation of the deprotonated **E** followed by the removal of acetate ion. Furthermore, the release of peroxy acetate anion attacks the carbonyl group to yield the Criegee intermediate **G**. Through a Baeyer-Villiger type migration process, **H** and acetate ion are formed. Finally, the corresponding acetate ion-mediated transesterification of **H** with Ac_2O produces **5a** and acetyl phenylacetate. Finally, after the hydrolysis of acetyl phenylacetate, phenylacetic acid (**2a**) and HOAc could be formed spontaneously. However, the yield of the resulting byproduct phenylacetic acid was similar to that of the target compound **5a**. For the overall reaction pathway, these results provide evidence to demonstrate the plausible mechanism.

Scheme 3. Plausible Mechanism



To study the substrate scope and limitations of this approach, **4a-4l** were reacted with a combination of NaH and Ac_2O in refluxing THF to afford diversified naphtho[1,2-*b*]furan-3-one skeleton with a geminal 2,2-diaryl group, as shown in Table 2 (entries 1-12). By changing the aryl (Ar) group, the electron-neutral, electron-donating, and electron-withdrawing aryl groups were found to be appropriate for generating **5a-5l** in a range of 70%-86% yields. For the heterocyclic aryl ring, 2-thienyl group provided a modest yield (74%) of **5g** (entry 7). The molecular structure of **5g** was determined by single-crystal X-ray crystallography.[9] In entries 9-10 and 12, the stronger electron-withdrawing aryl group (Ar = 3,5-$F_2C_6H_3$, 4-$CF_3C_6H_4$, 2-$CF_3C_6H_4$) provided rather lower yields (74%, 70%, 70%) compared to the electron-donating oxygenated aryl groups. When Ar was a bicyclic aromatic ring, 1-naphthyl group produced **5k** with a geminal 2,2-dinaphthyl group at a 75% yield (entry 11). For the formation of the α,α-dinaphthyl group, the present route could construct a quaternary center at the α-C2-carbon, resulting in a high steric hindrance.

Table 2. Synthesis of **5a-5l** and **6m-6p**[a-b]



entry	**4**, R =, Ar =	**5**/**6**, (%)[c]
1	**4a**, H, Ph	**5a**, 78
2	**4b**, H, 3,4-$(MeO)_2C_6H_3$	**5b**, 86
3	**4c**, H, 4-FC_6H_4	**5c**, 80
4	**4d**, H, 4-MeC_6H_4	**5d**, 80
5	**4e**, H, 4-PhC_6H_4	**5e**, 74
6	**4f**, H, 3,4-$CH_2O_2C_6H_3$	**5f**, 83
7	**4g**, H, 2-thienyl	**5g**, 74
8	**4h**, H, 4-BrC_6H_4	**5h**, 80
9	**4i**, H, 3,5-$F_2C_6H_3$	**5i**, 74
10	**4j**, H, 4-$CF_3C_6H_4$	**5j**, 70
11	**4k**, H, 1-naphthyl	**5k**, 75
12	**4l**, H, 2-$CF_3C_6H_4$	**5l**, 70
13	**4m**, H, 2-$MeOC_6H_4$	**6m**, 68
14	**4n**, 5-MeO, Ph	**6n**, 66
15	**4o**, 4-MeO, Ph	**6o**, 57
16	**4p**, 4-Cl, Ph	**6p**, 54
17	**4q**, 5-$PhCH_2C(=O)$, Ph	**5q**/**6q**, —[c]

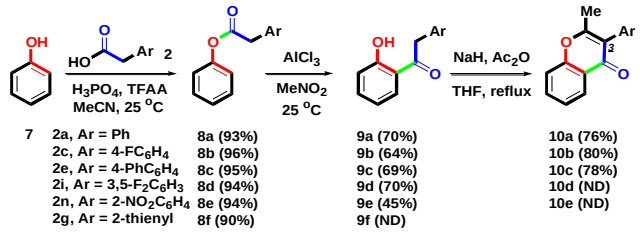
[a]Reactions were run on a 0.5 mmol scale with **4a-4q**, NaH (80 mg, 2.0 mmol), Ac_2O (310 mg, 3.0 mmol), THF (20 mL), reflux, open-flask. [b]Isolated yields. [c]Complex mixture.

When **4m**, with an *o*-methoxyphenyl group, was reacted with the combination of NaH/Ac_2O, **6m** with a chromone skeleton was isolated at a 68% yield, and no desired **5m** was generated (entry 13). Chromones are the key component of naturally occurring oxygen-containing heterocyclic compounds, which possess various biological activities.[10] We believe that *in situ* formed intermediate **I** with a sodium-ion chelated cyclic ether (among carbonyl, methoxy and naphthoxide ion) triggered the direct acetylation of **I** with Ac_2O to give **II**. By acetyl migration of **II** followed by dehydration of **III**, **6m** was achieved via a Perkin-type reaction procedure. From the

above results, by controlling Ar as the Ph group, the R group of 1-naphthol can be changed from H to 5-MeO, 4-MeO, and 4-Cl after examining the NaH/Ac_2O-mediated reaction.

Entries 14-16 unexpectedly showed that 5- or 4-methoxy-1-naphthols **4n-4o** and 4-chloro-1-naphthol **4p** afforded **6n-6p** with the chromone skeleton at 66%, 57% and 54% yields, respectively, via the Perkin-type reaction pathway, but no **5n-5p** with the naphtho[1,2-*b*]furan-3-one skeleton were observed under the above reaction conditions. To determine why the chromone skeleton is preferred, the simple 1-naphthol (R = H) was substituted with 5-MeO, 4-MeO or 4-Cl groups, indicating that the electron density of the naphthyl ring could be enriched such that the acetylation of 1-naphthoxide ion with Ac_2O was favored over the oxygenation of 1-naphthoxide ion (for intermediate **B**, in Scheme 3). Conversely, **4q** (R = 5-$PhCH_2C(=O)$, Ar = Ph), with an electron-withdrawing group, was treated with the NaH/Ac_2O combination, producing only a complex unknown mixture (entry 17). Within the mixture, the formation of **5q** or **6q** was not observed. Although the substrate scope is limited, the present method is a novel route for preparing the α-aryl-α-naphthyl naphtho[1,2-*b*]furan-3-one skeleton.

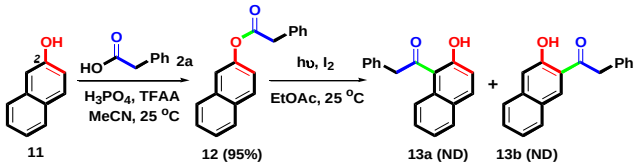
Scheme 4. Synthesis of **10a-10c**



As an extension of the one-pot annulation, changing the core from 1-naphthol to phenol was investigated (Scheme 4). TFAA/H_3PO_4-mediated treatment of phenol (**7**) with different arylacetic acids **2a**, **2c**, **2e**, **2i**, **2n** and **2g** (Ar = **a**, Ph; **c**, 4-FC_6H_4; **e**, 4-PhC_6H_4; **i**, 3,5-$F_2C_6H_3$; **n**, 2-$NO_2C_6H_4$; **g**, 2-thienyl) provided **8a-8f** in mild and high-yield (90%-96%) esterification conditions. In the following step, by using the photo-Fries rearrangement condition from **3** to **4**, surprisingly, no reaction was observed for the transformation from **8** to **9**. After evaluating several rearrangement conditions, $AlCl_3$ was found to be a better promoter for the Fries rearrangement of **8a-8f**, but the isolated yields of **9a-9e** (45%-70%) were lower than the abovementioned conditions. The molecular structure of **9d** was determined by single-crystal X-ray crystallography.[9] Specifically, **9f** produced a complex unknown mixture under the $AlCl_3$-mediated Fries reaction condition, likely because the carbonyl group and sulfur atom of **8f** could chelate with $AlCl_3$ such that **9f** was not easy to generate. When **9a-9e** were treated with the combination of NaH/Ac_2O, only **10a-10c** with the chromone skeleton were isolated (76%-80%). A possible explanation for the two types of naphtho[1,2-*b*]furan-3-one and chromone skeletons is that the aromaticity between 1-naphthol and phenol with the 2-arylacetyl arm is the key factor in dividing the NaH/Ac_2O-mediated reaction pathways and affecting the distributed tendency of the formed products. 1-Naphthyl rings have less aromatic stability than phenyl rings such that the more reactive naphthyl ring could react with molecular oxygen to trigger the formation of intermediate **B**.[11] Compared to the 1-naphthyl ring, the phenyl ring with 6π electrons is very stable such that the aromaticity property was not easy to break.

Changing the core from 1-naphthol to 2-naphthol was further examined. Under similar TFAA/H_3PO_4-mediated esterification conditions, treatment of 2-naphthol (**11**) with **2a** produced **12** in a 95% yield (Scheme 5). Next, Fries rearrangement of **12** was examined. Weiss *et al.* has investigated related studies.[12] However, when **12** was treated with photolytic conditions, no desired rearrangement products **13a** and **13b** could be detected under the present photo-Fries conditions. Furthermore, $AlCl_3$-mediated Fries rearrangement of **12** was examined next. However, only a complex and unidentified mixture was observed.

Scheme 5. Rearrangement of **12**



In summary, this research has developed a facile one-pot route for the synthesis of 2-aryl-2-naphthyl naphtho[1,2-*b*]furan-3-ones via the NaH/Ac_2O-mediated dearylacetylative dimerization of 2-arylacetyl-1-naphthols. Related plausible mechanisms have also been proposed. The molecular structures of the key products were confirmed by X-ray crystallography, and the uses of various reaction conditions were investigated for efficient transformation. Further investigations regarding the synthetic application of substituted 1-naphthols will be conducted and published in due course.

Experimental Section

General. Commercially available reagents and solvents were used without further purification. All reactions were carried by standard procedures under an air atmosphere (an open-vessel condition). All products in EtOAc and CH_2Cl_2 were dried with anhydrous magnesium sulfate before concentration in vacuo under reduced pressure. The heating mantle is used to provide a stable heat source. Melting points (mp) were recorded with a SMP3 melting apparatus. ^1H NMR (400 MHz) and $^{13}C\{^1H\}$ NMR (100 MHz) spectroscopic data were measured on a Varian INOVA-400 spectrometer, respectively. Chemical shift values (δ) are reported in ppm relative to $CDCl_3$ as the internal standards, and coupling contants (*J* values) are reported in Hertz (Hz). High-resolution mass spectroscopic data (HRMS) were measured with a double focusing mass spectrometer by ESI using a hybrid ion-trap. X-ray single crystal structures were determined with a diffractometer (CAD4, Kappa CCD).

