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Gold-catalyzed chemoselective formal (3+2)-Annulation reaction between β-naphthols and methyl aryldiazoacetate

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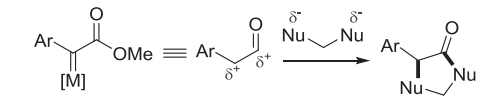
ABSTRACT

A chemoselective domino annulation reaction of β-naphthols with methyl aryldiazoacetate is described. The gold catalyst promoted C–H functionalization of β-naphthols, whereas a rhodium or copper complex led to O–H insertion reactions. Consecutive intramolecular lactonization occurred after site-selective alkylation at the 1-position of β-naphthol, providing functionalized naphthofuranone derivatives. The product was transformed into a chiral molecule bearing an all-carbon quaternary stereogenic center with high enantioselectivity.

1. Introduction

Metal catalyst-mediated direct carbon-hydrogen (C–H) functionalization has emerged as a powerful and versatile synthetic strategy for forming carbon–carbon bonds [1]. One of the most reliable methods for the functionalization of aromatic C(sp^2)–H is a carbene transfer reaction, typically using diazo compounds, in the presence of a transition-metal catalyst [2]. Yu [3], Davies [4], Liu [5], Zhang [6] Rovis [7], and Pérez [8] have greatly contributed to the research field of direct C(sp^2)–H functionalization of aromatic molecules using metal-carbene species. The C–H functionalization of unprotected phenols and their derivatives, however, has remained a challenge as the potentially reactive phenolic hydroxy group leads to competitive O–H insertion reactions with the metal-carbene complex [9].

Homogeneous gold catalysis was recently recognized as a valuable method for rapidly synthesizing a complex carbon skeleton due to its unique catalytic activities [10]. Gold-carbene is often proposed as the key chemical species in these reactions [11]. In 2014, Liu, Zhang and Lan, Shi independently reported chemo- and site-selective C(sp^2)–H functionalization of unprotected phenols using a Au catalyst with a phosphite ligand and donor/acceptor-substituted diazo compounds (Scheme 1 (a)) [12,13], while a Rh, Cu, Ru, In, or Pd catalyst caused O–H insertion [9]. Although lactonization of the products obtained by the Au-catalyzed *ortho*-functionalization of *p*-cresol was also reported, the two step procedure involving harsh conditions (a stoichiometric amount of TFA at high temperature) was required.



Metal-Stabilized Donor/Acceptor Carbene as a Vicinal Dielectrophile — Formal (3+2)-Annulation (1)

Our laboratory has also endeavored to develop novel metal-carbene reactions [14] and, in 2017, reported an intramolecular asymmetric dearomatization of phenols using Ag-carbene generated from α-diazoacetamides, whereas a Rh or Cu catalyst caused mainly a C–H insertion reaction and Büchner reaction [15]. To further develop the reaction, we focused on designing an intermolecular reaction taking advantage of the metal-dependent reactivity of the carbene species [16]. During our research, we found that a reaction of metal-stabilized donor/acceptor carbene with 2-naphthol chemoselectively produced a naphthofuranone

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0040-4020/

derivative over the O–H insertion reaction (Scheme 1 (b)). In this formal (3 + 2) reaction, a donor/acceptor-substituted diazo ester functioned as a vicinal dielectrophile in one-pot (eq (1)). Naphthofuranone skeletons are often observed in the core structure of natural products and biologically related molecules [17]; therefore, an effective strategy for constructing the molecular architecture is desirable [18]. Herein, we describe the development of a C–H functionalization/lactonization domino annulation of naphthols with donor/acceptor-substituted diazo compounds, affording functionalized naphthofuranones.

2. Results and discussion

We commenced our study using 2-naphthol (**1a**) and methyl 2-diazo-2-phenylacetate (**2a**) as a donor/acceptor carbene precursor in the presence of a metal catalyst (Table 1). The reaction with a commonly used rhodium(II) acetate dimer (5 mol %) in dichloromethane solvent at room temperature gave O–H insertion product **4a** in 13% yield (entry 1). Similar results were obtained in the reaction using Cu or Pd catalysts (entries 2 and 3). Reversed chemoselectivity was observed when using silver bis(trifluoromethanesulfonyl)imide ($AgNTf_2$), affording the desired C–H functionalized product **3a** (**3a**: **4a** = 92 : 8, entry 5). The use of a Au catalyst possessing triphenylphosphine provided **3a** in 76% yield without detectable production of **4a** (entry 6). A solvent survey revealed that chlorobenzene was suitable for the transformation (entries 7 and 8). Further examination of the Au catalysts and Ag salts did not improve the yield of **3** or the chemoselectivity (entries 9–18) [19], and therefore the reaction conditions of entry [8] were considered optimal.

