DOI: 10.1002/ejoc.200800638

Palladium-Catalyzed Amination and Sulfonylation of 5-Bromo-3-[2-(diethylamino)ethoxy]indoles to Potential 5-HT_6 Receptor Ligands

Nicolle Schwarz,[a] Anahit Pews-Davtyan,[a] Dirk Michalik,[a] Annegret Tillack,[a] Karolin Krüger,[a] Antoni Torrens,[b] José Luis Diaz,[b] and Matthias Beller*[a]

Keywords: Indole / Sulfonylation / Amination / Palladium

A general and efficient palladium-catalyzed amination of 5-bromo-3-[2-(diethylamino)ethoxy]indoles has been developed. Best results are obtained in the presence of $Pd(OAc)_2$ and 2-[di(1-adamantyl)phosphanyl]-1-phenylpyrrole as ligand. Subsequent sulfonylation gave novel indole derivatives, which are of interest as potentially biological active 5-HT_6 receptor ligands.

Introduction

Among the known biologically active amines, especially indoles continue to attract significant synthetic and medicinal interest.[1] A variety of substituted indoles bind selectively to different receptors with high affinity and have been referred as "privileged pharmacological structures". Thus, it is not surprising that indoles have become an important component in many of today's pharmaceuticals.[2] 5-Hydroxytryptamine$_6$ (5-HT_6) receptors are an essential subtype of the identified serotonin receptors 5-HT_{1-7}.[3] Their selective attraction for a wide range of drugs used in central nervous system related diseases (e.g. Alzheimer's disease, anxiety, and schizophrenia) has stimulated significant recent work in this field.[4] In addition, 5-HT_6 receptor ligands are known to facilitate the reduction of food intake, fat absorption and body weight in genetic and dietary models of obesity. Interestingly, the 5-HT_6 receptor has no known functional splice variants and it appears to be expressed almost exclusively in the central nervous system (CNS).[5]

Since the discovery of selective ligands for 5-HT_6 receptors by high-throughput-screening in 1998, several medicinal-chemistry-driven approaches have delivered novel lead structures. Among the active compounds the majority are indole derivatives, especially with tryptamine scaffold (Figure 1).[6] For some time we have been interested in the improvement and exploration of methodologies for the synthesis and functionalization of indoles.[7,8] For example, we developed a one-pot synthesis of tryptamines and tryptopholes via titanium-catalyzed hydrohydrazination of chloro- and silyloxo-substituted alkynes.[9] Based on this work, more recently we studied the catalytic hydrohydrazination of propargyl alcohol derivatives to give 3-silyloxy-2-methylindoles (Scheme 1).[10]

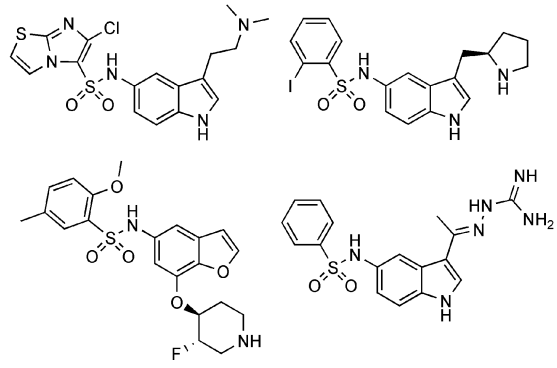


Figure 1. 5-HT_6 receptor ligands containing indoles or indole-like structures.

Scheme 1. Catalytic synthesis of 3-siloxyindoles.

In continuation of these studies, we report here the synthesis of novel 3-[2-(diethylamino)ethoxy]-2-methylindoles,[11] their palladium-catalyzed amination and subsequent sulfonylation of the corresponding coupled indoles.

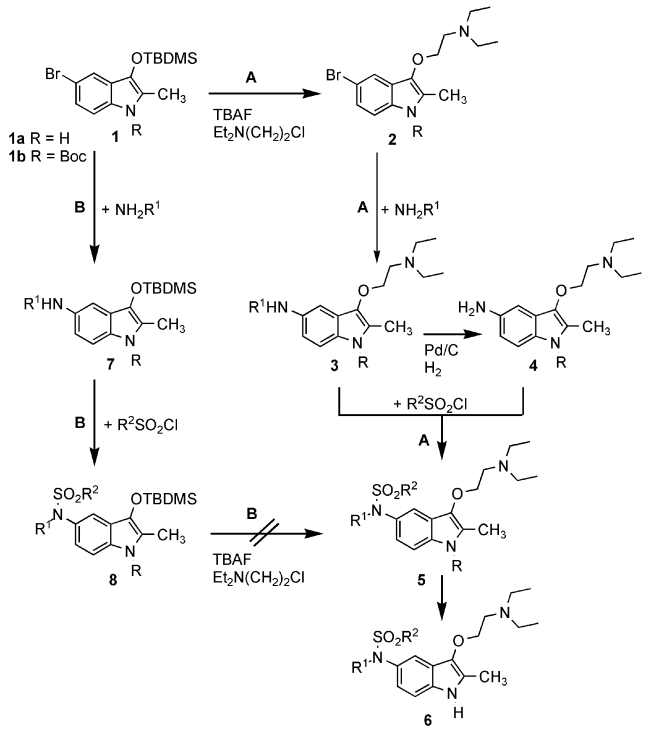
[a] Leibniz-Institut für Katalyse e.V. an der Universität Rostock, Albert-Einstein-Str. 29a, 18059 Rostock, Germany
Fax: +49-381-1281-51113
E-mail: matthias.beller@catalysis.de
[b] ESTEVE,
Av. Mare de Déu de Montserrat 221, 08041 Barcelona, Spain

Results and Discussion

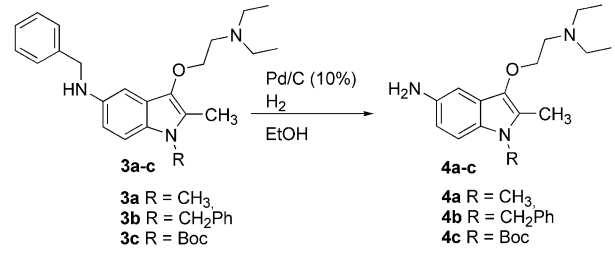
As shown in Scheme 2 initially we envisioned two different synthetic strategies (**A** and **B**) to obtain the desired compounds **5** and **6**. The synthetic route **A** starts with 5-bromo-2-methyl-3-silyloxyindole **1** with in situ deprotection of the silyl group, followed by nucleophilic substitution with 2-(diethylamino)ethyl chloride to give **2**. Palladium-catalyzed Buchwald–Hartwig amination[12] towards **3**, subsequent hydrogenation to **4** and finally sulfonylation should lead to the desired products **5** and **6**. Route **B** demonstrates another access to these structures starting from the same compound. Here, 5-bromo-2-methyl-3-silyloxyindole **1** should be catalytically aminated to the according indole derivatives **7**. Subsequent sulfonylation of the arylamino-indoles **7** would give the sulfonylated intermediates **8**. Then, the aminoalkyl side chain has to be introduced in the last step to give the target compounds **5** and **6**.