For the starting substrates **1a-1f** and **2a-2n**, these materials were purchased commercially and were used without further purification.

*General synthetic procedure of compounds **3a-3q** is as follows:* Trifluoroacetic anhydride (TFAA, 230 mg, 1.1 mmol) and phosphoric acid (H_3PO_4, 110 mg, 1.1 mmol) were added to a solution of substituted arylacetic acids **2a-2m** (for **3a-3p**, 1.05 mmol, for **3q**, 2.1 mmol) in MeCN (15 mL) at 25 °C. The reaction mixture was stirred at 25 °C for 30 min. Substituted 1-naphthols **1a-1e** (1.0 mmol) in MeCN (5 mL) was added to the reaction mixture at 25 °C. The reaction mixture was stirred at 25 °C for 20 h. The solvent of reaction mixture was

concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compounds **3a-3q**.

*Phenylacetic acid naphthalen-1-yl ester (**3a**).* **3a** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2a** (143 mg, 1.05 mmol). Yield = 96% (252 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{15}O_2$ 263.1072, found 263.1074; ^1H NMR (400 MHz, $CDCl_3$): δ 7.89 (dd, *J* = 0.4, 8.0 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.70 (dd, *J* = 0.4, 8.0 Hz, 1H), 7.57-7.39 (m, 8H), 7.30 (dd, *J* = 1.2, 7.6 Hz, 1H), 4.08 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.9, 146.5, 134.5, 133.5, 129.4 (2x), 128.8 (2x), 127.9, 127.4, 126.7, 126.33, 126.31, 126.0, 125.2, 120.9, 117.9, 41.5.

*(3,4-Dimethoxyphenyl)acetic acid naphthalen-1-yl ester (**3b**).* **3b** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2b** (206 mg, 1.05 mmol). Yield = 93% (300 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{19}O_4$ 323.1283, found 323.1284; ^1H NMR (400 MHz, $CDCl_3$): δ 7.85 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.67 (d, *J* = 8.4 Hz, 1H), 7.51-7.42 (m, 3H), 7.25 (d, *J* = 7.6 Hz, 1H), 7.05-7.02 (m, 2H), 6.91 (d, *J* = 8.4 Hz, 1H), 3.97 (s, 2H), 3.92 (s, 3H), 3.91 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.1, 149.0, 148.3, 146.4, 134.5, 127.9, 126.6, 126.3 (2x), 125.9, 125.8, 125.2, 121.6, 120.9, 117.9, 112.3, 111.3, 55.82, 55.80, 41.0.

*(4-Fluorophenyl)acetic acid naphthalen-1-yl ester (**3c**).* **3c** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2c** (162 mg, 1.05 mmol). Yield = 92% (258 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}FO_2$ 281.0978, found 281.0983; ^1H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.62 (dd, *J* = 0.8, 8.4 Hz, 1H), 7.51-7.42 (m, 5H), 7.23 (dd, *J* = 0.8, 7.6 Hz, 1H), 7.12-7.08 (m, 2H), 4.00 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.8, 162.3 (d, *J* = 244.8 Hz), 146.4, 134.6, 131.0 (d, *J* = 8.4 Hz, 2x), 129.2 (d, *J* = 3.0 Hz), 128.0, 126.7, 126.5, 126.4, 126.1, 125.3, 120.8, 117.9, 115.7 (d, *J* = 21.2 Hz, 2x), 40.7.

*p-Tolylacetic acid naphthalen-1-yl ester (**3d**).* **3d** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2d** (158 mg, 1.05 mmol). Yield = 90% (249 mg); White solid; mp = 84-86 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_2$ 277.1229, found 277.1236; ^1H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.51-7.38 (m, 5H), 7.25-7.23 (m, 3H), 4.00 (s, 2H), 2.40 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.2, 146.5, 137.1, 134.6, 130.4, 129.5 (2x), 129.3 (2x), 127.9, 126.7, 126.4 (2x), 126.0, 125.3, 121.0, 118.0, 41.2, 21.1.

*Biphenyl-4-ylacetic acid naphthalen-1-yl ester (**3e**).* **3e** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2e** (223 mg, 1.05 mmol). Yield = 93% (314 mg); White solid; mp = 125-127 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{24}H_{19}O_2$ 339.1385, found 339.1380; ^1H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.68-7.63 (m, 5H), 7.59-7.57 (m, 2H), 7.51-7.37 (m, 6H), 7.27 (dd, *J* = 0.8, 8.4 Hz, 1H), 4.08 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.9, 146.5, 140.7, 140.5, 134.6, 132.5, 129.9 (2x), 128.8 (2x), 127.9, 127.5 (2x), 127.4, 127.1 (2x), 126.7, 126.41, 126.39, 126.0, 125.3, 121.0, 118.0, 41.2.

*Benzo[1,3]dioxol-5-ylacetic acid naphthalen-1-yl ester (**3f**).* **3f** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2f** (189 mg, 1.05 mmol). Yield = 90% (275 mg); White solid; mp = 106-108 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{15}O_4$ 307.0970 found 307.0976; ^1H NMR (400 MHz, $CDCl_3$): δ 7.90-7.87 (m, 1H), 7.77-7.74 (m, 2H), 7.55-7.46 (m, 3H), 7.29 (dd, *J* = 0.8, 7.6 Hz, 1H), 7.03 (d, *J* = 2.0 Hz, 1H), 6.97 (dd, *J* = 1.6, 8.0 Hz, 1H), 6.89 (d, *J* = 8.0, 1H), 6.00 (s, 2H), 3.96 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.0, 147.9, 146.9, 146.4, 134.5, 127.9, 126.9, 126.6, 126.34, 126.30, 125.9, 125.2, 122.6, 120.9, 117.9, 109.8, 108.4, 101.0, 41.0.

*Thiophen-2-ylacetic acid naphthalen-1-yl ester (**3g**).* **3g** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2g** (149 mg, 1.05 mmol). Yield = 94% (252 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{16}H_{13}O_2S$ 269.0636, found 269.0640; ^1H NMR (400 MHz, $CDCl_3$): δ 7.90-7.88 (m, 1H), 7.80-7.76 (m, 2H), 7.55-7.46 (m, 3H), 7.33 (dd, *J* = 1.2, 5.2 Hz, 1H), 7.31 (dd, *J* = 1.2, 7.2 Hz, 1H), 7.18-7.17 (m, 1H), 7.08 (dd, *J* = 2.8, 5.2 Hz, 1H), 4.28 (d, *J* = 0.8 Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 168.8, 146.4, 134.6, 134.3, 127.9, 127.3, 127.0, 126.6, 126.5, 126.4, 126.1, 125.4, 125.3, 121.0, 117.9, 35.6.

*(4-Bromophenyl)acetic acid naphthalen-1-yl ester (**3h**).* **3h** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2h** (225 mg, 1.05 mmol). Yield = 95% (323 mg); White solid; mp = 93-95 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}BrO_2$ 341.0177, found 341.0172; ^1H NMR (400 MHz, $CDCl_3$): δ 7.94-7.92 (m, 1H), 7.82-7.80 (m, 2H), 7.63-7.51 (m, 5H), 7.39-7.36 (m, 3H), 3.99 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.1, 146.2, 134.3, 132.2, 131.6 (2x), 130.9 (2x), 127.8, 126.4, 126.3, 126.2, 125.9, 125.1, 121.2, 120.7, 117.7, 40.4.

*(3,5-Difluorophenyl)acetic acid naphthalen-1-yl ester (**3i**).* **3i** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2i** (181 mg, 1.05 mmol). Yield = 93% (277 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{13}F_2O_2$ 299.0884, found 299.0890; ^1H NMR (400 MHz, $CDCl_3$): δ 7.96-7.94 (m, 1H), 7.90-7.87 (m, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.62-7.56 (m, 2H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 7.6 Hz, 1H), 7.12-7.08 (m, 2H), 6.97-6.91 (tt, *J* = 2.4, 9.2 Hz, 1H), 3.98 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 168.6, 162.9 (d, *J* = 247.1 Hz), 162.8 (d, *J* = 247.1 Hz), 146.2, 136.8 (t, *J* = 9.0 Hz), 134.4, 127.9, 126.39, 126.35, 126.3, 126.0, 125.1, 120.6, 117.8, 112.321 (d, *J* = 11.4 Hz), 112.317 (d, *J* = 25.8 Hz), 102.7 (t, *J* = 25.8 Hz), 40.3.

*(4-Trifluoromethylphenyl)acetic acid naphthalen-1-yl ester (**3j**).* **3j** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2j** (214 mg, 1.05 mmol). Yield = 94% (310 mg); White solid; mp = 90-92 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF)

m/z: $[M + H]^+$ calcd for $C_{19}H_{14}F_3O_2$ 331.0946, found 331.0952; ^1H NMR (400 MHz, $CDCl_3$): δ 7.96-7.94 (m, 1H), 7.82 (d, *J* = 8.4 Hz, 2H), 7.76 (d, *J* = 8.0 Hz, 2H), 7.61-7.52 (m, 5H), 7.40 (d, *J* = 7.6 Hz, 1H), 4.08 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.0, 146.3, 137.4, 134.5, 129.7, 129.5 (q, *J* = 32.6 Hz), 127.9 (2x), 126.5, 126.4, 126.3, 126.0, 125.5 (d, *J* = 3.8 Hz, 2x), 124.2 (q, *J* = 270.3 Hz), 125.2, 120.7, 117.8, 40.7.

