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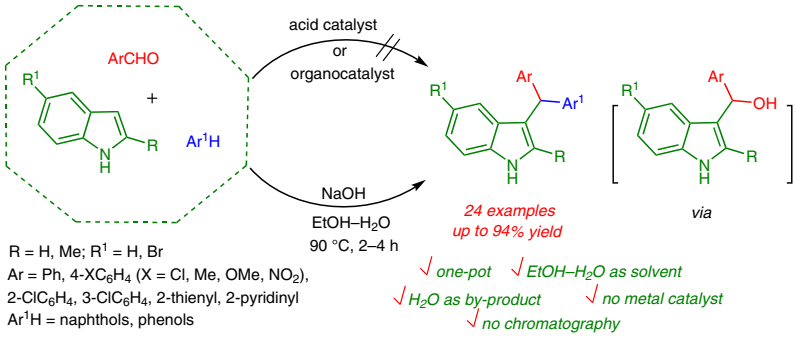
Base-Promoted Three-Component One-Pot Approach to 3-(α,α-Diarylmethyl)indoles via Arylation of 3-Indolylalcohols

Mohit L. Deb[*a]
Paran J. Borpatra[a]
Prakash J. Saikia[b]
Pranjal K. Baruah[*a]

[a] Department of Applied Sciences, GUIST, Gauhati University, Guwahati 781014, Assam, India
[b] Analytical Chemistry Division CSIR-NEIST, Jorhat 785006, Assam, India
mohitdd.deb@gmail.com
baruah.pranjal@gmail.com



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Abstract A base-promoted 3-component one-pot approach to 3-(α,α-diarylmethyl)indoles via arylation of in situ generated 3-indolylalcohols in ethanol–water solvent system is reported. Use of acid catalysts or neutral organocatalysts does not offer the desired product, but furnish bis(indolyl)methanes instead. Electron-rich aromatics such as naphthols and phenols are preferably employed for arylation. The atom economy, use of green solvent, and the multicomponent strategy are the notable features of the reaction.

Key words 3-component, 3-indolylalcohols, arylation, naphthols, phenols, alkylideneindolenine

Nature utilizes 'one-pot reactions' almost exclusively in contrast to conventional synthetic routes; that means biological reactions occur in an open, complex, and dynamic environment wherein multiple reactions are carried out in the same vessel (i.e., cell). Nature's approach has inspired organic chemists to develop new molecules through one-pot reactions in the laboratory. During the last three decades, one of the green technologies, multicomponent processes (MCPs), gained much attention in organic chemistry due to their advantages of inherent atom economy, simpler procedures, and energy savings.[1] In addition, MCPs offer reduced reaction steps, waste, and cost.[1] In addition to MCPs, there has been an increasing interest to replace hazardous organic solvents with environmentally benign solvents such as, water, ethanol, PEG, etc.[2]

Indole skeleton is one of the most attractive heterocyclic structures and is often found in various alkaloids, agrochemicals, and pharmaceuticals.[3] Moreover, C-3 substituted indoles are highly significant, which are the key components of many promising therapeutic agents, especially in the neuroscience field.[4] A few bioactive 3-substituted indoles are depicted in Figure 1, where **A** is potent antifungal and antibacterial agent,[5] **B** is HIV-1 integrase inhibitor,[6] and **C** functions as an anticancer agent.[7] Therefore, the development of newer methodologies for the functionalization of indole is in demand. Recently, 3-indolylmethanols have established themselves to be active electrophiles, competent of undergoing many conversions to access C-3 functionalized indoles.[8] For this purpose, usually Brønsted acids or Lewis acids are used as catalysts to generate the alkylideneindoleninium ion intermediates, which in turn react with various nucleophiles or dienes.[9] However, the preparation of 3-indolylmethanols without 2-substitution is problematic due to their instability during isolation or purification, and the isolated compounds require to be stored at low temperature in an inert gas atmosphere.[10] And hence, organic chemists usually preferred to work with 2-substituted 3-indolylmethanols.[11] Madinaveitia first prepared the 3-indolylmethanol by hydrogenation of indole-3-aldehyde with Adams' catalyst.[12] Later, Leete and Marion synthesized it from gramine methiodide by alkaline hydrolysis.[10a]

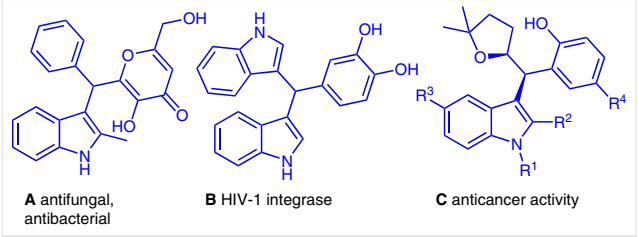
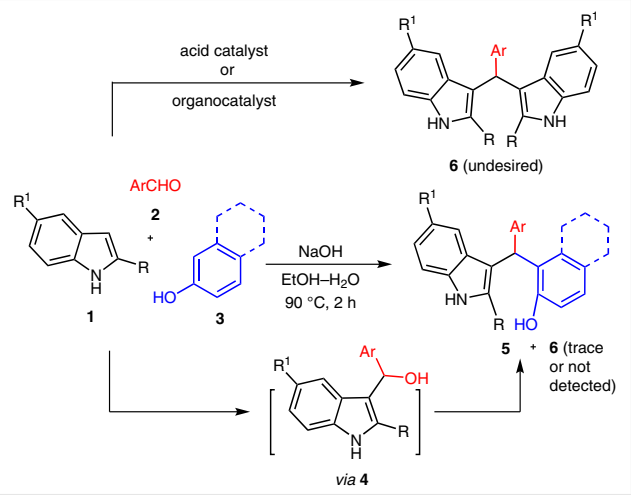


Figure 1 Some bioactive 3-alkylated indole derivatives

Initially, we planned to isolate 3-indolylalcohols from the reaction of indole and aldehydes in basic conditions in order to utilize it as electrophiles for the arylation reactions.[13] Although the conversion was very good, we were able to isolate only very low amounts of 3-indolylalcohols due to their low stability. Therefore, it was decided to per-

form the arylation of 3-indolylalcohols generated in situ from the three-component reaction of indoles, aldehydes, and aromatic nucleophiles. The use of Brønsted or Lewis acids, however, offered solely bisindolylmethanes **6** (BIM) (Scheme 1).[14] The use of organocatalysts like L-proline and thiourea also produced the BIM as a single product. We then performed the reaction in the presence of a base catalyst, which produced the desired product **5** (Scheme 1). Naphthol and phenol were used primarily as the nucleophilic sources, however, they are scarcely used as nucleophiles for this type of reaction.[15] Although these previous methods give moderate to good yield, still there is a scope for further improvement in terms of reaction time, yield, and purification technique. Since many compounds similar to **5** show excellent biological activities (see Figure 1), we looked for an alternative short and efficient synthetic method to assemble **5**. Here we disclose an efficient base-catalyzed three-component approach to **5** via 3-indolyl alcohols (Scheme 1).