In exploratory experiments the palladium-catalyzed amination of 5-bromo-3-[2-(diethylamino)ethoxy]indole **2** with benzylamine in presence of different ligands was investigated. Best results are obtained applying $Pd(OAc)_2$/1-phenyl-2-[di(1-adamantyl)phosphanyl]pyrrole **L** as in situ catalyst at 100 °C for 20 h in toluene in the presence of LiHMDS (or Cs_2CO_3) as base. This catalyst system has also been proven successful in several other catalytic coupling reactions before.[13a,13b] As shown in Scheme 3 and Table 1 aminations with benzylamine as well as aliphatic amines [*n*-hexylamine and 2-(4-fluorophenyl)ethylamine] worked well and led to the corresponding products in good yields (56–85%). In general, the yields of the Boc-protected indoles are decreased in comparison with the *N*-methyl and *N*-benzyl-protected ones. All attempts to couple *tert*-butyl 5-bromo-3-[2-(diethylamino)ethoxy]-2-methylindole-1-carboxylate (**2c**) with secondary amines (imidazole, pyrazole, 2-aminopyrimidine) gave the free NH-indole derivative [2-(5-bromo-2-methyl-1*H*-indol-3-yloxy)ethyl]diethylamine **2d**.

The lower stability of the Boc-derivatives is explained by partial deprotection under the reaction conditions. In order to prepare the pharmaceutically more interesting free 5-aminoindoles, catalytic debenzylation of **3a**–**c** was investigated (Scheme 4, Table 2). For this purpose hydrogenation reactions **3a**–**b** have been performed in a 20 mL autoclave at room temperature with a hydrogen pressure of 50 bar for 8 h. In the case of compound **3c** lower hydrogen pressure (5 bar), shorter reaction time and elevated temperatures (60 °C) were needed, to avoid the formation of 3-indoline as a by-product. Formation of the free NH_2-group in position 5 of the indole proceeded smoothly and gave the corresponding 5-amino-3-[2-(diethylamino)ethoxy]indoles **4a**–**c** in good to high yields (50–91%).

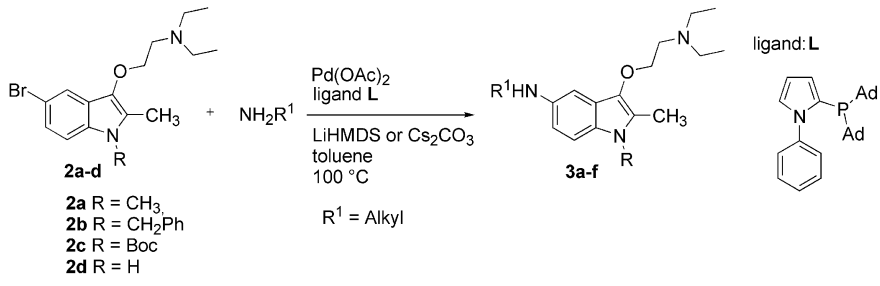


Scheme 2. Synthetic routes of 5-sulfonylamine-3-[2-(diethylamino)-ethoxy]indoles.



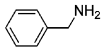
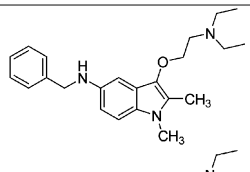
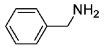
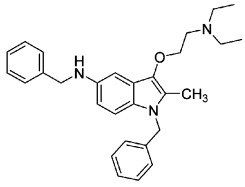
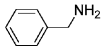
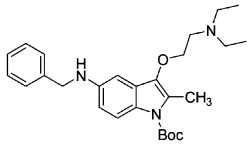
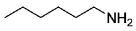
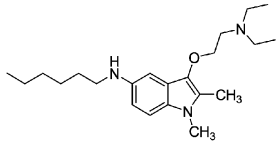
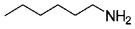
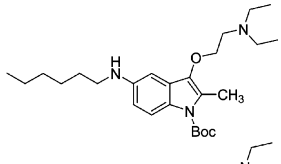
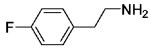
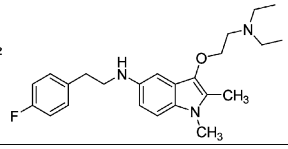
Scheme 4. Palladium-catalyzed hydrogenation of 5-benzylamino-3-[2-(diethylamino)ethoxy]indoles.

Next, we attempted the sulfonylation of **3c**, **3e**, and **4a**–**c** with different aryl- and heteroarylsulfonyl chlorides as shown in Scheme 5. The selection of the sulfonyl groups is



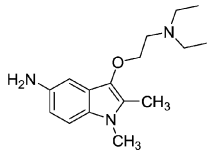
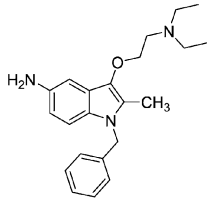
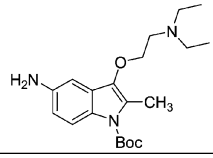
Scheme 3. Palladium-catalyzed amination of 5-bromo-3-[2-(diethylamino)ethoxy]lindoles.

Table 1. Pd-catalyzed amination of 5-bromo-3-[2-(diethylamino)-ethoxy]indoles.

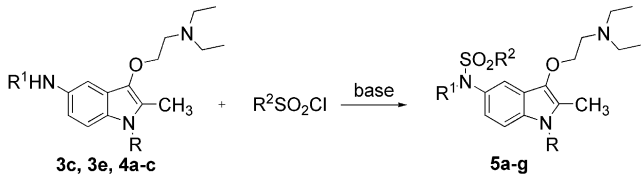
Entry	Starting material	Amine	Product	3	% Yield[a]
1	**2a**			**3a**[b]	85
2	**2b**			**3b**[b]	60
3	**2c**			**3c**[c]	72
4	**2a**			**3d**[b]	70
5	**2c**			**3e**[c]	56
6	**2a**			**3f**[b]	70

[a] Isolated yield based on the indole. [b] *Reaction conditions:* 5-bromo-3-[2-(diethylamino)ethoxy]indole (1 mmol), amine (1.3 mmol), 2 mol-% $Pd(OAc)_2$, 4 mol-% ligand **L**, LiHMDS (1.3 mmol), solvent: toluene 3 mL, 100 °C, 20 h. [c] *Reaction conditions*: 5-bromo-3-[2-(diethylamino)ethoxy]indole (1 mmol), amine (1.5 mmol), 6 mol-% $Pd(OAc)_2$, 12 mol-% ligand **L**, Cs_2CO_3 (1.0 mmol), solvent: toluene 3 mL, 100 °C, 20 h.