*Naphthalen-1-ylacetic acid naphthalen-1-yl ester (**3k**).* **3k** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2k** (195 mg, 1.05 mmol). Yield = 90% (281 mg); White solid; mp = 92-94 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}O_2$ 313.1229, found 313.1235; ^1H NMR (400 MHz, $CDCl_3$): δ 8.33 (d, *J* = 8.8 Hz, 1H), 8.01 (d, *J* = 8.8 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.71-7.57 (m, 5H), 7.52-7.45 (m, 2H), 7.39 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.31 (dd, *J* = 0.8, 7.6 Hz, 1H), 4.54 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.9, 146.4, 134.4, 133.8, 132.0, 130.0 (2x), 128.8, 128.34, 128.29, 127.8, 126.6, 126.22, 126.19, 125.9 (2x), 125.5, 125.2, 123.7, 120.9, 117.8, 39.4.

*(2-Trifluoromethylphenyl)acetic acid naphthalen-1-yl ester (**3l**).* **3l** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2l** (214 mg, 1.05 mmol). Yield = 95% (314 mg); White solid; mp = 154-155 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{14}F_3O_2$ 331.0946, found 331.0956; ^1H NMR (400 MHz, $CDCl_3$): δ 8.02 (d, *J* = 7.6 Hz, 1H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 8.4 Hz, 1H), 7.67-7.54 (m, 5H), 7.47-7.42 (m, 2H), 4.39 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.0, 146.4, 134.5, 132.6, 131.9, 131.6 (d, *J* = 1.5 Hz), 128.7 (q, *J* = 30.3 Hz), 127.8, 127.5, 126.6, 126.32, 126.29, 125.93 (q, *J* = 6.9 Hz), 125.92, 125.1, 124.5 (q, *J* = 272.2 Hz), 120.8, 117.8, 38.1 (d, *J* = 1.5 Hz).

*(2-Methoxyphenyl)acetic acid naphthalen-1-yl ester (**3m**).* **3m** was synthesized according to general synthetic procedure from **1a** (144 mg, 1.0 mmol) and **2m** (174 mg, 1.05 mmol). Yield = 90% (263 mg); White solid; mp = 81-83 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1183; ^1H NMR (400 MHz, $CDCl_3$): δ 7.89-7.85 (m, 2H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.54-7.49 (m, 2H), 7.47 (d, *J* = 7.6 Hz, 1H), 7.40-7.24 (m, 2H), 7.30 (dd, *J* = 0.8, 7.6 Hz, 1H), 7.02 (dt, *J* = 0.8, 8.0 Hz, 1H), 6.98 (d, *J* = 8.4 Hz, 1H), 4.07 (s, 2H), 3.93 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.2, 157.6, 146.7, 134.5, 131.1, 128.9, 127.8, 126.9, 126.3, 126.2, 125.8, 125.3, 122.6, 121.3, 120.6, 117.9, 110.4, 55.4, 36.4.

*Phenylacetic acid 5-methoxynaphthalen-1-yl ester (**3n**).* **3n** was synthesized according to general synthetic procedure from **1b** (174 mg, 1.0 mmol) and **2a** (143 mg, 1.05 mmol). Yield = 95% (278 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1182; ^1H NMR (400 MHz, $CDCl_3$): δ 8.12 (dt, *J* = 0.8, 8.4 Hz, 1H), 7.47-7.44 (m, 1H), 7.41-7.36 (m, 3H), 7.34-7.29 (m, 3H), 7.22-7.20 (m, 1H), 7.17 (dt, *J* = 0.8, 8.4 Hz, 1H), 6.77 (d, *J* = 8.0 Hz, 1H), 3.98 (s, 2H), 3.95 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.0, 155.5, 146.3, 133.5, 129.4 (2x), 128.8 (2x), 127.8, 127.4, 126.9, 126.5, 124.5, 120.2, 118.6, 113.1, 104.3, 55.6, 41.6.

*Phenylacetic acid 4-methoxynaphthalen-1-yl ester (**3o**).* **3o** was synthesized according to general synthetic procedure from **1c** (174 mg, 1.0 mmol) and **2a** (143 mg, 1.05 mmol). Yield = 96% (280 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1183; ^1H NMR (400 MHz, $CDCl_3$): δ 8.27 (dd, *J* = 1.6, 8.8 Hz, 1H), 7.57-7.36 (m, 8H), 7.15 (d, *J* = 8.4 Hz, 1H), 6.75 (d, *J* = 8.4 Hz, 1H), 4.02 (s, 2H), 3.99 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.3, 153.4, 139.8, 133.6, 129.4 (2x), 128.8 (2x), 127.40, 127.36, 126.9, 126.1, 125.6, 122.3, 120.7, 117.6, 102.7, 55.6, 41.5.

*Phenylacetic acid 4-chloronaphthalen-1-yl ester (**3p**).* **3p** was synthesized according to general synthetic procedure from **1d** (178 mg, 1.0 mmol) and **2a** (143 mg, 1.05 mmol). Yield = 95% (281 mg); White solid; mp = 87-89 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}ClO_2$ 297.0682, found 297.0689; ^1H NMR (400 MHz, $CDCl_3$): δ 8.28 (dd, *J* = 1.2, 8.8 Hz, 1H), 7.66 (dd, *J* = 0.8, 8.4 Hz, 1H), 7.61 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.53-7.38 (m, 6H), 7.20 (d, *J* = 8.0 Hz, 1H), 4.05 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.7, 145.4, 133.2, 131.5, 129.4 (2x), 129.3, 128.8 (2x), 127.7, 127.5, 127.4, 127.1, 125.4, 124.7, 121.4, 118.0, 41.5.

*Phenylacetic acid 5-phenylacetoxynaphthalen-1-yl ester (**3q**).* **3q** was synthesized according to general synthetic procedure from **1e** (160 mg, 1.0 mmol) and **2a** (286 mg, 2.1 mmol). Yield = 90% (357 mg); White solid; mp = 89-91 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{21}O_4$ 397.1440, found 397.1448; ^1H NMR (400 MHz, $CDCl_3$): δ 7.50-7.38 (m, 14H), 7.26 (dd, *J* = 0.8, 7.2 Hz, 2H), 4.02 (s, 4H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.7 (2x), 146.5 (2x), 133.3 (2x), 129.4 (4x), 128.8 (4x), 128.0 (2x), 127.5 (2x), 125.9 (2x), 119.1 (2x), 118.6 (2x), 41.5 (2x).

*General synthetic procedure of compounds **4a-4q** is as follows:* **3a-3q** (1.0 mmol) and I_2 (25 mg, 0.1 mmol) was dissolved in EtOAc (30 mL) at 25 °C. Then, 1,2-epoxybutane (140 mg, 2.0 mmol) was added to the reaction mixture and the reaction mixture was irradiated under a nitrogen atmosphere with a lamp (λ = 2540 Å), using a pyrex glass filter at 25 °C for 50 h. The solvent was evaporated to afford crude product. Purification on silica gel (hexanes/EtOAc = 4/1~2/1) afforded compounds **4a-4q**.

*1-(1-Hydroxynaphthalen-2-yl)-2-phenylethanone (**4a**).* **4a** was synthesized according to general synthetic procedure from **3a** (262 mg, 1.0 mmol). Yield = 90% (236 mg); White solid; mp = 101-103 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{15}O_2$ 263.1072, found 263.1077; ^1H NMR (400 MHz, $CDCl_3$): δ 14.00 (s, 1H), 8.46 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.63 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.8 Hz, 1H), 7.39-7.26 (m, 6H), 4.38 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.6, 163.2, 137.3, 134.2, 130.2, 129.4 (2x), 128.8 (2x), 127.4, 127.1, 126.0, 125.3, 124.49, 124.46, 118.4, 112.6, 45.4.

*2-(3,4-Dimethoxyphenyl)-1-(1-hydroxynaphthalen-2-yl)ethanone (**4b**).* **4b** was synthesized according to general synthetic procedure from **3b** (322 mg, 1.0 mmol). Yield = 86% (277 mg); White solid; mp = 113-115 °C (recrystallized

from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{19}O_4$ 323.1283, found 323.1286; ^1H NMR (400 MHz, $CDCl_3$): δ 14.00 (s, 1H), 8.46 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.63 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.8 Hz, 1H), 7.27 (d, *J* = 8.8 Hz, 1H), 6.86-6.83 (m, 3H), 4.31 (s, 2H), 3.88 (s, 3H), 3.86 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.8, 163.2, 149.1, 148.2, 137.3, 130.2 (2x), 127.4, 126.5, 126.0, 125.3, 124.5 (2x), 121.6, 118.4, 112.5, 111.4, 55.9 (2x), 45.0.

*2-(4-Fluorophenyl)-1-(1-hydroxynaphthalen-2-yl)ethanone (**4c**).* **4c** was synthesized according to general synthetic procedure from **3c** (280 mg, 1.0 mmol). Yield = 88% (246 mg); White solid; mp = 104-106 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}FO_2$ 281.0978, found 281.0982; ^1H NMR (400 MHz, $CDCl_3$): δ 13.95 (s, 1H), 8.47 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.72 (d, *J* = 8.8 Hz, 1H), 7.64 (t, *J* = 7.2 Hz, 1H), 7.54 (t, *J* = 7.2 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.27 (d, *J* = 8.8 Hz, 2H), 7.09-7.04 (m, 2H), 4.33 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.2, 163.2, 161.9 (d, *J* = 241.0 Hz), 137.3, 131.0 (d, *J* = 8.3 Hz, 2x), 130.3, 129.7 (d, *J* = 3.0 Hz), 127.4, 126.0, 125.2, 124.4, 124.2, 118.5, 115.6 (d, *J* = 21.2 Hz, 2x), 112.4, 44.3.

*1-(1-Hydroxynaphthalen-2-yl)-2-p-tolylethanone (**4d**).* **4d** was synthesized according to general synthetic procedure from **3d** (276 mg, 1.0 mmol). Yield = 92% (254 mg); White solid; mp = 89-91 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_2$ 277.1229, found 277.1224; ^1H NMR (400 MHz, $CDCl_3$): δ 14.01 (s, 1H), 8.46 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 2H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.63 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.26 (d, *J* = 8.8 Hz, 1H), 7.21 (d, *J* = 8.8 Hz, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 4.33 (s, 2H), 2.34 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 163.2, 136.8, 131.1, 130.2, 129.5 (2x), 129.3 (2x), 129.0, 128.3, 127.4, 126.0, 124.54, 125.3, 124.46, 118.4, 112.6, 45.0, 21.1.