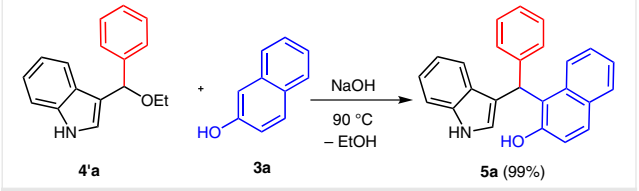


Scheme 1 One-step approach to 3-(α,α-diarylmethyl)indoles **5**

We began our model experiment with the reaction of indole (**1a**), benzaldehyde (**2a**), and 2-naphthol (**3a**) in the presence of base catalysts. After a careful screening of variety of bases, sodium hydroxide (1.0 equiv) in EtOH–H_2O (1:1) as solvent at 90 °C was found to be the optimum conditions for the synthesis of **5a** (Table 1, entry 5). Lowering the NaOH loading decreased the product yield, whereas increase of NaOH did not increase the yield (entries 7, 8). An increase in the ratio of water in the solvent mixture reduced the yield of **5a** (entry 6). We also checked the feasibility of the reaction at room temperature, which produced lower yield (entry 11). KOH is almost as good as NaOH as base catalyst (entry 12). Although, Cs_2CO_3[10c] and TMG[8f] were reported previously as efficient base catalyst for the synthesis of 3-indolylmethanol, we were unable to repeat this work and consequently the synthesis of **5a** by using these two catalysts was unsuccessful (entries 14, 15).

Having identified the optimized conditions, we next investigated the substrate scope for the synthesis of **5** by subjecting various aldehydes, aryl alcohols, and indoles. To our delight, **5** containing a wide range of substituents were obtained in moderate to excellent yields, as summarized in Figure 2. When aldehydes contain electron-withdrawing groups, the yield of **5** increased due to the enhanced yield of **4**. On the other hand, electron-donating groups on the aldehydes decreased the yield of **5** and produced traces of BIM (e.g., **5d**, yield 62% with 5% **6d**). Functional groups on the aromatic ring such as NO_2, Cl, Br, OMe were also compatible. The substrates bearing a thiophene and pyridine heterocyclic moieties also furnished the expected product in good yield (**5l** and **5m**, Figure 2). As expected, all the phenols employed as nucleophiles for the reaction gave comparatively lower yield of **5** (**5r–x**, Figure 2). *N*-Alkylindoles did not produce **5**, as they could not furnish the 3-indolylalcohols due to the absence of an NH proton.

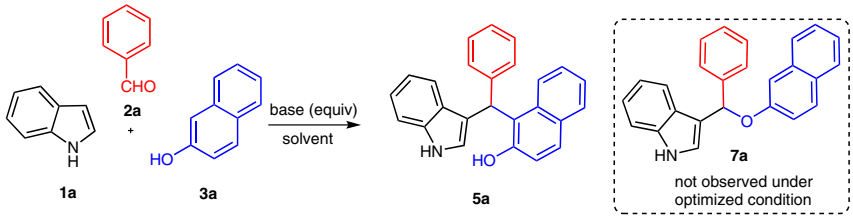
To explore the mechanistic pathway, the model reaction was performed once again under the optimized conditions and was stopped before the completion (after 1 h). The desired product **5a** was isolated along with very small amounts of two compounds: one was highly unstable and confirmed as 3-indolylalcohol **4a** and the other as the ethyl ether **4′a** of 3-indolylalcohol after proper characterization. When this reaction was continued for 2 hours, we did not find any traces of **4a** and **4′a**. These suggest two possibilities: first, the reaction proceeds through **4** and **4′** and second, **4′** might be formed from **4** and eventually transformed into the desired **5**. To probe the second possibility, we next performed a reaction treating **4′a** with 2-naphthol in the presence of NaOH under heating. To our delight, we obtained only the desired product **5a** in almost quantitative yield (Scheme 2). This experiment reinforced our thought that **4′** gets converted into **5** during the reaction.



Scheme 2 Reaction of ethyl ether of 3-indolylalcohol with **3a**

Moreover, to find out whether the presence of OH functionality in the nucleophile is necessary, we carried out a reaction of indole, benzaldehyde, and 2-methoxynaphthalene (**3′a**, where the OH group was converted into OMe, Scheme 3). The desired product did not form at all under the optimized conditions; instead, only the ethyl ether **4′a** of 3-indolylalcohol was isolated. Therefore, we believe that during the reaction, aryl alcohol became aryl alkoxide ion in the presence of NaOH and hence its nucleophilicity enhanced. Although there is a possibility to form O-alkylated

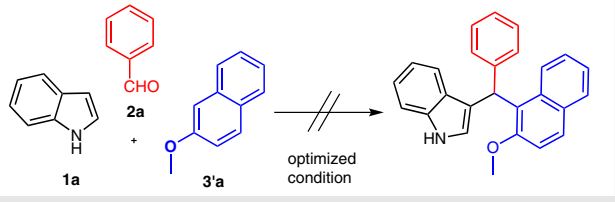
Table 1 Optimization for the Formation of **5**[a]



Entry	Base (equiv)	Solvent	Temp (°C)	Time (h)	Yield (%) of **5a**
1	NaOH (1.0)	MeOH	reflux	3	40
2	NaOH (1.0)	EtOH	90	3	55
3	NaOH (1.0)	DMF	100	3	n.d.[b]
4	NaOH (1.0)	H_2O	90	3	n.r.[c]
5	**NaOH (1.0)**	**EtOH + H_2O (1:1)**	**90**	**2**	**84**
6	NaOH (1.0)	EtOH + H_2O (1:2)	90	2	50
7	NaOH (1.2)	EtOH + H_2O (1:1)	90	2	84
8	NaOH (0.8)	EtOH + H_2O (1:1)	90	2	70
9	NaOH (1.0)	EtOH + H_2O (1:1)	100	2	84
10	NaOH (1.0)	EtOH + H_2O (1:1)	80	2	75
11	NaOH (1.0)	EtOH + H_2O (1:1)	r.t.	20	35
12	KOH (1.0)	EtOH + H_2O (1:1)	90	2	82
13	K_2CO_3 (1.0)	EtOH + H_2O (1:1)	90	3	22
14	Cs_2CO_3 (1.0)	DMF	100	3	18
15	TMG (0.2)[d]	H_2O	100	4	n.r.
16	Et_3N (1.0)	EtOH + H_2O (1:1)	90	3	n.r.

[a] Unless otherwise mentioned, all the reactions were performed by using **1a** (1.0 mmol, 117 mg), **2a** (1.2 mmol, 127 mg), and **3a** (1.0 mmol, 144 mg).
[b] n.d.: Not detected. Only O-alkylated product **7a** (40%) was isolated.
[c] n.r.: No reaction.
[d] TMG: Tetramethylguanidine.

product of aryl alkoxide ion, we did not observe it in the reaction. Based on these observations, a tentative mechanism is proposed for the reaction (Scheme 4). First, the base abstracts the NH proton of indole and the resulting anion attacks the aldehyde to generate the 3-indolylalcohols **4**. NaOH again deprotonates the NH proton of **4** and finally eliminates the OH group to generate the alkylideneindolenine intermediates **A**.



Scheme 3 Model reaction with protected OH functionality

Now, **A** directly reacts with aryl alkoxide to give the desired **5** or with ethanol to produce **4′**, which gets converted back into **A** in the presence of NaOH. Moreover, **A** reacts with indole **1** to furnish small amount of bisindolylmethanes **6** as side products in some instances.