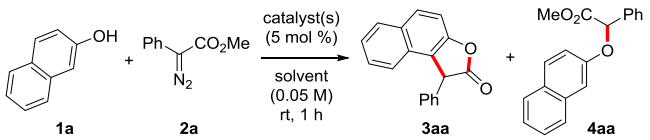
The substrate generality was evaluated, and the results are summarized in Table 2. Naphthofuranones having aryl groups with electron-withdrawing and -releasing substituents were obtained in good to excellent yield with complete chemoselectivity (60%–98% yield, **3 ab-ae**). Electron-abundant and deficient naphthols were also provided by the formal (3 + 2) annulation reaction, furnishing **3ba**, **3ca**, and **3da** in 52%, 83%, and 72% yield, respectively. When phenanthren-9-ol (**1e**) was used as a nucleophile, 3-phenylphenanthrofuranones **3ea**, **3ef**, **3ee**, **3eg**, and **3eh** were obtained in good yield without the production of **4** or any regioisomer.

Additional scope and limitations were studied (Scheme 2). The reaction of α-naphthol (**1f**) with **2a** afforded O–H insertion product **4fa**. Similar reactivity was observed when using benzyl 2-diazo-2-phenylacetate (**2i**), providing **3aa** in 86% yield. The lactonization of indolinone **2j** with diazo functionality did not proceed under gold catalysis.

Application of O-protected 2-naphthol **5** under the optimized conditions led to the isolation of methyl ester **6** (eq (3)). Removal of the TBS group by treatment with HF-pyridine led to lactonization [20]. Based on these results, a plausible reaction pathway is depicted in Scheme 3. Electrophilic addition of Au-carbene **7**, generated from the catalysts and diazo compound **1**, to the 1-position of the naphthol would create a C–C bond, providing the intermediate **8**. Subsequent rearomatization to naphthol and protodeauration occurred concomitantly with regeneration of the Au catalyst, providing C–H-functionalized product **9**. The final intramolecular cyclization seemed to proceed rapidly, but it is yet unclear whether the Au complex as a Lewis acid is involved in the lactonization.

First, we considered applying the reaction to an asymmetric synthesis, but the synthesized 1-arylnaphthofuranone **10** spontaneously racemized, even without treatment with acid or base (eq (4)). The racemization process is likely through aromatization-dearomatization of the furanone unit *via* a naphthofuran-2-ol **11**, which is a potentially useful intermediate for the dynamic kinetic resolution (DKR) method [21]. Toward the asymmetric synthesis, a Tsuji-Trost reaction was performed using **3a** as the substrate under palladium catalysis, producing functionalized naphthofuranone possessing an all-carbon quaternary stereogenic center in excellent yield with high enantioselectivity [22] (Scheme 4).

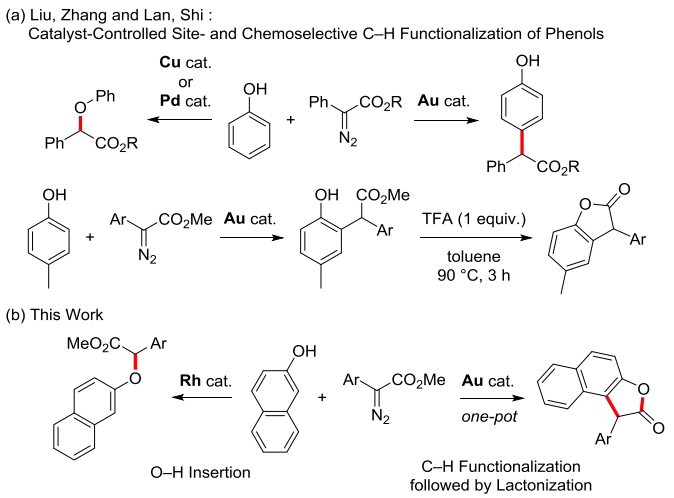
Table 1
Optimization of the reaction conditions.