*2-Biphenyl-4-yl-1-(1-hydroxynaphthalen-2-yl)ethanone (**4e**).* **4e** was synthesized according to general synthetic procedure from **3e** (338 mg, 1.0 mmol). Yield = 93% (314 mg); White solid; mp = 162-164 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{24}H_{19}O_2$ 339.1385, found 339.1389; ^1H NMR (400 MHz, $CDCl_3$): δ 14.03 (s, 1H), 8.49 (d, *J* = 8.4 Hz, 1H), 7.80 (d, *J* = 8.8 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.68-7.60 (m, 5H), 7.57-7.53 (m, 1H), 7.47-7.34 (m, 5H), 7.29 (d, *J* = 8.8 Hz, 1H), 4.42 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.5, 163.2, 140.6, 140.1, 137.3, 133.1, 130.2, 129.9 (2x), 128.7 (2x), 127.5 (2x), 127.4, 127.3, 127.0 (2x), 126.0, 125.3, 124.5, 124.4, 118.5, 112.6, 45.0. Single-crystal X-Ray diagram: crystal of compound **4e** was grown by slow diffusion of EtOAc into a solution of compound **4e** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group C2/c, *a* = 25.037(5) Å, *b* = 8.0888(15) Å, *c* = 19.202(3) Å, *V* = 3413.6(11) Å^3, *Z* = 8, d_{calcd} = 1.313 g/cm^3, *F*(000) = 1416, 2*θ* range 1.853 to 26.536º, R indices (all data) R1 = 0.0536, wR2 = 0.1327.

*2-Benzo[1,3]dioxol-5-yl-1-(1-hydroxynaphthalen-2-yl)ethanone (**4f**).* **4f** was synthesized according to general synthetic procedure from **3f** (306 mg, 1.0 mmol). Yield = 94% (288 mg); White solid; mp = 109-111 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{15}O_4$ 307.0970, found 307.0977; ^1H NMR (400 MHz, $CDCl_3$): δ 14.00 (s, 1H), 8.46 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.8 Hz, 1H), 7.63 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.8 Hz, 1H), 7.26 (d, *J* = 8.8 Hz, 1H), 6.81-6.75 (m, 3H), 5.95 (s, 2H), 4.27 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.6, 163.2, 147.9, 146.7, 137.3, 130.2, 127.6, 127.4, 126.0, 125.3, 124.5, 124.4, 122.6, 118.4, 112.5, 109.8, 108.5, 101.1, 44.9.

*1-(1-Hydroxynaphthalen-2-yl)-2-thiophen-2-ylethanone (**4g**).* **4g** was synthesized according to general synthetic procedure from **3g** (268 mg, 1.0 mmol). Yield = 90% (241 mg); White solid; mp = 113-115 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{16}H_{13}O_2S$ 269.0636, found 269.0641; ^1H NMR (400 MHz, $CDCl_3$): δ 13.82 (s, 1H), 8.43 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 8.8 Hz, 1H), 7.60 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.50 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.25-7.22 (m, 2H), 6.98-6.95 (m, 2H), 4.51 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 201.7, 163.3, 137.4, 135.1, 130.3, 127.4, 127.1, 127.0, 126.0, 125.3, 125.2, 124.5, 124.2, 118.5, 112.1, 39.3.

*2-(4-Bromophenyl)-1-(1-hydroxynaphthalen-2-yl)ethanone (**4h**).* **4h** was synthesized according to general synthetic procedure from **3h** (340 mg, 1.0 mmol). Yield = 84% (286 mg); White solid; mp = 129-131 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}BrO_2$ 341.0177, found 341.0182; ^1H NMR (400 MHz, $CDCl_3$): δ 13.90 (s, 1H), 8.46 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 8.8 Hz, 1H), 7.64 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.49 (d, *J* = 8.8 Hz, 2H), 7.26 (d, *J* = 9.2 Hz, 1H), 7.18 (d, *J* = 8.8 Hz, 2H), 4.30 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 202.8, 163.2, 137.3, 133.0, 131.8 (2x), 131.2 (2x), 130.3, 127.4, 126.1, 125.2, 124.4, 124.1, 121.2, 118.5, 112.4, 44.6. Single-crystal X-Ray diagram: crystal of compound **4h** was grown by slow diffusion of EtOAc into a solution of compound **4h** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group P 21/c, *a* = 16.3545(7) Å, *b* = 11.9821(5) Å, *c* = 7.3657(3) Å, *V* = 1420.53(10) Å^3, *Z* = 4, d_{calcd} = 1.595 g/cm^3, *F*(000) = 688, 2*θ* range 1.265 to 26.419º, R indices (all data) R1 = 0.0284, wR2 = 0.0632.

*2-(3,5-Difluorophenyl)-1-(1-hydroxynaphthalen-2-yl)ethanone (**4i**).* **4i** was synthesized according to general synthetic procedure from **3i** (298 mg, 1.0 mmol). Yield = 84% (250 mg); White solid; mp = 113-115 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{13}F_2O_2$ 299.0884, found 299.0887; ^1H NMR (400 MHz, $CDCl_3$): δ 13.82 (s, 1H), 8.47 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.67 (d, *J* = 8.8 Hz, 1H), 7.65 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.55 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.29 (d, *J* = 8.8 Hz, 1H), 6.86-6.84 (m, 2H), 6.75 (dt, *J* = 2.0, 8.8 Hz, 1H), 4.34 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 201.9, 163.1 (d, *J* = 247.1 Hz), 163.4, 163.0 (d, *J* = 247.2 Hz), 137.7 (t, *J* = 9.1 Hz), 137.4, 130.5, 127.4, 126.2, 125.3, 124.6, 123.9, 118.7, 112.571 (d, *J* = 25.0 Hz), 112.571 (d, *J* = 11.4 Hz), 112.3, 102.8 (t, *J* = 25.0 Hz), 44.7.

*1-(1-Hydroxynaphthalen-2-yl)-2-(4-trifluoromethylphenyl)ethanone (**4j**).* **4j** was synthesized according to general synthetic procedure from **3j** (330 mg, 1.0

mmol). Yield = 89% (294 mg); White solid; mp = 150-152 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{14}F_3O_2$ 331.0946, found 331.0940; ^1H NMR (400 MHz, $CDCl_3$): δ 13.85 (s, 1H), 8.47 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 8.8 Hz, 1H), 7.65 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 2H), 7.54 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 2H), 7.29 (d, *J* = 8.8 Hz, 1H), 4.44 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 202.5, 163.3, 138.2, 137.4, 130.4, 129.9 (2x), 129.0 (q, *J* = 32.8 Hz), 127.4, 126.2, 125.6 (q, *J* = 3.8 Hz, 2x), 125.3, 124.5, 124.0, 120.2 (q, *J* = 269.3 Hz), 118.7, 112.4, 44.9.

*1-(1-Hydroxynaphthalen-2-yl)-2-naphthalen-1-ylethanone (**4k**).* **4k** was synthesized according to general synthetic procedure from **3k** (312 mg, 1.0 mmol). Yield = 89% (278 mg); White solid; mp = 133-135 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}O_2$ 313.1229, found 313.1234; ^1H NMR (400 MHz, $CDCl_3$): δ 13.97 (s, 1H), 8.50 (d, *J* = 8.4 Hz, 1H), 7.94-7.85 (m, 3H), 7.86 (d, *J* = 8.8 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.66 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.58-7.52 (m, 3H), 7.48 (t, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 6.4 Hz, 1H), 7.30 (d, *J* = 8.8 Hz, 1H), 4.82 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.6, 163.0, 137.3, 133.8, 132.1, 130.9, 130.2, 128.9, 128.1, 128.0, 127.4, 126.4, 126.0, 125.8, 125.5, 125.3, 124.5, 124.2, 123.6, 118.5, 112.7, 42.7.

*1-(1-Hydroxynaphthalen-2-yl)-2-(2-trifluoromethylphenyl)-ethanone (**4l**).* **4l** was synthesized according to general synthetic procedure from **3l** (330 mg, 1.0 mmol). Yield = 81% (267 mg); White solid; mp = 135-137 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{14}F_3O_2$ 331.0946, found 331.0953; ^1H NMR (400 MHz, $CDCl_3$): δ 13.7 (s, 1H), 8.47 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.74 (d, *J* = 9.2 Hz, 2H), 7.65 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.57 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.54 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.45 (t, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.34 (d, *J* = 8.8 Hz, 1H), 4.62 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 202.3, 162.9, 137.4, 132.7 (d, *J* = 1.5 Hz), 131.9, 130.3, 129.2 (q, *J* = 29.6 Hz), 127.5, 127.4, 127.1 (q, *J* = 271.3 Hz), 126.3 (q, *J* = 5.3 Hz), 126.1, 125.3, 124.5, 123.9, 123.0, 118.7, 112.5, 42.3 (d, *J* = 1.5 Hz).

*1-(1-Hydroxynaphthalen-2-yl)-2-(2-methoxyphenyl)ethanone (**4m**).* **4m** was synthesized according to general synthetic procedure from **3m** (292 mg, 1.0 mmol). Yield = 86% (251 mg); White solid; mp = 131-133 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1183; ^1H NMR (400 MHz, $CDCl_3$): δ 14.00 (s, 1H), 8.47 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.85 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.63 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.53 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.31 (dt, *J* = 1.6, 8.0 Hz, 1H), 7.28 (d, *J* = 8.8 Hz, 1H), 7.23 (dd, *J* = 1.6, 7.6 Hz, 1H), 6.97 (dt, *J* = 1.3, 8.8 Hz, 1H), 6.94 (dd, *J* = 0.4, 8.8 Hz, 1H), 4.39 (s, 2H), 3.82 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 204.1, 162.7, 157.2, 137.3, 131.0, 130.0, 128.7, 127.3, 125.8, 125.3, 124.6, 124.4, 123.1, 120.7, 118.2, 112.9, 110.6, 55.4, 39.8.