To ascertain the role of water in the solvent mixture, the model reaction was performed in ethanol as solvent, which gave much less yield (Table 1, entry 2). However, use of water alone as the solvent, disappointingly did not offer any product, which may be due to poor solubility of the starting materials in water (entry 4). Therefore, we presume that the possible function of water may be the increased solubility of naphthoxide/phenoxide ion (generated in the presence of base) into it. Moreover, in polar protic solvent the oxygen anion of naphthoxides or phenoxides is solvated by the water molecules through H-bonding (Scheme 4). As a result, the nucleophilicity of the oxygen atom is decreased and the formation of C-alkylated product is favored over O-alkylation (see entries 3 vs 5).[16]

In conclusion, we have successfully developed a 3-component one-pot route for the synthesis of 3-(α,α-diarylmethyl)indoles. The reaction proceeds through the formation of 3-indolylalcohols and subsequently their arylation with naphthols and phenols. The reaction does not use any

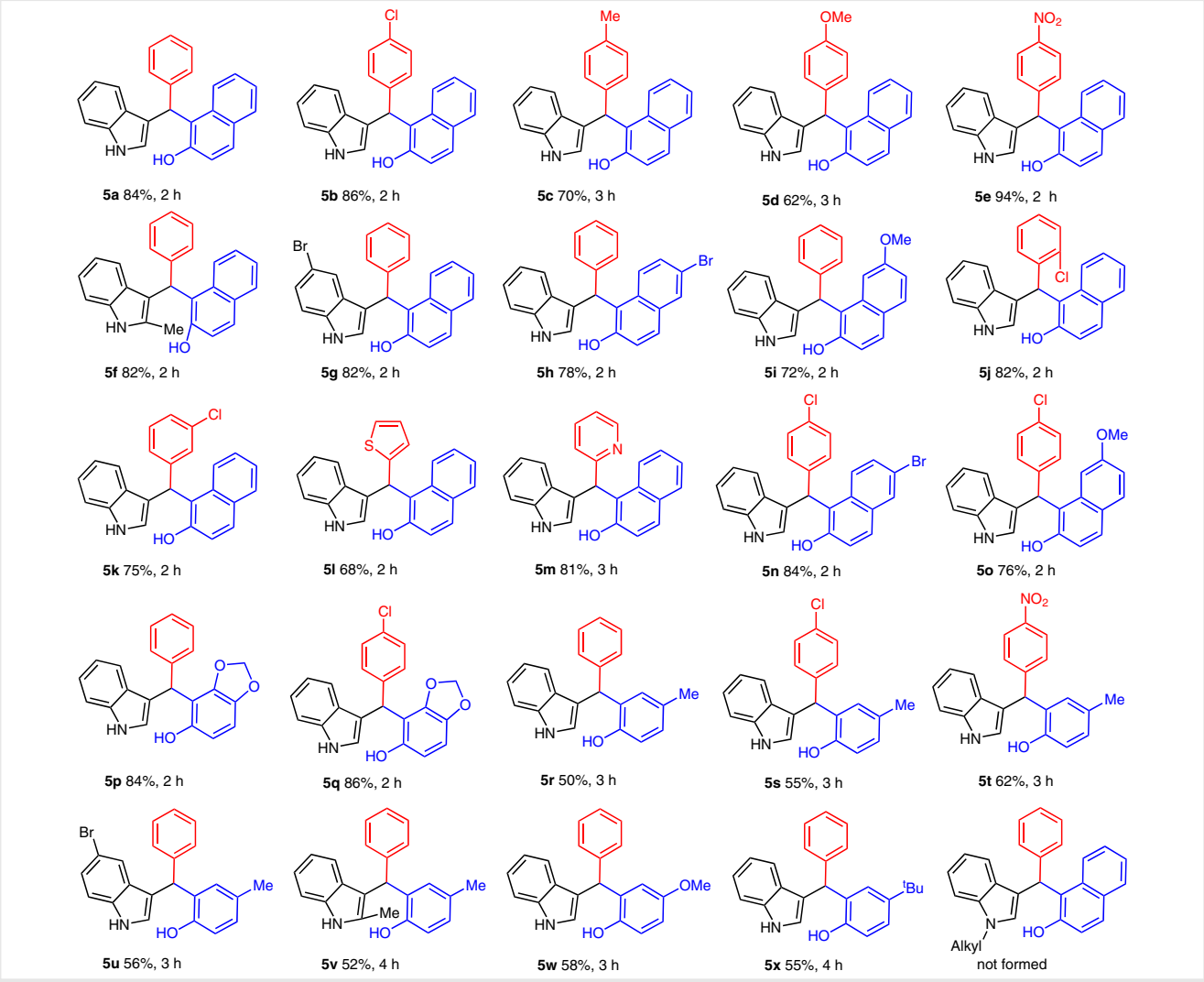


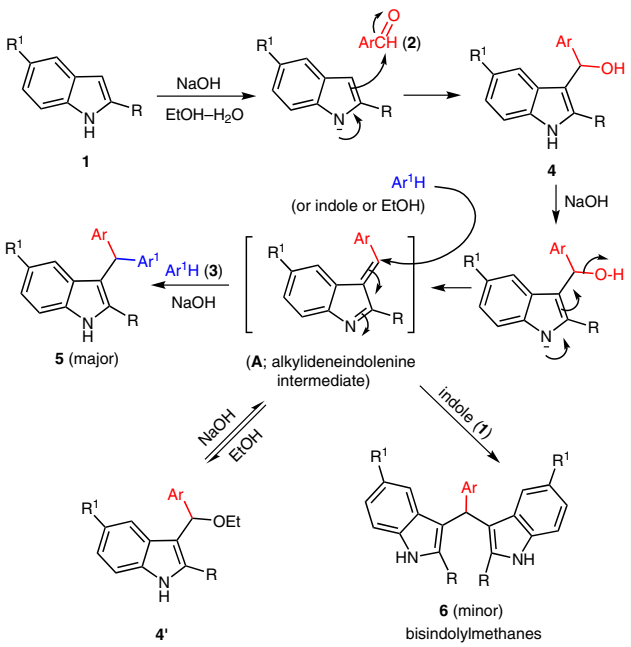
Figure 2 The substrate scope for the synthesis of compound **5**. *Reagents and conditions*: **1** (1.0 mmol), **2** (1.2 mmol), **3** (1.0 mmol), NaOH (1.0 equiv, 40 mg), EtOH–H_2O (1:1, 2.0 mL) at 90 °C. Products were purified by triturating the crude with EtOH/hexane (1:1) and yields are given for the isolated products.

hazardous metal catalyst or Lewis acid. A plausible mechanism is proposed for the reaction and justified. We anticipate that this method would offer efficient and cost effective way to obtain this important class of compounds. Screening of biological activities of the synthesized compounds is under way and will be disclosed in due course.