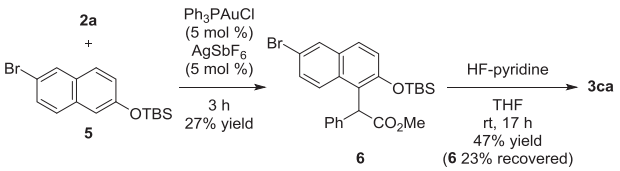
1a 2a 3aa 4aa

entry	catalyst(s)	solvent	Yield (%)[a]	ratio 3a: 4a
1	$Rh_2(OAc)_4$	CH_2Cl_2	13	<5:>95
2	$Cu(NCMe)_4PF_6$	CH_2Cl_2	53	<5:>95
3	$[(\eta^3\text{-}C_3H_5)PdCl]_2$	CH_2Cl_2	19	<5:>95
4	$Fe(OAc)_2$	CH_2Cl_2	0	–
5	$AgNTf_2$	CH_2Cl_2	45	92 : 8
6	$Ph_3PAuCl/AgSbF_6$	CH_2Cl_2	76	>95: <5
7	$Ph_3PAuCl/AgSbF_6$	$CDCl_3$	80	>95: <5
8	$Ph_3PAuCl/AgSbF_6$	PhCl	85	>95: <5
9	$(ArO)_3PAuCl/AgSbF_6$	PhCl	68	>95: <5
10	IPrAuCl/$AgSbF_6$	PhCl	71	>95: <5
11	$Ph_3PAuCl/AgBF_4$	PhCl	22	82 : 18
12	Ph_3PAuCl/AgO_2CCF_3	PhCl	33	51:49
13	$Ph_3PAuCl/AgPF_6$	PhCl	41	70 : 30
14	Ph_3PAuCl	PhCl	0	–
15	$AgSbF_6$	PhCl	2	40 : 60
16	$Ph_3PAuNTf_2$	PhCl	29	>95: <5
17	$Ph_3PAgSbF_6$	PhCl	0	–
18	none	PhCl	0	–

[a] The sum of the yields of **3a** and **4a**. Ar is 2,4-*t*-Bu_2-C_6H_3. IPr is 1,3-bis(2,6-diisopropylphenyl)imidazol-2-ylidene.



Scheme 1. Reactions of metal-carbenes with phenols and naphthols.



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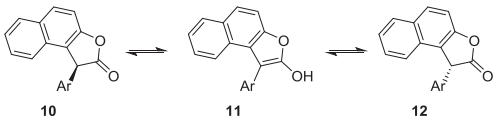


(4)

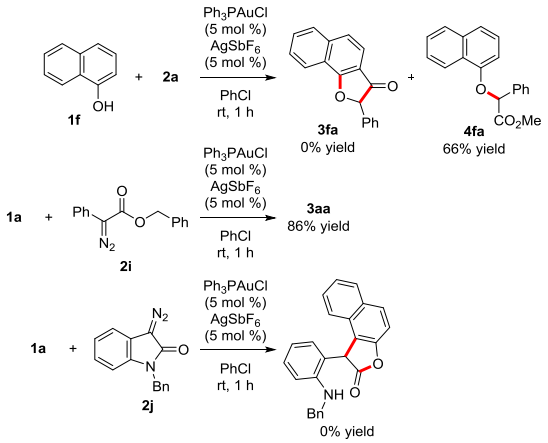
3. Conclusion

In summary, we established a gold-catalyzed C–H functionalization of 2-naphthols and phenanthren-9-ols followed by a lactonization in moderate to excellent yields. High chemoselectivity was achieved by taking advantage of the unique characteristics of the gold-carbene species. The synthesized arylnaphthofuranone was converted to a functionalized molecule in an optically enriched form through palladium-catalyzed enantioselective alkenylation. Further development of the reaction with metal-carbenes will be reported in due course.

