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Brönsted Acid-Catalyzed One-Pot Synthesis of Indoles from *o*-Aminobenzyl Alcohols and Furans

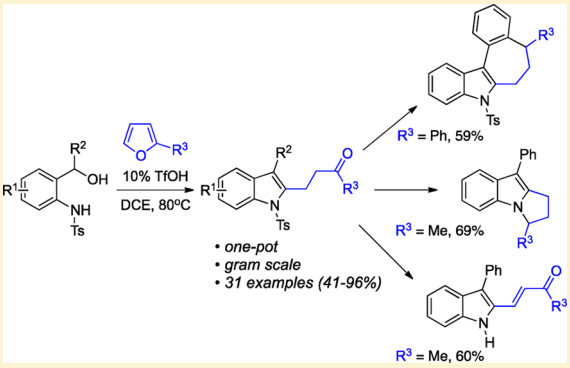
Alexey Kuznetsov,[†] Anton Makarov,[‡] Aleksandr E. Rubtsov,[‡] Alexander V. Butin,*[,‡] and Vladimir Gevorgyan*[,†]

[†]Department of Chemistry, University of Illinois at Chicago, 845 West Taylor Street, Chicago, Illinois 60607-7061, United States

[‡]Perm State University, 15 Bukireva Street, Perm 614990, Russian Federation

Supporting Information

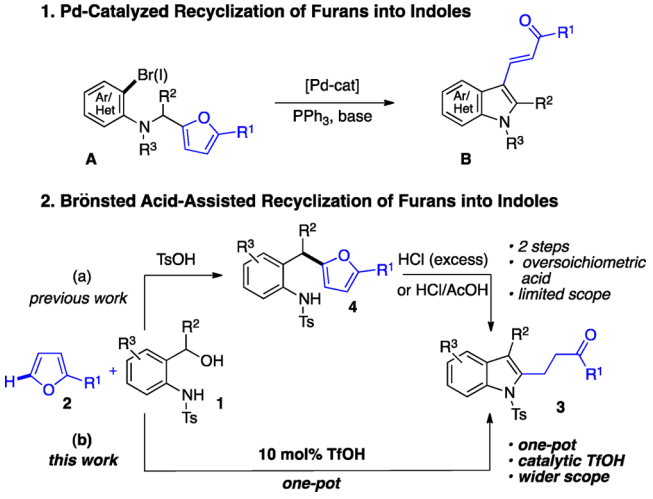
ABSTRACT: Brönsted acid-catalyzed one-pot synthesis of indoles from *o*-aminobenzyl alcohols and furans has been developed. This method operates via the in situ formation of aminobenzylfuran, followed by its recyclization into the indole core. The method proved to be efficient for substrates possessing different functional groups, including −OMe, $-CO_2Cy$, and −Br. The resulting indoles can easily be transformed into diverse scaffolds, including 2,3- and 1,2-fused indoles, and indoles possessing an α,β-unsaturated ketone moiety at the C-2 position.



1. INTRODUCTION

The low resonance energy of the furan ring[1] allows for its facile recyclization reactions into different carbo-[2] and heterocycles.[3] Of particular interest is the recyclization reaction of furan into indole, since valuable indole[4] fragments can be obtained from readily available starting materials.[5] Hence, a few reports document an intramolecular Pd-catalyzed arylative recyclization of furan-containing aryl or hetaryl halides **A** into indoles **B** (Scheme 1 (1)).[3f,g] Recently, one of us developed a Brönsted acid-mediated process for recyclization of furan derivatives **4** into indoles **3** (Scheme 1 (2a)).[6] This method needs preparation of furylmethyl aniline **4**, which is accomplished by condensation of benzyl alcohol **1** with furan **2**. The recyclization step requires the use of overstoichiometric amounts of HCl/AcOH, which substantially limits the scope of this method. We thought that the development of a more environmentally benign and general catalytic method for recyclization of furan, which would exhibit wider substrate scope and potentially would allow for a one-pot synthesis of indoles **3** directly from **1** and **2** is justified. Herein, we wish to report general and efficient Brönsted acid-catalyzed synthesis of indoles from *o*-aminobenzyl alcohols and furans, which features a one-pot protocol, wider substrate scope, and higher functional group tolerance than the previously published two-step procedure (Scheme 1 (2b)).

Scheme 1. Methods for Recyclization of Furans into Indoles



2. RESULTS AND DISCUSSION

Optimization of the One-Pot Synthesis of Indole 3 from *o*-Aminobenzyl Alcohol 1a. Recognizing that both steps of the sequence depicted in Scheme 1 (2a) proceed under electrophilic conditions, we hypothesized that finding conditions for formation of indole **3** directly from aminobenzyl alcohol **1** and 2-methylfuran **2** is feasible. To this end, different Lewis acid catalysts were first screened for the one-pot recyclization of **1a** and **2a** into **3aa** (Table 1). Thus, employment of $FeCl_3$ resulted in efficient formation of intermediate **4aa** with only traces of target indole **3aa** produced (entry 1).

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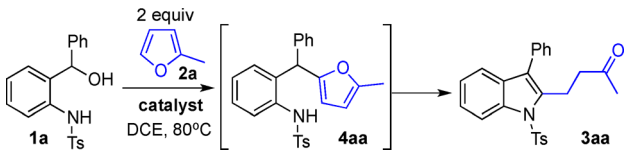
Table 1. Optimization of the One-Pot Synthesis of Indole 3 from *o*-Aminobenzyl Alcohol 1a[a]



entry	catalyst	time, h	yield of 3 (4)[b] (%)
1	10% $FeCl_3$	12	<5 (91)
2	10% $Cu(OTf)_2$	12	53 (38)
3	10% $In(OTf)_3$	2	87 (10)
4	10% AgOTf	1	80 (13)
5	10% $Sc(OTf)_3$	12	92 (<1)
6[c]	10% $Sc(OTf)_3$	24	29 (61)
7[d]	10% $Sc(OTf)_3$	24	22 (70)
8[c,d]	10% $Sc(OTf)_3$	24	– (94)
9	10% $HNTf_2$	12	– (68)
10[e]	10% HCl	12	– (38)
11[e]	100% HCl	12	– (21)
12	10% CF_3COOH	12	– (58)
13	10% H_2SO_4	12	39 (50)
14	10% TsOH	12	41 (48)
15	10% MsOH	4	87 (0)
16	10% TfOH	1	95 (0)
17	5% TfOH	4	91 (0)
18[f]	**10% TfOH**	**1**	**94 (0)**
19[g]	10% TfOH	1	73 (0)

[a]Reaction conditions: *o*-aminobenzyl alcohol **1a** (0.2 mmol), 2-methylfuran **2a** (2 equiv, 0.4 mmol, 35.8 μL), and catalyst were stirred in DCE (0.7 mL, 0.3 M) at 80 °C. The progress of the reaction was monitored by TLC. [b]NMR yield. [c]In the presense of 50 mg of molecular sieves 4 Å. [d]In the presence of 30 mol % of 2,4,6-tritertbutylpyrimidine. [e]4 M HCl in dioxane was used. [f]1.5 equiv of 2-methylfuran was used. [g]1.2 equiv of 2-methylfuran was used.

Employment of copper, indium, and silver triflates was more efficient for the second step leading to better ratios of **3aa**/**4aa** (entries 2–4). Use of $Sc(OTf)_3$ resulted in nearly complete conversion of starting materials into indole **3aa** (entry 5). In order to verify whether $Sc(OTf)_3$ is the true catalyst in this recyclization reaction or if it is catalyzed by the Brönsted acid[7] (TfOH) produced by a hydrolysis of $Sc(OTf)_3$ during the first dehydrocondensation step, the following test experiments were performed. First, addition of activated molecular sieves, which scavenged the forming water and thus suppressed the hydrolysis of $Sc(OTf)_3$, resulted in a much more sluggish recyclization reaction, producing intermediate **4aa** as a major product (entry 6). Moreover, addition of 30 mol % of a proton scavenger, 2,4,6-tri-*tert*-butylpyrimidine (TTBP),[8] had a similar inhibition effect on the second step of the reaction (entry 7). Finally, addition of both water and a proton scavenger completely shut down the recyclization step, thus producing furylmethyl aniline **4aa** as a single reaction product (entry 8). These results unambiguously demonstrate that TfOH is the true catalyst of the recyclization step (**4aa** → **3aa**). Consequently, we examined several other Brönsted acids in the recyclization reaction of **1a** and **2a** into **3aa**. It was found that employment of $HNTf_2$, HCl, and CF_3CO_2H produced only intermediate **4aa** in low to moderate yields (entries 9–12). Use of H_2SO_4 and TsOH gave mixtures of indole **3aa** and furylaniline derivative **4aa** (entries 13 and 14). Remarkably, in the presence of MsOH and TfOH indole **3aa** was produced in 87% and 95% yields, respectively (entries 15 and 16). The following optimization with TfOH as the catalyst (entries 17–19) revealed optimal conditions for this Brönsted acid catalyzed transformation (entry 18), which allowed for a facile and complete conversion of **1a** and **2a** into indole **3aa**.

Scope of Furans in the One-Pot Synthesis of Indoles. With the optimized conditions in hand, we explored the generality of this one-pot recyclization reaction. First, the scope of the recyclization reaction of amino alcohol **1a** with different furans **2** was examined (Table 2). Thus, 2-alkyl- and 2-cycloalkylfurans **2a–d** were smoothly converted into the corresponding indoles **3ab–ad** in good to excellent yields (entries 1–5). We also showed that the recyclization reaction could be easily scaled up to a gram-scale synthesis of indole **3aa** (entry 2). Different 2-arylfurans **2e–m**, possessing MeO–, Br–, F–, and CF_3– groups, were also efficiently transformed into indoles **3ae–am** (entries 6–14). Remarkably, it was found that sterically bulky 2-arylfurans **2g–i** are also competent reactants in this reaction providing the corresponding indoles **3ag–ai** in good yields (entries 8–10). Furans **2n** and **2o**, possessing an ester group in a side chain, recyclized uneventfully producing indoles **3an** and **3ao** in 61% and 68% yield, respectively (entries 15 and 16). Likewise, 2,3-disubstituted furans, such as 2,3-dimethylfuran **2p** and 4,5,6,7-tetrahydrobenzofuran **2q**, produced the corresponding indoles **3ap** and **3aq** in high yields (entries 17 and 18). Benzofuran **2r** also underwent recyclization to produce benzylphenoloindole derivative **3ar** in moderate yield (entry 19). However, when C-4 substituted menthofuran **2s** was used, no recyclization product **3as** was formed (entry 20). It is noteworthy that these catalytic one-pot conditions are not only more convenient experimentally but also exhibit wider substrate scope. Thus, attempts on recyclization of intermediate **4ae** under previously developed conditions (HCl–EtOH)[6] in 12 h produced no detectable amounts of indole **3ae**. Moreover, recyclization of furylmethyl aniline **4ao** using HCl–EtOH produced transesterified indole **3an**.[9]

Scope of *o*-Aminobenzyl Alcohols in the One-Pot Synthesis of Indoles. Next, the scope of the reaction with regard to the R^1 substituent at the α-position of aminobenzyl alcohol **1** was examined (Table 3, entries 1–8). It was found that alkyl-substituted benzyl alcohols, such as methyl, isopropyl, *tert*-butyl, and cyclohexyl, can be easily transformed into indoles **3ba–ea** in good to excellent yields (entries 1–4). Likewise, aryl-containing amino alcohols, possessing F–, Me–, and MeO– groups, efficiently cyclized into indoles **3fa–ha** (entries 5–7). Recyclization of 2-thienyl-substituted benzyl alcohol **1i** produced the corresponding indole **3ia** in 41% yield (entry 8).