Table 2. Pd-catalyzed hydrogenation.

Entry	Starting material	Product	4	% Yield[a]
1	**3a**		**4a**[b]	81
2	**3b**		**4b**[b]	91
3	**3c**		**4c**[c]	50

[a] Isolated yield based on indole derivative. [b] *Reaction conditions:* Indole derivative (1 mmol), Pd/C (10%) (200 mg), H_2, 50 bar, room temp., 8 h in 40 mL of ethanol. [c] *Reaction conditions:* Indole derivative (1 mmol), Pd/C (10%) (200 mg), H_2, 5 bar, 60 °C, 3.5 h in 40 mL of ethanol.

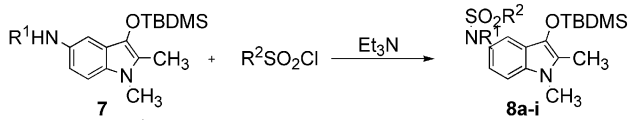


Scheme 5. Sulfonylation of 5-amino-3-[2-(diethylamino)ethoxy]-indoles with different sulfonyl chlorides.

based on a pharmacophore-framework model for known 5-HT$_6$ receptor ligands, which was postulated in 2004 by Holenz et al.[6] based on a medicinal-chemistry-guided analysis of reference compounds. Favourable sulfonyl motives came from a modelling study of Pullagurla et al., including naphthyl, benzothiophenyl, imidazo[2,1-*b*]thiazolyl and *p*-aminophenyl substituents.[14]

The newly synthesized sulfonylated indoles are listed in Table 3. Treatment of the respective 5-aminoindole with the different aryl- and heteroarylsulfonyl chlorides in Et_3N at 40 °C or in CH_2Cl_2 in the presence of Cs_2CO_3 at room temperature for 2 h gave the corresponding sulfonylated indole derivatives **5a**–**h** in general in good yields (Table 3, entries 1–4, 6–7 and 9, 50–98%). An exception is the reaction with 6-chloroimidazo[2,1-*b*]thiazole-5-sulfonyl chloride (Table 3, entry 5) which gave a lower yield due to the decreased reactivity of this sulfonyl chloride. In accordance with the pharmacophore-framework model for 5-HT$_6$ receptor ligands the newly prepared compounds in Table 3 possess two hydrophobic areas and an indole core. Because the receptor-ligand affinity increases additionally with a free NH-group in position 1, we have deprotected compound **5g** and **5h** to the biologically more active indole derivatives **6a** and **6b**. We obtained these indole derivatives in high yields up to 88% (Table 3, entries 8 and 10).

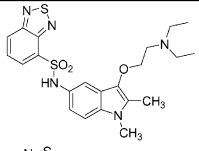
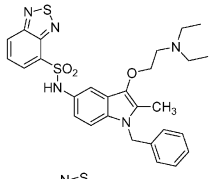
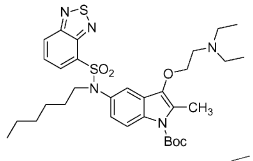
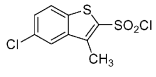
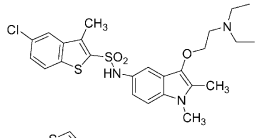
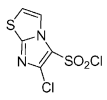
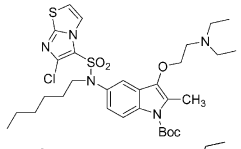
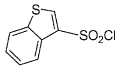
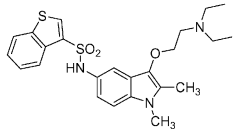
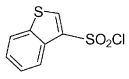
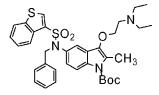
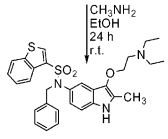
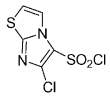
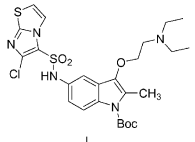
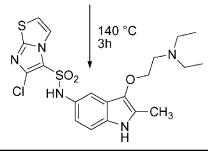
Finally, we studied the sulfonylation of the 3-siloxy-protected 5-aminoindoles **7a**–**e**[13a] to give **8a**–**i** (Scheme 6).



7a R^1 = Benzyl R^2 = Aryl, Het-Aryl
7b R^1 = Hexyl
7c R^1 = 1-Phenylethyl
7d R^1 = 1-(*p*-Fluorphenylethyl)
7e R^1 = H

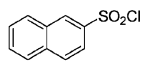
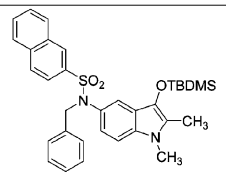
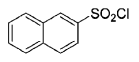
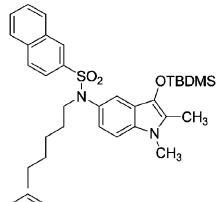
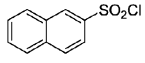
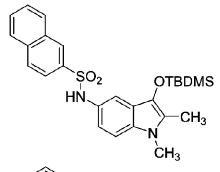
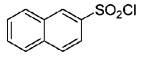
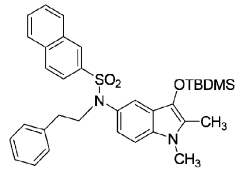
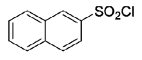
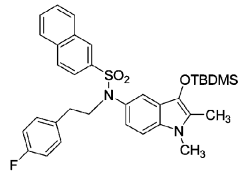
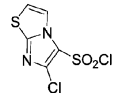
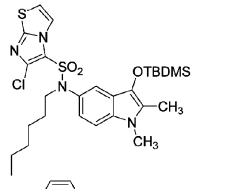
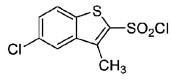
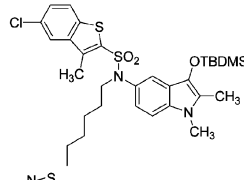
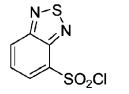
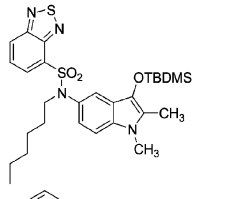
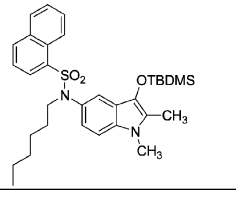
Scheme 6. Sulfonylation of 5-amino-3-(silyloxy)indoles with different sulfonyl chlorides.

Table 3. Sulfonylation of 5-amino-3-[2-(diethylamino)ethoxy]indoles with different sulfonyl chlorides.

