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3-Naphthylindole Construction by Rhodium(II)-Catalyzed Regioselective Direct Arylation of Indoles with 1-Diazonaphthalen-2-(1*H*)-ones

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Abstract: The regioselective direct 3-arylation of indoles with 1-diazonaphthalen-2-(1*H*)-ones was developed by means of a rhodium(II) pivalate-catalyzed cross-coupling reaction. This procedure provided a variety of novel 3-naphthylindoles in high yield. The direct coupling of benzofuran, pyrrole or furan with 1-diazonaphthalen-2-(1*H*)-ones afforded 2- or 3-naphthyl substituted heterocycles.

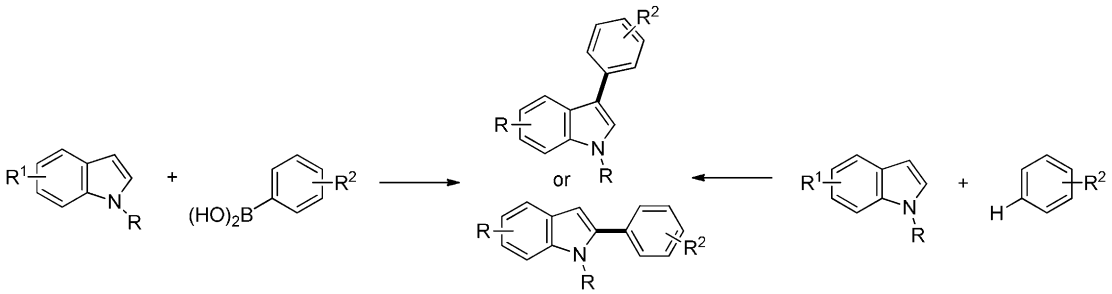
Keywords: arylation; diazo compounds; 3-naphthylindoles; regioseletivity; rhodium carbenoids

Introduction

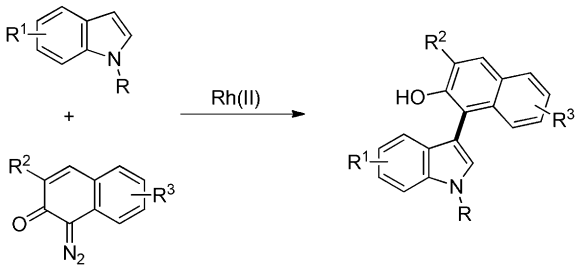
Indoles are one of the most important heterocycles contained in many natural products, agrochemicals, and pharmaceuticals.[1] They have a wide range of biological activities[2] and some of them are currently used as drugs.[3] In addition, they are used widely as the building blocks for the synthesis of functionalized indoles.[4] Among these, *C*-arylindoles also showed a wide range of biological activities, such as anticancer,[5] antibacterial and antifungal,[6] antiapoptotic Mc1-1 protein inhibitors,[7] PPAR-γ partial agonists,[8] AKT-mTOR signaling pathway kinase inhibitors,[9] endothelin antagonists,[10] non-peptidyl GnRH receptor antagonists,[11] and h5-HT2A receptor antagonists.[12]

Owing to their importance and usefulness, a range of synthetic approaches for *C*-arylindoles has been developed based on the transition metal-catalyzed direct arylation of indoles with activated arenes. This synthetic strategy can avoid the prefunctionalization of indole derivatives and provide a rapid route for the construction of diverse biaryls bearing an indole moiety. Representative approaches include the cross-coupling of indoles and various aromatic coupling partners, such as organoboranes,[13] aryl halides,[14] aromatic hypervalent iodine reagents,[15] arylhydrazines,[16] aryl triflates,[17] arylsiloxanes,[18] aromatic carboxylic acids,[19] and sodium sulfinate.[20] Other effective approaches for *C*-arylindole syntheses have also been reported, including the oxidative C–H coupling of indoles with non-activated arenes. The reactions also avoid the need for prior prefunctionalization of both the indoles and coupling partners, and have been used as the most direct methods for the synthesis of *C*-arylindoles. Recently, the *t*-BuOK-mediated aerobic transition metal-free arylation of indoles[21a] and C–H and N–H arylation of indoles by transformation of diaryliodinium salts[21b] have been reported. Despite their own merits, most of these known methods produced 2-arylindoles[13,14,17,18,20] or both 2- and 3-arylindoles[15,19] except for a few methods for producing 3-arylindoles.[16,21a] Therefore, more efficient and facile arsenals for the synthesis of 3-arylindoles are highly needed. In particular, the *C*-arylation of indoles to arenes has been well described, but there are few reports of direct C–C bond formation to construct functionalized naphthalene derivatives on the indole nucleus. The report of the Pd-catalyzed direct C–H/C–B coupling of heteroarenes and 2-methylnaphthalenyl-1-boronic acid is one such example (Scheme 1).[22] To the best of our knowledge, there are no examples of the direct arylation of indoles with 1-diazonaphthalen-2-(1*H*)-ones for the synthesis of biologically interesting 3-naphthylindoles. Molecules bearing a 2-naphthol moiety are also known as precious compounds possessing a range of important biological and pharmacological properties.[23]

We have developed a novel methodology for the synthesis of various heterocycles and new molecules



Scheme 1. Reported representative methods for *C*-arylindoles.



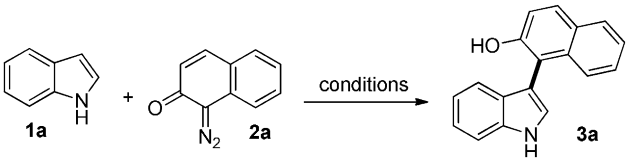
Scheme 2. Unreported direct arylation of indoles with 1-diazonaphthalen-2-(1*H*)-ones for the synthesis of novel and diverse 3-naphthylindoles.

by the rhodium-catalyzed reactions of diazo compounds with several substrates.[24] As the result of the continued interest in this area, this paper reports the Rh(II)-catalyzed regioselective *C*-arylation of indoles with 1-diazonaphthalen-2-(1*H*)-ones for the synthesis of a variety of diverse 3-naphthylindoles (Scheme 2). This is the first example of the one-pot synthesis of functionalized 3-naphthylindoles by the direct coupling of indoles with several 1-diazonaphthalen-2-(1*H*)-ones.

Results and Discussion

This study commenced with the optimization of the reaction conditions for 3-naphthylindole (**3a**) using indole (**1a**) and 1-diazonaphthalen-2-(1*H*)-one (**2a**) in the presence of various catalysts and solvents (Table 1). The diazo compounds were prepared from the corresponding naphthols using 2-azido-1,3-dimethylimidazolinium chloride according to the reported protocol.[25] The reaction of **1a** with **2a** using $In(OAc)_3$ (5 mol%), $Cu(OAc)_2$ (5 mol%), $FeCl_3$ (5 mol%), and $Au(PPh_3)_3CH_3$ (5 mol%) in PhF at room temperature for 12 h gave no products (entries 1–4). With $Pd(OAc)_2$ (5 mol%) in PhF, a trace amount of product **3a** was obtained (entry 5), whereas the yield of **3a** was increased to 40% and 58% using $Ru(PPh_3)_3Cl_2$ (5 mol%) and $Rh_2(OAc)_4$ (2 mol%) as catalysts, respectively (entries 6 and 7). On the other hand, the treatment of **1a** and **2a** in the presence of the more electron-rich $Rh_2(OPiv)_4$ (2 mol%) provided **3a** in the best yield (88%) (entry 8). Importantly, in this case, no regioisomer of 2-naphthylindole was isolated. An increase or decrease in the catalytic loading of $Rh_2(OPiv)_4$ reduced the yield (entries 9 and 10). With the other solvents, such as benzene and toluene, the yield of **3a** was lower than that with PhF (entries 11 and 12). In more polar solvents, such as THF and acetonitrile, **3a** was not obtained (entries 13 and 14). No products were observed when the reaction was carried out in the absence of metal catalyst for 12 h (entry 15). The structure of **3a** was determined by comparison of its spectral data with those reported for 2-naphthylindoles[26] and 2- or 3-arylindoles.[27] The ^{1}H NMR spectrum of **3a** showed one vinylic proton at $\delta = 7.17$ ppm mixed with other vinyl peaks on the aromatic rings, an NH peak at 8.14 ppm as a singlet, and