Next, amino alcohols possessing different substituents at the aniline ring were tested in this recyclization reaction (Table 3, entries 9–14). Thus, reaction of 4,5-difluoro- and 4,5-dimethoxybenzyl alcohols **1j** and **1k** led to the formation of indoles **3ja** and **3ka** in good yields (entries 9 and 10). 5-Trifluoromethylbenzyl alcohol **1l** and 4-bromobenzyl alcohol **1m** provided indoles **3la** and **3ma** in good yields, as well (entries 11 and 12). It is worth mentioning that 6-methylamino alcohol **1n** was not efficient in this reaction (entry 13), whereas recyclization of 6-chloroamino alcohol **1o** provided *N*-detosylated indole **3oa** in reasonable yield (entry 14).

Further Modifications of the Obtained Indoles. After establishing the scope of this one-pot recyclization reaction, we then performed several transformations that highlight the utility of the synthesized indoles. Thus, the formed indole **3ae**, upon

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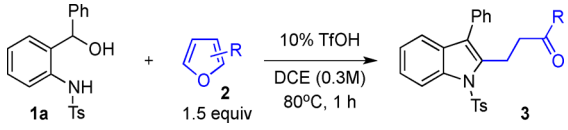
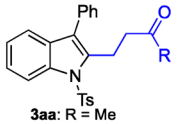
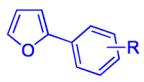
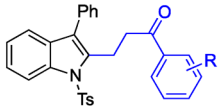
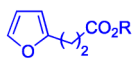
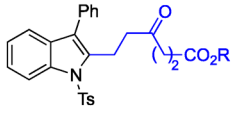
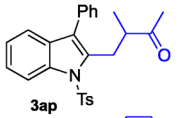
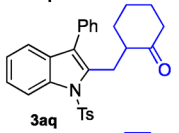
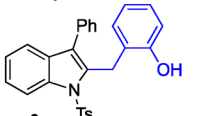
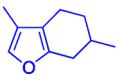
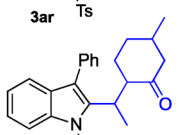
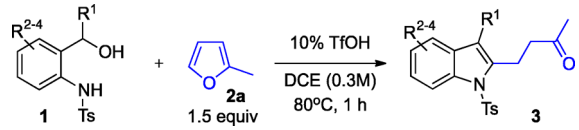
Table 2. Scope of Furans in the One-Pot Synthesis of Indoles from *o*-Aminobenzyl Alcohol[a]

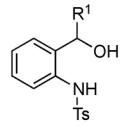
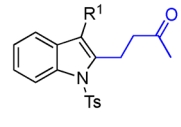
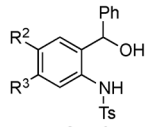
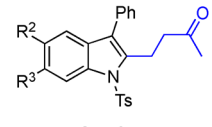
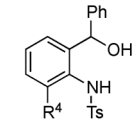
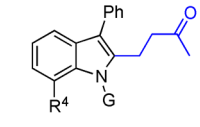


entry	furan	product	3, yield
			
1	**2a**: R = Me	**3aa**: R = Me	90%
2[b]	**2a**: R = Me	**3aa**: R = Me	83%
3	**2b**: R = *n*-Bu	**3ab**: R = *n*-Bu	95%
4	**2c**: R = Cpent	**3ac**: R = Cpent	93%
5	**2d**: R = Cy	**3ad**: R = Cy	75%
			
6[c]	**2e**: R = H	**3ae**: R = H	87%
7	**2f**: R = 2-OMe	**3af**: R = 2-OMe	85%
8	**2g**: R = 2-CF_3	**3ag**: R = 2-CF_3	56%
9	**2h**: R = 2,3-benzo	**3ah**: R = 2,3-benzo	53%
10	**2i**: R = 2,4,6-tri^{i}Pr	**3ai**: R = 2,4,6-tri^{i}Pr	48%
11	**2j**: R = 4-CF_3	**3aj**: R = 4-CF_3	60%
12	**2k**: R = 4-F	**3ak**: R = 4-F	83%
13	**2l**: R = 4-Br	**3al**: R = 4-Br	70%
14	**2m**: R = 4-OMe	**3am**: R = 4-OMe	56%
			
15	**2n**: R = Et	**3an**: R = Et	61%
16	**2o**: R = Cy	**3ao**: R = Cy	68%
			
17	**2p**	**3ap**	87%
			
18	**2q**	**3aq**	70%
			
19	**2r**	**3ar**	45%
			
20	**2s**	**3as**	0%[d]

[a]Reaction conditions: *o*-aminobenzyl alcohol **1a** (0.5 mmol), furan **2** (1.5 equiv, 0.75 mmol), and TfOH (10 mol %, 4.5 μL) were stirred in DCE (1.6 mL, 0.3 M) at 80 °C. The progress of the reaction was monitored by TLC. [b]Reaction was performed on 4.0 mmol scale in a pressure tube. [c]Reaction mixture (entries 6–14) was heated at 110 °C for 2 h. [d]Furylmethylaniline intermediate **4ar** was isolated in 95% yield.

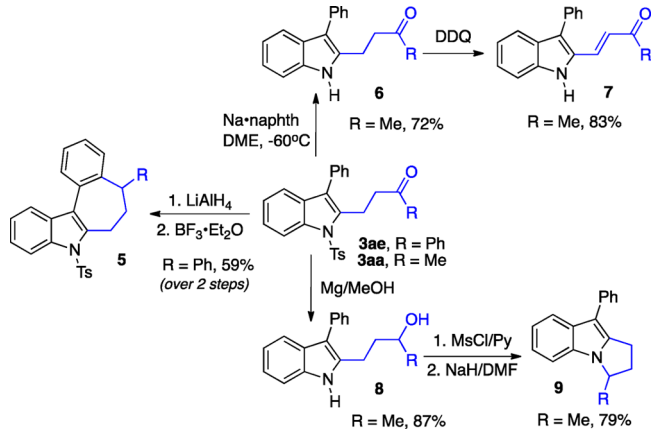
Table 3. Variation of Substituents at the α-Position and at the Aniline Phenyl Ring of *o*-Aminobenzyl Alcohols[a]



entry	aminoalcohol	product	3, yield[b]
			
1	**1b**: R^1 = Me	**3ba**: R^1 = Me	88%
2	**1c**: R^1 = ^{i}Pr	**3ca**: R^1 = ^{i}Pr	76%
3	**1d**: R^1 = ^{t}Bu	**3da**: R^1 = ^{t}Bu	63%
4	**1e**: R^1 = Cy	**3ea**: R^1 = Cy	83%
5	**1f**: R^1 = 4-FC_6H_4-	**3fa**: R^1 = 4-FC_6H_4-	72%
6	**1g**: R^1 = 4-MeC_6H_4-	**3ga**: R^1 = 4-MeC_6H_4-	60%
7	**1h**: R^1 = 4-$MeOC_6H_4$-	**3ha**: R^1 = 4-$MeOC_6H_4$-	71%
8	**1i**: R^1 = 2-thienyl	**3ia**: R^1 = 2-thienyl	41%
			
9	**1j**: R^2 = R^3 = F	**3ja**: R^2 = R^3 = F	79%
10	**1k**: R^2 = R^3 = OMe	**3ka**: R^2 = R^3 = OMe	60%
11	**1l**: R^2 = H, R^3 = CF_3	**3la**: R^2 = H, R^3 = CF_3	63%
12	**1m**: R^2 = Br, R^3 = H	**3ma**: R^2 = Br, R^3 = H	61%
			
13	**1n**: R^4 = Me	**3na**: R^4 = Me, G = Ts	traces
14	**1o**: R^4 = Cl	**3oa**: R^4 = Cl, G = H	58%

[a]Reaction conditions: *o*-aminobenzyl alcohol **1** (0.5 mmol), 2-methylfuran **2a** (1.5 equiv, 0.75 mmol, 67.1 μL), and TfOH (10 mol %, 4.5 μL) were stirred in DCE (1.6 mL, 0.3 M) at 80 °C. The progress of the reaction was monitored by TLC.

Scheme 2. Further Modifications of the Obtained Indoles



reduction of its carbonyl group into an alcohol group and subsequent Friedel–Crafts-type cyclization, was smoothly converted into tetracyclic indole core **5** (Scheme 2).[10] Removal of the tosyl group with sodium naphthalenide[11] produced *N*–H indole **6**, which upon oxidation with DDQ furnished α,β-unsaturated ketone **7**. Alternatively, upon reaction with excess Mg in methanol,[12] the tosyl group in indole **3aa** was efficiently removed with simultaneous reduction of the carbonyl group to produce alcohol **8**. The latter, upon mesylation and subsequent intramolecular *N*-alkylation,[13] was easily converted into dihydropyrroloindole **9**, an important motif found in a number of drug candidates and natural products.[14]

3. CONCLUSION

In summary, we developed an efficient one-pot Brönsted acid-catalyzed method for synthesis of indoles from *o*-aminobenzyl

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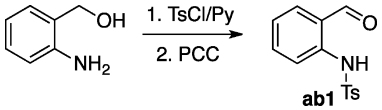
alcohols and furans. This method features initial formation of aminobenzylfuran, followed by its recyclization into indole possessing ethyl ketone moiety. This method proved to have wider substrate scope and higher functional group tolerance than the previously reported two-step procedure. The formed indoles can be further transformed into valuable 2,3- and 1,2-fused indoles and into indoles possessing an α,β-unsaturated ketone moiety.

4. EXPERIMENTAL SECTION

General Information. Column chromatography was carried out employing Silicycle Silica-P flash silica gel (40−63 μm). Precoated silica gel plates F-254 were used for thin-layer analytical chromatography (TLC). Chemical shifts for ^{1}H and ^{13}C nuclear magnetic resonance (NMR) spectra were reported in parts per million (ppm, δ). HRMS analyses were performed on either a Micromass 70-VSE mass spectrometer by using the electron ionization (EI) technique with a Spector analyzer or by using electrospray ionization (ESI) with time-of-flight (TOF) analyzer. Anhydrous solvents purchased from suppliers were additionally purified on solvent purification system and/or stored over calcium hydride/molecular sieves. 2-Aryl-/-alkylfurans, if not commercially available, were synthesized from 2-bromofuran.[15]

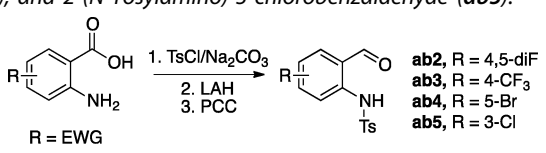
Synthesis of Starting Materials.



2-(*N*-Tosylamino)benzaldehyde **ab1** was prepared as described.[16] To a solution of 2-aminobenzyl alcohol (6.2 g, 50.0 mmol) and pyridine (1.2 equiv, 4.8 mL, 60.0 mmol) in $CHCl_3$ (165 mL) was added dropwise TsCl (0.98 equiv, 9.3 g, 49.0 mmol) in $CHCl_3$ (50 mL) at room temperature. The reaction mixture was stirred for 3 h and then quenched with water (50 mL). The organic phase was separated, washed with water, dried over Na_2SO_4, and concentrated to give the 2-(*N*-tosylamino)benzyl alcohol (13.5 g, 48,6 mmol, 97%), which was used directly in the next step.

To a stirred suspension of PCC (1.5 equiv, 15.7 g, 72.9 mmol) in CH_2Cl_2 (240 mL) was added dropwise at room temperature a solution of the 2-(*N*-tosylamino)benzyl alcohol in CH_2Cl_2 (360 mL). The mixture was stirred for 3 h. The liquid was decanted from the solid, and the remaining solid was washed several times with Et_2O (3 × 100 mL). The combined organic fractions were passed through a short pad of silica gel. The silica gel was additionally washed with CH_2Cl_2 (3 × 100 mL), and the combined organic solutions were evaporated to give the crude product. The product was recrystallized from $CHCl_3$/EtOH (1/5, 100 mL) to yield aldehyde **ab1** as a white-yellow solid (11.2 g, 40.8 mmol, 84%), which was used directly in the synthesis of alcohols **1**.