All the commercially available reagents were used as received. Melting points were determined in open capillary tubes with a Büchi-540 micro melting point apparatus and are uncorrected. IR spectra were recorded on a PerkinElmer system 2000 FT-IR spectrometer. MS (ESI-HRMS): Mass spectra were recorded on Agilent Accurate-Mass Q-TOF LC/MS 6520. Elemental analyses were performed on PerkinElmer 2400 spectrometer. NMR spectra were recorded on a Bruker Avance DPX-300, -400, and -500 NMR spectrometers with TMS as the internal standard at r.t. Chemical shifts (δ) are quoted in ppm and coupling constants (*J*) are measured in hertz (Hz). All the experiments were monitored by TLC on pre-coated silica gel plates (Merck) and visualized under UV lamp at 254 nm for UV active materials. Further visualization was achieved by staining $KMnO_4$ warming in a hot air oven or by I_2 vapor. Column chromatography was performed on silica gel (100–200 mesh, Merck) using EtOAc–hexane as eluent. All the reactions were performed in screw-top V-vial.

3-(α,α-Diarylmethyl)indoles 5; General Procedure

Indole **1** (1.0 mmol), aldehyde **2** (1.2 mmol), β-naphthol/phenol **3** (1.0 mmol), and NaOH (1.0 mmol, 40 mg) were taken in a screw-top V-vial together with EtOH–H_2O (1:1, 2.0 mL) as solvent. The vial was closed and heated at 90 °C in an oil bath for the specified time. The progress of the reaction was monitored by TLC. After completion of the reaction, the solvent was removed under vacuum and the residue was extracted with CH_2Cl_2. After removal of CH_2Cl_2 under vacuum, the crude



Scheme 4 Plausible mechanism for the formation of compound **5**. Ar^1H: naphthols/phenols.

product was triturated with EtOH/hexane (1:1). The solid obtained was then filtered in a sintered glass funnel to obtain the desired product **5** in the pure form.

Isolation of the Intermediates 4a and 4'a for the Justification of Mechanism

Indole (**1a**; 117 mg, 1.0 mmol), benzaldehyde (**2a**; 127 mg, 1.2 mmol), β-naphthol (**3a**; 144 mg, 1.0 mmol), and NaOH (1.0 mmol, 40 mg) were taken in a screw-top V-vial together with EtOH–H_2O (1:1, 2.0 mL) as solvent. The vial was closed and heated at 90 °C in an oil bath. The progress of the reaction was monitored by TLC. After 1 h, the reaction was stopped. The solvent was removed under vacuum and the residue was extracted with CH_2Cl_2 (2 × 25 mL). The two intermediates were purified by column chromatography (silica gel: 100–200 mesh; eluent: hexane–EtOAc).

1-[(1*H*-Indol-3-yl)(phenyl)methyl]naphthalen-2-ol (5a)

Grey solid; yield: 293 mg (84%); mp 168–170 °C.

IR (KBr): 3420, 3369, 3065, 2925, 1619, 1455, 1207, 747 cm^{-1}.

^1H NMR (400 MHz, $CDCl_3$): δ = 8.08 (d, *J* = 8.5 Hz, 1 H), 8.04 (br s, 1 H), 7.80 (d, *J* = 8.1 Hz, 1 H), 7.73 (d, *J* = 8.8 Hz, 1 H), 7.45–7.14 (m, 10 H), 7.02 (d, *J* = 8.8 Hz, 1 H), 6.95 (t, *J* = 7.5 Hz, 1 H), 6.63 (s, 1 H), 6.50 (s, 1 H), 6.15 (br s, 1 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 153.7, 141.5, 137.0, 133.0, 129.5, 129.4, 128.9, 128.8, 128.6, 127.0, 126.8, 124.0, 123.1, 123.1, 122.5, 120.1, 119.6, 118.5, 117.5, 111.4, 40.9.

Anal. Calcd for $C_{25}H_{19}NO$: C, 85.93; H, 5.48; N, 4.01. Found: C, 85.82; H, 5.34; N, 4.09.

1-[(4-Chlorophenyl)(1*H*-indol-3-yl)methyl]naphthalen-2-ol (5b)

Olive green solid; yield: 329 mg (86%); mp 100–103 °C.

IR (KBr): 3408, 3057, 2925, 1622, 1489, 1211, 1091, 744 cm^{-1}.

^1H NMR (500 MHz, $CDCl_3$): δ = 8.11 (br s, 1 H), 8.02 (d, *J* = 8.5 Hz, 1 H), 7.81 (d, *J* = 7.9 Hz, 1 H), 7.74 (d, *J* = 8.8 Hz, 1 H), 7.45–7.42 (m, 1 H), 7.36–7.18 (m, 7 H), 7.12 (d, *J* = 7.9 Hz, 1 H), 7.02 (d, *J* = 8.8 Hz, 1 H), 6.95 (m, 1 H), 6.64 (m, 1 H), 6.46 (s, 1 H), 6.11 (br s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.7, 140.1, 137.0, 132.9, 132.7, 130.0, 129.7, 129.6, 129.0, 128.9, 126.9, 126.6, 123.9, 123.3, 123.2, 122.4, 120.2, 119.5, 119.5, 118.0, 117.3, 111.5, 40.3.

Anal. Calcd for $C_{25}H_{18}ClNO$: C, 78.22; H, 4.73; N, 3.65. Found: C, 78.10; H, 4.82; N, 3.77.

1-[(1*H*-Indol-3-yl)(*p*-tolyl)methyl]naphthalen-2-ol (5c)

Greenish solid; yield: 254 mg (70%); mp 107–108 °C.

IR (KBr): 3404, 3064, 2928, 1621, 1456, 1210, 744 cm^{-1}.

^1H NMR (400 MHz, $CDCl_3$): δ = 8.08 (m, 1 H), 8.06 (br s, 1 H), 7.79 (d, *J* = 8.1 Hz, 1 H), 7.72 (d, *J* = 9.1 Hz, 1 H), 7.42–7.40 (m, 1 H), 7.34–7.30 (m, 2 H), 7.26 (d, *J* = 8.1 Hz, 2 H), 7.20–7.15 (m, 2 H), 7.11 (d, *J* = 8.1 Hz, 2 H), 7.02 (d, *J* = 8.8 Hz, 1 H), 6.95 (t, *J* = 7.6 Hz, 1 H), 6.65 (m, 1 H), 6.46 (s, 1 H), 6.13 (br s, 1 H), 2.31 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 153.6, 138.4, 137.0, 136.6, 133.0, 129.6, 129.5, 129.3, 128.7, 128.4, 126.8 (2 C), 124.1, 123.0, 122.5, 120.0, 119.6, 118.8, 117.5, 111.4, 40.4, 21.1.

Anal. Calcd for $C_{26}H_{21}NO$: C, 85.92; H, 5.82; N, 3.85. Found: C, 86.02; H, 5.93; N, 3.74.

1-[(1*H*-Indol-3-yl)(4-methoxyphenyl)methyl]naphthalene-2-ol (5d)

Olive green solid; yield: 235 mg (62%); mp >230 °C.

IR (KBr): 3402, 3056, 2928, 1620, 1509, 1457, 1246, 743 cm^{-1}.