4. Experimental section

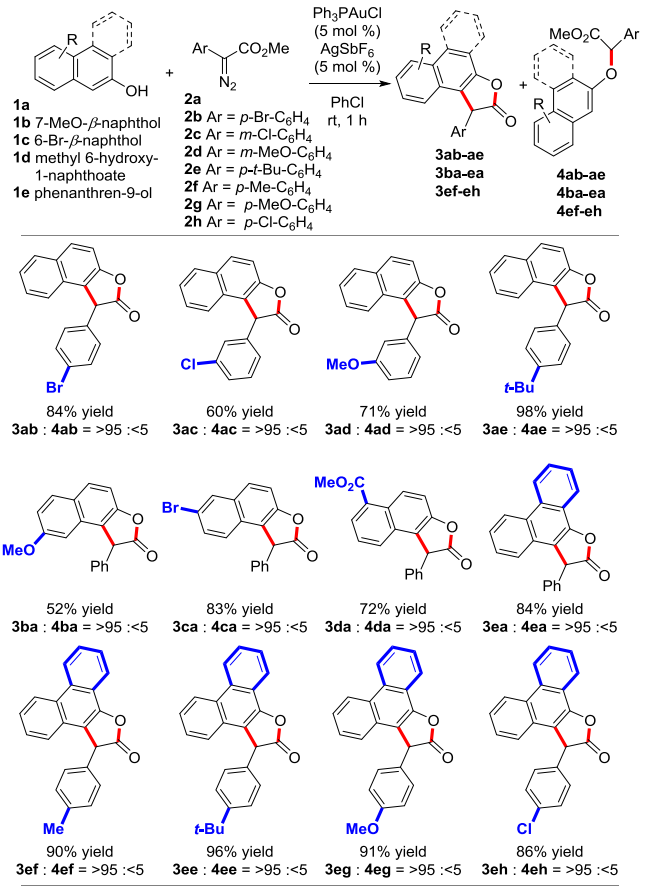
4.1. General information

IR spectra were recorded on a JASCO FT/IR 230 Fourier transform infrared spectrophotometer, equipped with ATR (Smiths Detection, DuraSample IR II). ^{1}H and ^{13}C NMR spectra were recorded at 400 and 100 MHz, respectively. Chemical shifts are reported in ppm using tetramethylsilane as the internal standard in $CDCl_3$ or DMF-d_7 solvent. ESI mass spectra were measured on JEOL AccuTOF LC-plus JMS-T100LP. Optical rotation was measured on a JASCO P-1020 polarimeter. The enantiomeric excess (ee) was determined by HPLC analysis. HPLC was performed on JASCO HPLC systems consisting of the following: pump, PU-980; detector, UV-970; column DAICEL CHIRALCEL OD-H; mobile phase, *n*-hexane/*i*-PrOH. Melting



Scheme 2. Substrate scope and limitations.

Table 2
Substrate scope.



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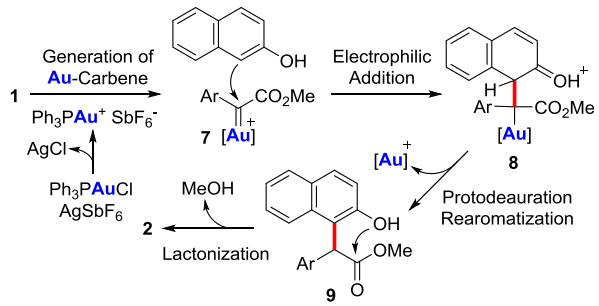
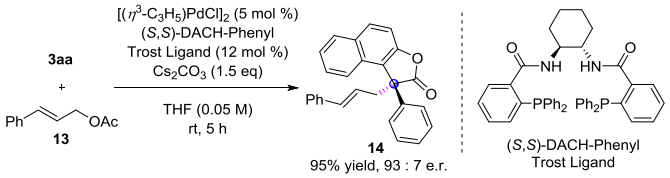


Scheme 3. Proposed reaction pathway.



Scheme 4. An enantioselective DKR of **3a**.

points were measured with a SIBATA NEL-270 melting point apparatus. Analytical thin layer chromatography was performed on Kieselgel 60F254, 0.25 mm thickness plates. Column chromatography was performed with silica gel 60 N (spherical, neutral 63–210 mesh). All commercially available reagents were used directly without purification unless otherwise stated. All solvents were purified following standard procedures. Reactions were conducted in dry solvent. Other reagents were purified by the usual methods.

4.2. Compounds 1, 2, 5, 13

Substrates **1d** [23], **2a-c** [24], **2d** [25], **2e** [26], **2f-h** [24], **5** [27], and **13** [28] were synthesized in our lab by the reported procedures.

4.3. General procedure (Table 2)

General Procedure A for the Synthesis of C–H Functionalized Product ***3***. In a dried glass tube, a solution of compound **1** (0.3 mmol, 1.5 eq), Ph_3PAuCl (5 mol %, 4.94 mg), and $AgSbF_6$ (5 mol %, 3.44 mg) in chlorobenzene (2 mL) was stirred at room temperature for 20 min. Then a solution of diazocompound **2** (0.2 mmol, 1 eq) in chlorobenzene (2 mL) was added to the reaction mixture by a syringe in 1 min, and the resulting mixture was continually stirred at room temperature for 1 h. The reaction mixture was concentrated under reduced pressure and purified by flash chromatography on silica gel (column condition; gradient elution: *n*-hexane/EtOAc, 15/1) to afford the desired product **3**.

4.4. Characterization of 3

*1-phenylnaphtho[2,1-b]furan-2(1H)-one (**3aa**).* Prepared according to the general procedure A and isolated as white solid (44.4 mg, 85% yield): mp 171–172 °C; R_f = 0.5 (*n*-hexane/EtOAc, 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.15 (s, 1H), 7.18–7.27 (m, 2H), 7.28–7.48 (m, 7H), 7.86–7.96 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.8, 111.4, 119.3, 123.2, 124.9, 127.6, 128.29, 128.31 (2C), 129.19 (2C), 129.24, 129.3, 130.7, 131.0, 134.8, 151.9, 175.6; IR (ATR) *ν* 2931, 1808, 1633, 1585, 1522, 1496 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{18}H_{11}O_2^-$ *m/z* 259.0765, found 259.0759.