*General Procedure for the Synthesis of 2-(N-Tosylamino)-4,5-difluorobenzaldehyde (**ab2**), 2-(N-Tosylamino)-4-trifluoromethylbenzaldehyde (**ab3**), 2-(N-Tosylamino)-5-bromobenzaldehyde (**ab4**), and 2-(N-Tosylamino)-3-chlorobenzaldehyde (**ab5**).*[17]

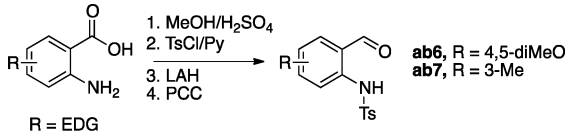


To a solution of a proper 2-aminobenzoic acid (5 mmol) and Na_2CO_3 (2.5 equiv) in distilled water (0.4 M) heated to 60 °C was added TsCl (1.25 equiv) during the course of 15 min. The mixture was then heated to 85 °C and stirred at this temperature for 3 h. The hot mixture was then cooled and mixed with ice. HCl (6 N) was added dropwise to the resulting mixture until slightly acidic pH (*caution: CO_2 evolution!*). The resulting white suspension was extracted with EtOAc (3 × 10 mL), and combined organic solutions were additionally washed with HCl (1 N), dried over Na_2SO_4, and evaporated to give the 2-(*N*-tosylamino)benzoic acid. The crude product was used directly in the next step.

Under a nitrogen atmosphere, to an ice-cooled stirred suspension of $LiAlH_4$ (2.0 equiv) in THF (0.2 M) was added dropwise a solution of 2-(*N*-tosylamino)benzoic acid in a minimum amount of THF. The reaction mixture was allowed to warm to room temperature and stirred for 3 h. After completion of the reaction (determined by TLC, eluent hexanes/EtOAc = 2:1), the reaction mixture was cooled (ice bath), and saturated aqueous NH_4Cl (2.0 mL) was added dropwise (*caution: H_2 evolution!*). The resulting sluggish mixture was filtered through Celite and concentrated. The crude product was used directly in the next step.

The resulting alcohol was oxidized to aldehyde using PCC as described previously. The obtained aldehydes **ab2**−**ab5** were used directly in the synthesis of alcohols **1**.

*General Procedure for the Synthesis of 2-(N-Tosylamino)-4,5-dimethoxybenzaldehyde (**ab6**) and 2-(N-Tosylamino)-3-methylbenzaldehyde (**ab7**).*[18]

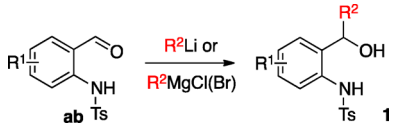


A solution of a proper 2-aminobenzoic acid (5 mmol), methanol (10 mL), and concentrated H_2SO_4 (2 mL) was heated under reflux for 24 h. The reaction mixture was cooled, concentrated, poured into ice, neutralized with solid Na_2CO_3 (*caution: CO_2 evolution!*), and extracted with CH_2Cl_2 (3 × 10 mL). The combined organic layers were washed with water and saturated aqueous $NaHCO_3$, dried over Na_2SO_4, and concentrated to provide a crude methyl 2-aminobenzoate, which was used directly in the next step.

To a solution of crude methyl 2-aminobenzoate and pyridine (3 equiv) in CH_2Cl_2 (10 mL) was added dropwise a solution of TsCl (0.98 equiv) in CH_2Cl_2 (5 mL) at room temperature. The reaction mixture was stirred for 3 h and then quenched with water (10 mL). The organic layer was separated, washed with water, dried over Na_2SO_4, and concentrated. The crude product was used directly in the next step.

Reduction of methyl ester with $LiAlH_4$ and subsequent oxidation of the resulting alcohol to aldehyde with PCC was performed as described previously. The obtained aldehydes **ab6**−**ab7** were used directly in the synthesis of alcohols **1**.

*General Procedure for the Synthesis of 2-(N-Tosylamino)benzyl Alcohols **1** from 2-(N-Tosylamino)benzaldehydes **ab**.*



An oven-dried, argon-flushed 25 mL flask was loaded with 2-(*N*-tosylamino)benzaldehyde **ab** (1.0 mmol) and THF (8.0 mL, 0.125 M). The flask was cooled to −78 °C, and a solution of R^2Li/R^2 MgCl(Br) (2.2 mmol, 2.2 equiv) was added dropwise. The resulting mixture was allowed to warm up to 0 °C, and saturated NH_4Cl (5 mL) was added. The organic layer was separated, and the water layer was washed with ethyl acetate (2 × 5 mL). The combined organic solutions were dried over Na_2SO_4, and the solvents were evaporated. The resulted crude product was purified by recrystallization from ^{i}PrOH to give pure alcohol **1** as a white solid.

*N-(2-(Hydroxy(phenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1a**).* Compound **1a** was obtained from aldehyde **ab1** and PhLi, 332 mg (94%), R_f = 0.09 (hexanes/EtOAc = 4/1). The spectral data matched those of the previously synthesized material.[6] ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.00 (s, 1H), 7.48−7.46 (m, 3H), 7.32−7.30 (m, 3H), 7.23 (t, J = 7.3 Hz, 1H), 7.17−7.14 (m, 4H), 7.02 (t, J = 7.7 Hz, 1H), 6.92 (d, J = 7.3 Hz, 1H), 5.67 (s, 1H), 2.69 (broad s, 1H), 2.36 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.6, 141.0, 136.6, 135.8, 133.1, 129.5, 129.0 (2C), 128.6, 127.9, 127.2, 126.3, 124.6, 122.1, 74.7, 21.5. HRMS (EI+): calcd for $C_{20}H_{19}NO_3S$ $[M]^+$ 353.1086, found 353.1087.

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*N-(2-(1-Hydroxyethyl)phenyl)-4-methylbenzenesulfonamide (**1b**).* Compound **1b** was obtained from aldehyde **ab1** and MeLi, 255 mg (88%), R_f = 0.18 (hexanes/EtOAc = 4/1). The spectral data matched those of the previously synthesized material.[19] ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.51 (broad s, 1H), 7.68−7.67 (m, 2H), 7.41 (d, J = 8.1 Hz, 1H), 7.22−7.20 (m, 2H), 7.17 (dt, J = 7.3, 1.7 Hz, 1H), 7.09−7.02 (m, 2H), 4.84 (q, J = 6.6 Hz, 1H), 2.68 (broad s, 1H), 2.36 (s, 3H), 1.34 (d, J = 6.6 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.7, 136.9, 135.7, 134.0, 129.6, 128.5, 127.1, 127.0, 124.6, 121.8, 69.8, 22.8, 21.5. HRMS (EI+): calcd for $C_{15}H_{17}NO_3S$ $[M]^+$ 291.0929, found 291.0935.

*N-(2-(1-Hydroxy-2-methylpropyl)phenyl)-4-methylbenzenesulfonamide (**1c**).* Compound **1c** was obtained from aldehyde **ab1** and ^{i}PrMgCl, 213 mg (67%), R_f = 0.21 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.48 (broad s, 1H), 7.67−7.66 (m, 2H), 7.48 (d, J = 7.5 Hz, 1H), 7.21−7.15 (m, 3H), 7.00−6.95 (m, 2H), 4.23 (d, J = 9.0 Hz, 1H), 2.70 (broad s, 1H), 2.36 (s, 3H), 1.66 (m, 1H), 1.00 (d, J = 6.6 Hz, 3H), 0.43 (d, J = 6.8 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.7, 136.8, 135.8, 132.0, 129.5, 129.2, 128.3, 127.1, 123.9, 121.3, 81.3, 33.4, 21.5, 19.4, 19.2. HRMS (EI+): calcd for $C_{17}H_{21}NO_3S$ $[M]^+$ 319.1242, found 319.1245.

*N-(2-(1-Hydroxy-2,2-dimethylpropyl)phenyl)-4-methylbenzenesulfonamide (**1d**).* Compound **1d** was obtained from aldehyde **ab1** and ^{t}BuMgCl, 209 mg (63%), R_f = 0.23 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.86 (broad s, 1H), 7.76−7.74 (m, 2H), 7.38 (d, J = 8.3 Hz, 1H), 7.24−7.23 (m, 2H), 7.14 (m, 1H), 6.99−6.93 (m, 2H), 4.51 (s, 1H), 2.53 (broad s, 1H), 2.38 (s, 3H), 0.98 (s, 9H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.6, 137.1, 136.6, 130.5, 129.6, 128.4, 128.1, 127.3, 122.6, 119.4, 84.0, 37.3, 26.3, 21.5. HRMS (EI+): calcd for $C_{18}H_{23}NO_3S$ $[M]^+$ 333.1399, found 333.1404.

*N-(2-(Cyclohexyl(hydroxy)methyl)phenyl)-4-methylbenzenesulfonamide (**1e**).* Compound **1e** was obtained from aldehyde **ab1** and CyMgBr, 305 mg (85%), R_f = 0.20 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.62 (broad s, 1H), 7.70−7.69 (m, 2H), 7.58 (d, J = 8.1 Hz, 1H), 7.23−7.17 (m, 3H), 7.00−6.97 (dt, J = 7.5, 1.1 Hz, 1H), 6.92 (dd, J = 7.7, 1.5 Hz, 1H), 4.29 (d, J = 9.0 Hz, 1H), 2.65 (broad s, 1H), 2.37 (s, 3H), 2.05 (m, 1H), 1.73 (m, 1H), 1.58 (m, 1H), 1.48 (m, 1H), 1.30 (m, 1H), 1.14−1.01 (m, 2H), 0.95−0.89 (m, 2H), 0.79 (m, 1H), 0.67 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.7, 137.0, 135.9, 131.2, 129.6, 129.3, 128.3, 127.1, 123.6, 120.9, 80.7, 42.5, 29.6, 29.5, 26.1, 25.6, 25.4, 21.5. HRMS (EI+): calcd for $C_{20}H_{25}NO_3S$ $[M]^+$ 359.1555, found 333.1558.

*N-(2-((4-Fluorophenyl)(hydroxy)methyl)phenyl)-4-methylbenzenesulfonamide (**1f**).* Compound **1f** was obtained from aldehyde **ab1** and 4-FC_6H_4MgBr, 248 mg (67%), R_f = 0.13 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.06 (broad s, 1H), 7.47 (d, J = 7.9 Hz, 1H), 7.44−7.43 (m, 2H), 7.21 (dt, J = 8.1, 1.5 Hz, 1H), 7.13−7.12 (m, 2H), 7.10−7.08 (m, 2H), 7.02 (dt, J = 7.5, 0.7 Hz, 1H), 6.94−6.90 (m, 3H), 5.72 (s, 1H), 3.10 (broad s, 1H), 2.38 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 163.2 (d, J_{C-F} = 246.0 Hz), 143.7, 136.9 (d, J_{C-F} = 2.8 Hz), 136.4, 135.7, 133.0, 129.5, 129.1 (d, J_{C-F} = 3.7 Hz), 127.9 (d, J_{C-F} = 8.3 Hz), 127.0, 124.7, 122.1, 115.3 (d, J_{C-F} = 22.2 Hz), 74.1, 21.5. HRMS (EI+): calcd for $C_{20}H_{18}NO_3SF$ $[M]^+$ 371.0991, found 371.0986.

*N-(2-(Hydroxy(p-tolyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1g**).* Compound **1g** was obtained from aldehyde **ab1** and 4-MeC_6H_4MgBr, 256 mg (70%), R_f = 0.12 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.08 (broad s, 1H), 7.49−7.47 (m, 3H), 7.22 (m, 1H), 7.15−7.10 (m, 4H), 7.04−7.00 (m, 3H), 6.93 (d, J = 7.7 Hz, 1H), 5.62 (d, J = 3.1 Hz, 1H), 2.81 (d, J = 3.5 Hz, 1H), 2.39 (s, 3H), 2.37 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.5, 138.0, 137.5, 136.5, 135.8, 133.1, 129.4, 129.3, 128.9, 128.8, 127.1, 126.2, 124.5, 121.9, 74.5, 21.5, 21.1. HRMS (EI+): calcd for $C_{21}H_{21}NO_3S$ $[M]^+$ 367.1242, found 367.1240.