^1H NMR (400 MHz, $CDCl_3$): δ = 8.07 (br s, 1 H), 8.05 (m, 1 H), 7.80 (d, *J* = 8.1 Hz, 1 H), 7.72 (d, *J* = 8.8 Hz, 1 H), 7.44–7.40 (m, 1 H), 7.34–7.27 (m, 4 H), 7.23–7.14 (m, 2 H), 7.02 (d, *J* = 9.1 Hz, 1 H), 6.97–6.93 (m, 1 H), 6.84 (d, *J* = 8.5 Hz, 2 H), 6.64 (m, 1 H), 6.44 (s, 1 H), 6.16 (br s, 1 H), 3.75 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 158.5, 153.6, 137.0, 133.4, 133.0, 129.6, 129.5, 129.3, 128.7, 126.7, 124.0, 123.0 (2 C), 122.5, 120.0, 119.6, 118.8, 117.7, 114.3, 111.4, 55.2, 39.9.

Anal. Calcd for $C_{26}H_{21}NO_2$: C, 82.30; H, 5.58; N, 3.69. Found: C, 82.19; H, 5.76; N, 3.81.

1-[(1*H*-Indol-3-yl)(4-nitrophenyl)methyl]naphthalen-2-ol (5e)

Light brown solid; yield: 370 mg (94%); mp 123–125 °C.

IR (KBr): 3411, 3058, 2925, 1599, 1515, 1345, 744 cm^{-1}.

^1H NMR (400 MHz, $CDCl_3$): δ = 8.22 (br s, 1 H), 8.12 (d, *J* = 8.6 Hz, 2 H), 7.95 (d, *J* = 8.6 Hz, 1 H), 7.82 (d, *J* = 8.1 Hz, 1 H), 7.75 (d, *J* = 8.8 Hz, 1 H), 7.52 (d, *J* = 8.6 Hz, 2 H), 7.48–7.31 (m, 3 H), 7.23–7.14 (m, 2 H), 7.04–6.96 (m, 2 H), 6.63–6.61 (m, 2 H), 6.08 (br s, 1 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 153.4, 149.8, 146.7, 136.9, 132.7, 130.0, 129.7, 129.6, 129.0, 127.0, 126.6, 123.9, 123.8, 123.4 (2 C), 122.4, 120.4, 119.4, 119.3, 117.6, 116.1, 111.6, 40.6.

Anal. Calcd for $C_{25}H_{18}N_2O_3$: C, 76.13; H, 4.60; N, 7.10. Found: C, 76.24; H, 4.66; N, 6.98.

1-[(2-Methyl-1*H*-indol-3-yl)(phenyl)methyl]naphthalen-2-ol (5f)

Brown solid; yield: 298 mg (82%); mp 204–206 °C.

IR (KBr): 3404, 3064, 2928, 1621, 1456, 1208, 744 cm^{-1}.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.98 (d, *J* = 8.8 Hz, 1 H), 7.94 (br s, 1 H), 7.80 (d, *J* = 8.1 Hz, 1 H), 7.73 (d, *J* = 9.1 Hz, 1 H), 7.42–7.38 (m, 1 H), 7.34–7.24 (m, 7 H), 7.09 (m, 1 H), 7.04 (d, *J* = 9.1 Hz, 1 H), 6.86 (t, *J* = 7.8 Hz, 1 H), 6.74 (d, *J* = 8.1 Hz, 1 H), 6.57 (s, 1 H), 6.24 (br s, 1 H), 1.90 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 153.9, 141.4, 135.2, 133.4, 129.5, 129.4, 129.1, 128.9, 128.8, 128.5, 127.8, 127.0, 126.8, 123.0, 122.5, 121.9 (2 C), 120.1, 119.7, 119.0, 110.4, 41.3, 12.4.

Anal. Calcd for $C_{26}H_{21}NO$: C, 85.92; H, 5.82; N, 3.85. Found: C, 85.80; H, 5.74; N, 3.93.

1-[(5-Bromo-1*H*-indol-3-yl)(phenyl)methyl]naphthalen-2-ol (5g)

Greenish solid; yield: 351 mg (82%); mp 191–193 °C.

IR (KBr): 3403, 3056, 2928, 1593, 1484, 1201, 1091, 743 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.16 (br s, 1 H), 8.02 (d, *J* = 8.5 Hz, 1 H), 7.80 (d, *J* = 7.9 Hz, 1 H), 7.73 (d, *J* = 8.8 Hz, 1 H), 7.43–7.40 (m, 1 H), 7.34–7.23 (m, 8 H), 7.19 (d, *J* = 8.5 Hz, 1 H), 7.04 (d, *J* = 8.8 Hz, 1 H), 6.64 (m, 1 H), 6.45 (s, 1 H), 5.92 (br s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.3, 141.4, 135.6, 132.9, 129.6 (2 C), 129.0, 128.8, 128.6, 128.4, 127.1, 126.8, 125.9, 125.4, 123.2, 122.6, 121.9, 119.5, 118.6, 116.7, 113.3, 112.9, 40.3.

Anal. Calcd for $C_{25}H_{18}BrNO$: C, 70.10; H, 4.24; N, 3.27. Found: C, 70.22; H, 4.18; N, 3.21.

1-[(1*H*-Indol-3-yl)(phenyl)methyl]-6-bromonaphthalen-2-ol (5h)

Light green solid; yield: 334 mg (78%); mp 187–189 °C.

IR (KBr): 3403, 3056, 2928, 1593, 1485, 1201, 1090, 743 cm^{-1}.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.09 (br s, 1 H), 7.94–7.92 (m, 2 H), 7.63 (d, *J* = 8.8 Hz, 1 H), 7.47 (dd, *J* = 9.3, 1.7 Hz, 1 H), 7.39–7.16 (m, 7 H), 7.12 (d, *J* = 7.8 Hz, 1 H), 7.04 (d, *J* = 8.8 Hz, 1 H), 6.97 (m, 1 H), 6.63 (s, 1 H), 6.42 (s, 1 H), 6.20 (br s, 1 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 154.0, 141.1, 137.0, 131.6, 130.8, 130.6, 129.9, 129.0, 128.5, 127.1, 126.7, 124.5, 124.0, 123.3, 120.8, 120.2, 119.4, 118.7, 117.3, 116.8, 111.5, 41.0.

Anal. Calcd for $C_{25}H_{18}BrNO$: C, 70.10; H, 4.24; N, 3.27. Found: C, 70.19; H, 4.13; N, 3.19.

1-[(1*H*-Indol-3-yl)(phenyl)methyl]-7-methoxynaphthalen-2-ol (5i)

Greenish solid; yield: 273 mg (72%); mp 135–137 °C.

IR (KBr): 3402, 3058, 2925, 1620, 1516, 1456, 1220, 831, 742 cm^{-1}.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.09 (br s, 1 H), 7.70 (d, *J* = 9.0 Hz, 1 H), 7.64 (d, *J* = 8.8 Hz, 1 H), 7.41–7.29 (m, 6 H), 7.26–7.18 (m, 3 H), 7.00–6.95 (m, 2 H), 6.88 (d, *J* = 8.6 Hz, 1 H), 6.63 (m, 1 H), 6.39 (s, 1 H), 6.14 (br s, 1 H), 3.76 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 158.3, 154.1, 141.7, 137.0, 134.3, 130.2, 129.1, 128.9, 128.6, 126.9, 124.9, 124.0, 123.1, 120.1, 119.5, 117.8, 117.5, 116.9, 114.7, 111.4, 102.6, 55.1, 41.1.