Table 1. Optimization of 3-arylation of indole (**1a**) with 1-diazonaphthalen-2-(1*H*)-one (**2a**) with several catalysts and solvents.[a]

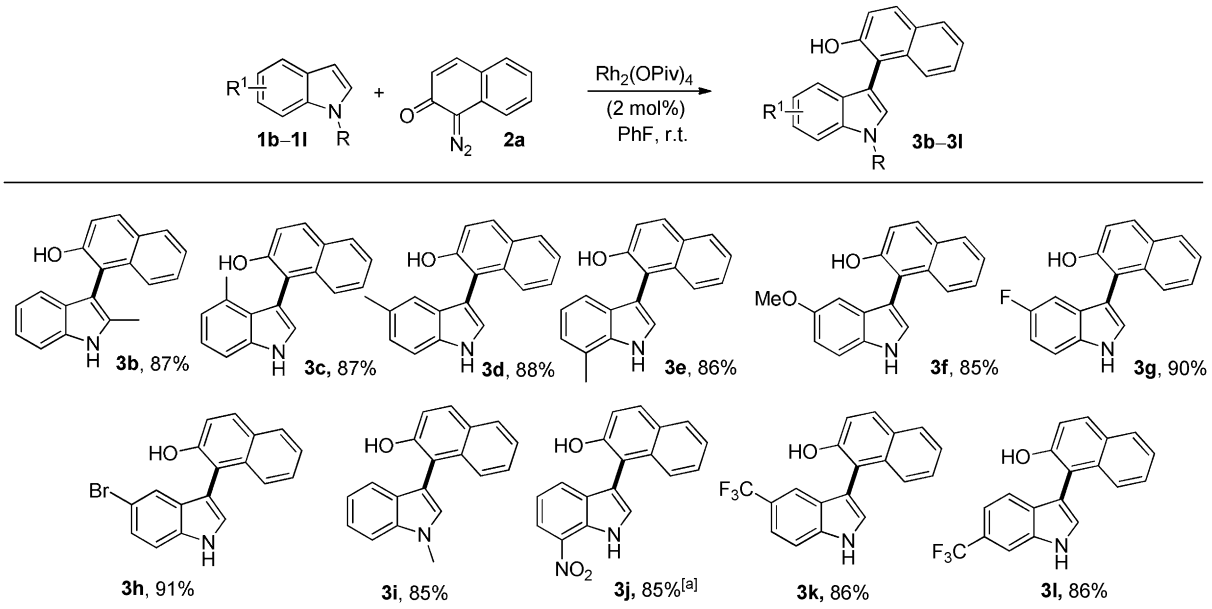


Entry	Catalyst	Solvent	Conditions	Yield [%][b]
1	$In(OAc)_3$ (5 mol%)	PhF	r.t., 12 h	0
2	$Cu(OAc)_2$ (5 mol%)	PhF	r.t., 12 h	0
3	$FeCl_3$ (5 mol%)	PhF	r.t., 12 h	0
4	$Au(PPh_3)_3CH_3$ (5 mol%)	PhF	r.t., 12 h	0
5	$Pd(OAc)_2$ (5 mol%)	PhF	r.t., 12 h	trace
6	$Ru(PPh_3)_3Cl_2$ (2 mol%)	PhF	r.t., 8 h	40
7	$Rh_2(OAc)_4$ (2 mol%)	PhF	r.t., 4 h	58
8	**$Rh_2(OPiv)_4$ (2 mol%)**	**PhF**	**r.t., 4 h**	**88**
9	$Rh_2(OPiv)_4$ (1 mol%)	PhF	r.t., 4 h	62
10	$Rh_2(OPiv)_4$ (5 mol%)	PhF	r.t., 4 h	76
11	$Rh_2(OPiv)_4$ (2 mol%)	benzene	r.t., 4 h	40
12	$Rh_2(OPiv)_4$ (2 mol%)	toluene	r.t., 4 h	22
13	$Rh_2(OPiv)_4$ (2 mol%)	THF	r.t., 12 h	0
14	$Rh_2(OPiv)_4$ (2 mol%)	acetonitrile	r.t., 12 h	0
15	none	PhF	r.t., 12 h	0

[a] Reactions were carried out using **1a** (1.1 mmol) and **2a** (1.0 mmol) in solvent (5.0 mL) under a nitrogen atmosphere.

[b] Isolated yield after column chromatography.

Table 2. Formation of 3-naphthylindoles **3b–3l** from the 3-arylation of substituted indoles **1b–1l** with **2a**.



[a] Product was obtained at 100 °C for 12 h.

an OH peak at 5.44 ppm as a singlet for the indole and naphthalene moiety, respectively.

Under the optimized conditions, the scope and generality for the 3-arylation reaction using different indoles **1b–1l** and **2a** was further explored (Table 2).

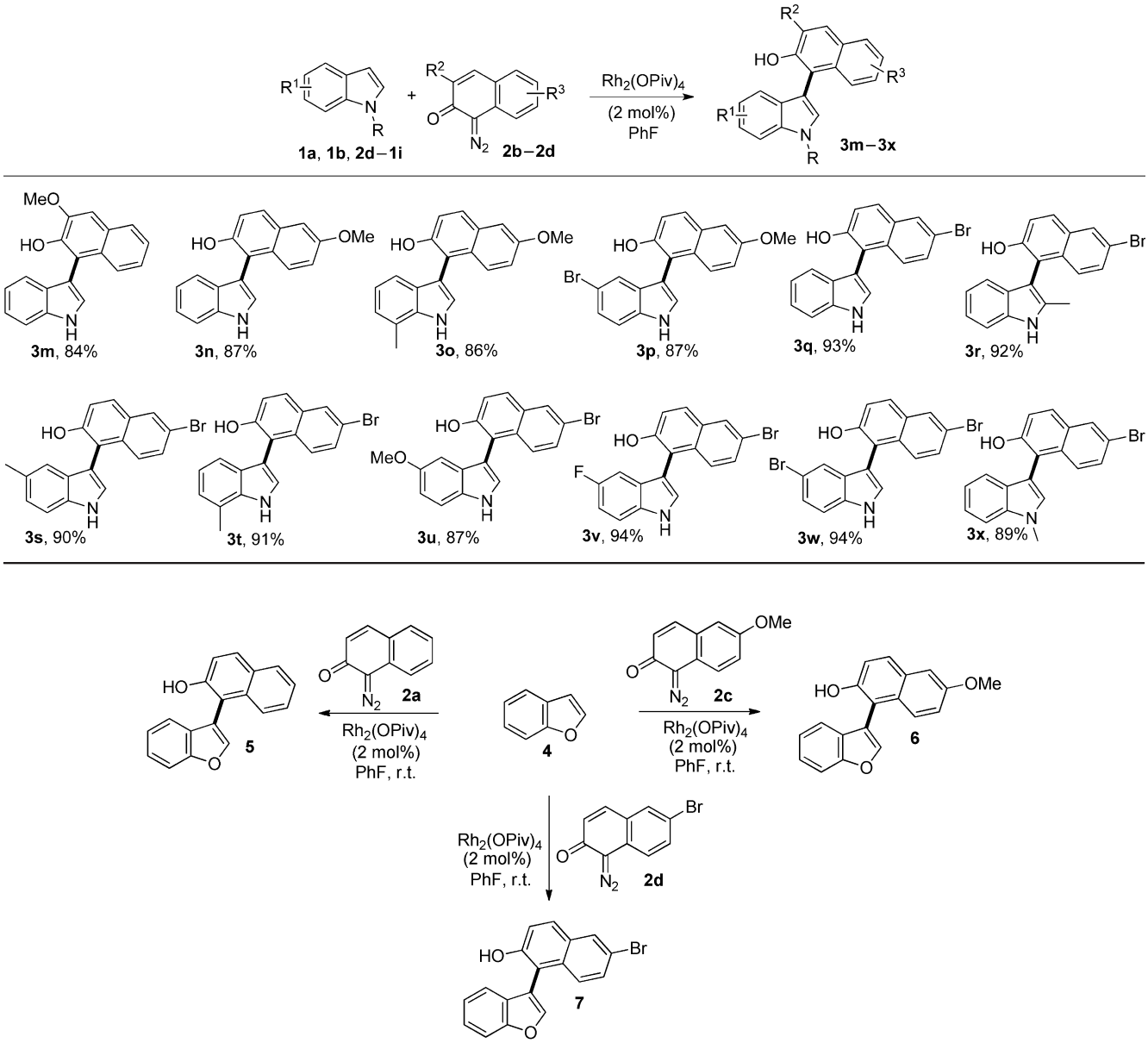
The reaction of 2-methylindole (**1b**) with **2a** in the presence 2 mol% of $Rh_2(OPiv)_4$ in PhF for 4 h provided the desired product **3b** in 87% yield. In addition, the reactions of 4-methyl-, 5-methyl-, 7-methyl-, 5-methoxy-, 5-fluoro-, or 5-bromoindole bearing electron-donating or electron-withdrawing groups on the benzene ring with **2a** provided the desired products, **3c–3h**, in 85–91% yield. Similarly, *N*-methylated indole (**1i**) also provided the desired product **3i** in excellent yield. Interestingly, these reactions of substrates with electron-withdrawing substituents generally afforded slightly higher yields (90–91%) compared to those with electron-donating groups (85–88%). The reaction was also found compatible with indoles containing strong electron-withdrawing groups such as NO_2 and CF_3. For example, with 7-nitroindole (**1j**) at 100 °C for 12 h, product **3j** was isolated in 85% yield. Similarly, treatment of 5-(trifluoromethyl)indole (**1k**) or 6-(trifluoromethyl)indole (**1l**) with **2a** afforded the desired products **3k** (86%) and **3l** (86%).