*N-(2-(Hydroxy(4-methoxyphenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1h**).* Compound **1h** was obtained from aldehyde **ab1** and 4-$MeOC_6H_4MgBr$, 321 mg (84%), R_f = 0.06 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.19 (broad s, 1H), 7.47−7.45 (m, 3H), 7.19 (m, 1H), 7.13−7.11 (m, 2H), 7.05−6.99 (m, 3H), 6.91 (d, J = 7.7 Hz, 1H), 6.80−6.78 (m, 2H), 5.59 (broad s, 1H), 3.79 (s, 3H), 3.11 (m, 1H), 2.37 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 159.1, 143.5, 136.5, 135.7, 133.2, 129.4, 128.9, 128.7, 127.6, 127.1, 124.4, 121.8, 113.9, 74.3, 55.2, 21.4. HRMS (EI+): calcd for $C_{21}H_{21}NO_4S$ $[M]^+$ 383.1191, found 383.1194.

*N-(2-(Hydroxy(thiophene-2-yl)methyl)phenyl)-4-methylbenzenesulfonamide (**1i**).* Compound **1i** was obtained from aldehyde **ab1** and thiophene-2-yl lithium (prepared by mixing 2-bromothiophene with 1.1 equiv of n-BuLi in THF at −78 °C), 341 mg (95%), R_f = 0.15 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.10 (broad s, 1H), 7.49−7.48 (m, 2H), 7.45 (d, J = 8.1 Hz, 1H), 7.26−7.21 (m, 2H), 7.15−7.13 (m, 2H), 7.10−7.03 (m, 2H), 6.87 (dd, J = 5.1, 3.5 Hz, 1H), 6.59 (m, 1H), 5.93 (m, 1H), 3.41 (m, 1H), 2.43 (s, 3H). ^{13}C NMR (125 MHz, acetone-d_6) δ 148.7, 144.9, 138.3, 137.4, 134.7, 130.8, 129.9 (2C), 128.4, 126.4, 125.6, 125.3, 121.9, 72.6, 21.8. HRMS (EI+): calcd for $C_{18}H_{17}NO_3S_2$ $[M]^+$ 359.0650, found 359.0648.

*N-(4,5-Difluoro-2-(hydroxy(phenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1j**).* Compound **1j** was obtained from aldehyde **ab2** and PhLi, 303 mg (78%), R_f = 0.19 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.46−7.45 (m, 2H), 7.30−7.26 (m, 4H), 7.18−7.16 (m, 2H), 7.09−7.08 (m, 2H), 6.66 (dd, J = 10.8, 8.6 Hz, 1H), 5.53 (s, 1H), 2.39 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 149.5 (dd, J_{C-F} = 249.7, 13.9 Hz), 147.1 (dd, J_{C-F} = 247.8, 12.9 Hz), 144.3, 140.4, 135.8, 131.8 (J_{C-F} = 6.5 Hz), 131.0, 129.8, 128.8, 128.2, 127.1, 126.3, 117.6 (J_{C-F} = 19.4 Hz), 112.2 (J_{C-F} = 21.3 Hz), 73.2, 21.5. HRMS (EI+): calcd for $C_{20}H_{17}NO_3SF_2$ $[M]^+$ 389.0897, found 389.0895.

*N-(4,5-Dimethoxy-2-(hydroxy(phenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1k**).* Compound **1k** was obtained from aldehyde **ab6** and PhLi, 313 mg (76%), R_f = 0.10 (hexanes/EtOAc = 2/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.53−7.51 (m, 2H), 7.31−7.27 (m, 4H), 7.21−7.19 (m, 2H), 7.15−7.13 (m, 2H), 6.83 (s, 1H), 6.45 (s, 1H), 5.62 (d, J = 3.3 Hz, 1H), 3.75 (s, 3H), 3.68 (s, 3H), 2.73 (broad s, 1H), 2.41 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 148.7, 146.8, 143.8, 141.6, 136.3, 129.6, 128.7, 128.5, 127.7, 127.4, 126.3, 111.5, 108.6, 73.0, 55.9, 21.5. HRMS (EI+): calcd for $C_{22}H_{23}NO_5S$ $[M]^+$ 413.1297, found 413.1294.

*N-(2-(Hydroxy(phenyl)methyl)-5-(trifluoromethyl)phenyl)-4-methylbenzenesulfonamide (**1l**).* Compound **1l** was obtained from aldehyde **ab3** and PhLi, 341 mg (81%), R_f = 0.16 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.34 (broad s, 1H), 7.72 (s, 1H), 7.45−7.44 (m, 2H), 7.33−7.31 (m, 3H), 7.26−7.24 (d, J = 8.1 Hz, 1H), 7.18−7.14 (m, 4H), 7.07 (d, J = 8.1 Hz, 1H), 5.77 (s, 1H), 3.18 (s, 1H), 2.38 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 144.2, 140.3, 136.4, 136.0, 135.7, 131.1 (q, J_{C-F} = 32.4 Hz), 129.7, 129.5, 128.8, 128.2, 127.2, 126.2, 123.5 (q, J_{C-F} = 272.8 Hz), 120.8, 118.0, 74.5, 21.5. HRMS (EI+): calcd for $C_{21}H_{18}NO_3SF_3$ $[M]^+$ 421.0960, found 421.0940.

*N-(4-Bromo-2-(hydroxy(phenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1m**).* Compound **1m** was obtained from aldehyde **ab4** and PhLi, 401 mg (93%), R_f = 0.12 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.02 (broad s, 1H), 7.45−7.43 (m, 2H), 7.33−7.32 (m, 5H), 7.16−7.14 (m, 4H), 7.07 (d, J = 1.8 Hz, 1H), 5.61 (s, 1H), 2.96 (broad s, 1H), 2.39 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 143.9, 140.2, 136.1, 135.1, 134.6, 131.8, 131.7, 129.7, 128.8, 128.2, 127.1, 126.3, 123.5, 117.7, 74.2, 21.5. HRMS (ES+): calcd for $C_{20}H_{18}NO_3SBrNa$ $[M + Na]^+$ 454.0088, found 454.0090.

*N-(2-(Hydroxy(phenyl)methyl)-6-methylphenyl)-4-methylbenzenesulfonamide (**1n**).* Compound **1n** was obtained from aldehyde **ab7** and PhLi, 235 mg (64%), R_f = 0.08 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.63−7.61 (m, 2H), 7.33−7.27 (m, 5H), 7.21−7.19 (m, 2H), 7.11−7.07 (m, 2H), 6.94 (d, J = 9.0 Hz, 1H), 6.73 (s, 1H), 5.96 (s, 1H), 3.11 (broad s, 1H), 2.45 (s, 3H), 1.94 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 144.1, 142.8, 142.5, 137.1, 137.0, 132.0, 130.7, 129.8, 128.3, 128.0, 127.3, 127.2, 127.1, 126.5, 71.3, 21.6, 18.4. HRMS (EI+): calcd for $C_{21}H_{21}NO_3S$ $[M]^+$ 367.1242, found 367.1241.

*N-(2-Chloro-6-(hydroxy(phenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1o**).* Compound **1o** was obtained from aldehyde **ab5** and PhLi, 232 mg (60%), R_f = 0.10 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.55−7.54 (m, 2H), 7.37−7.35 (m, 2H), 7.32−7.21 (m, 6H), 7.14−7.13 (m, 2H), 6.67 (broad s, 1H), 6.61 (s, 1H), 3.78 (broad s, 1H), 2.42 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ

146.4, 144.5, 142.9, 135.6, 132.0, 130.2, 129.6, 129.2, 129.0, 128.6, 128.1, 127.6, 127.0, 126.1, 70.2, 21.6. HRMS (EI+): calcd for $C_{20}H_{18}NO_3SCl$ $[M]^+$ 387.0696, found 387.0697.

One-Pot Synthesis of Indoles from *o*-Aminobenzyl Alcohols. *Optimization of the One-Pot Synthesis of Indoles from o-Aminobenzyl Alcohols (Table 1).* In a 1 mL Wheaton microreactor vial, equipped with a Teflon pressure cap, a mixture of *o*-aminobenzyl alcohol **1a** (0.1 mmol, 35.3 mg), 2-methylfuran **2a** (0.2 mmol, 2 equiv, 18 μL), catalyst, and DCE (0.4 mL, 0.25 M) was stirred at 80 °C. The progress of the reaction was monitored by TLC (eluent hexanes/EtOAc = 4:1). After completion, the reaction mixture was filtered through Celite, the solvent was evaporated, and the crude product was analyzed by ^{1}H NMR.

General Procedure for One-Pot Synthesis of Indoles from Aminobenzyl Alcohols and Furans. In a 3 mL Wheaton microreactor vial, to a stirred suspension of aminobenzyl alcohol **1** (0.4 mmol) and furan **2** (0.6 mmol, 1.5 equiv) in DCE (1.3 mL, 0.3M), triflic acid (0.04 mmol, 10 mol %, 3.6 μL) was added. The microreactor was capped with a Teflon pressure cap and placed into preheated (80 °C) aluminum block. The resulted solution was stirred for 1−2 h at this temperature. After completion of the reaction (determined by TLC, eluent hexanes/EtOAc = 4:1), the microreactor, containing product **3**, was cooled, and the reaction mixture was diluted with 1.5 mL of hexanes. The product was purified using flash silica gel column chromatography.

*N-Tosyl-2-(3-oxobutyl)-3-phenylindole (**3aa**):* 150 mg (90%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.42 (hexanes/EtOAc = 4/1). The spectral data matched those of the previously synthesized material.[6] ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (d, *J* = 8.4 Hz, 1H), 7.65 (d, *J* = 8.1 Hz, 2H), 7.46−7.43 (m, 2H), 7.39−7.28 (m, 5H), 7.23−7.18 (m, 3H), 3.35 (t, *J* = 7.7 Hz, 2H), 2.94 (t, *J* = 7.7 Hz, 2H), 2.34 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.3, 144.9, 136.7, 136.3, 135.5, 132.6, 130.6, 129.9, 129.8, 128.7, 127.7, 126.4, 124.7, 124.4, 123.9, 119.5, 115.2, 44.7, 29.8, 21.6, 21.3. HRMS (EI+): calcd for $C_{25}H_{23}NO_3S$ $[M]^+$ 417.1399, found 417.1388.

*N-Tosyl-2-(3-oxoheptyl)-3-phenylindole (**3ab**):* 174 mg (95%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.42 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 2H), 7.45−7.42 (m, 2H), 7.39−7.29 (m, 4H), 7.26−7.22 (m, 2H), 7.19 (d, *J* = 8.4 Hz, 2H), 3.22 (t, *J* = 7.7 Hz, 2H), 2.89 (t, *J* = 7.7 Hz, 2H), 2.37 (t, *J* = 7.3 Hz, 2H), 2.32 (s, 3H), 1.58−1.52 (m, 2H), 1.34−1.26 (m, 2H), 0.90 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 209.7, 144.9, 136.6, 136.5, 135.5, 132.7, 130.5, 129.8 (2C), 128.7, 127.6, 126.4, 124.7, 124.3, 123.9, 119.5, 115.2, 43.7, 42.4, 26.0, 22.3, 21.6, 21.3, 13.9. HRMS (EI+): calcd for $C_{28}H_{29}NO_3S$ $[M]^+$ 459.1868, found 459.1867.

*N-Tosyl-2-(3-oxo-3-cyclopentylpropyl)-3-phenylindole (**3ac**):* 175 mg (93%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.36 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.27 (d, *J* = 9.1 Hz, 1H), 7.65 (d, *J* = 8.1 Hz, 2H), 7.45−7.42 (m, 2H), 7.38−7.28 (m, 5H), 7.24−7.22 (m, 1H), 7.19 (d, *J* = 8.1 Hz, 2H), 3.24 (t, *J* = 7.7 Hz, 2H), 2.96 (t, *J* = 7.7 Hz, 2H), 2.85 (pent, *J* = 8.4 Hz, 1H), 2.34 (s, 3H), 1.84−1.70 (m, 4H), 1.68−1.54 (m, 4H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 211.6, 144.8, 136.7, 136.7, 135.7, 132.8, 130.6, 129.8, 129.8, 128.7, 127.6, 126.4, 124.6, 124.2, 123.8, 119.5, 115.2, 51.3, 42.8, 28.8, 26.0, 21.5, 21.4. HRMS (EI+): calcd for $C_{29}H_{29}NO_3S$ $[M]^+$ 471.1868, found 471.1877.