*1-(4-bromophenyl)naphtho[2,1-b]furan-2(1H)-one (**3ab**).* Prepared according to the general procedure A and isolated as yellow solid (57.3 mg, 84% yield): mp 91–93 °C; R_f = 0.6 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.16 (s, 1H), 7.12 (d, *J* = 8.4 Hz, 2H), 7.29–7.33 (m, 1H), 7.38–7.50 (m, 5H), 7.89–7.93 (m, 1H), 7.95 (d, *J* = 8.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.2, 111.5, 118.6, 122.5, 123.1, 125.0, 127.8, 129.2, 129.4, 123.0 (2C), 131.0, 131.1, 132.4 (2C), 133.7, 152.0, 175.0; IR (ATR) *ν* 2952, 1804, 1632, 1579, 1522, 1487 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{18}H_{10}BrO_2^-$ *m/z* 336.9870, found 336.9875.

*1-(3-chlorophenyl)naphtho[2,1-b]furan-2(1H)-one (**3ac**).* Prepared according to the general procedure A and isolated as yellow solid (35.4 mg, 60% yield): mp 110–112 °C; R_f = 0.6 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.15 (s, 1H), 7.12 (d, *J* = 7.2 Hz, 1H), 7.21–7.35 (m, 4H), 7.37–7.47 (m, 3H), 7.89–7.94 (m, 1H), 7.96 (d, *J* = 8.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.3, 111.5, 118.5, 123.1, 125.0, 126.5, 127.9, 128.5, 128.6, 129.2, 129.4, 130.4, 131.0, 131.1, 135.1, 136.6, 152.0, 174.9; IR (ATR) *ν* 2925, 1808, 1633, 1581, 1523, 1474 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{18}H_{10}ClO_2^-$ *m/z* 293.0375, found 293.0372.

*1-(3-methoxyphenyl)naphtho[2,1-b]furan-2(1H)-one (**3ad**).* Prepared according to the general procedure A and isolated as yellow solid (41.4 mg, 71% yield): mp 82–84 °C; R_f = 0.5 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.74 (s, 3H), 5.13 (s, 1H), 6.78 (d, *J* = 2.0 Hz, 1H), 6.80 (d, *J* = 7.6 Hz, 1H), 6.86 (dd, *J* = 8.0, 2.4 Hz, 1H), 7.25 (t, *J* = 7.6 Hz, 1H), 7.36–7.46 (m, 4H), 7.87–7.91 (m, 1H), 7.92 (d, *J* = 8.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.7, 55.2, 111.4, 113.5, 114.3, 119.3, 120.6, 123.2, 124.9, 127.6, 129.2, 129.3, 130.2, 130.7, 131.0, 136.2, 151.9, 160.1, 175.4; IR (ATR) *ν* 2925, 2837, 1807, 1633, 1599, 1584, cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{19}H_{13}O_3^-$ *m/z* 289.0870, found 289.0874.

*1-(4-(tert-butyl)phenyl)naphtho[2,1-b]furan-2(1H)-one (**3ae**).* Prepared according to the general procedure A and isolated as white solid (62.1 mg, 98% yield): mp 97–98 °C; R_f = 0.7 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.29 (s, 9H), 5.16 (s, 1H), 7.15 (d, *J* = 8 Hz, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 7.38–7.45 (m, 4H), 7.87–7.94 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.2 (3C), 34.5, 49.3, 111.5, 119.6, 123.4, 124.8, 126.1 (2C), 127.6, 127.9 (2C), 129.2, 129.4, 130.6, 131.0, 131.6, 151.2, 151.9, 175.9; IR (ATR) *ν* 2962, 1808, 1633, 1582, 1522, 1461 cm^{-1}; HRMS (ESI-TOF) $[M+Na]^+$ calcd for $C_{22}H_{20}NaO_2^+$ *m/z* 339.1356, found 339.1352.

*8-methoxy-1-phenylnaphtho[2,1-b]furan-2(1H)-one (**3ba**).* Prepared according to the general procedure A and isolated as white solid (30.2 mg, 52% yield): mp 132–134 °C; R_f = 0.6 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.94 (s, 3H), 5.02 (s, 1H), 7.10 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.16 (d, *J* = 2.8 Hz, 1H), 7.27–7.30 (m, 2H), 7.34–7.41 (m, 3H), 7.45 (s, 1H), 7.59 (s, 1H), 7.67 (d, *J* = 9.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.8, 55.1, 101.7, 108.8, 117.5, 118.3, 126.4, 128.27, 128.32 (2C), 128.4, 129.2 (2C), 130.3, 130.8, 134.6, 152.4, 158.8, 175.7; IR (ATR) *ν* 2962, 1807, 1646, 1413, 1259, 1212 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{19}H_{13}O_3^-$ *m/z* 289.0870, found 289.0877.