To further demonstrate the versatility of this methodology, the reactions with substituted indoles **1a**, **1b**, **1–1i** and diazo compounds **2b–2d** bearing substituents on the ring were examined (Table 3). The reaction of indole (**1a**) with 1-diazo-3-methoxy- (**2b**) or 1-diazo-6-methoxynaphthalen-2-(1*H*)-one (**2c**) bearing an electron-donating group (OMe) on the ring in PhF for 4 h afforded the corresponding products, **3m** and **3n** in 84 and 87% yield, respectively. When 7-methyl (**1e**) or 5-bromoindole (**1h**) was treated with **2c**, the products **3o** and **3p** were produced in 86 and 87% yield, respectively. Similarly, reactions of indoles **1a**, **1b**, **1–1i** with a diazo compound **2d** bearing electron-withdrawing group (Br) provided products **3q–3x** in 87–94% yield. These reactions provide a rapid route to the synthesis of a variety of 3-naphthylindoles bearing several substituents on the indole and naphthol rings.

Having observed the general applicability of this 3-arylation reaction using several indoles, we tested the possibility of employing other heterocycles, which would lead to the introduction of diverse naphthyl derivatives on the heterocyclic rings. The reactions of benzofuran (**4**) with diazo compounds **2a**, **2c** or **2d** provided the expected products 3-naphthylbenzofurans **5**, **6** and **7** in 84, 86 and 87% yield, respectively (Scheme 3).

Encouraged by this result, other heterocycles, such as pyrrole and furans were next examined to extend the utility of this methodology (Scheme 4). With pyrrole (**8**), furan (**9**) and 2-methylfuran (**10**), the reactions were also successful. The reaction of **8** with **2a** provided **11** in 85% yield, whereas that of **9** with **2a** afforded **12** in 82% yield. In addition, the reaction of 2-methylfuran (**10**) with **2a** at room temperature for 8 h provided the desired product **13** in 76% yield, whereas the treatment of 2,5-dimethylfuran with **2a** at room temperature for 12 h gave no product, probably due to blockage by two methyl groups on the 2 and 5 positions. With the diazo compounds **2c** and **2d**, the desired products **14–17** were also produced in 84-87% yield. Importantly, these reactions provided 2-naph-

Table 3. Formation of 3-naphthylindoles **3m–3x** from the 3-arylation of several indoles **1a**, **1b**, **1d–1i** with substituted diazo compounds **2b–2d**.

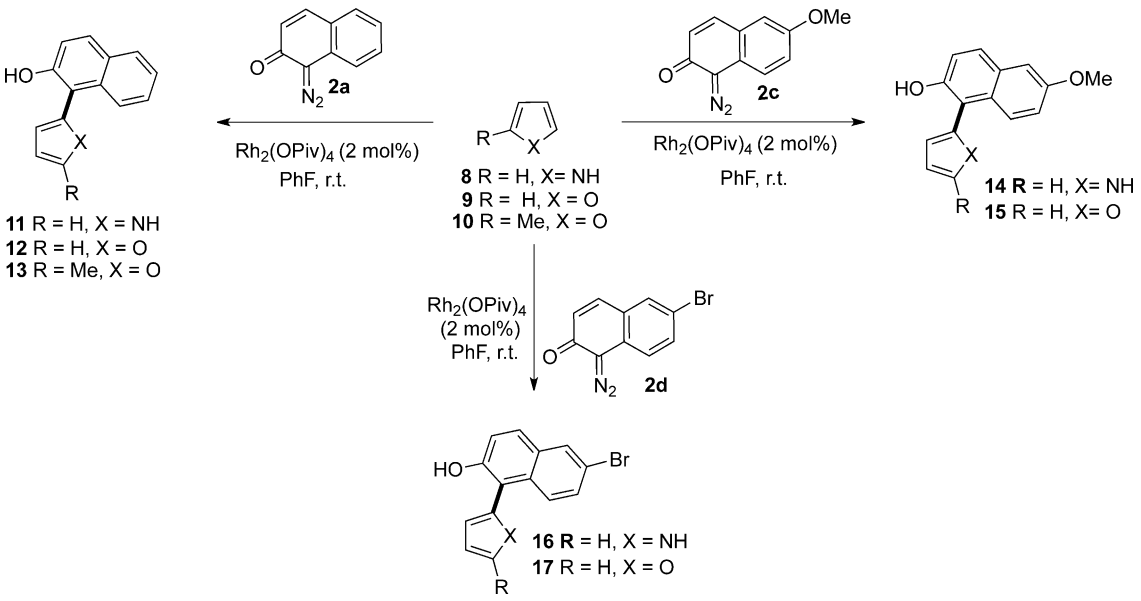


Scheme 3. Reaction of benzofuran (**4**) with diazo compounds **2a**, **2c** and **2d** for the synthesis of naphthylbenzofurans **5**, **6** and **7**.

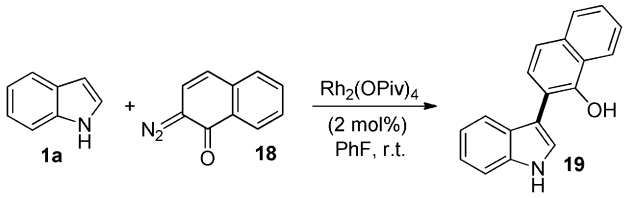
thylpyrroles and 2-naphthylfurans in high yield without the formation of 3-naphthylpyrroles and 3-naphthylfurans. These compounds were identified by a comparison of their spectral data with those of the reported compounds.[28] For example, ^{1}H NMR of compound **12** showed three vinylic protons on the furan ring at 6.58 (1H, dd, $J=3.0$, 1.0 Hz), 6.63 (1H, d, $J=3.0$ Hz), and 7.60 (1H, d, $J=1.0$ Hz).

To further demonstrate the versatility of this protocol, we examined a reaction with 2-diazonaphthalen-1-(2*H*)-one (**18**) (Scheme 5). The reaction of **1a** with **18** in the presence of 2 mol% of $Rh_2(OPiv)_4$ in PhF at room temperature for 6 h afforded the corresponding product **19** in 85% yield.

The mechanism for the formation of **3a** and **12** can be explained as shown in Scheme 6. Diazo compound **2a** first forms rhodium carbenoid **20** through the replacement of nitrogen with $Rh_2(OPiv)_4$,[29] which would then undergo nucleophilic addition at the C-3 position of indole (**1a**) to produce the zwitterion **21**. The deprotonation of **21** followed by removal of the rhodium catalyst would give the final product **3a**. In the case of furan as nucleophile, rhodium carbenoid **20** gave the zwitterion **22** through nucleophilic addi-



Scheme 4. Reaction of pyrrole (**8**), furan (**9**) or 2-methylfuran (**10**) with diazo compounds **2a**, **2c** and **2d** for the synthesis of 2-naphthylpyrroles **11**, **14** and **16**, and 2-naphthylfurans **12**, **13**, **15**, and **17**.