Anal. Calcd for $C_{26}H_{21}NO_2$: C, 82.30; H, 5.58; N, 3.69. Found: C, 82.22; H, 5.70; N, 3.78.

1-[(2-Chlorophenyl)(1*H*-indol-3-yl)methyl]naphthalen-2-ol (5j)

Brown solid; yield: 314 mg (82%); mp 98–100 °C.

IR (KBr): 3405, 3051, 2929, 1618, 1482, 1212, 1093, 745 cm^{-1}.

^{1}H NMR (600 MHz, $CDCl_3$): δ = 8.19 (br s, 1 H), 8.0 (d, *J* = 8.9 Hz, 1 H), 7.82 (d, *J* = 7.4 Hz, 1 H), 7.75 (d, *J* = 8.2 Hz, 1 H), 7.49–7.46 (m, 2 H), 7.40 (d, *J* = 8.2 Hz, 1 H), 7.37–7.34 (m, 2 H), 7.23–7.21 (m, 2 H), 7.17 (t, *J* = 7.4 Hz, 1 H), 7.12 (d, *J* = 7.4 Hz, 1 H), 7.02 (d, *J* = 8.9 Hz, 1 H), 6.95 (t, *J* = 7.4 Hz, 1 H), 6.80 (s, 1 H), 6.60 (s, 1 H), 6.43 (s, 1 H).

^{13}C NMR (150 MHz, $CDCl_3$): δ = 154.4, 139.0, 137.2, 133.9, 133.0, 130.0, 129.9, 129.7, 129.5, 128.8, 128.4, 127.5, 127.1, 126.5, 123.6, 123.4, 123.2, 122.4, 120.2, 119.5 (2 C), 111.5, 110.0, 38.5.

Anal. Calcd for $C_{25}H_{18}ClNO$: C, 78.22; H, 4.73; N, 3.65. Found: C, 78.41; H, 4.68; N, 3.57.

1-[(3-Chlorophenyl)(1*H*-indol-3-yl)methyl]naphthalen-2-ol (5k)

Brown solid; yield: 287 mg (75%); mp 117–119 °C.

IR (KBr): 3413, 3047, 2920, 1622, 1477, 1217, 1090, 745 cm^{-1}.

^{1}H NMR (600 MHz, $CDCl_3$): δ = 8.15 (br s, 1 H), 8.04 (d, *J* = 8.2 Hz, 1 H), 7.83 (d, *J* = 8.2 Hz, 1 H), 7.75 (d, *J* = 8.9 Hz, 1 H), 7.46 (t, *J* = 7.4 Hz, 1 H), 7.40–7.35 (m, 3 H), 7.27–7.20 (m, 4 H), 7.12 (d, *J* = 8.2 Hz, 1 H), 7.03 (d, *J* = 8.2 Hz, 1 H), 6.96 (t, *J* = 7.4 Hz, 1 H), 6.67 (s, 1 H), 6.47 (s, 1 H), 6.14 (s, 1 H).

^{13}C NMR (150 MHz, $CDCl_3$): δ = 153.8, 143.7, 137.0, 134.7, 132.9, 130.1, 129.7, 129.6, 128.9, 128.8, 127.2, 126.9, 126.8, 126.6, 123.9, 123.4, 123.2, 122.4, 120.3, 119.6, 119.5 117.6, 117.2, 111.5, 40.7.

Anal. Calcd for $C_{25}H_{18}ClNO$: C, 78.22; H, 4.73; N, 3.65. Found: C, 78.04; H, 4.86; N, 3.74.

1-[(1*H*-Indol-3-yl)(thiophen-2-yl)methyl]naphthalen-2-ol (5l)

Light brown solid, yield: 241 mg (68%); mp 111–113 °C.

IR (KBr): 3398, 3042, 2931, 1612, 699 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.15 (br s, 1 H), 8.11 (d, *J* = 8.6 Hz, 1 H), 7.83 (d, *J* = 7.8 Hz, 1 H), 7.76 (d, *J* = 8.9 Hz, 1 H), 7.49–7.46 (m, 1 H), 7.38–7.35 (m, 2 H), 7.26–7.25 (m, 1 H), 7.22–7.20 (m, 2 H), 7.06 (d, *J* = 8.9 Hz, 1 H), 7.00–6.92 (m, 4 H), 6.75 (s, 1 H), 6.25 (s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.5, 145.2, 136.8, 132.4, 129.7, 129.3, 128.8, 126.9, 126.8, 126.3, 125.2, 123.7, 123.2, 123.0, 122.1, 120.0, 119.6, 119.4 118.9, 116.9, 111.4, 35.8.

Anal. Calcd for $C_{23}H_{17}NOS$: C, 77.72; H, 4.82; N, 3.94. Found: C, 77.88; H, 4.68; N, 3.78.

1-[(1*H*-Indol-3-yl)(pyridin-2-yl)methyl]naphthalen-2-ol (5m)

Off-white solid; yield: 283 mg (81%); mp 103–104 °C.

IR (KBr): 3378, 3035, 3047, 2918, 1622, 1388, 743 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.48–8.47 (m, 1 H), 8.38 (d, *J* = 8.7 Hz, 1 H), 8.18 (br s, 1 H), 7.83–7.81 (m, 1 H), 7.78–7.75 (m, 1 H), 7.72–7.69 (m, 2 H), 7.57–7.54 (m, 1 H), 7.36–7.33 (m, 1 H), 7.24–7.20 (m, 3 H), 7.06–7.03 (m, 1 H), 6.85–6.80 (m, 3 H), 6.55 (s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 162.4, 155.0, 147.8, 138.5, 136.4, 132.9, 129.5, 129.1, 129.0, 126.7, 126.6, 123.8, 123.6, 122.6, 122.5, 121.7, 121.6, 121.4, 119.2, 118.8 118.6, 114.7, 111.3, 43.1.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{24}H_{19}N_2O$: 351.1497; found: 351.1492.

6-Bromo-1-[(4-chlorophenyl)(1*H*-indol-3-yl)methyl]naphthalen-2-ol (5n)

Greenish solid; yield: 388 mg (84%); mp 124–126 °C.

IR (KBr): 3401, 3058, 2925, 1591, 1489, 1209, 1091, 744 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.15 (br s, 1 H), 7.95 (d, *J* = 2.1 Hz, 1 H), 7.87 (d, *J* = 9.1 Hz, 1 H), 7.63 (d, *J* = 9.1 Hz, 1 H), 7.48 (dd, *J* = 8.8, 2.1 Hz, 1 H), 7.37 (d, *J* = 8.2 Hz, 1 H), 7.28 (m, 4 H), 7.24–7.20 (m, 1 H), 7.10 (d, *J* = 7.9 Hz, 1 H), 7.03 (d, *J* = 8.8 Hz, 1 H), 6.97 (m, 1 H), 6.64 (m, 1 H), 6.39 (s, 1 H), 6.16 (br s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.9, 139.7, 137.0, 132.8, 131.4, 130.8, 130.7, 130.0, 129.9, 129.1, 128.7, 126.5, 124.3, 123.9, 123.4, 120.7, 120.3, 119.3, 118.2, 116.9 (2 C), 111.5, 40.3.