Entry	Starting material	Sulfonyl chloride	Product	5 and 6	% Yield[a]
1	**4a**			**5a**[b]	54
2	**4b**			**5b**[b]	63
3	**3e**			**5c**[c]	98
4	**4a**			**5d**[b]	50
5	**3e**			**5e**[b]	28
6	**4a**			**5f**[b]	81
7	**3c**			**5g**[c]	91
8	**5g**			**6a**[d]	78
9	**4c**			**5h**[e]	81
10	**5h**			**6b**[f]	88

[a] Isolated yield based on the indole. [b] *Reaction conditions:* Indole derivative (1 mmol), arylsulfonyl chloride (1 mmol), triethylamine (5 mL), 40 °C, 24 h. [c] *Reaction conditions*: Indole derivative (1 mmol), arylsulfonyl chloride (3 mmol), Cs_2CO_3 (2 mmol), solvent: CH_2Cl_2 (10 mL), 40 °C, 24 h. [d] *Reaction conditions:* Indole derivative (0.1 mmol), methylamine in 10 mL of ethanol (33%), room temp., 24 h. [e] *Reaction conditions:* indole derivative (0.5 mmol), sulfonyl chloride (0.5 mmol), $NaHCO_3$ (2 equiv.), solvent: acetonitrile (5 mL), room temp., 15 h. [f] *Reaction conditions:* indole derivative (0.5 mmol), 140 °C, 3 h.

Table 4. Sulfonylation of 5-amino-3-(silyloxy)indoles.[a]

Entry	Starting material	Sulfonyl chloride	Product	8	% Yield[b]
1	**7a**			**8a**	70
2	**7b**			**8b**	90
3	**7e**			**8c**	51
4	**7c**			**8d**	51
5	**7d**			**8e**	75
6	**7b**			**8f**	25
7	**7b**			**8g**	62
8	**7b**			**8h**	75
9	**7b**			**8i**	80

[a] *Reaction conditions:* Indole derivative (1 mmol), arylsulfonyl chloride (1 mmol), triethylamine (5 mL), 40 °C, 2 h. [b] Isolated yield based on the indole.

The sulfonylation proceeded similar compared to the sulfonylations shown in Scheme 3. Again, most sulfonylated indoles **8a**–**i** were isolated in good yields (Table 4, entries 1–5, 7–9; 51–90%). Despite several attempts, the in situ deprotection with TBAF and nucleophilic substitution of 2-(diethylamino)ethyl chloride to compounds **5** and **6** according to route **B** could not be achieved.

Conclusions

In summary, a series of new potential 5-HT$_6$ receptor ligands has been synthesized. For the first time palladium-catalyzed coupling reactions of 3-[2-(diethylamino)ethoxy]-indoles have been performed. Catalytic amination applying Pd(OAc)$_2$/1-phenyl-2-[di(1-adamantyl)phosphanyl]pyrrole as catalyst system gave the corresponding 5-aminoindoles in good yields. Straightforward sulfonylation with different aryl- and heteroarylsulfonyl chlorides proceeded smoothly and led to the targeted products.

Experimental Section

General: All reactions were carried out under argon atmosphere. Chemicals were purchased from Aldrich, Fluka, Acros and Strem and unless otherwise noted were used without further purification. All compounds were characterized by ^{1}H NMR, ^{13}C NMR, MS, HRMS and IR spectroscopy. ^{1}H and ^{13}C NMR spectra were recorded on Bruker AV 300, AV 400 and AV 500 spectrometers. The ^{1}H and ^{13}C NMR chemical shifts are referenced to trimethylsilane (TMS) [δ(TMS) = 0 (^{1}H)], and to the solvent resonance [δ(CDCl$_3$) = 77.0 (^{13}C)]. EI mass spectra were recorded on an AMD 402 spectrometer (70 eV, AMD Intectra GmbH). IR spectra were recorded on a FT-IR Nicolet 6700 (Thermo ELECTRON CORPORATION). The synthesis of compounds **2a**–**b** and **7a**–**d** have been already described in earlier publications.[11,13a]

Three-Step Synthesis of the Starting Material *tert*-Butyl 5-Bromo-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (2c)

Step 1. 5-Bromo-3-(*tert*-butyldimethylsilanyloxy)-2-methyl-1*H*-indole (1a): In a round-bottomed flask under argon atmosphere (4-bromophenyl)hydrazine (0.09 mol), *tert*-butyldimethylsilyloxy-2-propyne (0.07 mol) and ZnCl$_2$ (0.09 mmol) were dissolved in 50 mL of THF. The reaction mixture was heated to 100 °C under reflux for 24 h. After removal of the solvent the mixture was purified by column chromatography (eluent: ethyl acetate gradient 0–25% in heptane with 1–2% triethylamine). The isolated product gave a light-brown solid in a yield of 50% ^{1}H NMR (300.13 MHz, CDCl$_3$): δ = 7.53 (d, J = 1.9 Hz, 1 H), 7.37 (br., 1 H, NH), 7.14 (dd, J = 8.5, J = 1.9 Hz, 1 H), 7.04 (d, J = 8.5 Hz, 1 H), 2.30 (s, 3 H), 1.07 (s, 9 H), 0.15 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, CDCl$_3$): δ = 131.3 (Cq), 130.4 (Cq), 124.5 (Cq), 123.8, 121.6 (Cq), 119.7, 112.1 (Cq), 111.8, 25.7, 18.1 (Cq), 10.6, –4.2 ppm. MS (EI, 70 eV): *m*/*z* (%) = 340 (22), 339 [M$^+$, 93], 285 (17), 284 (10), 283 (16), 205 (5), 204 (19), 203 (100), 188 (19), 145 (9), 144 (47), 73 (41). HRMS: calcd. for C$_{15}$H$_{22}$BrNOSi: 339.06485; found 339.064659. FTIR (KBr): $\tilde{\nu}$ = 3399, 2954, 2929, 2856, 1724, 1471, 1314, 1285, 1255, 1238, 1156, 888, 861, 838, 791, 780, 583 cm^{-1}.