Scheme 5. Synthesis of 3-arylindole (**19**) from indole (**1a**) with 2-diazonaphthalen-1-(2*H*)-one (**18**).

tion at the C-2 position, which leads to the final product **12**. As an evidence of this mechanism, the copper-catalyzed alkylation of indoles with diazo compounds was previously described.[30]

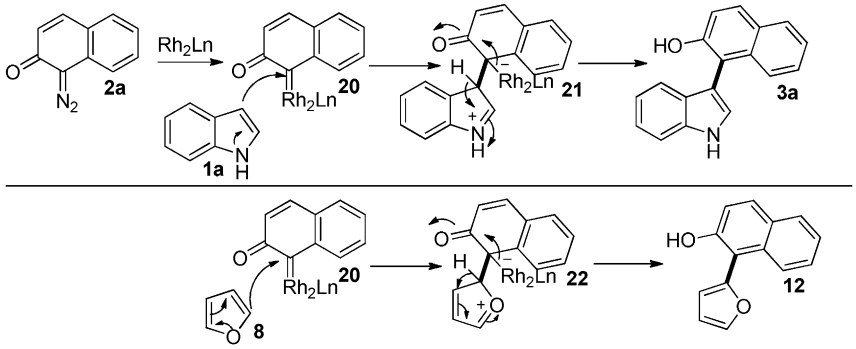
Conclusions

A novel direct Rh(II)-catalyzed 3-arylation of indoles with 1-diazonaphthalen-2(1*H*)-ones has been developed. This coupling reaction allows the highly regioselective synthesis of diverse and various functionalized 3-naphthylindoles in high yields. Other direct coupling reactions between benzofuran, pyrrole, or furans and 1-diazonaphthalen-2(1*H*)-ones were also found to afford a variety of novel 2- or 3-naphthyl-substituted heterocycles.

Experimental Section

General Methods

All experiments were conducted under a nitrogen atmosphere. Merck precoated silica gel plates (Art. 5554) with a fluorescent indicator were used for analytical TLC. Flash column chromatography was performed using silica gel 9385 (Merck). The melting points were determined using microcover glasses on a Fisher-Johns apparatus and are uncorrected. The ^{1}H NMR spectra were recorded on a Varian-VNS (300 MHz) or (600 MHz) or Bruker (300 MHz) spectrome-



Scheme 6. Proposed mechanism for the formation of **3a** and **12**.

ter in $CDCl_3$ using the solvent chemical shift 7.24 ppm or TMS at 0 ppm. The ^{13}C NMR spectra were recorded on a Varian-VNS (75 MHz) or (150 MHz) or Bruker (75 MHz) spectrometer in $CDCl_3$ using the solvent chemical shift, 77.0 ppm. The IR spectra were recorded on a JASCO FTIR 5300 spectrophotometer. The high resolution mass (HR-MS) were obtained with a JEOL JMS-700 spectrometer at the Korea Basic Science Institute.

General Procedure for the Synthesis of 3-Naphthylindoles (3a–3x and 19)

To a solution of indoles (**1a–1l**) (1.1 mmol) and 1-diazonaphthene-2-(1*H*)-ones (**2a–2d**) or 2-diazonaphthalen-1-(2*H*)-one (**18**) (1.0 mmol) in PhF (5.0 mL) was added $Rh_2(OPiv)_4$ (2 mol%). The reaction was stirred at room temperature under a nitrogen atmosphere for 4–6 h. Then, the solvent was evaporated in rotary evaporator under reduced pressure. The residue thus obtained was purified by flash column chromatography on silica gel to give the product.

General Procedure for the Synthesis of 3-Naphthylbenzofurans (5–7)

To a solution of benzofuran (**4**) (1.1 mmol) and 1-diazonaphthene-2-(1*H*)-ones (**2a**, **2c** or **2d**) (1.0 mmol) in PhF (5.0 mL) was added $Rh_2(OPiv)_4$ (2 mol%). The reaction was stirred at room temperature under a nitrogen atmosphere for 6 h. Then, the solvent was evaporated in a rotary evaporator under reduced pressure. The residue thus obtained was purified by flash column chromatography on silica gel to give the product.

General Procedure for the Synthesis of 3-Naphthylpyrroles and 3-Naphthylfurans (11–17)

To a solution of pyrroles or furans (**8–10**) (1.1 mmol) and 1-diazonaphthene-2-(1*H*)-ones (**2a**, **2c** or **2d**) (1.0 mmol) in PhF (5.0 mL) was added $Rh_2(OPiv)_4$ (2 mol%). The reaction was stirred at room temperature under a nitrogen atmosphere for 8 h. Then, the solvent was evaporated in a rotary evaporator under reduced pressure. The residue thus obtained was purified by flash column chromatography on silica gel to give the product.

Characterictization Data of Synthesized Compounds

1-(1*H*-Indol-3-yl)naphthalen-2-ol (3a): Reaction of **2a** (170 mg, 1.0 mmol) and **1a** (129 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3a** as a liquid; yield: 228 mg, (88%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.14$ (1H, br s), 7.68 (2H, d, $J = 8.4$ Hz), 7.39 (1H, d, $J = 7.8$ Hz), 7.24–7.08 (6H, m), 7.01 (1H, d, $J = 1.5$ Hz), 7.01 (1H, t, $J = 7.2$ Hz), 5.44 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta =$ 151.7, 136.5, 134.2, 129.4, 128.9, 128.0, 127.3, 126.2, 125.0, 124.8, 123.1, 122.9, 120.4, 120.0, 117.0, 113.0, 111.4, 108.1; IR (neat) 3414, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS; $m/z = 259.0995$ (M^+), calcd. for $C_{18}H_{13}NO$: 259.0997.

1-(2-Methyl-1*H*-indol-3-yl)naphthalen-2-ol (3b): Reaction of **2a** (170 mg, 1.0 mmol) and **1b** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3b** as a liquid; yield: 238 mg (87%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.20$ (1H, br s), 7.83–7.80 (2H, m), 7.40–7.25 (5H, m), 7.20–7.11 (2H, m), 7.05–6.99 (1H, m), 5.40 (1H, br s), 2.19 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.0$, 135.9, 134.9, 134.1, 129.4, 129.0, 128.5, 128.1, 126.2, 125.0, 123.0, 121.9, 120.2, 119.2, 117.0, 112.8, 110.5, 104.6, 12.2; IR (neat): $\nu = 3424$, 3060, 1622, 1461, 1382, 1342, 1264, 1196, 1137, 1022, 813, 743 cm^{-1}; HR-MS: $m/z = 273.1154$ (M^+), calcd. for $C_{19}H_{15}NO$: 273.1154.

1-(4-Methyl-1*H*-indol-3-yl)naphthalen-2-ol (3c): Reaction of **2a** (170 mg, 1.0 mmol) and **1c** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3c** as a solid; yield: 238 mg (87%); mp 177–179 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.39$ (1H, br s), 7.72 (2H, t, $J = 8.7$ Hz), 7.33–7.30 (1H, m), 7.25–7.19 (4H, m), 7.12–7.07 (2H, m), 6.76 (1H, d, $J =$ 6.9 Hz), 5.36 (1H, br s), 1.82 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.1$, 136.7, 135.4, 131.8, 129.4, 128.5, 127.8, 126.4, 126.3, 125.2, 125.0, 123.0, 123.0, 121.6, 116.6, 115.0, 109.2, 107.6, 18.3; IR (KBr): $\nu = 3435$, 2920, 1617, 1503, 1461, 1385, 1339, 1263, 1179, 1129, 1065, 809, 751 cm^{-1}; HR-MS: $m/z = 273.1156$ (M^+), calcd. for $C_{19}H_{15}NO$: 273.1154.

1-(5-Methyl-1*H*-indol-3-yl)naphthalen-2-ol (3d): Reaction of **2a** (170 mg, 1.0 mmol) and **1d** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3d** as a liquid; yield: 240 mg (88%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.40$ (1H, br s), 7.85 (2H, d, $J = 8.7$ Hz), 7.57 (1H, d, $J = 8.7$ Hz), 7.40–7.29 (5H, m), 7.11 (2H, t, $J = 8.7$ Hz), 5.57 (1H, br s), 2.36 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 151.8$, 134.9, 134.4, 130.1, 129.4, 129.0, 128.1, 127.7, 126.3, 125.2, 125.0, 124.8, 123.1, 119.6, 117.0, 113.1, 111.2, 107.7, 21.4; IR (neat): $\nu =$ 3425, 2961, 1617, 1510, 1467, 1392, 1340, 1262, 1095, 804, 736 cm^{-1}; HR-MS: $m/z = 273.1151$ (M^+), calcd. for $C_{19}H_{15}NO$: 273.1154.