*N-Tosyl-2-(3-oxo-3-cyclohexylpropyl)-3-phenylindole (**3ad**):* 146 mg (75%), yellow oil, eluent: hexanes/EtOAc = 9/1; R_f = 0.41. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.27 (d, *J* = 8.4 Hz, 1H), 7.64 (d, *J* = 8.0 Hz, 2H), 7.45−7.42 (m, 2H), 7.38−7.27 (m, 5H), 7.26−7.21 (m, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 3.21 (t, *J* = 7.7 Hz, 2H), 2.94 (t, *J* = 7.7 Hz, 2H), 2.34 (s, 3H), 1.85−1.75 (m, 4H), 1.66−1.64 (m, 1H), 1.34−1.17 (m, 6H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 212.5, 144.8, 136.8, 136.7, 135.6, 132.7, 130.6, 129.8, 129.7, 128.6, 127.6, 126.4, 124.6, 124.2, 123.8, 119.4, 115.2, 50.7, 41.7, 28.5, 25.9, 25.7, 21.5, 21.3. HRMS (ES+): calcd for $C_{30}H_{32}NO_3S$ $[M + H]^+$ 486.2103, measured 486.2081.

*N-Tosyl-2-(3-phenyl-3-oxopropyl)-3-phenylindole (**3ae**):* 166 mg (87%), yellow oil, eluent: hexanes/EtOAc = 9/1; R_f = 0.38. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.30 (d, *J* = 9.7 Hz, 1H), 7.95−7.93 (m, 2H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.56−7.53 (m, 1H), 7.45−7.42 (m, 4H), 7.38−7.31 (m, 5H), 7.25−7.19 (m, 3H), 3.49−3.42 (m, 4H), 2.34 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 198.6, 144.9, 136.8, 136.6, 136.5, 135.6, 133.0, 132.7, 130.6, 129.9, 129.8, 128.7, 128.5, 128.1, 127.7, 126.4, 124.7, 124.5, 123.9, 119.5, 115.2, 40.1, 21.8, 21.5. HRMS (ES+): calcd for $C_{30}H_{25}NO_3S$ $[M + H]^+$ 480.1633, found 480.1638.

*N-Tosyl-2-[3-(2-methoxyphenyl)-3-oxopropyl]-3-phenylindole (**3af**):* 173 mg (85%), colorless oil, eluent: hexanes/EtOAc = 5/1; R_f = 0.24. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.30 (d, *J* = 8.4 Hz, 1H), 7.74−7.72 (m, 1H), 7.68 (d, *J* = 8.0 Hz, 2H), 7.44−7.42 (m, 3H), 7.36−7.32 (m, 5H), 7.24−7.22 (m, 1H), 7.19 (d, *J* = 8.0 Hz, 2H), 7.01−6.92 (m, 2H), 3.84 (s, 3H), 3.49 (t, *J* = 7.1 Hz, 2H), 3.41 (t, *J* = 7.1 Hz, 2H), 2.34 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 200.4, 158.8, 144.7, 137.2, 136.7, 135.8, 133.4, 132.9, 130.7, 130.4, 129.9 (2C), 129.7 (2C), 128.7 (2C), 127.8, 127.5, 126.4 (2C), 124.5, 124.1, 123.8, 120.5, 119.4, 115.2, 111.5, 55.5, 45.0, 21.8, 21.5. HRMS (EI+): calcd for $C_{31}H_{27}NO_4S$ $[M]^+$ 509.1661, found 509.1663.

*N-Tosyl-2-[3-(2-trifluoromethylphenyl)-3-oxopropyl]-3-phenylindole (**3ag**):* 122 mg (56%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.26. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.27 (d, *J* = 8.4 Hz, 1H), 7.71−7.69 (m, 1H), 7.67 (d, *J* = 8.4 Hz, 2H), 7.61−7.58 (m, 1H), 7.56−7.50 (m, 2H), 7.47−7.44 (m, 2H), 7.41−7.29 (m, 5H), 7.24−7.20 (m, 3H), 3.43−3.36 (m, 4H), 2.35 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 202.7, 144.9, 139.9, 136.7, 135.8, 135.6, 132.5, 131.8, 130.5, 130.0, 129.9, 129.7, 128.7, 127.8, 127.1, 126.9 (q, J_{C-F} = 32.4 Hz), 126.6 (q, J_{C-F} = 4.4 Hz), 126.4, 124.8, 124.6, 123.9, 123.6 (q, J_{C-F} = 272.5 Hz), 119.6, 115.1, 44.4, 21.6, 21.4. HRMS (EI+): calcd for $C_{31}H_{24}NO_3SF_3$ $[M]^+$ 547.1429, found 547.1435.

*N-Tosyl-2-[3-(naphthyl-1)-3-oxopropyl]-3-phenylindole (**3ah**):* 112 mg (53%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.28. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.60 (d, *J* = 8.4 Hz, 1H), 8.29 (d, *J* = 8.8 Hz, 1H), 7.97 (d, *J* = 8.4 Hz, 1H), 7.90−7.86 (m, 2H), 7.69 (d, *J* = 8.0 Hz, 2H), 7.59−7.51 (m, 2H), 7.48−7.43 (m, 3H), 7.40−7.32 (m, 5H), 7.25−7.22 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 3.53−3.49 (m, 4H), 2.34 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 202.8, 144.9, 136.8, 136.5, 135.7, 135.5, 133.9, 133.2, 132.9, 132.7, 132.6, 130.5, 130.1, 129.8, 128.8, 128.4, 127.8, 127.7, 126.4, 126.3, 125.8, 124.7, 124.5, 124.4, 123.9, 119.5, 115.2, 43.3, 22.1, 21.5. HRMS (ES+): calcd for $C_{34}H_{27}NO_3S$ $[M + H]^+$ 530.1790, found 530.1791.

*N-Tosyl-2-[3-(2,4,6-triisopropylphenyl)-3-oxopropyl]-3-phenylindole (**3ai**):* 116 mg (48%), colorless oil, eluent: hexanes/EtOAc = 9/1; R_f = 0.33. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 2H), 7.48−7.39 (m, 3H), 7.34−7.31 (m, 4H), 7.23−7.20 (m, 3H), 6.98 (s, 2H), 3.45 (t, *J* = 7.5 Hz, 2H), 3.25 (t, *J* = 7.5 Hz, 2H), 2.88 (sept, *J* = 6.6 Hz, 1H), 2.60 (sept, *J* = 6.6 Hz, 2H), 2.36 (s, 3H), 1.25 (d, *J* = 6.6 Hz, 6H), 1.20 (d, *J* = 6.6 Hz, 12H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 209.4, 149.4, 144.8, 143.6, 137.5, 136.6, 136.3, 135.8, 132.9, 130.5, 129.9, 129.8, 128.7, 127.7, 126.4, 124.6, 124.4, 123.8, 121.0, 119.4, 115.1, 47.7, 34.3, 30.9, 24.5, 24.0, 21.6, 21.1. HRMS (EI+): calcd for $C_{39}H_{43}NO_3S$ $[M]^+$ 605.2964, found 605.2958.

*N-Tosyl-2-[3-(4-trifluoromethylphenyl)-3-oxopropyl]-3-phenylindole (**3aj**):* 131 mg (60%), colorless oil; eluent: hexanes/EtOAc = 9/1; R_f = 0.23. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.29 (d, *J* = 8.4 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.66 (d, *J* = 8.4 Hz, 2H) 7.45−7.42 (m, 2H), 7.39−7.30 (m, 5H), 7.25−7.22 (m, 1H), 7.20 (d, *J* = 8.4 Hz, 2H), 3.51−3.43 (m, 4H), 2.34 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 197.7, 145.0, 139.2, 136.8, 136.0, 135.5, 134.3 (q, J_{C-F} = 32.4 Hz), 132.6, 130.5, 129.9, 129.8, 128.8, 128.4, 127.8, 126.4, 125.6 (q, J_{C-F} = 3.0 Hz), 124.9, 124.8, 124.0, 123.7 (q, J_{C-F} = 272.7 Hz), 119.6, 115.2, 40.4, 21.8, 21.5. HRMS (EI+): calcd for $C_{31}H_{24}NO_3SF_3$ $[M]^+$ 547.1429, found 547.1437.

*N-Tosyl-2-[3-(4-fluorophenyl)-3-oxopropyl]-3-phenylindole (**3ak**):* 165 mg (83%), light blue oil; eluent: hexanes/EtOAc = 7/1; R_f = 0.31. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.30 (d, *J* = 8.8 Hz, 1H), 7.98−7.95 (m, 2H), 7.67 (d, *J* = 7.7 Hz, 2H), 7.45−7.42 (m, 2H), 7.38−7.31 (m, 5H), 7.25−7.19 (m, 3H), 7.11−7.08 (m, 2H), 3.44 (s, 4H), 2.34 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 197.0, 165.7 (d, J_{C-F} = 255 Hz), 144.9, 136.8, 136.4, 135.6, 133.1, 132.6, 130.7 (d, J_{C-F} = 9.25 Hz), 130.5, 129.9, 129.8, 128.8, 127.7, 126.4, 124.6, 124.4

(d, J_{C-F} = 105 Hz), 119.6, 115.7, 115.5, 115.2, 40.0, 21.8, 21.5. HRMS (EI+): calcd for $C_{30}H_{24}NO_3SF$ $[M]^+$ 497.1461, found 497.1455.

N-Tosyl-2-[3-(4-bromophenyl)-3-oxopropyl]-3-phenylindole (**3al**): 156 mg (70%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.28. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.29 (d, J = 8.0 Hz, 1H), 7.80 (d, J = 8.4 Hz, 2H), 7.67 (d, J = 8.0 Hz, 2H), 7.57 (d, J = 8.8 Hz, 2H), 7.45−7.45 (m, 2H), 7.39−7.30 (m, 5H), 7.25−7.19 (m, 3H), 3.43 (s, 4H), 2.34 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 197.6, 144.9, 136.8, 136.2, 135.5, 135.3, 132.6, 131.8, 130.5, 129.9, 129.8, 129.6, 128.8, 128.2, 127.7, 126.4, 124.8, 124.7, 123.9, 119.6, 115.2, 40.0, 21.8, 21.5. HRMS (ES+): calcd for $C_{30}H_{24}NO_3SBr$ $[M + H]^+$ 558.0739, found 558.0742.

N-Tosyl-2-[3-(4-methoxyphenyl)-3-oxopropyl]-3-phenylindole (**3am**): 114 mg (56%), colorless oil, eluent: hexanes/EtOAc = 4/1; R_f = 0.33. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.29 (d, J = 9.1 Hz, 1H), 7.92 (d, J = 8.4 Hz, 2H), 7.68−7.66 (m, 2H), 7.44−7.41 (m, 2H), 7.37−7.29 (m, 5H), 7.24−7.21 (m, 1H), 7.19 (d, J = 8.4 Hz, 2H), 6.91−6.89 (m, 2H), 3.86 (s, 3H), 3.41 (s, 4H), 2.34 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 197.2, 163.4, 144.8, 136.8, 132.7, 130.6, 130.3, 129.9, 129.5, 128.9, 128.7, 128.0, 127.6, 126.4, 125.9, 124.7, 124.3, 123.9, 119.5, 115.2, 113.6, 55.4, 39.8, 21.9, 21.5. HRMS (ES+): calcd for $C_{31}H_{28}NO_4S$ $[M + H]^+$ 510.1739, found 510.1741.