*1-(1-Hydroxy-5-methoxynaphthalen-2-yl)-2-phenylethanone (**4n**).* **4n** was synthesized according to general synthetic procedure from **3n** (292 mg, 1.0 mmol). Yield = 84% (245 mg); White solid; mp = 116-118 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1186; ^1H NMR (400 MHz, $CDCl_3$): δ 13.86 (s, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 9.2 Hz, 1H), 7.68 (dd, *J* = 0.4, 8.8 Hz, 1H), 7.44 (t, *J* = 8.0 Hz, 1H), 7.38-7.26 (m, 5H), 6.99 (d, *J* = 7.6 Hz, 1H), 4.38 (s, 2H), 3.99 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.8, 162.7, 155.0, 134.2, 129.5 (2x), 129.1, 128.7 (2x), 127.1, 126.3, 126.1, 123.7, 116.3, 113.1, 112.5, 108.3, 55.7, 45.4.

*1-(1-Hydroxy-4-methoxynaphthalen-2-yl)-2-phenylethanone (**4o**).* **4o** was synthesized according to general synthetic procedure from **3o** (292 mg, 1.0 mmol). Yield = 83% (242 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{19}H_{17}O_3$ 293.1178, found 293.1174; ^1H NMR (400 MHz, $CDCl_3$): δ 13.73 (s, 1H), 8.45 (d, *J* = 8.4 Hz, 1H), 8.17 (d, *J* = 8.4 Hz, 1H), 7.66 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.57 (dt, *J* = 0.8, 7.2 Hz, 1H), 7.40-7.28 (m, 5H), 6.90 (s, 1H), 4.33 (s, 2H), 3.92 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.0, 158.1, 147.3, 134.4, 130.2, 129.8, 129.3 (2x), 128.8 (2x), 127.1, 126.6, 126.0, 124.4, 121.8, 111.3, 100.5, 55.6, 45.9.

*1-(4-Chloro-1-hydroxynaphthalen-2-yl)-2-phenylethanone (**4p**).* **4p** was synthesized according to general synthetic procedure from **3p** (296 mg, 1.0 mmol). Yield = 86% (255 mg); White solid; mp = 84-86 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{14}ClO_2$ 297.0682, found 297.0689; ^1H NMR (400 MHz, $CDCl_3$): δ 13.90 (s, 1H), 8.80 (dd, *J* = 1.2, 8.4 Hz, 1H), 8.17 (d, *J* = 8.0 Hz, 1H), 7.87 (s, 1H), 7.77 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.61 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.40-7.36 (m, 2H), 7.32-7.29 (m, 3H), 4.35 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 162.2, 134.3, 133.6, 131.2, 129.5 (2x), 128.9 (3x), 127.3, 126.8, 126.4, 124.9, 124.4, 124.0, 121.5, 112.6, 45.3.

*Phenylacetic acid 5-hydroxy-6-phenylacetylnaphthalen-1-yl ester (**4q**).* **4q** was synthesized according to general synthetic procedure from **3q** (396 mg, 1.0 mmol). Yield = 58% (230 mg); White solid; mp = 117-119 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{26}H_{21}O_4$ 397.1440, found 397.1448; ^1H NMR (400 MHz, $CDCl_3$): δ 13.97 (s, 1H), 8.35 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.69 (d, *J* = 9.2 Hz, 1H), 7.51-7.29 (m, 12H), 7.03 (dd, *J* = 0.4, 9.2 Hz, 1H), 4.35 (s, 2H), 4.02 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.7, 169.8, 162.9, 146.2, 133.9, 133.3, 130.5, 129.4 (4x), 128.9 (2x), 128.8 (2x), 127.6, 127.2, 126.7, 125.6, 125.1, 122.6, 122.5, 112.8, 111.5, 45.4, 41.5.

*General synthetic procedure of compounds **5a-5l** and **6m-6p** is as follows:* NaH (60% in oil, 80 mg, 2.0 mmol) was added to a solution of **4a-4p** (0.5 mmol) in dry THF (15 mL) at 25 ºC. The reaction mixture was stirred at 25 ºC for 10 min. Ac_2O (310 mg, 3.0 mmol) in dry THF (5 mL) was added to the reaction mixture at 25 ºC. The reaction mixture was stirred at reflux (67 ºC) for 20 h. The solvent of reaction mixture was concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compounds **5a-5l** and **6m-6p**.

*Acetic acid 2-acetoxy-4-(3-oxo-2-phenyl-2,3-dihydronaphtho[1,2-b]furan-2-yl)naphthalen-1-yl ester (**5a**).* **5a** was synthesized according to general synthetic procedure from **4a** (131 mg, 0.5 mmol). Yield = 78% (98 mg); White

solid; mp = 164-166 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{32}H_{23}O_6$ 503.1495, found 503.1498; ^1H NMR (400 MHz, $CDCl_3$): δ 8.34 (d, *J* = 8.4 Hz, 1H), 8.30 (d, *J* = 8.8 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.85 (s, 1H), 7.73 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.63 (d, *J* = 8.8 Hz, 1H), 7.61 (t, *J* = 8.0 Hz, 1H), 7.53-7.48 (m, 2H), 7.43-7.38 (m, 3H), 7.33-7.28 (m, 3H), 2.45 (s, 3H), 2.33 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 197.9, 172.1, 168.2, 167.9, 139.1, 138.2, 137.9, 137.7, 131.4, 131.1, 131.0, 129.2, 128.9 (2x), 128.5, 128.4, 127.6, 126.9, 126.7, 126.2, 125.5 (2x), 123.3, 122.60, 122.57, 121.8, 121.4, 119.6, 114.0, 94.3, 20.7, 20.5. Single-crystal X-Ray diagram: crystal of compound **5a** was grown by slow diffusion of EtOAc into a solution of compound **5a** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group P -1, *a* = 8.1280(4) Å, *b* = 10.6123(6) Å, *c* = 14.7375(8) Å, *V* = 1210.15(11) Å^3, *Z* = 2, d_{calcd} = 1.379 g/cm^3, *F*(000) = 524, 2*θ* range 1.408 to 26.527º, R indices (all data) R1 = 0.0577, wR2 = 0.1079.

*Acetic acid 2-acetoxy-4-[2-(3,4-dimethoxyphenyl)-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5b**).* **5b** was synthesized according to general synthetic procedure from **4b** (161 mg, 0.5 mmol). Yield = 86% (121 mg); White solid; mp = > 250 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{34}H_{27}O_8$ 563.1706, found 563.1708; ^1H NMR (400 MHz, $CDCl_3$): δ 8.34 (d, *J* = 8.4 Hz, 1H), 8.30 (d, *J* = 8.8 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.77 (s, 1H), 7.73 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.63 (d, *J* = 8.4 Hz, 1H), 7.61 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.53 (d, *J* = 8.8 Hz, 1H), 7.50 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.42 (dt, *J* = 1.2, 8.0 Hz, 1H), 6.98 (dd, *J* = 2.0, 8.4 Hz, 1H), 6.87 (d, *J* = 2.0 Hz, 1H), 6.78 (d, *J* = 8.8 Hz, 1H), 3.82 (s, 3H), 3.70 (s, 3H), 2.45 (s, 3H), 2.32 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 198.1, 171.9, 168.2, 167.9, 149.2 (2x), 139.1, 138.1, 137.7, 131.5, 131.1, 131.0, 130.2, 129.1, 128.5, 127.5, 126.9, 126.7, 126.2, 123.2, 122.7, 122.5, 121.7, 121.4, 119.7, 118.3, 114.2, 111.2, 109.0, 94.2, 56.0, 55.8, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-[2-(4-fluorophenyl)-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5c**).* **5c** was synthesized according to general synthetic procedure from **4c** (140 mg, 0.5 mmol). Yield = 80% (104 mg); White solid; mp = 232-234 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{32}H_{22}FO_6$ 521.1401, found 521.1406; ^1H NMR (400 MHz, $CDCl_3$): δ 8.33 (d, *J* = 8.0 Hz, 1H), 8.27 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.89 (d, *J* = 8.4 Hz, 1H), 7.82 (s, 1H), 7.73 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.63 (d, *J* = 8.8 Hz, 1H), 7.61 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.52 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.44 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.38-7.35 (m, 2H), 7.01-6.97 (m, 2H), 2.46 (s, 3H), 2.33 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 197.7, 172.1, 168.2, 167.8, 162.7 (d, *J* = 247.2 Hz), 139.1, 138.3, 137.7, 133.8 (d, *J* = 3.0 Hz), 131.14, 131.0, 129.3, 128.5, 127.5, 127.4 (2x), 127.0, 126.8, 126.3, 123.5, 122.6 (d, *J* = 10.6 Hz, 2x), 121.9, 121.3, 119.5 (2x), 115.9 (d, *J* = 21.2 Hz, 2x), 113.9, 93.8, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-(3-oxo-2-p-tolyl-2,3-dihydronaphtho[1,2-b]furan-2-yl)naphthalen-1-yl ester (**5d**).* **5d** was synthesized according to general synthetic procedure from **4d** (138 mg, 0.5 mmol). Yield = 80% (103 mg); White solid; mp = 244-246 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{33}H_{25}O_6$ 517.1606, found 517.1613; ^1H NMR (400 MHz, $CDCl_3$): δ 8.34 (d, *J* = 7.6 Hz, 1H), 8.31 (d, *J* = 7.6 Hz, 1H), 7.90 (d, *J* = 8.4 Hz, 1H), 7.86 (dd, *J* = 0.4, 8.4 Hz, 1H), 7.83 (s, 1H), 7.72 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.62 (d, *J* = 8.8 Hz, 1H), 7.60 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.49 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.42 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.26 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 2H), 2.45 (s, 3H), 2.32 (s, 3H), 2.29 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 198.1, 172.1, 168.2, 167.9, 139.1, 138.3, 138.1, 137.7, 135.0, 131.5, 131.1, 131.0, 129.6 (2x), 129.2, 128.5, 127.7, 126.8, 126.7, 126.2, 125.4 (2x), 123.2, 122.6, 122.5, 121.7, 121.4, 119.6, 114.1, 94.3, 21.1, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-(2-biphenyl-4-yl-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl)naphthalen-1-yl ester (**5e**).* **5e** was synthesized according to general synthetic procedure from **4e** (169 mg, 0.5 mmol). Yield = 74% (107 mg); White solid; mp = 236-238 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{38}H_{27}O_6$ 579.1808, found 579.1811; ^1H NMR (400 MHz, $CDCl_3$): δ 8.36 (d, *J* = 8.4 Hz, 1H), 8.35 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.88 (d, *J* = 8.4 Hz, 1H), 7.87 (s, 1H), 7.75 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.64 (d, *J* = 8.4 Hz, 1H), 7.62 (t, *J* = 8.0 Hz, 1H), 7.55-7.37 (m, 11H), 7.33-7.29 (m, 1H), 2.46 (s, 3H), 2.33 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 197.9, 172.2, 168.2, 167.9, 141.3, 140.4, 139.1, 138.2, 137.8, 136.9, 131.3, 131.13, 131.09, 129.2, 128.7 (2x), 128.5, 127.6 (3x), 127.5, 127.1 (2x), 126.9, 126.7, 126.3, 125.9 (2x), 123.3, 122.64, 122.63, 121.8, 121.4, 119.6, 114.1, 94.2, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-(2-benzo[1,3]dioxol-5-yl-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl)naphthalen-1-yl ester (**5f**).* **5f** was synthesized according to general synthetic procedure from **4f** (153 mg, 0.5 mmol). Yield = 83% (113 mg); White solid; mp = 215-217 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{33}H_{23}O_8$ 547.1393, found 547.1398; ^1H NMR (400 MHz, $CDCl_3$): δ 8.35 (d, *J* = 8.8 Hz, 1H), 8.31 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.87 (d, *J* = 7.6 Hz, 1H), 7.79 (s, 1H), 7.73 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.60 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.53-7.50 (m, 2H), 7.45 (dt, *J* = 1.2, 8.0 Hz, 1H), 6.86 (dd, *J* = 2.0, 8.4 Hz, 1H), 6.84 (s, 1H), 6.72 (d, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 1.2 Hz, 1H), 6.89 (d, *J* = 1.2 Hz, 1H), 2.45 (s, 3H), 2.32 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 197.9, 172.0, 168.2, 167.8, 148.2, 147.8, 139.1, 138.2, 137.7, 131.7, 131.3, 131.13, 131.06, 129.2, 128.5, 127.6, 126.9, 126.7, 126.2, 123.3, 122.6, 122.5, 121.8, 121.3, 119.6, 119.5, 114.0, 108.6, 106.2, 101.3, 94.1, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-(3-oxo-2-thiophen-2-yl-2,3-dihydronaphtho[1,2-b]furan-2-yl)naphthalen-1-yl ester (**5g**).* **5g** was synthesized according to general synthetic procedure from **4g** (134 mg, 0.5 mmol). Yield = 74% (94 mg); White solid; mp = 217-219 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{30}H_{21}O_6S$ 509.1059, found 509.1054; ^1H NMR (400 MHz, $CDCl_3$): δ 8.48 (d, *J* = 8.4 Hz, 1H), 8.32 (d, *J* = 8.4 Hz, 1H), 7.91-7.88 (m, 2H), 7.84 (s, 1H), 7.72 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.65 (d, *J* = 8.8 Hz, 1H), 7.60 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.55-7.46 (m, 3H), 7.29 (dd, *J* = 1.2, 5.2 Hz, 1H), 7.01 (dd, *J* = 1.2, 3.6 Hz, 1H), 6.95 (dd, *J* = 3.6, 5.2 Hz, 1H), 2.45 (s, 3H), 2.32 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 196.6, 171.6,