1-(7-Methyl-1*H*-indol-3-yl)naphthalen-2-ol (3e): Reaction of **2a** (170 mg, 1.0 mmol) and **1e** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3e** as a solid: yield: 234 mg (86%); mp 153–155 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.42$ (1H, br s), 7.84 (2H, d, $J = 8.7$ Hz), 7.57 (1H, dd, 8.7, 1.8 Hz), 7.35–7.28 (4H, m), 7.16–7.10 (2H, m), 7.07–7.02 (1H, m), 5.56 (1H, br s), 2.59 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 151.7$, 136.2, 134.3, 129.3, 129.0, 128.0, 127.0, 126.2, 125.1, 124.5, 123.5, 123.1, 120.7, 120.6, 117.9, 117.0, 113.2, 108.8, 16.5; IR (KBr): $\nu = 3433$, 3055, 1618, 1509, 1459, 1383, 1327, 1178, 1126, 819, 746 cm^{-1}; HR-MS: $m/z =$ 273.1152 (M^+), calcd. for $C_{19}H_{15}NO$: 273.1154.

1-(5-Methoxy-1*H*-indol-3-yl)naphthalen-2-ol (3f): Reaction of **2a** (170 mg, 1.0 mmol) and **1f** (162 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3f** as a liquid; yield: 245 mg (85%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 7.83$–7.76 (2H, m), 7.30–7.21 (3H, m), 7.17–7.12 (2H, m), 6.88 (1H, d, $J = 7.8$ Hz), 6.80–6.69 (3H, m), 5.22 (1H, br s), 3.80 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 155.0$, 150.6, 132.5, 132.2, 130.4, 129.9, 129.2, 129.1, 128.0, 127.6, 124.1, 121.6, 117.4, 113.0, 112.3, 111.3, 104.2, 102.9, 55.8; IR (neat): $\nu =$ 3412, 3052, 1708, 1620, 1511, 1458, 1339, 1184, 1134, 1041, 819, 746 cm^{-1}; HR-MS: $m/z = 289.1103$ (M^+), calcd- for $C_{19}H_{15}NO_2$: 289.1103.

1-(5-Fluoro-1*H*-indol-3-yl)naphthalen-2-ol (3g): Reaction of **2a** (170 mg, 1.0 mmol) and **1g** (149 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3g** as a solid; yield: 252 mg (90%); mp 208–210 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.56$ (1H, br s), 7.84 (2H, d, $J = 4.5$ Hz), 7.51–7.48 (1H, m), 7.40–7.28 (5H, m), 7.05–6.99 (1H, m), 6.92 (1H, dd, $J =$

7.2, 2.1 Hz), 5.51 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 159.8, 156.7, 151.7, 134.1, 133.0, 129.6, 128.9, 128.1, 126.7, 124.8, 123.2, 112.4, 112.2, 111.8, 111.4, 108.3, 105.1, 104.8; IR (KBr): ν = 3445, 3077, 1598, 1466, 1383, 1346, 1182, 1052, 814, 754 cm^{-1}; HR-MS: m/z = 277.0900 (M^+), calcd. for $C_{18}H_{12}FNO$: 277.0903.

1-(5-Bromo-1*H*-indol-3-yl)naphthalen-2-ol (3h): Reaction of **2a** (170 mg, 1.0 mmol) and **1h** (216 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3h** as a liquid; yield: 306 mg (91%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.54 (1H, br s), 7.85 (2H, d, J = 8.7 Hz), 7.47 (1H, d, J = 9.0 Hz), 7.41 (1H, s), 7.37–7.29 (6H, m), 5.46 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 151.7, 135.1, 134.1, 129.7, 129.2, 128.9, 128.1, 126.5, 126.1, 126.0, 124.7, 123.3, 122.5, 117.0, 113.9, 112.9, 112.2, 107.8; IR (neat): ν = 3423, 3054, 1622, 1512, 1460, 1340, 1187, 1136, 1034, 813, 745 cm^{-1}; HR-MS: m/z = 337.0104 (M^+), calcd. for $C_{18}H_{12}BrNO$: 337.0102.

1-(1-Methyl-1*H*-indol-3-yl)naphthalen-2-ol (3i): Reaction of **2a** (170 mg, 1.0 mmol) and **1i** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3i** as a liquid; yield: 232 mg (85%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 7.71 (2H, d, J = 8.7 Hz), 7.47 (1H, d, J = 9.0 Hz), 7.33 (1H, d, J = 8.1 Hz), 7.22–7.16 (5H, m), 7.07 (1H, s), 7.02–6.97 (1H, m), 5.49 (1H, br s), 3.76 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 151.7, 137.4, 134.3, 129.3, 129.2, 128.9, 128.0, 127.8, 126.1, 125.1, 123.0, 122.5, 120.3, 120.0, 117.0, 113.1, 109.6, 106.4, 33.0; IR (neat): ν = 3414, 1710, 1622, 1511, 1462, 1339, 1185, 1134, 1041, 815, 744 cm^{-1}; HR-MS: m/z = 273.1150 (M^+), calcd. for $C_{19}H_{15}NO$: 273.1154.

1-(7-Nitro-1*H*-indol-3-yl)naphthalen-2-ol (3j): Reaction of **2a** (170 mg, 1.0 mmol) and **1j** (178 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3j** as a solid; yield: 258 mg (85%); mp 195–197 °C; ^{1}H NMR (600 MHz, $CDCl_3$ + DMSO-d_6): δ = 10.50 (1H, br s), 8.17–8.15 (1H, m), 7.81 (2H, q, J = 7.2 Hz), 7.57 (1H, d, J = 7.8 Hz), 7.54 (1H, s), 7.42 (1H, d, J = 8.4 Hz), 7.31–7.26 (3H, m), 7.12–7.09 (1H, m); ^{13}C NMR (75 MHz, $CDCl_3$ + DMSO-d_6): δ = 152.4, 134.1, 132.9, 131.6, 129.4, 129.3, 128.5, 128.4, 127.8, 127.6, 126.1, 124.5, 122.8, 119.2, 118.9, 117.6, 111.2, 110.6; IR (KBr): ν = 3325, 3210, 1712, 1622, 1595, 1507, 1358, 1317, 1223, 1189, 1098, 990, 820, 725 cm^{-1}; HR-MS: m/z = 304.0846 (M^+), calcd. for $C_{18}H_{12}N_2O_3$: 304.0848.

1-[5-(Trifluoromethyl)-1*H*-indol-3-yl]naphthalen-2-ol (3k): Reaction of **2a** (170 mg, 1.0 mmol) and **1k** (204 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3k** as a liquid; yield: 281 mg (86%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.59 (1H, br s), 7.76–7.73 (2H, m), 7.47 (1H, s), 7.39–7.32 (3H, m), 7.26–7.20 (4H, m), 5.32 (1H, br s); ^{13}C NMR (150 MHz, $CDCl_3$): δ = 151.7, 137.8, 134.1, 129.9, 128.9, 128.1, 126.8, 126.6, 126.6, 124.6, 124.6, 123.4, 122.8, 119.8, 117.7, 117.0, 117.0, 111.9, 109.0; IR (neat): ν = 3416, 3065, 1622, 1517, 1424, 1330, 1259, 1114, 1047, 902, 814, 751 cm^{-1}; HR-MS: m/z = 327.0873 (M^+), calcd. for $C_{19}H_{12}F_3NO$: 327.0871.

1-[6-(Trifluoromethyl)-1*H*-indol-3-yl]naphthalen-2-ol (3l): Reaction of **2a** (170 mg, 1.0 mmol) and **1l** (204 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3l** as a solid; yield: 281 mg (86%); mp 148–150 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.83 (1H, br s), 7.88–7.86 (1H, m), 7.85–7.84 (1H, m), 7.79 (1H, s), 7.49–7.42 (2H, m), 7.34–7.30 (5H, m), 5.45 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 151.8, 135.4, 134.1, 129.8, 129.7, 128.9, 128.1, 127.5, 126.5, 124.7, 123.3, 120.6, 117.2, 117.1, 117.1, 112.1, 109.2, 109.1, 108.6; IR (KBr): ν = 3416, 3065, 1622, 1517, 1424, 1330, 1259, 1114, 1047, 902, 814, 751 cm^{-1}; HR-MS: m/z = 327.0872 (M^+), calcd. for $C_{19}H_{12}F_3NO$: 327.0871.