N-Tosyl-2-[3-oxo-5-(etoxycarbonyl)pentyl]-3-phenylindole (**3an**): 123 mg (61%), yellow oil, eluent: hexanes/EtOAc = 5/1; R_f = 0.20. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (d, J = 9.7 Hz, 1H), 7.64 (d, J = 8.4 Hz, 2H), 7.45−7.42 (m, 2H), 7.38−7.27 (m, 5H), 7.23−7.18 (m, 3H), 4.12 (q, J = 7.0 Hz, 2H), 3.26 (t, J = 7.7 Hz, 2H), 2.96 (t, J = 7.7 Hz, 2H), 2.73 (t, J = 6.6 Hz, 2H), 2.58 (t, J = 6.6 Hz, 2H), 2.34 (s, 3H), 1.24 (t, J = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.3, 172.6, 144.8, 136.7, 136.3, 135.6, 132.6, 130.5, 129.8, 129.7, 128.7, 127.7, 126.3, 124.7, 124.4, 123.9, 119.5, 115.2, 60.6, 43.7, 36.9, 28.0, 21.5, 21.5, 14.2. HRMS (EI+): calcd for $C_{29}H_{29}NO_5S$ $[M]^+$ 503.1766, found 503.1759.

N-Tosyl-2-[3-oxo-5-(cyclohexyloxycarbonyl)pentyl]-3-phenylindole (**3ao**): 189 mg (68%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.21. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.26 (d, J = 8.0 Hz, 1H), 7.65−7.63 (m, 2H), 7.45−7.42 (m, 2H), 7.39−7.36 (m, 1H), 7.34−7.26 (m, 4H), 7.23−7.17 (m, 3H), 4.74 (sept, J = 4.2 Hz, 1H), 3.28−3.24 (m, 2H), 2.98−2.94 (m, 2H), 2.73 (t, J = 6.7 Hz, 2H), 2.56 (t, J = 6.6 Hz, 2H), 2.33 (s, 3H), 1.83−1.80 (m, 2H), 1.73−1.68 (m, 2H), 1.55−1.50 (m, 1H), 1.44−1.22 (m, 5H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 207.3, 172.1, 144.9, 136.7, 136.3, 135.6, 132.6, 130.5, 129.8, 129.8, 128.7, 127.7, 126.4, 124.7, 124.4, 123.9, 119.5, 115.2, 72.9, 43.7, 37.1, 31.5, 28.4, 25.3, 23.7, 21.6, 21.3. HRMS (EI+): calcd for $C_{30}H_{35}NO_5S$ $[M]^+$ 557.2236, found 557.2243.

N-Tosyl-2-(2-methyl-3-oxobutyl)-3-phenylindole (**3ap**): 150 mg (87%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.31. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, J = 8.0 Hz, 1H), 7.61 (d, J = 8.0 Hz, 2H), 7.45−7.42 (m, 2H), 7.39−7.27 (m, 5H),7.23−7.20 (m, 1H), 7.18 (d, J = 8.0 Hz, 2H), 3.47 (dd, J = 14.4, 4.4 Hz, 1H), 3.32−3.25 (m, 1H), 2.97 (dd, J = 14.4, 9.5 Hz, 1H), 2.33 (s, 3H), 2.13 (s, 3H), 0.82 (d, J = 7.3 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 211.8, 144.8, 137.0, 135.3, 135.1, 132.7, 130.8, 130.2, 129.7, 128.7, 127.7, 126.8, 126.3, 124.8, 124.1, 119.6, 115.5, 47.5, 29.4, 28.5, 21.5, 15.4. HRMS (EI+): calcd for $C_{26}H_{25}NO_3S$ $[M]^+$ 431.1555, found 431.1559.

N-Tosyl-2-[(2-oxocyclohexyl)methyl]-3-phenylindole (**3aq**): 128 mg (70%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.16. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (d, J = 8.7 Hz, 1H), 7.62 (d, J = 8.2 Hz, 2H), 7.44−7.40 (m, 2H), 7.35−7.26 (m, 3H), 7.25−7.16 (m, 5H), 3.65 (dd, J = 14.6, 3.5 Hz, 1H), 3.08−3.00 (m, 1H), 2.89 (dd, J = 14.6, 9.9 Hz, 1H), 2.33 (s, 3H), 2.34−2.31 (m, 2H), 2.05−1.99 (m, 1H), 1.81−1.76 (m, 1H), 1.68−1.65 (m, 1H), 1.59−1.48 (m, 2H), 0.90−0.83 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 212.0, 144.8, 137.0, 135.6, 135.2, 133.0, 130.8, 130.2, 129.7, 128.6, 127.6, 126.6, 126.4, 124.6, 124.0, 119.4, 115.5, 51.2, 42.0, 32.7, 27.8, 26.7, 25.1, 21.5. HRMS (EI+): calcd for $C_{28}H_{27}NO_3S$ $[M]^+$ 457.1712, found 457.1716.

N-Tosyl-2-(2-hydroxyphenylmethyl)-3-phenylindole (**3ar**): 82 mg (45%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.39. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.19 (d, J = 8.4 Hz, 1H), 7.46−7.33 (m, 9H), 7.27−7.25 (m, 1H), 7.08−7.03 (m, 3H), 6.80−6.65 (m, 3H), 5.53 (s, 1H), 4.45 (s, 2H), 2.31 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 153.0, 144.6, 136.7, 135.6, 134.7, 132.7, 130.2, 129.8 129.6, 129.6, 126.4, 128.8, 127.7, 127.4, 126.5, 126.1, 124.8, 123.7, 120.9, 119.7, 116.2, 115.1, 26.0, 21.5. HRMS (EI+): calcd for $C_{28}H_{23}NO_3S$ $[M]^+$ 453.1399, found 453.1407.

2-N-Tosylaminophenyl(phenyl)(menthofuryl-2)methane (**4as**): 184 mg (95%), colorless oil, eluent: hexanes/EtOAc = 9/1; R_f = 0.39. ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.58 (d, J = 8.1 Hz, 2H), 7.48 (d, J = 7.6 Hz, 1H), 7.27−7.25 (m, 1H), 7.24−7.20 (m, 3H), 7.06 (dd, J = 8.1, 7.0 Hz, 1H), 6.81−6.78 (m, 3H), 6.43 (d, J = 7.0 Hz, 1H), 4.88 (d, J = 4.0 Hz, 1H), 2.60−2.52 (m, 1H), 2.43 (s, 3H), 2.37−2.27 (m, 2H), 2.13−2.05 (m, 1H), 1.91−1.79 (m, 2H), 2.66 (d, J = 4.0 Hz, 3H), 1.38−1.26 (m, 2H), 1.05 (d, J = 7.0 Hz, 3H), 1.01−0.84 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 150.0, 145.4, 143.8, 140.1, 137.0, 135.4, 135.3, 134.4, 129.7, 128.7, 128.6, 127.7, 127.1, 126.9, 126.0, 124.9, 124.8, 118.2, 116.5, 44.7, 31.4, 31.2, 29.6, 21.5, 20.1, 7.9. HRMS (EI+): calcd for $C_{30}H_{31}NO_3S$ $[M]^+$ 485.2025, found 485.2015.

N-Tosyl-2-(3-oxobutyl)-3-methylindole (**3ba**): 125 mg (88%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.31. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.19 (d, J = 8.4 Hz, 1H), 7.57−7.56 (m, 2H), 7.37 (d, J = 7.7 Hz, 1H), 7.31−7.23 (m, 2H), 7.15−7.14 (m, 2H), 3.23−3.20 (m, 2H), 2.94−2.91 (m, 2H), 2.31 (s, 3H), 2.17 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.7, 144.5, 136.6, 135.6, 135.4, 131.4, 129.7, 126.2, 124.3, 123.5, 118.5, 117.6, 115.0, 43.9, 29.9, 21.5, 20.7, 8.9. HRMS (ES+): calcd for $C_{20}H_{22}NO_3S$ $[M + H]^+$ 356.1320, found 356.1323.

N-Tosyl-2-(3-oxobutyl)-3-isopropylindole (**3ca**): 117 mg (76%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.35. ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.21 (d, J = 8.3 Hz, 1H), 7.59 (d, J = 7.7 Hz, 1H), 7.55−7.53 (m, 2H), 7.26 (m, 1H), 7.21 (m, 1H), 7.16−7.14 (m, 2H), 3.24−3.20 (m, 2H), 3.14 (sept, J = 7.0 Hz, 1H), 2.90−2.87 (m, 2H), 2.32 (s, 3H), 2.18 (s, 3H), 1.32 (d, J = 7.2 Hz, 6H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.7, 144.5, 137.2, 135.7, 134.2, 129.7, 129.2, 127.3, 126.2, 123.8, 123.0, 120.2, 115.4, 44.6, 30.0, 25.9, 22.1, 21.5, 20.8. HRMS (ES+): calcd for $C_{22}H_{26}NO_3S$ $[M + H]^+$ 384.1633, found 384.1636.

N-Tosyl-2-(3-oxobutyl)-3-tertbutylindole (**3da**): 100 mg (63%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.33 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.28 (d, J = 8.4 Hz, 1H), 7.77 (d, J = 8.1 Hz, 1H), 7.49−7.48 (m, 2H), 7.24 (m, 1H), 7.19 (m, 1H), 7.15−7.14 (m, 2H), 3.45−3.42 (m, 2H), 2.91 (broad s, 2H), 2.32 (s, 3H), 2.19 (s, 3H), 1.46 (s, 9H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.6, 144.5, 137.7, 135.7, 135.0, 130.3, 129.6, 129.0, 126.1, 123.7, 122.9, 122.3, 115.8, 45.4, 34.0, 31.6, 29.9, 21.6, 21.5. HRMS (ES+): calcd for $C_{23}H_{28}NO_3S$ $[M + H]^+$ 398.1790, found 398.1797.

N-Tosyl-2-(3-oxobutyl)-3-cyclohexylindole (**3ea**): 141 mg (83%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.36 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.21 (d, J = 8.3 Hz, 1H), 7.64 (d, J = 7.7 Hz, 1H), 7.54−7.52 (m, 2H), 7.24 (t, J = 8.3 Hz, 1H), 7.19 (t, J = 7.9 Hz, 1H), 7.15−7.13 (m, 2H), 3.25−3.22 (m, 2H), 2.90−2.87 (m, 2H), 2.72 (m, 1H), 2.31 (s, 3H), 2.18 (s, 3H), 1.90−1.77 (m, 5H), 1.61−1.59 (m, 2H), 1.42−1.26 (m, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.8, 144.5, 137.2, 135.7, 124.6, 129.7, 126.6, 126.2, 123.8, 123.0, 120.5, 115.3, 44.7, 36.7, 32.0, 30.0, 26.9, 26.1, 21.5, 20.8. HRMS (ES+): calcd for $C_{25}H_{30}NO_3S$ $[M + H]^+$ 424.1946, found 424.1944.

N-Tosyl-2-(3-oxobutyl)-3-(4-fluorophenyl)indole (**3fa**): 126 mg (72%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.23 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (d, J = 8.4 Hz, 1H), 7.65−7.64 (m, 2H), 7.33 (t, J = 8.3 Hz, 1H), 7.28−7.22 (m, 4H), 7.20−7.19 (m, 2H), 7.14 (d, J = 8.6 Hz, 2H), 3.24−3.20 (m, 2H), 2.95−2.91 (m, 2H), 2.34 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.1, 162.3 (d, J_{C-F} = 247.8 Hz), 145.0, 136.6, 136.5, 135.5, 131.5 (d, J_{C-F} = 7.4 Hz), 130.5, 129.9, 128.6 (d, J_{C-F} = 2.8 Hz), 126.4, 124.4 (d, J_{C-F} = 105.4 Hz), 123.4, 119.3, 115.9, 115.7, 115.2, 44.6, 29.7, 21.6, 21.2. HRMS (ES+): calcd for $C_{25}H_{23}FNO_3S$ $[M + H]^+$ 436.1383, found 436.1376.