*7-bromo-1-phenylnaphtho[2,1-b]furan-2(1H)-one (**3ca**).* Prepared according to the general procedure A and isolated as white solid (50.1 mg, 74% yield): mp 159–160 °C; R_f = 0.7 (*n*-hexane/EtOAc, 2/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.19 (s, 1H), 7.18–7.24 (m, 3H), 7.32–7.38 (m, 3H), 7.44–7.49 (m, 2H), 7.85 (d, *J* = 8.8 Hz, 1H), 8.07 (d, *J* = 1.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.6, 112.6, 118.8, 119.7, 124.9, 127.8, 128.3 (2C), 128.5, 129.3 (2C), 129.8, 131.0, 131.2, 132.1, 134.4, 152.2, 175.1; IR (ATR) *ν* 3063, 3031, 1806, 1631, 1577, 1509 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{18}H_{10}BrO_2^-$ *m/z* 336.9870, found 336.9871.

*methyl 2-oxo-1-phenyl-1,2-dihydronaphtho[2,1-b]furan-6-carboxylate (**3da**).* Prepared according to the general procedure A

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and isolated as yellow solid (45.9 mg, 72% yield): mp 130–132 °C; R_f = 0.3 (*n*-hexane/EtOAc, 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.02 (s, 3H), 5.21 (s, 1H), 7.19–7.23 (m, 2H), 7.34 (d, *J* = 2.4 Hz, 2H), 7.35 (d, *J* = 2 Hz, 1H), 7.37–7.43 (m, 1H), 7.50–7.58 (m, 2H), 8.09 (d, *J* = 1.2 Hz, 1H), 9.05 (d, *J* = 9.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.8, 52.4, 112.9, 119.8, 126.4, 128.2, 128.3 (2C), 128.4, 128.5, 128.7, 128.87, 128.89, 129.3, 129.9 (2C), 134.7, 152.2, 167.7, 175.2; IR (ATR) ν 2951, 1812, 1716, 1629, 1526, 1496 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{20}H_{13}O_4^-$ *m/z* 317.0819, found 317.0812.

*3-phenylphenanthro[9,10-b]furan-2(3H)-one (**3ea**).* Prepared according to the general procedure A and isolated as white solid (51.8 mg, 84% yield): mp 197–198 °C; R_f = 0.5 (*n*-hexane/EtOAc, 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.26 (s, 1H), 7.19–7.37 (m, 5H), 7.38–7.50 (m, 2H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.67–7.83 (m, 2H), 8.20 (d, *J* = 8.0 Hz, 1H), 8.75 (dd, *J* = 17.6, 8.0 Hz, 2H); ^{13}C NMR (100 MHz, DMF-d_7) δ 51.0, 118.0, 120.8, 122.3, 124.6, 124.7, 124.8, 126.4, 128.2, 128.3, 128.4, 128.8, 128.9, 129.0, 129.3 (2C), 129.9 (2C), 132.1, 136.8, 148.9, 176.5; IR (ATR) ν 3056, 1803, 1601, 1509, 1449, 1410 cm^{-1}; HRMS (ESI-TOF) $[M-H]^-$ calcd for $C_{22}H_{13}O_2^-$ *m/z* 309.0921, found 309.0921.

*3-(p-tolyl)phenanthro[9,10-b]furan-2(3H)-one (**3ef**).* Prepared according to the general procedure A and isolated as white solid (62.5 mg, 90% yield): mp 172–173 °C; R_f = 0.6 (*n*-hexane/EtOAc, 4/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.32 (s, 3H), 5.15 (s, 1H), 7.14 (s, 4H), 7.35–7.48 (m, 2H), 7.56 (td, *J* = 8.4, 1.6 Hz, 1H), 7.68–7.83 (m, 2H), 8.16 (d, *J* = 8.0 Hz, 1H), 8.71 (dd, *J* = 16.8, 8.4 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.1, 50.2, 116.1, 120.2, 122.2, 123.2, 123.6, 124.1, 125.5, 127.3, 127.5, 127.6, 127.9, 128.2 (2C), 128.3, 129.9 (2C), 131.5, 131.7, 138.2, 148.6, 175.9; IR (ATR) ν 3056, 2918, 1808, 1611, 1511, 1449 cm^{-1}; HRMS (ESI-TOF) $[M+Na]^+$ calcd for $C_{23}H_{16}NaO_2^+$ *m/z* 347.1048, found 347.0918.

*3-(4-(tert-butyl)phenyl)phenanthro[9,10-b]furan-2(3H)-one (**3ee**).* Prepared according to the general procedure A and isolated as white solid (74.7 mg, 96% yield): mp 160–162 °C; R_f = 0.7 (*n*-hexane/EtOAc, 4/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.29 (s, 9H), 5.23 (s, 1H), 7.20 (d, *J* = 8.8 Hz, 2H), 7.35 (d, *J* = 8.8 Hz, 2H), 7.42–7.52 (m, 2H), 7.58 (t, *J* = 6.8, 1.2 Hz, 1H), 7.70–7.84 (m, 2H), 8.19 (d, *J* = 7.6 Hz, 1H), 8.74 (dd, *J* = 18, 8.4 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.2 (3C), 34.5, 50.1, 116.1, 120.3, 122.2, 123.3, 123.5, 124.3, 125.5, 126.2 (2C), 127.3, 127.5, 127.6, 127.875, 127.884 (2C), 128.3, 131.46, 131.50, 148.6, 151.2, 175.9; IR (ATR) ν 3060, 2954, 1803, 1506, 1348, 1330 cm^{-1}; HRMS (ESI-TOF) $[M+Na]^+$ calcd for $C_{26}H_{22}NaO_2^+$ *m/z* 389.1518, found 389.1511.