Step 2. *tert*-Butyl 5-Bromo-3-(*tert*-butyldimethylsilanyloxy)-2-methyl-1*H*-indole-1-carboxylate (1b): In a round-bottomed flask under argon atmosphere indole **1a** (10 mmol), di-*tert*-butyl dicarbonate (12 mmol) and DMAP (0.35 mmol) were dissolved in dry THF and stirred overnight at room temperature. After complete conversion (TLC control) the solvent was removed and the residue was cleaned by column chromatography (eluent: ethyl acetate gradient 0–25% in heptane). The isolated product gave a light-yellow solid material in a yield of 90%. ^{1}H NMR (300.13 MHz, CDCl$_3$): δ = 7.99 (d, J = 8.9 Hz, 1 H), 7.49 (d, J = 2.0 Hz, 1 H), 7.29 (dd, J = 8.9, J = 2.0 Hz, 1 H), 2.44 (s, 3 H), 1.66 (s, 9 H), 1.08 (s, 9 H), 0.17 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, CDCl$_3$): δ = 150.5 (Cq), 134.7 (Cq), 132.2, 127.0 (Cq), 126.3, 123.6, 119.6 (Cq), 116.9 (Cq), 115.6 (Cq), 83.7 (Cq), 28.3, 25.8, 18.2 (Cq), 12.9, –4.1 ppm. MS (EI, 70 eV): *m*/*z* (%) = 441 (11), 440 (3), 439 (11) [M$^+$], 386 (24), 385 (100), 384 (23), 383 (97), 341 (58), 340 (13), 339 (57), 284 (12), 283 (14), 282 (12), 204 (12), 203 (64), 145 (4), 144 (26), 75 (15), 74 (6), 73 (83), 59 (12), 58 (7), 57 (91). HRMS: calcd. for C$_{20}$H$_{30}$BrNO$_3$Si: 439.11728; found 439.116436. FTIR (ATR): $\tilde{\nu}$ = 3077, 3004, 2976, 2958, 2930, 2896, 2858, 1724, 1454, 1360, 1320, 1267, 1251, 1217, 1150, 1129, 1068, 1010, 887, 835, 820, 799, 783, 764, 729 cm^{-1}.

Step 3. *tert*-Butyl 5-Bromo-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (2c): In a round-bottomed flask carboxylate **1b** (3.4 mmol) and tetrabutylammonium fluoride (TBAF) (4.1 mmol) were dissolved in dry THF and stirred for 10 min at room temperature. After Na$_2$CO$_3$ (6.8 mmol) was added, the reaction mixture was stirred for further 10 min at room temperature. Finally (2-chloroethyl)diethylamine (6.8 mmol) was added and the solution was heated up to 45 °C for 4 h. After removal of the solvent the residue was cleaned by column chromatography (eluent CH$_2$ Cl$_2$/ethanol, 20:1). The isolated product obtained as pale yellow oil with a yield of 68%. ^{1}H NMR (300.13 MHz, CDCl$_3$): δ = 8.00 (d, J = 8.9 Hz, 1 H), 7.64 (d, J = 2.0 Hz, 1 H), 7.29 (dd, J = 8.9, J = 2.0 Hz, 1 H), 4.05 (t, J = 6.3 Hz, 2 H), 2.84 (t, J = 6.3 Hz, 2 H), 2.63 (q, J = 7.2 Hz, 4 H), 2.49 (s, 3 H), 1.65 (s, 9 H), 1.06 (t, J = 7.2 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, CDCl$_3$): δ = 150.4 (Cq), 138.9 (Cq), 132.4 (Cq), 126.6 (Cq), 126.4, 126.0 (Cq), 119.5, 117.1, 115.8 (Cq), 84.0 (Cq), 72.7 (CH$_2$), 52.8 (CH$_2$), 47.5 (CH$_2$), 28.2, 12.5, 11.7 ppm. MS (EI, 70 eV): *m*/*z* (%) = 426 (1), 425 (1) [M$^+$], 424 (1), 385 (7), 384 (1), 383 (6), 341 (3), 279 (4), 225 (6), 197 (4), 167 (11), 149 (31), 101 (25), 100 (100), 72 (17), 57 (76). HRMS: calcd. for C$_{20}$H$_{29}$BrN$_2$O: 425.14362; found 425.14343. FTIR (ATR): $\tilde{\nu}$ = 3052, 2969, 2930, 2873, 2805, 1728, 1453, 1369, 1348, 1319, 1221, 1172, 1148, 1130, 1116, 1061, 1015, 765, 745 cm^{-1}.

[2-(5-Bromo-2-methyl-1*H*-indol-3-yloxy)ethyl]diethylamine (2d): ^{1}H NMR (300.13 MHz, CDCl$_3$): δ = 7.67 (d, J = 2.0 Hz, 1 H), 7.60 (br., 1 H, NH), 7.16 (dd, J = 8.5, J = 2.0 Hz, 1 H), 7.06 (d, J = 8.5 Hz, 1 H), 4.09 (t, J = 6.3 Hz, 2 H), 2.86 (t, J = 6.3 Hz, 2 H), 2.65 (q, J = 7.2 Hz, 4 H), 2.35 (s, 3 H), 1.07 (t, J = 7.2 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, CDCl$_3$): δ = 134.7 (Cq), 131.3 (Cq), 124.1 (Cq), 123.9, 123.7 (Cq), 119.6, 112.4 (Cq), 112.1, 72.7 (CH$_2$), 52.7 (CH$_2$), 47.4 (CH$_2$), 11.7, 10.3 ppm. MS (EI, 70 eV): *m*/*z* (%) = 324 (0.3) [M$^+$], 226 (3), 225 (1), 224 (3), 145 (3), 117 (5), 101 (8), 100 (100), 87 (3), 86 (47). HRMS: calcd. for C$_{15}$H$_{21}$BrN$_2$OSi: 324.08318; found 324.081805. FTIR (ATR): $\tilde{\nu}$ = 3410, 3300, 2964, 2919, 2850, 1453, 1284, 1234, 1154, 1122, 1034, 862, 791, 732, 668 cm^{-1}.

Compounds 3a–f, General Procedure for the Coupling Synthesis of 5-Amino-3-[2-(diethylamino)ethoxy]-1*H*-indole Derivatives 2a–c: In an Ace-pressure tube under argon atmosphere, a 5-bromo-3-[2-(diethylamino)ethoxy]indole derivative of type **2a**–**c** (1 mmol), amine (1.3 mmol), Pd(OAc)$_2$ (2 mol-%, 6 mol-% for **3c** and **3e**), 1-phenyl-2-[di(1-adamantyl)phosphanyl]pyrrole **L** (4 mol-%; 12 mol-% for **3c**

and **3e**) and lithium hexamethyldisilazane (LiHMDS) (1.3 mmol or 1.5 mmol Cs_2CO_3 for **3c** and **3e**) were dissolved in toluene (3 mL). The pressure tube was fitted with a Teflon cap and heated up to 100 °C for 20 h. After removal of the solvent in vacuo, the corresponding indole product was isolated as oil by column chromatography (eluent: ethyl acetate gradient 0–20% in heptane with 1–2% triethylamine).