168.1, 167.8, 141.1, 139.1, 138.4, 137.7, 131.1 (2x), 131.0, 129.1, 128.5, 127.4, 127.3, 126.93, 126.87, 126.8, 126.4, 126.3, 123.5, 122.6, 122.1, 121.8, 121.3, 119.6, 113.4, 92.1, 20.6, 20.4. Single-crystal X-Ray diagram: crystal of compound **5g** was grown by slow diffusion of EtOAc into a solution of compound **5g** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the triclinic crystal system, space group P -1, a = 7.9733(10) Å , b = 10.7024(14) Å , c = 14.7112(19) Å , V = 1190.3(3) Å ^{3}, Z = 2, d_{calcd} = 1.419 g/cm^3, $F(000)$ = 528, 2θ range 1.407 to 26.569^o, R indices (all data) R1 = 0.0953, wR2 = 0.2046.

*Acetic acid 2-acetoxy-4-[2-(4-bromophenyl)-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5h**).* **5h** was synthesized according to general synthetic procedure from **4h** (170 mg, 0.5 mmol). Yield = 80% (116 mg); White solid; mp = 210-212 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{32}H_{22}BrO_6$ 581.0600, found 581.0608; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.32 (d, *J* = 8.0 Hz, 1H), 8.24 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.80 (s, 1H), 7.74 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.61 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.52 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.46-7.41 (m, 3H), 7.28-7.24 (m, 2H), 2.46 (s, 3H), 2.33 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 197.4, 172.1, 168.2, 167.8, 139.2, 138.4, 137.7, 137.1, 132.0 (2x), 131.2, 130.9, 130.8, 129.2, 128.6, 127.29, 127.25 (2x), 127.0, 126.8, 126.4, 123.5, 122.7, 122.5, 121.9, 121.3, 119.5 (2x), 113.9, 93.7, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-[2-(3,5-difluorophenyl)-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5i**).* **5i** was synthesized according to general synthetic procedure from **4i** (149 mg, 0.5 mmol). Yield = 74% (100 mg); White solid; mp = 216-218 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{32}H_{21}F_2O_6$ 539.1306, found 539.1315; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.32 (dd, *J* = 0.4, 8.4 Hz, 1H), 8.27 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.90 (dd, *J* = 0.8, 8.0 Hz, 1H), 7.82 (s, 1H), 7.74 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.62 (dt, *J* = 0.8, 8.0 Hz, 1H), 7.57-7.47 (m, 3H), 6.98-6.93 (m, 2H), 6.73 (dt, *J* = 2.0, 8.4 Hz, 1H), 2.46 (s, 3H), 2.33 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 196.5, 172.0, 168.1, 167.8, 163.3 (d, *J* = 248.7 Hz), 163.2 (d, *J* = 247.2 Hz), 142.0 (t, *J* = 9.1 Hz), 139.2, 138.5, 137.7, 131.4, 130.9, 130.2, 129.3, 128.6, 127.1, 126.9 (2x), 126.6, 123.8, 122.9, 122.5, 122.1, 121.2, 119.4, 113.7, 108.823 (d, *J* = 27.3 Hz), 108.819 (d, *J* = 11.4 Hz), 104.0 (t, *J* = 25.0 Hz), 93.1, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-[3-oxo-2-(4-trifluoromethylphenyl)-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5j**).* **5j** was synthesized according to general synthetic procedure from **4j** (165 mg, 0.5 mmol). Yield = 70% (100 mg); White solid; mp = 245-247 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{33}H_{22}F_3O_6$ 571.1369, found 571.1362; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.34 (d, *J* = 8.0 Hz, 1H), 8.22 (d, *J* = 8.4 Hz, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 7.89 (dd, *J* = 0.8, 8.0 Hz, 1H), 7.84 (s, 1H), 7.76 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.64 (dd, *J* = 1.2, 8.0 Hz, 1H), 7.62 (t, *J* = 8.8 Hz, 1H), 7.57-7.50 (m, 6H), 7.47-7.42 (m, 1H), 2.46 (s, 3H), 2.34 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 197.1, 172.2, 168.2, 167.8, 141.9, 139.2, 138.5, 137.8, 131.3, 130.9, 130.7, 130.6, 130.4, 129.3, 128.6, 127.1 (2x), 126.9, 126.5, 125.9 (3x), 124.2 (q, *J* = 270.1 Hz), 123.7, 122.9, 122.5, 122.0, 121.3, 119.5, 113.8, 93.7, 20.7, 20.5.

*Acetic acid 2-acetoxy-4-(2-naphthalen-1-yl-3-oxo-2,3-dihydronaphtho[1,2-b]furan-2-yl)-naphthalen-1-yl ester (**5k**).* **5k** was synthesized according to general synthetic procedure from **4k** (156 mg, 0.5 mmol). Yield = 75% (104 mg); Colorless solid; mp > 250 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{36}H_{25}O_6$ 553.1651, found 553.1655; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.31 (dd, *J* = 0.4, 8.0 Hz, 1H), 8.22 (d, *J* = 8.8 Hz, 1H), 8.14 (d, *J* = 8.8 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 8.8 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.77 (s, 1H), 7.73 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.59 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.44-7.36 (m, 3H), 7.29-7.22 (m, 2H), 2.44 (s, 3H), 2.30 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 198.1, 171.2, 168.1, 167.8, 139.2, 138.04, 137.95, 134.7, 133.0, 132.8, 131.3, 131.0, 130.7, 130.4, 129.0, 128.8, 128.5, 127.0, 126.9, 126.8, 126.3, 126.2, 126.1, 125.9, 125.8, 124.8, 123.4, 122.6, 121.9, 121.63, 121.57, 119.7, 114.5, 95.5, 20.7, 20.4.

*Acetic acid 2-acetoxy-4-[3-oxo-2-(2-trifluoromethylphenyl)-2,3-dihydronaphtho[1,2-b]furan-2-yl]naphthalen-1-yl ester (**5l**).* **5l** was synthesized according to general synthetic procedure from **4l** (165 mg, 0.5 mmol). Yield = 70% (100 mg); White solid; mp = 198-200 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{33}H_{22}F_3O_6$ 571.1369, found 571.1375; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.29 (d, *J* = 8.4 Hz, 1H), 8.23 (d, *J* = 8.4 Hz, 1H), 7.88-7.81 (m, 3H), 7.74-7.64 (m, 3H), 7.59 (s, 1H), 7.57-7.39 (m, 5H), 7.32-7.30 (m, 1H), 2.44 (s, 3H), 2.31 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 197.6, 172.8, 168.1, 167.8, 141.9, 139.1, 138.3, 137.8, 133.4, 132.2, 131.8, 131.0, 130.5, 130.4, 129.4, 128.4, 128.3, 127.6, 127.5, 127.1, 126.8 (d, *J* = 4.6 Hz), 126.6, 123.3, 123.0, 122.7, 121.9, 121.7 (q, *J* = 269.3 Hz), 121.6, 119.2, 114.1, 94.4, 20.7, 20.5.