N-Tosyl-2-(3-oxobutyl)-3-(4-methylphenyl)indole (**3ga**): 103 mg (60%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.25 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (d, J = 9.0 Hz, 1H), 7.65−7.64 (m, 2H), 7.33−7.31 (m, 2H), 7.28−7.26 (m, 2H),

7.23 (m, 1H), 7.20−7.18 (m, 4H), 3.24−3.20 (m, 2H), 2.95−2.91 (m, 2H), 2.42 (s, 3H), 2.34 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.3, 144.8, 137.4, 136.6, 136.1, 135.5, 130.6, 129.8, 129.6, 129.5, 129.4, 126.3, 124.6, 124.4, 123.8, 119.5, 115.1, 44.7, 29.7, 21.5, 21.3, 21.2. HRMS (EI+): calcd for $C_{26}H_{25}NO_3S$ $[M]^+$ 431.1555, found 431.1561.

*N-Tosyl-2-(3-oxobutyl)-3-(4-methoxyphenyl)indole (**3ha**):* 127 mg (71%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.19 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, J = 8.8 Hz, 1H), 7.65−7.63 (m, 2H), 7.33−7.30 (m, 2H), 7.21−7.17 (m, 5H), 6.99−6.97 (m, 2H), 3.85 (s, 3H), 3.26−3.23 (m, 2H), 2.95−2.92 (m, 2H), 2.33 (s, 3H), 2.13 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.3, 159.1, 144.8, 136.6, 136.0, 135.5, 130.9, 130.8, 129.8, 126.3, 124.7, 124.6, 124.1, 123.8, 119.4, 115.1, 114.2, 55.2, 44.6, 29.7, 21.5, 21.3. HRMS (EI+): calcd for $C_{26}H_{25}NO_4S$ $[M]^+$ 447.1504, found 447.1509.

*N-Tosyl-2-(3-oxobutyl)-3-(thiophene-2-yl)indole (**3ia**):* 69 mg (41%), yellow oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.22 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (d, J = 8.4 Hz, 1H), 7.67−7.65 (m, 2H), 7.54 (d, J = 7.7 Hz, 1H), 7.39 (dd, J = 5.3, 0.7 Hz, 1H), 7.34 (m, 1H), 7.26 (m, 1H), 7.21−7.20 (m, 2H), 7.14 (m, 1H), 7.05 (dd, J = 3.5, 0.7 Hz, 1H), 3.36−3.33 (m, 2H), 3.00−2.97 (m, 2H), 2.34 (s, 3H), 2.18 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.1, 145.1, 137.6, 136.3, 135.6, 133.1, 130.1, 129.9, 127.5, 127.4, 126.4, 126.0, 124.9, 124.0, 119.6, 116.8, 115.0, 44.7, 29.8, 21.6, 21.4. HRMS (ES+): calcd for $C_{23}H_{22}NO_3S_2$ $[M + H]^+$ 424.1041, found 424.1042.

*N-Tosyl-2-(3-oxobutyl)-3-phenyl-5,6-difluoroindole (**3ja**):* 143 mg (79%), colorless solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.27 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.12 (dd, J = 11.4, 7.0 Hz, 1H), 7.64−7.62 (m, 2H), 7.46−7.43 (m, 2H), 7.38 (m, 1H), 7.25−7.22 (m, 4H), 7.12 (dd, J = 9.9, 7.9 Hz, 1H), 3.21−3.18 (m, 2H), 2.93−2.90 (m, 2H), 2.37 (s, 3H), 2.13 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 206.9, 148.8 (ddd, J_{C-F} = 244.1, 19.4, 14.8 Hz), 145.4, 137.6 (d, J_{C-F} = 4.6 Hz), 135.1, 131.9, 131.6, 131.6, 130.0, 129.5, 128.9, 128.0, 126.3, 123.8, 107.6 (d, J_{C-F} = 19.4 Hz), 104.5 (d, J_{C-F} = 24.0 Hz), 44.5, 29.7, 21.6, 21.3. HRMS (ES+): calcd for $C_{25}H_{22}NO_3SF_2$ $[M + H]^+$ 454.1288, found 454.1291.

*N-Tosyl-2-(3-oxobutyl)-3-phenyl-5,6-dimethoxyindole (**3ka**):* 114 mg (60%), yellow solid, eluent: hexanes/EtOAc = 3/1; R_f = 0.19. The spectral data matched those of the previously synthesized material.[6] ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.85 (s, 1H), 7.59−7.57 (m, 2H), 7.46−7.43 (m, 2H), 7.37 (m, 1H), 7.26−7.25 (m, 2H), 7.19−7.17 (m, 2H), 6.72 (s, 1H), 4.00 (s, 3H), 3.79 (s, 3H), 3.19−3.16 (m, 2H), 2.93−2.90 (m, 2H), 2.33 (s, 3H), 2.12 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 207.3, 147.8, 147.4, 144.7, 135.3, 134.8, 132.8, 130.7, 129.7, 129.6, 128.7, 127.6, 126.1, 124.7, 123.5, 100.8, 99.3, 56.4, 56.0, 44.7, 29.7, 21.5, 21.4. HRMS (ES+): calcd for $C_{27}H_{28}NO_5S$ $[M + H]^+$ 478.1688, found 478.1679.

*N-Tosyl-2-(3-oxobutyl)-3-phenyl-6-trifluoromethylindole (**3la**):* 122 mg (63%), colorless solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.24 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.57 (d, J = 0.7 Hz, 1H), 7.67−7.65 (m, 2H), 7.48−7.45 (m, 3H), 7.42−7.38 (m, 2H), 7.28−7.23 (m, 4H), 3.28−3.25 (m, 2H), 2.95−2.92 (m, 2H), 2.36 (s, 3H), 2.14 (d, J = 1.3 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 206.8, 145.4, 139.1, 135.8, 135.2, 132.9, 131.9, 130.0, 129.7, 128.9, 128.0, 126.7 (q, J_{C-F} = 31.4 Hz), 126.4, 124.6 (q, J_{C-F} = 271.9 Hz), 123.9, 120.6 (d, J_{C-F} = 2.8 Hz), 119.8, 112.5 (d, J_{C-F} = 3.7 Hz), 44.4, 29.7, 21.5, 21.2. HRMS (ES+): calcd for $C_{26}H_{23}NO_3SF_3$ $[M + H]^+$ 486.1351, found 486.1350.

*N-Tosyl-2-(3-oxobutyl)-3-phenyl-5-bromoindole (**3ma**):* 121 mg (61%), yellowish solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.21 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.13 (d, J = 8.6 Hz, 1H), 7.63−7.62 (m, 2H), 7.46−7.38 (m, 5H), 7.26−7.21 (m, 4H), 3.24−3.20 (m, 2H), 2.94−2.91 (m, 2H), 2.35 (s, 3H), 2.13 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 206.9, 145.2, 137.7, 135.3, 135.2, 132.3, 131.9, 129.9, 129.7, 128.9, 128.0, 127.5, 126.3, 123.6, 122.2, 117.5, 116.6, 44.5, 29.7, 21.6, 21.2. HRMS (ES+): calcd for $C_{25}H_{23}BrNO_3S$ $[M + H]^+$ 496.0582, found 496.0583.

*2-(3-Oxobutyl)-3-phenyl-7-chloroindole (**3oa**):* 68 mg (58%), colorless solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.23 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ 9.05 (broad s, 1H), 7.52−7.44 (m, 5H), 7.37 (m, 1H), 7.19 (d, J = 7.5 Hz, 1H), 7.04 (t, J = 7.7 Hz, 1H), 3.14−3.12 (m, 2H), 2.92−2.89 (m, 2H), 2.22 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 209.5, 135.8, 134.8, 132.4, 129.6, 129.0, 128.6, 126.3, 121.1, 120.5, 117.5, 116.2, 115.5, 43.8, 30.0, 19.6. HRMS (EI+): calcd for $C_{18}H_{16}ClNO$ $[M]^+$ 297.0920, found 297.0923.

*Procedure for a Gram-Scale Synthesis of Indole **3aa** from Aminobenzyl Alcohol **1a** and Furan **2a**.* In a 25 mL pressure tube, to a stirred suspension of aminobenzyl alcohol **1a** (4.0 mmol, 1.41 g) and furan **2a** (6.0 mmol, 1.5 equiv, 537.0 μL) in DCE (13.3 mL, 0.3 M) was added triflic acid (0.4 mmol, 10 mol %, 36.0 μL). The tube was capped with a Teflon pressure cap and placed in a preheated (80 °C) oil bath. The resulted solution was stirred for 2 h at this temperature. After completion of the reaction (determined by TLC, eluent hexanes/EtOAc = 4:1), the pressure tube, containing product **3aa**, was cooled, and the solvent was evaporated. The product was purified using silica gel column chromatography (eluent hexanes/EtOAc = 7:1) to yield indole **3aa** (1.38 g, 83%) as a yellow oil, crystallizing upon staying.

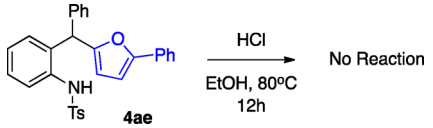
General Procedure for the Synthesis of Furylmethyl Aniline Derivatives 4.[6] A mixture of alcohol **1a** (0.5 mmol), *p*-TsOH (4.3 mg, 5 mol %), and furan (0.6 mmol, 1.2 equiv) in benzene (5 mL) was refluxed with azeotropic removal of water for 30 min (TLC monitoring, eluent: hexanes/EtOAc = 4:1). After completion, the reaction flask was cooled down and the product was purified using flash silica gel column chromatography.

*N-Tosyl-(2-(phenyl(5-phenylfuran-2-yl)methyl))aniline (**4ae**):* 179 mg (75%), yellowish solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.16. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.61−7.58 (m, 2H), 7.57−7.54 (m, 2H), 7.47 (dd, J = 8.0, 1.2 Hz, 1H), 7.38−7.34 (m, 2H), 7.30−7.23 (m, 7H), 7.13 (dt, J = 7.7, 1.3 Hz, 1H), 6.94−6.92 (m, 2H), 7.86 (dd, J = 7.8, 1.2 Hz, 1H), 6.54 (d, J = 3.3 Hz, 1H), 6.28 (s, 1H), 5.80 (dd, J = 3.4, 0.8 Hz, 1H), 5.05 (s, 1H), 2.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 153.4, 143.9, 139.5, 136.8, 125.6, 134.1, 130.6, 129.8, 129.6, 129.0, 128.7, 128.6, 128.0, 127.5, 127.4, 127.1, 126.6, 125.9, 123.6, 111.3, 105.6, 46.1, 21.5. HRMS (EI+): calcd for $C_{30}H_{25}NO_3S$ $[M]^+$ 479.1555, found 479.1546.

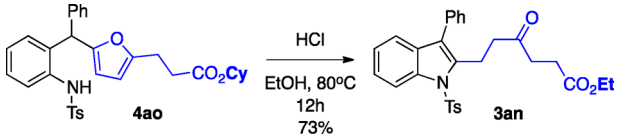
*Cyclohexyl 3-(5-((2-N-tosylaminophenyl)(phenyl)methyl)furan-2-yl)propanoate (**4ao**):* 189 mg (68%), colorless oil, eluent: hexanes/EtOAc = 7/1; R_f = 0.19. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.58−7.56 (m, 2H), 7.45 (dd, J = 8.0, 1.0 Hz, 1H), 7.27−7.21 (m, 6H), 7.10 (dt, J = 7.7, 1.2 Hz, 1H), 6.80−6.78 (m, 2H), 7.73 (dd, J = 7.8, 1.3 Hz, 1H), 6.28 (s, 1H), 5.90 (d, J = 3.1 Hz, 1H), 5.59 (d, J = 3.1 Hz, 1H), 4.91 (s, 1H), 4.75 (sept, J = 4.2 Hz, 1H), 2.91−2.87 (m, 2H), 2.59−2.56 (m, 2H), 2.43 (s, 3H), 1.82−1.79 (m, 2H), 1.73−1.69 (m, 2H), 1.56−1.51 (m, 1H), 1.42−1.22 (m, 5H). ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.8, 154.4, 152.8, 143.8, 139.6, 136.9, 135.6, 134.1, 129.8, 129.5, 128.8, 128.7, 127.9, 127.3, 127.1, 126.5, 125.7, 109.8, 105.9, 72.8, 45.9, 33.0, 31.6, 25.3, 23.7, 23.7, 21.5. HRMS (EI+): calcd for $C_{33}H_{35}NO_5S$ $[M]^+$ 557.2236, found 557.2231.