Benzyl{3-[2-(diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}amine (3a): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.44–7.26 (m, 5 H), 7.05 (d, J = 8.4 Hz, 1 H), 6.77 (d, J = 2.4 Hz, 1 H), 6.59 (dd, J = 8.4, J = 2.4 Hz, 1 H), 4.37 (s, 2 H), 4.08 (t, J = 6.5 Hz, 2 H), 3.54 (s, 3 H), 3.30 (br., 1 H, NH), 2.90 (t, J = 6.5 Hz, 2 H), 2.69 (q, J = 7.2 Hz, 4 H), 2.31 (s, 3 H), 1.09 (t, J = 7.2 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 141.6 (Cq), 140.1 (Cq), 134.2 (Cq), 128.6 (Cq), 128.5, 127.7, 127.0, 125.3 (Cq), 121.4 (Cq), 110.5, 109.4, 99.0, 72.0 (CH_2), 52.5 (CH_2), 49.8 (CH_2), 47.5 (CH_2), 29.4, 11.5, 8.9 ppm. MS (EI, 70 eV): *m*/*z* (%) = 366 (2), 365 (1) [M$^+$], 288 (1), 262 (19), 261 (6), 250 (3), 249 (2), 175 (4), 174 (9), 173 (26), 118 (1), 101 (7), 100 (100), 99 (2), 86 (9), 44 (7). HRMS: calcd. for $C_{23}H_{31}N_3O$: 365.24616; found 365.245156. FTIR (ATR): $\tilde{\nu}$ = 3392, 3060, 3027, 2966, 2630, 2871, 2820, 1774, 1451, 1370, 1256, 1169, 1069, 1028, 787, 737, 670 cm^{-1}.

Benzyl{1-benzyl-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indol-5-yl}amine (3b): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.44–7.19 (m, 8 H), 6.97 (d, J = 8.7 Hz, 1 H), 6.92 (dd, J = 7.7, J = 1.7 Hz, 2 H), 6.82 (d, J = 2.1 Hz, 1 H), 6.53 (dd, J = 8.7, J = 2.1 Hz, 1 H), 5.17 (s, 2 H), 4.35 (s, 2 H), 4.09 (t, J = 6.6 Hz, 2 H), 2.86 (t, J = 6.6 Hz, 2 H), 2.63 (q, J = 7.1 Hz, 4 H), 2.24 (s, 3 H), 1.05 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 141.8 (Cq), 140.0 (Cq), 138.4 (Cq), 134.8 (Cq), 128.7, 128.5, 128.4 (Cq), 127.7, 127.1, 125.9, 125.1 (Cq), 121.9 (Cq), 110.7, 110.0, 99.0, 72.5 (CH_2), 52.7 (CH_2), 49.7 (CH_2), 47.6 (CH_2), 46.4 (CH_2), 11.8, 8.9 ppm. MS (EI, 70 eV): *m*/*z* (%) = 441 (1) [M$^+$], 252 (3), 251 (4), 181 (2), 161 (3), 145 (2), 100 (100), 91 (54). HRMS: calcd. for $C_{29}H_{35}N_3O$: 441.27746; found 441.277177. FTIR (KBr): $\tilde{\nu}$ = 3061, 3028, 2968, 2930, 2871, 2813, 1625, 1494, 1452, 1373, 1354, 1269, 1168, 1028, 733, 697 cm^{-1}.

***tert*-Butyl 5-Benzylamino-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (3c):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.91 (d, J = 8.9 Hz, 1 H), 7.41–7.25 (m, 5 H), 6.70 (d, J = 2.4 Hz, 1 H), 6.60 (dd, J = 8.9, J = 2.4 Hz, 1 H), 4.37 (s, 2 H), 4.01 (t, J = 6.5 Hz, 2 H), 2.82 (t, J = 6.5 Hz, 2 H), 2.61 (q, J = 7.1 Hz, 4 H), 2.47 (s, 3 H), 1.64 (s, 9 H), 1.04 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 150.8 (Cq), 144.0 (Cq), 139.6 (Cq), 139.6 (Cq), 128.5, 127.5, 127.1 (Cq), 125.5 (Cq), 125.2 (Cq), 116.4, 111.5, 99.1, 82.9 (Cq), 72.1 (CH_2), 52.7 (CH_2), 49.0 (CH_2), 47.5 (CH_2), 28.3, 12.4, 11.8 ppm. MS (EI, 70 eV): *m*/*z* (%) = 451 (1) [M$^+$], 351 (3), 252 (18), 251 (5), 250 (6), 161 (22), 160 (4), 159 (5), 134 (3), 133 (9), 101 (11), 100 (100), 92 (5), 91 (27), 86 (40), 85 (5), 72 (18), 71 (10), 57 (16), 56 (23), 44 (51), 43 (9), 42 (11), 40 (32). HRMS: calcd. for $C_{27}H_{37}N_3O_3$: 451.28294; found 451.283100. FTIR (ATR): $\tilde{\nu}$ = 3416, 3062, 3028, 2969, 2927, 2872, 2810, 1720, 1470, 1452, 1367, 1330, 1275, 1221, 1168, 1129, 1062, 734, 697 cm^{-1}.

{3-[2-(Diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}hexylamine (3d): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.03 (d, J = 8.6 Hz, 1 H), 6.73 (d, J = 2.1 Hz, 1 H), 6.56 (dd, J = 8.6, J = 2.1 Hz, 1 H), 4.17 (t, J = 6.2 Hz, 2 H), 3.53 (s, 3 H), 3.14 (t, J = 7.1 Hz, 2 H), 3.01 (t, J = 6.2 Hz, 2 H), 2.82 (q, J = 7.1 Hz, 4 H), 2.31 (s, 3 H), 1.71–1.58 (m, 2 H), 1.50–1.26 (m, 6 H), 1.17 (t, J = 7.1 Hz, 6 H), 0.90 (t, J = 6.7 Hz, 3 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 142.0 (Cq), 134.0 (Cq), 128.5 (Cq), 125.1 (Cq), 121.3 (Cq), 110.7, 109.4, 98.8, 71.6 (CH_2), 52.4 (CH_2), 47.6 (CH_2), 45.6 (CH_2), 31.7 (CH_2), 29.7 (CH_2), 29.3, 27.0 (CH_2), 22.6 (CH_2), 14.0, 11.0, 9.0 ppm. MS (EI, 70 eV): *m*/*z* (%) = 359 (5) [M$^+$], 259 (6), 244 (1), 187 (3), 173 (4), 100 (100), 86 (6), 44 (5). HRMS: calcd. for $C_{22}H_{37}N_3O$: 359.29311; found 359.292382. FTIR (ATR): $\tilde{\nu}$ = 3313, 2959, 2932, 2856, 2808, 2590, 2461, 1626, 1475, 1460, 1367, 1253, 1176, 1024, 781, 732 cm^{-1}.