1-(1*H*-Indol-3-yl)-3-methoxynaphthalen-2-ol (3m): Reaction of **2b** (200 mg, 1.0 mmol) and **1a** (128 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3m** as a solid; yield: 242 mg (84%); mp 135–137 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.65 (1H, br s), 8.09 (1H, d, J = 8.1 Hz), 7.77 (2H, s), 7.49 (1H, d, J = 7.8 Hz), 7.44–7.35 (2H, m), 7.31–7.19 (3H, m), 6.86 (1H, s), 6.35 (1H, br s), 4.10 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 146.8, 143.5, 136.2, 131.1, 129.0, 128.4, 128.4, 126.9, 124.8, 124.8, 124.3, 122.1, 120.5, 119.8, 112.0, 110.9, 106.5, 104.8, 56.0; IR (KBr): ν = 3425, 3052,1620, 1461, 1322, 1252, 1126, 1033, 804, 741 cm^{-1}; HR-MS: m/z = 289.1101 (M^+), calcd. for $C_{19}H_{15}NO_2$: 289.1103.

1-(1*H*-Indol-3-yl)-6-methoxynaphthalen-2-ol (3n): Reaction of **2c** (200 mg, 1.0 mmol) and **1a** (128 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3n** as a liquid; yield: 251 mg (87%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.58 (1H, br s), 7.82 (1H, d, J = 8.7 Hz), 7.56 (1H, d, J = 9.0 Hz), 7.48 (1H, d, J = 8.1 Hz), 7.42–7.27 (5H, m), 7.21–7.16 (1H, m), 7.08 (1H, d, J = 9.0 Hz), 5.60 (1H, br s), 3.97 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 155.6, 150.0, 136.4, 129.7, 129.5, 127.9, 127.3, 126.7, 124.8, 122.8, 120.3, 119.9, 118.6, 117.4, 113.4, 111.4, 108.1, 106.4, 55.2; IR (neat): ν = 3440, 3034, 1609, 1512, 1433, 1349, 1234, 1128, 1030, 820, 735 cm^{-1}; HR-MS: m/z = 289.1103 (M^+), calcd. for $C_{19}H_{15}NO_2$: 289.1103.

6-Methoxy-1-(7-methyl-1*H*-indol-3-yl)naphthalen-2-ol (3o): Reaction of **2c** (200 mg, 1.0 mmol) and **1e** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3o** as a liquid; yield: 260 mg (86%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.45 (1H, br s), 7.76 (1H, d, J = 9.0 Hz), 7.51 (1H, d, J = 9.0 Hz), 7.34 (1H, d, J = 8.7 Hz), 7.28 (1H, d, J = 2.4 Hz), 7.21–7.16 (2H, m), 7.13–6.99 (3H, m), 5.50 (1H, br s), 3.92 (3H, s), 2.56 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 155.6, 150.1, 136.1, 129.7, 129.5, 127.9, 126.9, 126.7, 124.5, 123.4, 120.7, 120.6, 118.6, 117.7 117.4, 113.5, 108.7, 106.3, 55.2, 16.4; IR (neat): ν = 3408, 3058, 1708, 1620, 1514, 1459, 1339, 1182, 1134, 1041, 820, 754 cm^{-1}; HR-MS: m/z = 303.1258 (M^+), calcd. for $C_{20}H_{17}NO_2$: 303.1259.

1-(5-Bromo-1*H*-indol-3-yl)-6-methoxynaphthalen-2-ol (3p): Reaction of **2c** (200 mg, 1.0 mmol) and **1h** (215 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3p** as a liquid; yield: 319 mg (87%); ^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.46 (1H, br s), 7.60 (1H, d, J = 9.0 Hz), 7.27–7.23 (2H, m), 7.19–7.14 (4H, m), 7.05 (1H, d, J = 1.8 Hz), 6.87 (1H, dd, J = 7.2, 1.8 Hz), 5.23 (1H, br s), 3.77 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): δ = 155.7, 150.1, 135.0, 129.7, 129.3, 129.1, 128.3, 126.4, 126.0, 125.8, 122.3, 118.8, 117.4, 113.7, 112.9, 112.5, 107.9, 106.4, 55.3; IR (neat): ν = 3414, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: m/z = 367.0206 (M^+), calcd. for $C_{19}H_{14}BrNO_2$: 367.0208.

6-Bromo-1-(1*H*-indol-3-yl)naphthalen-2-ol (3q): Reaction of **2d** (249 mg, 1.0 mmol) and **1a** (129 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3q** as a solid; yield: 313 mg (93%); mp 172–174 °C; ^{1}H NMR (600 MHz, $CDCl_3$): δ = 8.43 (1H, br s), 7.88 (1H, d, J = 1.8 Hz), 7.63 (1H, d, J = 8.4 Hz), 7.41 (1H, d, J = 8.4 Hz), 7.31 (1H, d, J = 9.0 Hz), 7.25–7.29 (4H, m), 7.16 (1H, d, J = 8.4 Hz), 7.03 (1H, t, J = 7.8 Hz), 5.47 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): δ =

152.0, 136.5, 132.8, 130.1, 129.9, 129.4, 128.4, 127.2, 127.0, 124.8, 123.2, 120.7, 120.0, 118.1, 116.9, 113.3, 111.5, 107.7; IR (KBr): $\nu = 3449$, 3056, 1706, 1590, 1494, 1417, 1332, 1199, 1093, 816, 745 cm^{-1}; HR-MS: $m/z = 337.0101$ (M^+), calcd. for $C_{18}H_{12}BrNO$: 337.0102.

6-Bromo-1-(2-methyl-1*H*-indol-3-yl)naphthalen-2-ol (3r): Reaction of **2d** (249 mg, 1.0 mmol) and **1b** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3r** as a solid; yield: 323 mg (92%); mp 130–132 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.22$ (1H, br s), 7.90 (1H, d, $J =$ 1.5 Hz), 7.65 (1H, d, $J = 8.7$ Hz), 7.33 (1H, d, $J = 8.1$ Hz), 7.26–7.20 (2H, m), 7.16–7.11 (2H, m), 7.05–6.95 (2H, m), 5.35 (1H, br s), 2.16 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.3$, 135.9, 134.9, 132.7, 130.2, 130.0, 129.4, 128.5, 128.3, 127.0, 122.2, 120.4, 119.1, 118.1, 116.8, 113.1, 110.6, 104.1, 12.2; IR (KBr): $\nu = 3451$, 2934, 1705, 1585, 1465, 1382, 1343, 1269, 1025, 817, 741 cm^{-1}; HR-MS: $m/z = 351.0260$ (M^+), calcd. for $C_{19}H_{14}BrNO$: 351.0259.

6-Bromo-1-(5-methyl-1*H*-indol-3-yl)naphthalen-2-ol (3s): Reaction of **2d** (249 mg, 1.0 mmol) and **1d** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3s** as a liquid; yield: 316 mg (90%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.44$ (1H, br s), 7.97 (1H, s), 7.72 (1H, d, $J = 8.7$ Hz), 7.44–7.28 (5H, m), 7.13 (1H, d, $J = 8.1$ Hz), 7.03 (1H, s), 5.59 (1H, br s), 2.36 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.0$, 134.8, 132.8, 130.1, 130.0, 129.8, 129.4, 128.3, 127.4, 127.0, 124.9, 124.8, 119.4, 118.1, 116.8, 113.5, 111.2, 107.0, 21.3; IR (neat): $\nu = 3440$, 2934, 1618, 1592, 1487, 1419, 1376, 1272, 805, 731 cm^{-1}; HR-MS: $m/z = 351.0260$ (M^+), calcd. for $C_{19}H_{14}BrNO$: 351.0259.