*3-(2-Methoxyphenyl)-2-methylbenzo[h]chromen-4-one (**6m**).* **6m** was synthesized according to general synthetic procedure from **4m** (146 mg, 0.5 mmol). Yield = 68% (107 mg); White solid; mp = 200-202 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{21}H_{17}O_3$ 317.1178, found 317.1183; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.54 (dd, *J* = 1.6, 7.2 Hz, 1H), 8.19 (d, *J* = 8.4 Hz, 1H), 7.94 (dd, *J* = 2.0, 8.0 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.70 (dt, *J* = 1.6, 7.2 Hz, 1H), 7.67 (dt, *J* = 1.6, 7.2 Hz, 1H), 7.39 (ddd, *J* = 1.6, 7.6, 9.2 Hz, 1H), 7.24 (dd, *J* = 2.0, 7.6 Hz, 1H), 7.06 (dt, *J* = 0.8, 7.2 Hz, 1H), 7.01 (d, *J* = 8.4 Hz, 1H), 3.79 (s, 3H), 2.39 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 176.6, 163.0, 157.3, 153.2, 135.7, 131.9, 129.6, 128.9, 128.1, 126.8, 124.7, 124.0, 122.2, 122.0, 121.6, 121.4, 120.7, 119.6, 111.2, 55.6, 19.2.

*7-Methoxy-2-methyl-3-phenylbenzo[h]chromen-4-one (**6n**).* **6n** was synthesized according to general synthetic procedure from **4n** (146 mg, 0.5 mmol). Yield = 66% (104 mg); White solid; mp = 187-189 ^{o}C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: [M + H]$^+$ calcd for $C_{21}H_{17}O_3$ 317.1178, found 317.1179; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.19 (dd, *J* = 0.8, 9.2 Hz, 1H), 8.16 (d, *J* = 8.8 Hz, 1H), 8.09 (dt, *J* = 0.8, 8.4 Hz, 1H), 7.59 (t, *J* = 8.0 Hz, 1H), 7.49-7.44 (m, 2H), 7.41-7.34 (m, 3H), 7.06 (dd, *J* = 0.8, 8.0 Hz, 1H), 4.05 (s, 3H), 2.47 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 176.8,

162.5, 155.5, 152.9, 133.1, 130.4 (2x), 128.4 (2x), 127.8, 127.6, 127.2, 124.9, 124.7, 120.5, 120.1, 119.0, 114.0, 107.3, 55.7, 19.4.

*7-Methoxy-2-methyl-3-phenylbenzo[h]chromen-4-one (**6o**).* **6o** was synthesized according to general synthetic procedure from **4o** (146 mg, 0.5 mmol). Yield = 57% (90 mg); White solid; mp = 192-194 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{21}H_{17}O_3$ 317.1178, found 317.1170; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.51-8.49 (m, 1H), 8.37-8.35 (m, 1H), 7.74-7.69 (m, 2H), 7.89-7.45 (m, 2H), 7.43 (s, 1H), 7.41-7.34 (m, 3H), 4.09 (s, 3H), 2.46 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 176.5, 162.0, 152.6, 148.3, 133.3, 130.5 (2x), 128.7, 128.6, 128.4 (2x), 127.8, 127.5, 124.9, 124.1, 122.7, 122.0, 120.1, 96.7, 56.0, 19.4.

*6-Chloro-2-methyl-3-phenylbenzo[h]chromen-4-one (**6p**).* **6p** was synthesized according to general synthetic procedure from **4p** (148 mg, 0.5 mmol). Yield = 54% (86 mg); White solid; mp = 184-186 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{14}ClO_2$ 321.0682, found 321.0686; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.55 (dd, *J* = 0.8, 8.0 Hz, 1H), 8.37 (dd, *J* = 0.8, 8.0 Hz, 1H), 8.26 (s, 1H), 7.83 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.75 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.50-7.45 (m, 2H), 7.42-7.38 (m, 1H), 7.35-7.33 (m, 2H), 2.48 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 176.5, 162.6, 151.9, 132.8, 132.7, 130.3 (2x), 130.0, 128.9, 128.5 (2x), 128.0, 127.7, 125.1, 125.0, 124.9, 122.5, 121.1, 119.8, 19.4.

*General synthetic procedure of compounds **8a-8f** is as follows:* Trifluoroacetic anhydride (TFAA, 230 mg, 1.1 mmol) and phosphoric acid (H_3PO_4, 110 mg, 1.1 mmol) were added to a solution of substituted arylacetic acids **2a**, **2c**, **2e**, **2i**, **2n**, **2g** (1.05 mmol) in MeCN (15 mL) at 25 ºC. The reaction mixture was stirred at 25 ºC for 30 min. Phenol **7** (94 mg, 1.0 mmol) in MeCN (5 mL) was added to the reaction mixture at 25 ºC. The reaction mixture was stirred at 25 ºC for 20 h. The solvent of reaction mixture was concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compounds **8a-8f**.

*Phenylacetic acid phenyl ester (**8a**).* **8a** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2a** (143 mg, 1.05 mmol). Yield = 93% (197 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{13}O_2$ 213.0916, found 213.0923; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42-7.30 (m, 7H), 7.25-7.20 (m, 1H), 7.09-7.06 (m, 2H), 3.87 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.0, 150.7, 133.4, 129.4 (2x), 129.3 (2x), 128.7 (2x), 127.3, 125.8, 121.4 (2x), 41.4.

*(4-Fluorophenyl)acetic acid phenyl ester (**8b**).* **8a** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2c** (162 mg, 1.05 mmol). Yield = 96% (221 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{12}FO_2$ 231.0821, found 231.0824; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.40-7.34 (m, 4H), 7.26-7.21 (m, 1H), 7.10-7.04 (m, 4H), 3.84 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 169.8, 162.1 (d, *J* = 244.1 Hz), 150.6, 130.9 (d, *J* = 7.6 Hz, 2x), 129.4 (2x), 129.1 (d, *J* = 3.1 Hz), 125.9, 121.4 (2x), 115.6 (d, *J* = 21.3 Hz, 2x), 40.5.

*Biphenyl-4-ylacetic acid phenyl ester (**8c**).* **8c** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2e** (223 mg, 1.05 mmol). Yield = 95% (274 mg); White solid; mp = 107-109 ºC (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{17}O_2$ 289.1229, found 289.1236; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.63-7.60 (m, 4H), 7.49-7.44 (m, 4H), 7.41-7.35 (m, 3H), 7.26-7.21 (m, 1H), 7.12-7.08 (m, 2H), 3.92 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 170.0, 150.7, 140.7, 140.3, 132.4, 129.7 (2x), 129.4 (2x), 128.8 (2x), 127.4 (2x), 127.3, 127.1 (2x), 125.9, 121.4 (2x), 41.0.

*(3,5-Difluorophenyl)acetic acid phenyl ester (**8d**).* **8d** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2i** (181 mg, 1.05 mmol). Yield = 94% (233 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{11}F_2O_2$ 249.0727, found 249.0733; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42-7.37 (m, 2H), 7.27-7.23 (m, 1H), 7.11-7.08 (m, 2H), 6.98-6.92 (m, 2H), 6.78 (tt, *J* = 2.4, 9.2 Hz, 1H), 3.85 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 168.8, 163.1 (d, *J* = 247.2 Hz), 162.9 (d, *J* = 247.8 Hz), 150.5, 136.8 (t, *J* = 9.8 Hz), 129.4 (2x), 126.0, 121.3 (2x), 112.386 (d, *J* = 25.7 Hz), 112.385 (d, *J* = 12.1 Hz), 102.9 (t, *J* = 25.0 Hz), 40.8 (d, *J* = 2.3 Hz).

*(2-Nitrophenyl)acetic acid phenyl ester (**8e**).* **8e** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2n** (190 mg, 1.05 mmol). Yield = 94% (241 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{12}NO_4$ 258.0766, found 258.0771; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.18 (dd, *J* = 1.2, 8.0 Hz, 1H), 7.64 (dt, *J* = 1.2, 7.6 Hz, 1H), 7.51 (dt, *J* = 1.6, 8.0 Hz, 1H), 7.45 (dd, *J* = 1.2, 8.0 Hz, 1H), 7.39-7.34 (m, 2H), 7.22 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.13-7.10 (m, 2H), 4.26 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 168.6, 150.6, 148.6, 133.8, 133.5, 129.5, 129.4 (2x), 128.9, 126.0, 125.5, 121.5 (2x), 40.1.

*Thiophen-2-ylacetic acid phenyl ester (**8f**).* **8f** was synthesized according to general synthetic procedure from **7** (94 mg, 1.0 mmol) and **2g** (149 mg, 1.05 mmol). Yield = 90% (196 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{12}H_{11}O_2S$ 219.0480, found 219.0483; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.44-7.38 (m, 2H), 7.29 (dd, *J* = 1.2, 5.2 Hz, 1H), 7.28-7.24 (m, 1H), 7.16-7.13 (m, 2H), 7.10-7.08 (m, 1H), 7.04 (dd, *J* = 3.6, 5.2 Hz, 1H), 4.11 (br d, *J* = 0.8 Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 168.8, 150.5, 134.3, 129.3 (2x), 127.0, 126.8, 125.9, 125.2, 121.3 (2x), 35.4.

*General synthetic procedure of compounds **9a-9e** is as follows:* $AlCl_3$ (270 mg, 2.0 mmol) was added to a solution of **8a-8e** (1.0 mmol) in dry $MeNO_2$ (10 mL) at 0 ºC. The reaction mixture was stirred at reflux for 2 h. Water (1 mL) was added to the reaction mixture. The solvent of reaction mixture was concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compounds **9a-9e**.

*1-(2-Hydroxyphenyl)-2-phenylethanone (**9a**).* **9a** was synthesized according to general synthetic procedure from **8a**

(212 mg, 1.0 mmol). Yield = 70% (148 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{13}O_2$ 213.0916, found 213.0920; ^1H NMR (400 MHz, $CDCl_3$): δ 12.22 (d, *J* = 0.4 Hz, 1H), 7.87 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.48 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.38-7.34 (m, 2H), 7.31-7.27 (m, 3H), 6.99 (dd, *J* = 1.2, 8.4 Hz, 1H), 6.91 (dt, *J* = 1.2, 8.0 Hz, 1H), 4.31 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.9, 162.9, 136.6, 133.9, 130.4, 129.4 (2x), 128.8 (2x), 127.2, 119.1, 119.0, 118.7, 45.1.