***tert*-Butyl 3-[2-(Diethylamino)ethoxy]-5-hexylamino-2-methyl-1*H*-indole-1-carboxylate (3e):** ^{1}H NMR (400.13 MHz, $CDCl_3$): δ = 7.89 (d, J = 8.8 Hz, 1 H), 6.66 (d, J = 2.3 Hz, 1 H), 6.55 (dd, J = 8.8, J = 2.3 Hz, 1 H), 4.06 (t, J = 6.4 Hz, 2 H), 3.13 (t, J = 7.2 Hz, 2 H), 2.86 (t, J = 6.4 Hz, 2 H), 2.64 (q, J = 7.2 Hz, 4 H), 2.46 (s, 3 H), 1.63 (s, 9 H), 1.50–1.25 (m, 8 H), 1.06 (t, J = 7.2 Hz, 6 H), 0.89 (t, J = 6.7 Hz, 3 H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 150.9 (Cq), 144.5 (Cq), 139.7 (Cq), 127.0 (Cq), 125.4 (Cq), 125.3 (Cq), 116.4, 111.6, 98.9, 82.9 (Cq), 72.2 (CH_2), 52.8 (CH_2), 47.6 (CH_2), 44.9 (CH_2), 31.7 (CH_2), 29.7 (CH_2), 28.4, 26.9 (CH_2), 22.7 (CH_2), 14.1, 12.4, 11.8 ppm. MS (EI, 70 eV): *m*/*z* (%) = 446 (1), 445 (5) [M$^+$], 346 (2), 345 (9), 290 (3), 246 (16), 245 (7), 244 (3), 176 (3), 175 (23), 174 (5), 147 (4), 146 (3), 145 (7), 100 (100), 99 (8), 98 (8), 97 (17), 86 (42), 85 (10), 84 (12), 83 (21), 58 (8), 57 (45), 44 (58). HRMS: calcd. for $C_{26}H_{43}N_3O_3$: 445.32989; found 445.330462. FTIR (ATR): $\tilde{\nu}$ = 3400, 2964, 2927, 2856, 1721, 1367, 1329, 1314, 1221, 1168, 1127, 1061, 1018, 846, 764, 678 cm^{-1}.

{3-[2-(Diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}[2-(4-fluorophenyl)ethyl]amine (3f): ^{1}H NMR (500.13 MHz, $CDCl_3$): δ = 7.19 (m, 2 H), 7.05 (d, J = 8.6 Hz, 1 H), 7.00 (m, 2 H), 6.78 (d, J = 2.0 Hz, 1 H), 6.51 (dd, J = 8.6, J = 2.0 Hz, 1 H), 4.13 (t, J = 6.3 Hz, 2 H), 4.01 (br., 1 H, NH), 3.54 (s, 3 H), 3.43 (t, J = 6.9 Hz, 2 H), 2.95–2.91 (m, 4 H), 2.72 (q, J = 7.1 Hz, 4 H), 2.32 (s, 3 H), 1.10 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 161.5 (d, J = 244 Hz, Cq), 141.1 (Cq), 135.3 (d, J = 3.0 Hz, Cq), 134.2 (Cq), 130.2 (d, J = 7.5 Hz), 128.7 (Cq), 125.2 (Cq), 121.5 (Cq), 115.3 (d, J = 21.0 Hz), 110.8, 109.4, 99.4, 72.3, 52.7, 47.5, 46.7, 34.8, 29.3, 11.5, 8.9 ppm. MS (EI, 70 eV): *m*/*z* (%) = 397 (4) [M$^+$], 297 (3), 281 (1), 188 (6), 173 (7), 159 (4), 130 (1), 100 (100), 86 (8), 44 (7). HRMS: calcd. for $C_{24}H_{32}FN_3O$: 397.25239; found 397.251869. FTIR (ATR): $\tilde{\nu}$ = 2966, 2930, 2871, 2821, 1508, 1476, 1446, 1371, 1256, 1220, 1169, 1157, 1067, 1014, 824, 786 cm^{-1}.

Compounds 4a–c. General Procedure for the Hydrogenation of 5-Benzylamino-3-[2-(diethylamino)ethoxy]-1*H*-indole Derivatives 3a–c: In a 20-mL autoclave 5-benzylamino-3-[2-(diethylamino)ethoxy]indole derivative (1 mmol) was dissolved in 40 mL of ethanol, before Pd/C (10%) (200 mg) was added. Under a pressure of 50 bar (5 bar for **4c**) H_2, the reaction mixture was stirred for 8 h (3.5 h for **4c**) at room temperature (60 °C for **4c**). After removal of the solvent in vacuo, the hydrogenated indole derivative was isolated as an oil by column chromatography [eluent heptane/ethyl acetate (5:1) with 5% triethylamine].

{3-[2-(Diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}amine (4a): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 6.95 (d, J = 8.6 Hz, 1 H), 6.78 (d, J = 2.1 Hz, 1 H), 6.51 (dd, J = 8.6, J = 2.1 Hz, 1 H), 3.99 (t, J = 6.5 Hz, 2 H), 3.45 (s, 3 H), 3.21 (br., 2 H, NH_2), 2.79 (t, J = 6.5 Hz, 2 H), 2.56 (q, J = 7.1 Hz, 4 H), 2.23 (s, 3 H), 0.99 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 138.6 (Cq), 134.0 (Cq), 129.1 (Cq), 125.4 (Cq), 121.5 (Cq), 111.4, 109.2, 102.2, 72.6 (CH_2), 52.7 (CH_2), 47.5 (CH_2), 29.3, 11.8, 8.8 ppm. MS (EI, 70 eV): *m*/*z* (%) = 275 (4) [M$^+$], 176 (3), 175 (12), 160 (4), 159 (2), 101 (7), 100 (100), 91 (4), 86 (13), 72 (8), 44 (9). HRMS: calcd. for $C_{16}H_{25}N_3O$: 275.19921; found 275.199332. FTIR (KBr): $\tilde{\nu}$ = 3420, 3342, 3219, 2966, 2933, 2872, 2819, 1628, 1495, 1470, 1372, 1322, 1257, 1165, 1068, 793 cm^{-1}.

{1-Benzyl-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indol-5-yl}-amine (4b): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.23–7.20 (m, 3 H), 6.97 (d, J = 8.6 Hz, 1 H), 6.93–6.90 (m, 3 H), 6.53 (dd, J = 8.6, J = 2.2 Hz, 1 H), 5.18 (s, 2 H), 4.11 (t, J = 6.6 Hz, 2 H), 3.10 (br., 2 H, NH_2), 2.88 (t, J = 6.6 Hz, 2 H), 2.65 (q, J = 7.1 Hz, 4 H), 2.25 (s, 3 H), 1.07 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 138.9 (Cq), 138.3 (Cq), 134.5 (Cq), 129.0 (Cq), 128.7, 127.1, 125.9, 125.4 (Cq), 121.9 (Cq), 111.7, 109.8, 102.3, 72.6 (CH_2), 52.7 (CH_2), 47.5 (CH_2), 46.4 (CH_2), 11.8, 8.9 ppm. MS (EI, 70 eV): *m*/*z* (%) = 351 (3) [M$^+$], 251 (2), 236 (1), 159 (1), 132 (2), 100 (100), 91 (26). HRMS: calcd. for $C_{22}H_{29}N_3O$: 351.23051; found 351.230802. FTIR (KBr): $\tilde{\nu}$ = 3035, 2970, 2921, 1626, 1490, 1456, 1437, 1371, 1356, 1329, 1268, 1165, 732 cm^{-1}.