6-Bromo-1-(7-methyl-1*H*-indol-3-yl)naphthalen-2-ol (3t): Reaction of **2d** (249 mg, 1.0 mmol) and **1e** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3t** as a solid; yield: 319 mg (91%); mp 105–107 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.46$ (1H, br s), 7.97 (1H, s), 7.72 (1H, d, $J = 9.0$ Hz), 7.42 (1H, d, $J = 9.0$ Hz), 7.34–7.31 (3H, m), 7.10–7.02 (3H, m), 5.59 (1H, br s), 2.58 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 151.9$, 136.1, 132.7, 130.0, 129.8, 129.3, 129.3, 128.3, 127.0, 126.7, 124.5, 123.6, 120.8, 118.1, 117.6, 116.8, 113.5, 108.0, 16.5; IR (KBr): $\nu = 3449$, 3054, 1589, 1494, 1436, 1333, 1191, 903, 818, 728 cm^{-1}; HR-MS: $m/z = 351.0257$ (M^+), calcd. for $C_{19}H_{14}BrNO$: 351.0259.

6-Bromo-1-(5-methoxy-1*H*-indol-3-yl)naphthalen-2-ol (3u): Reaction of **2d** (249 mg, 1.0 mmol) and **1f** (161 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3u** as a liquid; yield: 319 mg (87%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.51$ (1H, br s), 8.02–7.96 (1H, m), 7.72 (1H, d, $J =$ 9.0 Hz), 7.47–7.28 (5H, m), 6.96 (1H, dd, $J = 9.0$, 2.1 Hz), 6.63 (1H, d, $J = 1.5$ Hz), 5.63 (1H, br s), 3.66 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 154.8$, 152.0, 132.7, 131.5, 130.1, 129.8, 129.4, 128.3, 127.7, 127.0, 125.4, 118.1, 116.9, 113.8, 113.4, 112.3, 107.3, 100.9, 55.7; IR (neat): $\nu = 3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z = 367.0208$ (M^+), calcd. for $C_{19}H_{14}BrNO_2$: 367.0208.

6-Bromo-1-(5-fluoro-1*H*-indol-3-yl)naphthalen-2-ol (3v): Reaction of **2d** (249 mg, 1.0 mmol) and **1g** (148 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3v** as a liquid; yield: 343 mg (94%); ^1H NMR (600 MHz, $CDCl_3$): $\delta = 8.60$ (1H, br s), 7.96 (1H, s), 7.72 (1H, d, $J = 9.0$ Hz), 7,49 (1H, dd, $J = 9.0$, 4.2 Hz), 7.38 (1H, d, $J = 1.8$ Hz), 7.35 (2H, s), 7.31 (1H, d, $J = 8.4$ Hz), 7.03 (1H, td, $J = 9.0$, 2.4 Hz), 6.87 (1H, dd, $J = 9.0$, 2.4 Hz), 5.42 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 159.9$, 152.0, 132.8, 130.1, 129.9, 129.6, 128.6, 127.8, 126.6, 118.1, 116.9, 112.7, 112.3, 112.0, 111.7, 107.8, 105.0, 104.7; IR (neat): $\nu = 3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z = 355.0007$ (M^+), calcd. for $C_{18}H_{11}BrFNO$: 355.0008.

6-Bromo-1-(5-bromo-1*H*-indol-3-yl)naphthalen-2-ol (3w): Reaction of **2d** (249 mg, 1.0 mmol) and **1h** (215 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3w** as a liquid; yield: 390 mg (94%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 8.52$ (1H, br s), 7.87 (1H, s), 7.63 (1H, d, $J = 9.0$ Hz), 7.26–7.19 (7H, m), 5.37 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.0$, 135.1, 132.6, 140.0, 129.9, 129.6, 129.0, 128.7, 126.7, 126.1, 126.0, 122.3, 118.1, 117.0, 114.0, 113.0, 112.5, 107.3; IR (neat): $\nu = 3459$, 3067, 1630, 1510, 1464, 1382, 1290, 1157, 961, 812, 747 cm^{-1}; HR-MS: $m/z =$ 414.9206 (M^+), calcd. for $C_{18}H_{11}Br_2NO$: 414.9207.

6-Bromo-1-(1-methyl-1*H*-indol-3-yl)naphthalen-2-ol (3x): Reaction of **2d** (249 mg, 1.0 mmol) and **1i** (144 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **3x** as a liquid; yield: 312 mg (89%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 7.84$ (1H, s), 7.58 (1H, d, $J = 9.0$ Hz), 7.33 (2H, d, $J =$ 9.0 Hz), 7.23–7.19 (3H, m), 7.12 (1H, d, $J = 8.1$ Hz), 7.06 (1H, s), 6.99 (1H, t, $J = 7.2$ Hz), 5.49 (1H, br s), 3.78 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta = 152.0$, 137.4, 132.8, 130.0, 129.8, 129.3, 129.2, 128.2, 127.7, 127.1, 122.6, 120.2, 120.1, 118.1, 116.8, 113.4, 109.6, 105.8, 33.0; IR (neat): $\nu = 3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS $m/z = 351.0256$ (M^+), calcd. for $C_{19}H_{14}BrNO$: 351.0259.

1-(Benzofuran-3-yl)naphthalen-2-ol (5): Reaction of **2a** (170 mg, 1.0 mmol) and **4** (192 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **5** as a liquid; yield: 218 mg (84%); ^1H NMR (600 MHz, $CDCl_3$): $\delta = 7.81$ (1H, d, $J = 9.0$ Hz), 7.85 (1H, d, $J = 8.4$ Hz), 7.81 (1H, d, $J = 8.4$ Hz), 7.69 (1H, d, $J = 7.8$ Hz), 7.60 (1H, d, $J = 7.8$ Hz), 7.47 (1H, t, $J = 8.4$ Hz), 7.40–7.33 (3H, m), 7.26 (1H, d, $J = 8.4$ Hz), 7.08 (1H, s), 6.69 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$); $\delta =$ 154.8, 152.8, 150.8, 132.4, 131.8, 128.9, 128.4, 128.3, 127.3, 124.8, 124.2, 123.8, 123.4, 121.1, 117.9, 111.3, 109.6, 108.2; IR (neat): $\nu = 3484$, 3062, 1624, 1512, 1456, 1389, 1258, 1207, 1173, 814, 746 cm^{-1}; HR-MS: $m/z = 260.0835$ (M^+), calcd. for $C_{18}H_{12}O_2$: 260.0837.

1-(Benzofuran-3-yl)-6-methoxynaphthalen-2-ol (6): Reaction of **2c** (200 mg, 1.0 mmol) and **4** (192 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **6** as a liquid; yield: 249 mg (86%); ^1H NMR (300 MHz, $CDCl_3$): $\delta = 7.87$–7.84 (1H, m), 7.66 (1H, d, $J = 9.0$ Hz), 7.61–7.59 (1H, m), 7.51 (1H, d, $J = 7.8$ Hz), 7.37–7.29 (2H, m), 7.17–7.14 (1H, m), 7.09–7.08 (2H, m), 7.00 (1H, s), 6.48 (1H, br s), 3.80 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$): $\delta = 156.0$, 154.7, 151.2, 150.9, 130.4, 129.9, 128.4, 127.5, 125.7, 124.7, 123.3, 121.1, 119.6, 118.3, 111.3, 109.8, 108.1, 106.7, 55.3; IR (neat): $\nu = 3509$, 1605, 1514, 1452, 1374, 1256, 1237, 1208, 1167, 821, 749 cm^{-1}; HR-MS: $m/z = 290.0941$ (M^+), calcd. for $C_{19}H_{14}O_3$: 290.0943.

1-(Benzofuran-3-yl)-6-bromonaphthalen-2-ol (7): Reaction of **2d** (249 mg, 1.0 mmol) and **4** (192 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **7** as a solid; yield: 294 mg (87%); mp 103–105 °C; ^1H NMR (300 MHz, $CDCl_3$): $\delta = 7.84$ (1H, d, $J = 1.8$ Hz), 7.78 (1H, d, $J = 9.0$ Hz),

7.64–7.57 (2H, m), 7.49 (1H, d, $J=8.1$ Hz), 7.42 (1H, dd, $J=7.2$, 1.8 Hz), 7.31–7.23 (2H, m), 7.21–7.14 (1H, m), 6.95 (1H, s), 6.63 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=$ 154.8, 153.0, 150.1, 130.9, 130.7, 130.4, 130.2, 130.1, 128.2, 126.0, 125.0, 123.5, 121.2, 119.1, 117.5, 111.3, 109.8, 108.4; IR (KBr): $\nu=3451$, 1629, 1501, 1456, 1373, 1261, 1206, 808, 736 cm^{-1}; HR-MS: $m/z=337.9940$ (M^+), calcd. for $C_{18}H_{11}BrO_2$: 337.9942.