*3-(4-methoxyphenyl)phenanthro[9,10-b]furan-2(3H)-one (**3eg**).* Prepared according to the general procedure A and isolated as white solid (66.1 mg, 91% yield): mp 183–185 °C; R_f = 0.5 (*n*-hexane/EtOAc, 4/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.78 (s, 3H), 5.19 (s, 1H), 6.87 (d, *J* = 8.8 Hz, 2H), 7.19 (d, *J* = 8.8 Hz, 2H), 7.39–7.51 (m, 2H), 7.58 (t, *J* = 6.8, 1.6 Hz, 1H), 7.70–7.83 (m, 2H), 8.19 (d, *J* = 7.6 Hz, 1H), 8.74 (dd, *J* = 16.4, 7.6 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.8, 55.3, 114.7 (2C), 116.1, 120.3, 122.2, 123.2, 123.6, 124.2, 125.5, 126.6, 127.4, 127.5, 127.6, 127.9, 128.3, 129.4 (2C), 131.5, 148.6, 159.6, 176.0; IR (ATR) ν 2939, 2357, 2304, 1800, 1506, 1348 cm^{-1}; HRMS (ESI-TOF) $[M+Na]^+$ calcd for $C_{23}H_{16}NaO_2^+$ *m/z* 363.0997, found 363.0996.

*3-(4-chlorophenyl)phenanthro[9,10-b]furan-2(3H)-one (**3eh**).* Prepared according to the general procedure A and isolated as white solid (59.4 mg, 86% yield): mp 175–177 °C; R_f = 0.6 (*n*-hexane/EtOAc, 4/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.21 (s, 1H), 7.18–7.29 (m, 2H), 7.30–7.40 (m, 3H), 7.48 (td, *J* = 8.4, 1.6 Hz, 1H), 7.60 (td, *J* = 8.8, 1.2 Hz, 1H), 7.71–7.86 (m, 2H), 8.18 (d, *J* = 8.0 Hz, 1H), 8.74 (dd, *J* = 14.8, 8.8 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 49.6, 115.3, 120.1, 122.2, 123.3, 123.7, 124.0, 125.7, 127.3, 127.5, 127.7, 128.1, 128.4, 129.5 (2C), 129.7 (2C), 131.6, 133.1, 134.4, 148.9, 175.2; IR (ATR) ν 3057, 2123, 1800, 1610, 1508, 1491 cm^{-1}; HRMS (ESI-TOF) $[M+H]^+$ calcd for $C_{22}H_{14}O_2^+$ *m/z* 345.0682, found 345.0675.

4.5. Synthesis and characterization of 4aa and 4fa

*methyl 2-(naphthalen-2-yloxy)-2-phenylacetate (**4aa**).* To a stirred solution of 2-naphthol (**1a**) (0.3 mmol, 1.5 eq), $Rh_2(OAc)_4$ (5 mol %) in dichloromethane (0.05 M) in a test tube, were added methyl 2-diazo-2-phenylacetate (**2a**) (0.2 mmol, 1 eq), and the reaction mixture was stirred at room temperature until the substrate disappeared. The reaction mixture was concentrated under reduced pressure and purified by flash chromatography on silica gel (column condition; gradient elution: *n*-hexane/EtOAc, 20/1) to afford the product **4aa**. Spectroscopic data are in agreement with literature[29].

*methyl 2-(naphthalen-1-yloxy)-2-phenylacetate (**4fa**).* Prepared according to the general procedure A and isolated as red liquid (38.8 mg, 66% yield): R_f = 0.3 (*n*-hexane/EtOAc, 3/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.76 (s, 3H), 5.71 (s, 1H), 6.51 (d, *J* = 8.0 Hz, 1H), 7.01 (d, *J* = 7.6 Hz, 1H), 7.23–7.34 (m, 6H), 7.45 (dtd, *J* = 20.0, 6.4, 1.2 Hz, 2H), 7.89 (d, *J* = 7.6 Hz, 1H), 8.21 (d, *J* = 8.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 52.6, 53.1, 107.9, 122.7, 122.8, 124.87, 124.92, 126.2, 126.6, 127.0, 127.3, 128.6 (2C), 128.9 (2C), 132.5, 137.9, 151.5, 174.3; IR (ATR) ν 3408, 2950, 1715, 1628, 1588, 1518 cm^{-1}.