*2-(4-Fluorophenyl)-1-(2-hydroxyphenyl)ethanone (**9b**).* **9b** was synthesized according to general synthetic procedure from **8b** (230 mg, 1.0 mmol). Yield = 64% (147 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{12}FO_2$ 231.0821, found 231.0826; ^1H NMR (400 MHz, $CDCl_3$): δ 12.17 (s, 1H), 7.85 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.49 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.26-7.21 (m, 2H), 7.08-7.03 (m, 2H), 7.00 (dd, *J* = 1.2, 8.4 Hz, 1H), 6.92 (dt, *J* = 1.6, 8.4 Hz, 1H), 4.29 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.5, 162.8, 162.0 (d, *J* = 244.1 Hz), 136.7, 131.0 (d, *J* = 8.4 Hz, 2x), 130.2, 129.5 (d, *J* = 3.7 Hz), 119.0, 118.9, 118.7, 115.6 (d, *J* = 21.2 Hz, 2x), 44.1.

*2-Biphenyl-4-yl-1-(2-hydroxyphenyl)ethanone (**9c**).* **9c** was synthesized according to general synthetic procedure from **8c** (288 mg, 1.0 mmol). Yield = 69% (199 mg); White solid; mp =105-107 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{20}H_{17}O_2$ 289.1229, found 289.1234; ^1H NMR (400 MHz, $CDCl_3$): δ 12.23 (s, 1H), 7.90 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.60-7.58 (m, 4H), 7.49 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.46-7.42 (m, 2H), 7.37-7.33 (m, 3H), 7.01 (dt, *J* = 1.2, 8.4 Hz, 1H), 6.93 (dt, *J* = 1.2, 8.0 Hz, 1H), 4.29 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 203.8, 162.9, 140.7, 140.2, 136.6, 132.9, 130.4, 129.9 (2x), 128.8 (2x), 127.5 (2x), 127.3 (2x), 127.1 (2x), 119.0, 118.7, 44.5.

*2-(3,5-Difluorophenyl)-1-(2-hydroxyphenyl)ethanone (**9d**).* **9d** was synthesized according to general synthetic procedure from **8d** (248 mg, 1.0 mmol). Yield = 70% (174 mg); White solid; mp = 114-116 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{11}F_2O_2$ 249.0727, found 249.0732; ^1H NMR (400 MHz, $CDCl_3$): δ 12.05 (s, 1H), 7.80 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.51 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.01 (dd, *J* = 1.2, 8.4 Hz, 1H), 6.93 (dt, *J* = 1.2, 8.4 Hz, 1H), 6.84-6.77 (m, 2H), 6.74 (tt, *J* = 2.4, 8.8 Hz, 1H), 4.28 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 202.2, 163.1 (d, *J* = 247.2 Hz), 163.0 (d, *J* = 247.1 Hz), 162.9, 137.3 (t, *J* = 9.1 Hz), 136.9, 130.0, 119.2, 118.83, 118.77, 112.6 (d, *J* = 25.8 Hz), 112.6 (d, *J* = 12.1 Hz), 102.8 (t, *J* = 25.0 Hz), 44.4 (t, *J* = 1.5 Hz). Single-crystal X-Ray diagram: crystal of compound **9d** was grown by slow diffusion of EtOAc into a solution of compound **9d** in CH_2Cl_2 to yield colorless prisms. The compound crystallizes in the monoclinic crystal system, space group P $2_1/c$, *a* = 7.9744(3) Å, *b* = 20.0084(6) Å, *c* = 7.1794(2) Å, *V* = 1112.54(6) Å^3, *Z* = 4, d_{calcd} = 1.482 g/cm^3, *F*(000) = 512, 2*θ* range 2.036 to 26.406°, R indices (all data) R1 = 0.0356, wR2 = 0.0822.

*1-(2-Hydroxyphenyl)-2-(2-nitrophenyl)ethanone (**9e**).* **9e** was synthesized according to general synthetic procedure from **8e** (257 mg, 1.0 mmol). Yield = 45% (116 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{14}H_{12}NO_4$ 258.0766, found 258.0760; ^1H NMR (400 MHz, $CDCl_3$): δ 11.83 (s, 1H), 8.19 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.91 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.65 (dt, *J* = 1.6, 7.6 Hz, 1H), 7.55-7.50 (m, 2H), 7.36 (dd, *J* = 1.2, 8.0 Hz, 1H), 7.01 (dd, *J* = 0.8, 8.4 Hz, 1H), 6.98 (dt, *J* = 1.2, 8.0 Hz, 1H), 4.79 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 201.2, 162.5, 149.3, 136.8, 133.7, 133.6, 129.7, 128.7, 125.4, 119.2, 119.0, 118.7, 114.5, 43.7.

*General synthetic procedure of compounds **10a-10c** is as follows:* NaH (60% in oil, 80 mg, 2.0 mmol) was added to a solution of **9a-9c** (1.0 mmol) in dry THF (15 mL) at 0 °C. The reaction mixture was stirred at 25 °C for 30 min. Ac_2O (310 mg, 3.0 mmol) in dry THF (5 mL) was added to the reaction mixture at 25 °C. The reaction mixture was stirred at 25 °C for 20 h. The solvent of reaction mixture was concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compounds **10a-10c**.

*2-Methyl-3-phenylchromen-4-one (**10a**).* **10a** was synthesized according to general synthetic procedure from **9a** (212 mg, 1.0 mmol). Yield = 76% (179 mg); Colorless oil; HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{16}H_{13}O_2$ 237.0916, found 237.0922; ^1H NMR (400 MHz, $CDCl_3$): δ 8.24 (ddd, *J* = 0.8, 2.0, 8.0 Hz, 1H), 7.66 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.46-7.35 (m, 5H), 7.31-7.28 (m, 2H), 2.33 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 176.8, 163.3, 155.9, 133.3, 133.1, 130.4 (2x), 128.4 (2x), 127.8, 126.3, 124.8, 123.7, 123.5, 117.6, 19.5.

*3-(4-Fluorophenyl)-2-methylchromen-4-one (**10b**).* **10b** was synthesized according to general synthetic procedure from **9b** (230 mg, 1.0 mmol). Yield = 80% (203 mg); White solid; mp = 94-96 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{16}H_{12}FO_2$ 255.0821, found 255.0826; ^1H NMR (400 MHz, $CDCl_3$): δ 8.23 (dd, *J* = 2.0, 8.0 Hz, 1H), 7.67 (dt, *J* = 1.6, 8.4 Hz, 1H), 7.45 (dd, *J* = 1.2, 8.4 Hz, 1H), 7.40 (dt, *J* = 1.2, 8.0 Hz, 1H), 7.29-7.24 (m, 2H), 7.16-7.10 (m, 2H), 2.32 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 176.8, 163.6, 162.2 (d, *J* = 255.4 Hz), 155.9, 133.5, 132.2 (d, *J* = 8.4 Hz, 2x), 128.9, 126.3, 124.9, 123.4, 122.7, 117.7, 115.4 (d, *J* = 21.2 Hz, 2x), 19.5.

*3-Biphenyl-4-yl-2-methylchromen-4-one (**10c**).* **10c** was synthesized according to general synthetic procedure from **9c** (288 mg, 1.0 mmol). Yield = 78% (243 mg); White solid; mp = 162-164 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{22}H_{17}O_2$ 313.1229, found 313.1235; ^1H NMR (400 MHz, $CDCl_3$): δ 8.26 (dd, *J* = 1.6, 8.0 Hz, 1H), 7.70-7.63 (m, 5H), 7.48-7.35 (m, 7H), 2.39 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 176.8, 163.3, 155.9, 140.9, 140.6, 133.4, 132.1, 130.8 (2x), 128.8 (2x), 127.3, 127.2 (4x), 126.3, 124.8, 123.5, 123.3, 117.6, 19.6.

*Phenylacetic acid naphthalen-2-yl ester (**12**).* Trifluoroacetic anhydride (TFAA, 230 mg, 1.1 mmol) and phosphoric acid (H_3PO_4, 110 mg, 1.1 mmol) were added to a solution of phenylacetic acid (**2a**, 1.05 mmol) in MeCN (15 mL) at 25 °C. The reaction mixture was stirred at 25 °C for 30 min. 2-Naphthol **11** (144 mg, 1.0 mmol) in MeCN (5 mL) was added to the reaction mixture at 25 °C. The reaction mixture was stirred at 25 °C for 20 h. The solvent of reaction mixture was concentrated. The residue was diluted with water (10 mL) and the mixture was extracted with CH_2Cl_2 (3 x 20 mL). The

combined organic layers were washed with brine, dried, filtered and evaporated to afford crude product under reduced pressure. Purification on silica gel (hexanes/EtOAc = 10/1~1/1) afforded compound **12**. Yield = 95% (249 mg); White solid; mp = 85-87 °C (recrystallized from hexanes and EtOAc); HRMS (ESI-TOF) *m/z*: $[M + H]^+$ calcd for $C_{18}H_{15}O_2$ 263.1072, found 263.1078; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.89-7.86 (m, 2H), 7.84-7.82 (m, 1H), 7.61 (d, *J* = 2.0 Hz, 1H), 7.55-7.43 (m, 6H), 7.41-7.37 (m, 1H), 7.26 (dd, *J* = 2.4, 8.8 Hz, 1H), 3.97 (s, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 170.1, 148.3, 133.6, 133.4, 131.4, 129.30, 129.28 (2x), 128.7 (2x), 127.7, 127.6, 127.3, 126.5, 125.6, 120.9, 118.4, 41.4.

ASSOCIATED CONTENT

Supporting Information

Scanned photocopies of NMR spectral data for all compounds and X-ray analysis data of **4e**, **4h** **5a**, **5g** and **9d**. This information is available free of charge via the Internet at http://pubs.acs.org.

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Notes

The authors declare no competing financial interest.

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