Anal. Calcd for $C_{25}H_{17}BrClNO$: C, 64.89; H, 3.70; N, 3.03. Found: C, 64.70; H, 3.79; N, 3.08.

1-[(4-Chlorophenyl)(1*H*-indol-3-yl)methyl]-7-methoxynaphthalen-2-ol (5o)

Greenish solid; yield: 314 mg (76%); mp 147–148 °C.

IR (KBr): 3403, 3057, 2929, 1623, 1515, 1457, 1220, 745 cm^{-1}.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.14 (br s, 1 H), 7.70 (d, *J* = 8.8 Hz, 1 H), 7.65 (d, *J* = 8.8 Hz, 1 H), 7.36–7.16 (m, 8 H), 7.02–6.95 (m, 2 H), 6.87 (d, *J* = 8.1 Hz, 1 H), 6.64 (m, 1 H), 6.37 (s, 1 H), 6.10 (br s, 1 H), 3.76 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 158.4, 154.0, 140.3, 137.0, 134.2, 132.5, 130.3, 130.0, 129.3, 128.9, 126.7, 124.9, 123.9, 123.3, 120.2, 119.5, 117.3, 117.1, 116.8, 114.8, 111.4, 102.6, 55.1, 40.4.

Anal. Calcd for $C_{26}H_{20}ClNO_2$: C, 75.45; H, 4.87; N, 3.38. Found: C, 75.67; H, 4.72; N, 3.37.

4-[(1*H*-Indol-3-yl)(phenyl)methyl]benzo[*d*][1,3]dioxol-5-ol (5p)

Brownish solid; yield: 288 mg (84%); mp 163–165 °C.

IR (KBr): 3417, 3057, 2895, 1619, 1483, 1164, 1038, 743 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.02 (br s, 1 H), 7.34 (d, *J* = 7.9 Hz, 1 H), 7.30–7.28 (m, 3 H), 7.25–7.23 (m, 3 H), 7.20–7.16 (m, 1 H), 7.03–7.0 (m, 1 H), 6.66 (m, 1 H), 6.42 (d, *J* = 8.5 Hz, 2 H), 5.85 (s, 2 H), 5.72 (s, 1 H), 4.84 (br s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 148.4, 146.7, 142.3, 141.4, 136.9, 128.8, 128.6, 126.8, 126.7, 123.9, 122.5, 121.9, 119.8 (2C), 117.7, 111.2, 109.3, 101.0, 99.0, 43.1.

Anal. Calcd for $C_{22}H_{17}NO_3$: C, 76.95; H, 4.99; N, 4.08. Found: C, 76.79; H, 5.08; N, 4.01.

4-[(4-Chlorophenyl)(1*H*-indol-3-yl)methyl]benzo[*d*][1,3]dioxol-5-ol (5q)

Brown solid; yield: 324 mg (86%); mp 155–158 °C.

IR (KBr): 3414, 3057, 2924, 1621, 1487, 1437, 1164, 1038, 743 cm^{-1}.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.06 (br s, 1 H), 7.35 (d, *J* = 8.1 Hz, 1 H), 7.29–7.25 (m, 3 H), 7.21–7.15 (m, 3 H), 7.02 (t, *J* = 7.3 Hz, 1 H), 6.65 (m, 1 H), 6.43 (s, 1 H), 6.38 (s, 1 H), 5.85 (s, 2 H), 5.73 (s, 1 H), 4.86 (br s, 1 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 148.2, 146.7, 141.5, 141.0, 136.9, 132.3, 130.2, 128.6, 126.6, 123.8, 122.6, 121.5, 119.8, 119.7, 117.4, 111.3, 109.2, 101.0, 98.9, 42.2.

Anal. Calcd for $C_{22}H_{16}ClNO_3$: C, 69.94; H, 4.27; N, 3.71. Found: C, 69.73; H, 4.20; N, 3.88.

2-[(1*H*-Indol-3-yl)(phenyl)methyl]-4-methylphenol (5r)

Grey solid; yield: 156 mg (50%); mp 202–204 °C.

IR (KBr): 3402, 3061, 2929, 1620, 1456, 1210, 743 cm^{-1}.

^{1}H NMR (400 MHz, DMSO-d_6): δ = 10.82 (d, *J* = 1.0 Hz, 1 H), 9.19 (s, 1 H), 7.36 (d, *J* = 8.1 Hz, 1 H), 7.28–7.15 (m, 5 H), 7.11 (d, *J* = 7.8 Hz, 1 H), 7.04 (t, *J* = 7.3 Hz, 1 H), 6.88–6.81 (m, 2 H), 6.76–6.74 (m, 2 H), 6.65–6.64 (m, 1 H), 5.95 (s, 1 H), 2.06 (s, 3 H).

^{13}C NMR (100 MHz, DMSO-d_6): δ = 152.3, 144.4, 136.7, 130.1, 129.8, 128.7, 128.0, 127.4, 126.8 (2 C), 125.8, 124.2, 121.1, 119.0, 118.3, 117.9, 115.0, 111.5, 40.5, 20.5.

Anal. Calcd for $C_{22}H_{19}NO$: C, 84.31; H, 6.11; N, 4.47. Found: C, 84.48; H, 6.01; N, 4.44.

2-[(4-Chlorophenyl)(1*H*-indol-3-yl)methyl]-4-methylphenol (5s)

White solid; yield: 191 mg (55%); mp 213–215 °C.

IR (KBr): 3392, 3061, 2928, 1622, 1451, 1212, 743 cm^{-1}.

^{1}H NMR (500 MHz, DMSO-d_6): δ = 10.86 (br s, 1 H), 9.20 (s, 1 H), 7.36–7.31 (m, 3 H), 7.17 (d, *J* = 8.4 Hz, 2 H), 7.09–7.04 (m, 2 H), 6.88–6.82 (m, 2 H), 6.73–6.64 (m, 2 H), 5.92 (s, 1 H), 2.07 (s, 3 H).

^{13}C NMR (125 MHz, DMSO-d_6): δ = 152.3, 143.5, 136.8, 130.5, 130.4, 129.7, 129.6, 128.1, 127.7, 127.1, 126.7, 124.3, 121.2, 118.9, 118.5, 117.4, 115.1, 111.6, 40.1, 20.5.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{19}ClNO$: 348.1155; found: 348.1158.

2-[(1*H*-Indol-3-yl)(4-nitrophenyl)methyl]-4-methylphenol (5t)

Brown solid; yield: 222 mg (62%); mp 161–163 °C.

IR (KBr): 3402, 3056, 2930, 1620, 1599, 1524, 744 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.14–8.12 (m, 3 H), 7.41–7.38 (m, 3 H), 7.25–7.19 (m, 2 H), 7.04–7.01 (m, 1 H), 6.97–6.95 (m, 1 H), 6.75–6.73 (m, 1 H), 6.70–6.66 (m, 2 H), 5.99 (s, 1 H), 5.02 (br s, 1 H), 2.17 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 151.2, 151.0, 149.6, 136.9, 130.3, 130.2, 129.8, 128.7, 128.3, 126.6, 123.9, 123.6, 122.7, 119.9, 119.5, 116.8, 116.0, 111.3, 42.5, 20.7.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{19}N_2O_3$: 359.1396; found: 359.1398.