General Procedure for Recyclization Reaction of 4 into Indole 3.[6] A solution of compound **4** (0.2 mmol) in 2 mL of HCl−EtOH (1.25M) was stirred under reflux for 12 h, after which the solvent was evaporated and the product was purified using flash silica gel column chromatography.

(1) **4ae**→**3ae**: 94% of **4ae** was recovered.



(2) **4ao**→**3ao**: Conversion of **4ao** (>95%). Compound **3an** was isolated instead of **3ao** as a result of the transesterification reaction.



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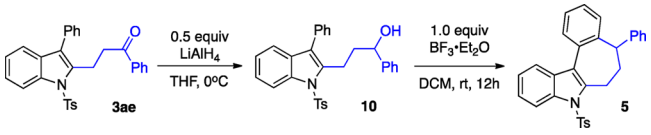
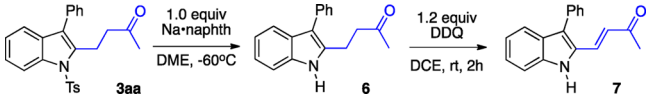
Formation of Tetrahydrobenzo[3,4]cyclohepta[1,2-*b*]indole 5 from Indole 3ae.



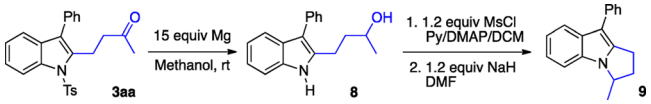
*Reduction of Ketone (**3ae→10**).* To an ice-cooled stirred suspension of $LiAlH_4$ (0.5 mmol, 0.5 equiv, 18.0 mg) in THF (5.0 mL) was added a solution of indole **3ae** (1.0 mmol, 417.0 mg) in THF (2.0 mL). The reaction mixture was allowed to warm to room temperature and stirred for 30 min. After completion of the reaction (determined by TLC, eluent hexanes/EtOAc = 4:1), the mixture was cooled (ice bath), and aqueous satd NH_4Cl (1.0 mL) was added dropwise (*caution: H_2 evolution!*). The resulting sluggish mixture was filtered through Celite and concentrated. The alcohol **10** was purified using flash silica gel column chromatography, 406 mg (97%), yellow-brown solid, eluent: hexanes/EtOAc = 3/1; R_f = 0.13. ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 8.27 (d, J = 8.4 Hz, 1H), 7.62−7.61 (m, 2H), 7.46−7.43 (m, 2H), 7.39 (s, 1H), 7.36−7.29 (m, 8H), 7.26−7.21 (m, 2H), 7.19−7.17 (m, 2H), 4.69 (m, 1H), 3.23−3.17 (m, 1H), 3.11−3.05 (m, 1H), 2.34 (s, 3H), 2.28−2.19 (m, 2H), 2.07 (broad s, 1H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 144.7, 144.0, 137.3, 136.7, 135.7, 132.9, 130.5, 129.7, 128.7, 128.3, 127.5, 127.3, 126.2, 125.8, 124.5, 124.0, 124.0, 123.8, 119.4, 115.2, 73.6, 40.1, 23.2, 21.5. HRMS (EI+): calcd for $C_{30}H_{27}NO_3S$ $[M]^+$ 481.1712, found 481.1712.

*Cyclization (**10→5**).* In a 3 mL Wheaton vial, to a stirred solution of alcohol **10** (0.2 mmol, 96.2 mg) in DCM (1.0 mL) was added a solution of $BF_3{\cdot}Et_2O$ (0.2 mmol, 1.0 equiv, 24.7 μL). The reaction mixture was stirred at room temperature for 12 h. After completion of the reaction (determined by TLC, eluent hexanes/EtOAc = 4:1) the resulting mixture was diluted with hexanes (1.0 mL). The cyclized product **5** was purified using flash silica gel column chromatography, 56 mg (61%), colorless solid, eluent: hexanes/EtOAc = 25/1; R_f = 0.45 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 8.35 (d, J = 8.5 Hz, 1H), 7.75 (d, J = 7.9 Hz, 1H), 7.69−7.67 (m, 2H), 7.64 (d, J = 7.5 Hz, 1H), 7.38 (t, J = 6.1 Hz, 1H), 7.35−7.30 (m, 4H), 7.27−7.26 (m, 1H), 7.18−7.14 (m, 5H), 6.88 (d, J = 7.9 Hz, 1H), 3.92−3.88 (m, 1H), 3.74−3.69 (m, 1H), 2.77−2.67 (m, 2H), 2.53−2.46 (m, 1H), 2.30 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 144.8, 143.5, 132.1, 137.9, 137.1, 136.1, 133.1, 129.8, 129.1, 128.6, 128.3, 128.2, 128.1, 126.9, 126.5, 126.3, 126.0, 124.2, 123.8, 121.3, 119.1, 115.2, 46.5, 39.1, 23.7, 21.5. HRMS (EI+): calcd for $C_{30}H_{25}NO_2S$ $[M]^+$ 463.1606, found 463.1599.



Formation of α,β-Unsaturated Ketone 7 from Indole 3aa. *Tosyl Group Deprotection (**3aa→6**).* In a 25 mL round-bottom argon flushed flask, equipped with a stirring bar and septum, to a dry ice cooled solution of indole **3aa** (1 mmol, 417 mg) in DME (10 mL) was added dropwise a solution of sodium naphthalenide in DME (1.0−1.1 equiv). (Addition of sodium naphthalenide continues until the dark green color of its solution stops disappearing. *It is important not to add excess sodium naphthalenide!*) The resulting yellow-green solution was allowed to warm to room temperature (turned yellow), and the solvent was evaporated. The mixture, containing product **6** and naphthalene was purified using flash silica gel column chromatography. 189 mg (72%), colorless solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.18 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 8.81 (broad s, 1H), 7.64 (d, J = 7.9 Hz, 1H), 7.49−7.47 (m, 4H), 7.38−7.33 (m, 2H), 7.20 (t, J = 7.2 Hz, 1H), 7.12 (t, J = 7.3 Hz, 1H), 3.13−3.10 (m, 2H), 2.91−2.88 (m, 2H), 2.21 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 210.0, 135.3, 135.0 (2C), 129.7, 128.5, 127.5, 126.0, 121.8, 119.8, 118.9, 114.4, 110.7, 44.1, 30.1, 19.5. HRMS (EI+): calcd for $C_{18}H_{17}ON$ $[M]^+$ 263.1310, found 263.1313.

*Oxidation (**6→7**).* In a 3 mL Wheaton vial, to a stirred solution of ketone **6** (0.2 mmol, 52.6 mg) in DCE (2.0 mL) was added DDQ (0.24 mmol, 1.2 equiv, 54.5 mg). The reaction mixture was stirred at room temperature for 2 h. After completion of the reaction (determined by TLC, eluent hexanes:EtOAc = 4:1) the resulting mixture was diluted with hexanes (2.0 mL). The product **7** was purified using flash silica gel column chromatography. 43 mg (83%), deep yellow solid, eluent: hexanes/EtOAc = 7/1; R_f = 0.15 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 8.81 (broad s, 1H), 7.71 (d, J = 8.1 Hz, 1H), 7.63 (d, J = 16.3 Hz, 1H), 7.55−7.53 (m, 4H), 7.47−7.43 (m, 2H), 7.35 (t, J = 7.3 Hz, 1H), 7.17 (t, J = 7.2 Hz, 1H), 7.61 (d, J = 16.3 Hz, 1H), 2.36 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 198.6, 137.6, 133.5, 132.8, 130.2, 129.8, 128.8, 127.7, 127.3, 125.6, 125.1, 124.8, 120.9, 120.7, 111.5, 26.8. HRMS (EI+): calcd for $C_{18}H_{15}ON$ $[M]^+$ 261.1154, found 261.1157.



Formation of Dihydropyrroloindole 9 from Indole 3aa. *Tosyl Group Deprotection/Reduction of Carbonyl Group (**3aa→8**).* To a 25 mL round-bottom argon flushed flask were added indole **3aa** (1 mmol, 417 mg), Mg (15 mmol, 15 equiv, 360 mg), and methanol (15 mL). The flask was sonicated in the ultrasound bath until the start of the dihydrogen evolution from magnesium surface, and then reaction mixture was stirred at room temperature until all magnesium reacted (1−2 h). The resulting mixture was filtered through Celite and concentrated. The alcohol **8** was purified using flash silica gel column chromatography, 230 mg (87%), yellow oil, eluent: hexanes/EtOAc = 4/1; R_f = 0.08. ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 8.67 (broad s, 1H), 7.70 (d, J = 7.9 Hz, 1H), 7.56−7.49 (m, 4H), 7.37−7.34 (m, 2H), 7.22 (t, J = 7.0 Hz, 1H), 7.16 (d, J = 7.9 Hz, 1H), 3.86 (m, 1H), 3.05−2.96 (m, 2H), 1.88−1.78 (m, 3H), 1.22 (d, J = 5.7 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 135.6, 135.5, 135.3, 129.6, 128.6, 127.8, 126.0, 121.6, 119.8, 118.9, 114.4, 110.6, 67.6, 38.6, 23.8, 22.6. HRMS (EI+): calcd for $C_{18}H_{19}ON$ $[M]^+$ 265.1467, found 265.1468.

*Cyclization (**8→9**).* In a 10 mL round-bottom flask, to a solution of alcohol **8** (0.2 mmol, 53.0 mg), triethylamine (0.3 mmol, 1.5 equiv, 42.5 μL), and DMAP (0.02 mmol, 0.1 equiv, 2.4 mg) in DCM (1.0 mL) was added MsCl (0.24 mmol, 1.2 equiv, 19.0 μL). The reaction mixture was stirred at room temperature for 2 h and then filtered through Celite and concentrated. The crude product was dissolved in 0.2 mL of DMF and added to an ice-cooled suspension of NaH (0.24 mmol, 1.2 equiv, 5.8 mg) in DMF (0.8 mL). The resulting mixture was allowed to warm to room temperature and stirred for 2 h. Then the reaction mixture was poured into water (10.0 mL), and the product **9** was extracted with diethyl ether (3 × 5 mL). The combined organic fractions were washed with brine, dried over Na_2SO_4, and concentrated. The crude dihydropyrroloindole **9** was purified using flash silica gel column chromatograph, 39 mg (79%), colorless oil, eluent: hexanes/EtOAc = 25/1; R_f = 0.50 (hexanes/EtOAc = 4/1). ^{1}H NMR (500 MHz, $CDCl_3$): δ ppm 7.94 (d, J = 7.2 Hz, 1H), 7.68−7.67 (m, 2H), 7.50−7.47 (t, J = 7.5 Hz, 2H), 7.40 (d, J = 6.1 Hz, 1H), 7.26 (m, 1H), 7.23−7.17 (m, 2H), 4.66 (m, 1H), 3.27 (m, 1H), 3.16 (m, 1H), 2.83 (m, 1H), 2.29 (m, 1H), 1.61 (d, J = 6.4 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ ppm 141.7, 136.2, 132.3, 130.8, 128.6, 127.4, 124.9, 120.6, 119.5, 109.6, 107.5, 52.6, 36.0, 23.7, 20.3. HRMS (EI+): calcd for $C_{18}H_{17}N$ $[M]^+$ 247.1361, found 247.1365.

■ ASSOCIATED CONTENT

Supporting Information

^{1}H and ^{13}C NMR spectra for compounds **1a−o**, **3aa−ar**, **4as**, **3ba−oa**, **4ae**, **4ao**, **10**, and **5−9**. This material is available free of charge via the Internet at http://pubs.acs.org.

■ AUTHOR INFORMATION

Corresponding Authors

*E-mail: alexander_butin@mail.ru.

*E-mail: vlad@uic.edu.

Notes

The authors declare no competing financial interest.

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