4.6. Synthesis and characterization of 6

*methyl 2-(6-bromo-2-((tert-butyldimethylsilyl)oxy)naphthalen-1-yl)-2-phenylacetate (**6**).* To a stirred solution of Ph_3PAuCl (5 mol%), and $AgSbF_6$ (5 mol%) in chlorobenzene (3 mL) in a flask, were added ((6-bromonaphthalen-2-yl)oxy)(*tert*-butyl)dimethylsilane (**5**) (0.45 mmol, 1.5 eq) after 30 min. Methyl 2-diazo-2-phenylacetate (**2a**) (0.3 mmol, 1 eq) dissolved in chlorobenzene (3 mL) were added over 2 h using a syringe pump and the reaction mixture was stirred at room temperature for 1 h. The reaction mixture was concentrated under reduced pressure and purified by flash chromatography on silica gel (column condition; gradient elution: *n*-hexane/EtOAc, 30/1) to afford the desired product **6** as a white solid (38.7 mg, 27% yield): mp 112–113 °C; R_f = 0.7 (*n*-hexane/EtOAc, 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.12 (s, 3H), 0.22 (s, 3H), 0.95 (s, 9H), 3.65 (s, 3H), 6.05 (s, 1H), 7.14 (d, *J* = 7.6 Hz, 2H), 7.17–7.27 (m, 4H), 7.36 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.65 (d, *J* = 9.2 Hz, 1H), 7.93 (d, *J* = 2.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ −4.04, −4.01, 18.3, 25.7 (3C), 47.1, 52.2, 117.3, 121.3, 121.8, 126.6, 126.7, 128.0 (2C), 128.4, 128.9 (2C), 129.6, 130.3, 130.9, 131.9, 137.0, 151.9, 173.6; IR (ATR) ν 3061, 3029, 2952, 2929, 2885, 2857, 1737, 1616, 1588, 1495 cm^{-1}; HRMS (ESI-TOF) $[M+Na]^+$ calcd for $C_{25}H_{29}BrNaO_3Si^+$ *m/z* 507.0967, found 507.0931.

4.7. Synthesis and characterization of 14

*(S)-1-cinnamyl-1-phenylnaphtho[2,1-b]furan-2(1H)-one (**14**).* To a stirred mixture of cinnamyl acetate (**13**) (0.09 mmol, 1.8 eq), 1-phenylnaphtho[2,1-*b*]furan-2(1H)-one (**3aa**) (0.05 mmol, 1 eq), allylpalladium(II) chloride dimer (5 mol%), (*R*,*R*)-DACH-phenyl Trost ligand (12 mol%) in THF (0.05 M) was added Cs_2CO_3 (0.075 mmol, 1.5 eq) at room temperature. After being stirred for 4 h, the reaction mixture was concentrated under reduced pressure, and the obtained residue was purified by flash column chromatography on silica gel (column condition; gradient elution: *n*-hexane/EtOAc 20/1 to 15/1) to give **14** as colorless oil (17.9 mg, 95% yield): R_f = 0.4 (*n*-hexane/EtOAc, 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.53 (ddd, *J* = 13.2, 6.4, 0.8 Hz, 1H), 3.64 (ddd, *J* = 13.2, 8.0, 0.8 Hz, 1H), 5.55 (ddd, *J* = 15.2, 8.4, 6.8 Hz, 1H), 6.36 (d, *J* = 15.6 Hz, 1H), 6.98 (dd, *J* = 7.6, 1.6 Hz, 2H), 7.10–7.18 (m, 3H), 7.29–7.41 (m, 6H), 7.42–7.47 (m, 2H), 7.48–7.55 (m, 1H), 7.90–7.97 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 40.0, 57.6, 111.6, 121.6, 122.4, 123.0, 124.8, 126.2 (2C), 127.1 (2C), 127.4, 127.6, 128.2, 128.3 (2C), 129.0 (2C), 129.3,

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129.7, 130.8, 131.2, 135.0, 136.6, 137.9, 151.1, 178.3; IR (ATR) ν 3059, 3029, 2923, 2853, 1799, 1722, 1631, 1581, 1522, 1496 cm^{-1}; HRMS (ESI-TOF) $[M + Na]^+$ calcd for $C_{27}H_{20}NaO_2^+$ m/z 399.1361, found 399.1372; $[\alpha]_D^{20}$ −36.7° (c 0.23, $CHCl_3$); The enantiomeric ratio was determined to be 93 : 7 by analytical chiral HPLC. Retention time: 8.16 min, 10.34 min (OD-H column, 90/10 *n*-hexane/*i*-PrOH, 1 mL/min, 254 nm).

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Appendix A. Supplementary data

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