2-[(5-Bromo-1*H*-indol-3-yl)(phenyl)methyl]-4-methylphenol (5u)

Off-white solid; yield: 219 mg (56%); mp 152–154 °C.

IR (KBr): 3398, 3060, 2933, 1618, 1212, 1094, 743 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.08 (s, 1 H), 7.42 (m, 1 H), 7.35–7.22 (m, 7 H), 6.96–6.94 (m, 1 H), 6.76–6.72 (m, 2 H), 6.68–6.67 (m, 1 H), 5.76 (s, 1 H), 4.76 (br s, 1 H), 2.18 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 151.4, 142.2, 135.4, 130.4, 129.9, 129.2, 128.8, 128.7, 128.6, 128.5, 127.9, 126.7, 125.3, 125.2, 122.2, 117.8, 116.1, 112.9, 112.6, 42.8, 20.7.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{19}BrNO$: 392.0650; found: 392.0655.

4-Methyl-2-[(2-methyl-1*H*-indol-3-yl)(phenyl)methyl]phenol (5v)

Brown solid; yield: 170 mg (52%); mp 126–129 °C.

IR (KBr): 3401, 3057, 2929, 1620, 1461, 1210, 741 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.91 (s, 1 H), 7.33–7.22 (m, 6 H), 7.10–7.03 (m, 2 H), 6.96–6.90 (m, 2 H), 6.74–6.72 (m, 1 H), 6.67 (m, 1 H), 5.77 (s, 1 H), 4.89 (s, 1 H), 2.19 (s, 3 H), 2.18 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 152.1, 142.1, 135.3, 133.0, 130.2, 129.7, 129.5, 129.1, 128.4, 128.3, 128.2, 126.5, 121.3, 119.7, 119.1, 116.0, 110.8, 110.3, 42.8, 20.8, 12.3.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{23}H_{22}NO$: 328.1071; found: 328.1068.

2-[(1*H*-Indol-3-yl)(phenyl)methyl]-4-methoxyphenol (5w)

Light brown solid; yield: 191 mg (58%); mp 133–135 °C.

IR (KBr): 3398, 3051, 1617, 1524, 1448, 1202, 825, 743 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.08 (br s, 1 H), 7.36 (d, *J* = 8.1 Hz, 1 H), 7.32–7.29 (m, 3 H), 7.26–7.23 (m, 3 H), 7.21–7.18 (m, 1 H), 7.04–7.01 (m, 1 H), 6.79 (d, *J* = 8.7 Hz, 1 H), 6.71–6.69 (m, 1 H), 6.66 (m, 1 H), 6.52 (d, *J* = 3.1 Hz, 1 H), 5.80 (s, 1 H), 4.79 (br s, 1 H), 3.65 (s, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 153.4, 147.6, 141.9, 136.7, 131.0, 128.9, 128.5, 126.7, 126.6, 123.9, 122.4, 119.7, 119.6, 117.1, 116.8, 116.1, 111.8, 111.2, 55.4, 43.3.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{22}H_{20}NO_2$: 330.1494; found: 330.1491.

2-[(1*H*-Indol-3-yl)(phenyl)methyl]-4-(*tert*-butyl)phenol (5x)

Gummy solid; yield: 195 mg (55%).

IR (KBr): 3401, 3049, 2931, 2856, 1620, 1501, 744 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.10 (br s, 1 H), 7.37 (d, *J* = 8.2 Hz, 1 H), 7.33–7.30 (m, 1 H), 7.27–7.25 (m, 3 H), 7.23–7.17 (m, 3 H), 7.12–7.09 (m, 1 H), 7.03–6.99 (m, 2 H), 6.78 (d, *J* = 8.4 Hz, 1 H), 6.70–6.68 (m, 1 H), 5.80 (s, 1 H), 5.06 (br s, 1 H), 1.17 (s, 9 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 151.6, 143.2, 142.2, 136.7, 128.8, 128.6, 128.5, 127.2, 126.7, 126.6, 124.5, 123.9, 122.4, 119.8, 119.5, 117.3, 115.6, 111.2, 43.9, 34.0, 31.4.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{25}H_{26}NO$: 356.2014; found: 356.2019.

(1*H*-Indol-3-yl)(phenyl)methanol (4a)[17]

Red gummy solid; yield: 27 mg (12%).

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.22 (br s, 1 H), 7.62 (d, *J* = 7.8 Hz, 1 H), 7.51 (d, *J* = 6.9 Hz, 2 H), 7.47–7.29 (m, 4 H), 7.26–7.19 (m, 1 H), 7.17–7.09 (m, 1 H), 6.83 (m, 1 H), 6.16 (s, 1H), 2.47 (br s, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 144.1, 136.8, 128.3, 127.3, 126.5, 125.7, 122.7, 122.1, 119.7, 119.6, 119.5, 111.2, 70.3.

3-[Ethoxy(phenyl)methyl]-1*H*-indole (4′a)

Gummy brown solid; yield: 25 mg (10%).

IR (neat): 3436, 3055, 2948, 1620, 1388, 1139, 1122, 744 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 7.99 (br s, 1 H), 7.65 (d, *J* = 7.8 Hz, 1 H), 7.47–7.45 (m, 2 H), 7.35–7.32 (m, 2 H), 7.28–7.21 (m, 2 H), 7.16–7.13 (m, 1 H), 7.09–7.06 (m, 1 H), 6.66–6.64 (m, 1 H), 5.69 (s, 1 H), 3.64–3.53 (m, 2 H), 1.27 (td, *J* = 1.4, 7.0 Hz, 3 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 142.0, 136.5, 128.2, 127.3, 127.1, 126.3, 123.1, 122.1, 119.7, 119.6, 118.0, 111.1, 77.6, 64.3, 15.4.

Anal. Calcd for $C_{17}H_{17}NO$: C, 81.24; H, 6.82; N, 5.57. Found: C, 81.39; H, 6.74; N, 5.69.

3-[(Naphthalen-2-yloxy)(phenyl)methyl)-1*H*-indole (7a)

Brown solid; yield: 140 mg (40%); mp 93–95 °C.

IR (KBr): 3451, 3048, 2922, 1618, 1268, 1093, 741 cm^{-1}.

^{1}H NMR (500 MHz, $CDCl_3$): δ = 8.07 (br s , 1 H), 7.66–7.64 (m, 1 H), 7.38–7.35 (m, 2 H), 7.32–7.27 (m, 3 H), 7.25–7.09 (m, 7 H), 7.06 (m, 1 H), 7.01–6.98 (m, 2 H), 6.65–6.64 (m, 1 H), 6.45 (m, 1 H).

^{13}C NMR (125 MHz, $CDCl_3$): δ = 151.5, 140.4, 136.6, 136.1, 129.1, 128.6, 127.6, 127.2, 127.0, 126.4, 124.9, 122.7, 121.4, 120.8, 120.2, 119.6, 119.4, 116.2, 111.3, 110.1, 100.9, 56.9.

Anal. Calcd for $C_{25}H_{19}NO$: C, 85.93; H, 5.48; N, 4.01. Found: C, 85.76; H, 5.61; N, 4.09.

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Supporting Information

Supporting information for this article is available online at http://dx.doi.org/10.1055/s-0036-1588098.

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