1-(1*H*-Pyrrol-2-yl)naphthalen-2-ol (11): Reaction of **2a** (170 mg, 1.0 mmol) and **8** (73 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **11** as a liquid; yield: 177 mg (85%); ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=8.30$ (1H, br s), 7.78 (2H, t, $J=8.4$ Hz), 7.60 (1H, d, $J=8.1$ Hz), 7.35–7.30 (2H, m), 7.22 (1H, d, $J=9.0$ Hz), 7.03 (1H, s), 6.40–6.37 (2H, m), 6.06 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=151.9$, 133.8, 130.1, 128.7, 128.1, 126.8, 124.1, 123.3, 123.0, 119.8, 117.0, 112.3, 110.1, 109.6; IR (neat): $\nu=3429$, 3054, 1626, 1511, 1466, 1388, 1192, 1031, 810, 732 cm^{-1}; HR-MS: $m/z=209.0839$ (M^+), calcd. for $C_{14}H_{11}NO$: 209.0841.

1-(Furan-2-yl)naphthalen-2-ol (12): Reaction of **2a** (170 mg, 1.0 mmol) and **9** (74 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **12** as a liquid; yield: 172 mg (82%); ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=7.79$ (1H, d, $J=8.4$ Hz), 7.72–7.69 (2H, m), 7.60 (1H, d, $J=1$ Hz), 7.36 (1H, t, $J=6.9$ Hz), 7.26 (1H, t, $J=6.9$ Hz), 7.14 (1H, d, $J=$ 9.0 Hz), 6.63 (1H, d, $J=3.0$ Hz), 6.58 (1H, dd, $J=3.0$, 1.0 Hz), 6.35 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=$ 152.2, 148.3, 143.0, 132.6, 131.0, 128.9, 128.2, 127.0, 124.2, 123.6, 117.7, 111.5, 111.4, 110.0; IR (neat): $\nu=3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z=210.0679$ (M^+), calcd. for $C_{14}H_{10}O_2$: 210.0681.

1-(5-Methylfuran-2-yl)naphthalen-2-ol (13): Reaction of **2a** (170 mg, 1.0 mmol) and **10** (90 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **13** as a liquid; yield: 170 mg (76%); ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=7.99$ (1H, d, $J=8.4$ Hz), 7.82 (2H, d, $J=8.7$ Hz), 7.50 (1H, t, $J=8.4$ Hz), 7.40 (1H, t, $J=7.8$ Hz), 7.28 (1H, d, $J=8.7$ Hz), 7.64–7.63 (2H, m), 6.28 (1H, br s), 2.48 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=152.9$, 152.0, 146.2, 132.5, 130.6, 128.9, 128.1, 126.9, 124.2, 123.5, 117.6, 112.3, 110.3, 107.4, 13.7; IR (neat): $\nu=3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z=224.0839$ (M^+), calcd. for $C_{15}H_{12}O_2$: 224.0837.

6-Methoxy-1-(1*H*-pyrrol-2-yl)naphthalen-2-ol (14): Reaction of **2c** (192 mg, 1.0 mmol) and **8** (90 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **14** as a liquid; yield: 206 mg (86%); ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=8.35$ (1H, br s), 7.61 (1H, d, $J=9.0$ Hz), 7.48 (1H, d, $J=9.0$ Hz), 7.16 (1H, d, $J=9.0$ Hz), 7.05–6.98 (3H, m), 6.43–6.41 (2H, m), 5.90 (1H, br s), 3.85 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=155.6$, 150.1, 129.6, 128.9, 128.6, 125.7, 123.2, 119.7, 119.1, 117.3, 112.6, 110.0, 109.5, 106.3, 55.2; IR (neat): $\nu=3407$, 2934, 1600, 1560, 1524, 1423, 1369, 1238, 1096, 1033, 852, 732 cm^{-1}; HR-MS: $m/z=239.0946$ (M^+), calcd. for $C_{15}H_{13}NO_2$: 239.0946.

1-(Furan-2-yl)-6-methoxynaphthalen-2-ol (15): Reaction of **2c** (192 mg, 1.0 mmol) and **9** (74 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **15** as a solid; yield: 202 mg (84%); mp 88–90 °C; ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=7.80$ (1H, d, $J=9.0$ Hz), 7.68 (2H, d, $J=9.0$ Hz), 7.20 (1H, d, $J=9.0$ Hz), 7.14–7.10 (2H, m), 6.68 (1H, d, $J=$ 3.3 Hz), 6.65–6.63 (1H, m), 6.31 (1H, br s), 2.89 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=155.9$, 150.5, 148.4, 142.9, 129.8, 129.6, 127.6, 125.7, 119.3, 118.1, 111.4, 111.3, 110.2, 106.5, 55.2; IR (KBr): $\nu=3512$, 1601, 1548, 1428, 1366, 1238, 1192, 1105, 1022, 823, 747 cm^{-1}; HR-MS: $m/z=240.0786$ (M^+), calcd. for $C_{15}H_{12}O_3$: 240.0786.

6-Bromo-1-(1*H*-pyrrol-2-yl)naphthalen-2-ol (16): Reaction of **2d** (249 mg, 1.0 mmol) and **8** (73 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **16** as a solid; yield: 249 mg (87%); mp 125–127 °C; ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=8.19$ (1H, br s), 7.82 (1H, s), 7.56 (1H, d, $J=9.0$ Hz), 7.39–7.31 (2H, m), 7.16–7.11 (1H, m), 6.69 (1H, d, $J=$ 1.2 Hz), 6.38–6.33 (2H, m), 5.92 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=152.2$, 132.4, 130.0, 130.0, 129.9, 129.1, 126.1, 122.4, 120.1, 118.2, 117.1, 112.7, 110.5, 109.8; IR (KBr): $\nu=3355$, 3056, 1661, 1581, 1491, 1405, 1318, 1215, 1025, 811, 731 cm^{-1}; HR-MS: $m/z=286.9944$ (M^+), calcd. for $C_{14}H_{10}BrNO$: 286.9946.

6-Bromo-1-(furan-2-yl)naphthalen-2-ol (17): Reaction of **2d** (249 mg, 1.0 mmol) and **9** (74 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **17** as a liquid; yield: 241 mg (84%); ^{1}H NMR (300 MHz, $CDCl_3$): $\delta=7.83$ (1H, s), 7.66 (1H, d, $J=9.0$ Hz), 7.59–7.57 (2H, m), 7.40 (1H, d, $J=8.7$ Hz), 7.14 (1H, d, $J=9.0$ Hz), 6.58 (2H, d, $J=6.9$ Hz), 6.38 (1H, br s); ^{13}C NMR (75 MHz, $CDCl_3$): $\delta=152.4$, 147.7, 143.2, 131.0, 130.2, 130.1, 130.0, 130.0, 126.0, 118.9, 117.3, 111.6, 111.5, 110.2; IR (neat): $\nu=3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z=287.9786$ (M^+), calcd. for $C_{14}H_9BrO_2$: 287.9786.

2-(1*H*-Indol-3-yl)naphthalen-1-ol (19): Reaction of **2a** (170 mg, 1.0 mmol) and **18** (129 mg, 1.1 mmol) using $Rh_2(OPiv)_4$ (12 mg, 2 mol%) afforded **19** as a liquid; yield: 220 mg (85%); ^{1}H NMR (600 MHz, $CDCl_3$): $\delta=8.38$ (1H, br s), 8.35–8.34 (1H, m), 7.88–7.86 (1H, m), 7.65 (1H, d, $J=$ 7.8 Hz), 7.55–7.54 (2H, m), 7.53–7.52 (2H, m), 7.48 (1H, d, $J=8.4$ Hz), 7.37 (1H, d, $J=2.4$ Hz), 7.32 (1H, t, $J=7.8$ Hz), 7.22 (1H, t, $J=7.8$ Hz), 6.01 (1H, br s); ^{13}C NMR (150 MHz, $CDCl_3$): $\delta=148.6$, 136.4, 133.8, 128.4, 127.4, 126.3, 126.1, 125.3, 124.1, 123.2, 123.0, 122.3, 120.6, 119.7, 119.7, 113.9, 112.2, 111.5; IR (neat): $\nu=3414$, 3056, 1708, 1620, 1511, 1459, 1339, 1185, 1134, 1041, 816, 746 cm^{-1}; HR-MS: $m/z=259.0994$ (M^+); calcd. for $C_{18}H_{13}NO$: 259.0997.

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