***tert*-Butyl 5-Amino-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (4c):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.91 (d, J = 8.9 Hz, 1 H), 6.79 (d, J = 2.2 Hz, 1 H), 6.62 (dd, J = 8.9, J = 2.2 Hz, 1 H), 4.06 (t, J = 6.4 Hz, 2 H), 3.59 (br., 2 H, NH_2), 2.86 (t, J = 6.4 Hz, 2 H), 2.64 (q, J = 7.1 Hz, 4 H), 2.47 (s, 3 H), 1.65 (s, 9 H), 1.07 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 150.8 (Cq), 141.7 (Cq), 139.4 (Cq), 127.8 (Cq), 125.7 (Cq), 125.3 (Cq), 116.4, 112.8, 102.1, 83.1 (Cq), 72.2 (CH_2), 52.8 (CH_2), 47.5 (CH_2), 28.5, 12.4, 11.8 ppm. MS (EI, 70 eV): *m*/*z* (%) = 362 (2), 361 (8) [M$^+$], 261 (3), 162 (9), 161 (8), 147 (2), 146, (5), 145 (5), 101 (19), 100 (100), 86 (67), 72 (17), 57 (40). HRMS: calcd. for $C_{20}H_{31}N_3O_3$: 361.23599; found 361.235080. FTIR (ATR): $\tilde{\nu}$ = 3443, 3369, 3211, 3027, 2969, 2929, 2873, 2810, 1720, 1365, 1327, 1254, 1218, 1166, 1129, 1060, 1033 cm^{-1}.

Compounds 5a–h. General Procedure for the Sulfonylation of 5-Amino-3-[2-(diethylamino)ethoxy]-1*H*-indole Derivatives 3c, 3e, 4a–c: In an Ace-pressure tube under argon atmosphere the corresponding 5-amino-substituted 3-[2-(diethylamino)ethoxy]indole derivative (1 mmol) and the arylsulfonyl chloride (1 mmol) (see Table 3) were dissolved in 5 mL of triethylamine (for the synthesis of **5a**–**b**, **5e**–**f**) and heated at 40 °C for 2 h. In the case of **5c** and **5g** 10 mL of CH_2Cl_2 as solvent and 2 equiv. Cs_2CO_3 as base at room temp. were used. The pressure tube was fitted with a Teflon® cap. After removal of the solvent in vacuo the corresponding indole product was isolated by column chromatography as oil or solid material with heptane/ethyl acetate (5:1) and 1% triethylamine.

***N*-{3-[2-(Diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}-2,1,3-benzothiadiazole-5-sulfonamide (5a):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.11 (dd, J = 8.9, J = 1.0 Hz, 1 H), 8.08 (dd, J = 7.0, J = 1.0 Hz, 1 H), 7.53 (dd, J = 8.9, J = 7.0 Hz, 1 H), 7.11 (d, J = 2.0 Hz, 1 H), 6.93 (d, J = 8.8 Hz, 1 H), 6.69 (dd, J = 8.8, J = 2.0 Hz, 1 H), 3.97 (t, J = 6.1 Hz, 2 H), 3.47 (s, 3 H), 2.89 (t, J = 6.1 Hz, 2 H), 2.76 (q, J = 7.2 Hz, 4 H), 2.25 (s, 3 H), 1.13 (t, J = 7.2 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 155.1 (Cq), 149.2 (Cq), 134.4 (Cq), 133.0 (Cq), 132.0, 130.8 (Cq), 123.2, 127.0 (Cq), 126.4 (Cq), 126.2, 120.6 (Cq), 116.9, 111.7, 109.1, 72.0 (CH_2), 52.4 (CH_2), 47.5 (CH_2), 29.3, 11.1, 8.8 ppm. MS (EI, 70 eV): *m*/*z* (%) = 474 (1), 473 (2) [M$^+$], 472 (1), 374 (6), 373 (9), 372 (2), 176 (12), 175 (52), 174 (38), 173 (22), 161 (4), 160 (11), 159 (11), 148 (5), 147 (11), 146 (3), 145 (5), 137 (3), 136 (12), 135 (2), 101 (37), 100 (100), 99 (5), 98 (7), 86 (72), 85 (3), 84 (6), 73 (5), 72 (30), 71 (8), 70 (7), 69 (6), 57 (9), 56 (15), 55 (5). HRMS: calcd. for $C_{22}H_{27}N_5O_3S_2$: 473.15498; found 473.154213. FTIR (KBr): $\tilde{\nu}$ = 3448, 3203, 3085, 2962, 2925, 2835, 1521, 1488, 1374, 1347, 1332, 1271, 1252, 1213, 1162, 1143, 966, 834, 819, 764, 733, 669, 612, 594, 482 cm^{-1}.

***N*-{1-Benzyl-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indol-5-yl}-2,1,3-benzothiadiazole-5-sulfonamide (5b):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.14 (dd, J = 8.8, J = 1.1 Hz, 1 H), 8.10 (dd, J = 7.0, J = 1.2 Hz, 1 H), 7.56 (dd, J = 8.8, J = 7.0 Hz, 1 H), 7.24–7.17 (m, 3 H), 7.13 (d, J = 2.0 Hz, 1 H), 6.90 (d, J = 8.7 Hz, 1 H), 6.86–6.80 (m, 2 H), 6.66 (dd, J = 8.7, J = 2.0 Hz, 1 H), 5.11 (s, 2 H), 3.93 (t, J = 6.4 Hz, 2 H), 2.77 (t, J = 6.4 Hz, 2 H), 2.61 (q, J = 7.1 Hz, 4 H), 2.20 (s, 3 H), 1.05 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 155.2 (Cq), 149.3 (Cq), 137.4 (Cq), 135.1 (Cq), 132.1 (Cq), 132.0, 131.0 (Cq), 128.7, 128.3, 127.4, 127.2 (Cq), 126.3 (Cq), 126.3, 125.8, 121.2 (Cq), 117.4, 112.1, 109.6, 72.8 (CH_2), 52.6 (CH_2), 47.5 (CH_2), 46.5 (CH_2), 11.8, 9.0 ppm. MS (EI, 70 eV): *m*/*z* (%) = 550 (1), 549 (1) [M$^+$], 351 (1), 252 (4), 251 (7), 250 (2), 236 (1), 235 (2), 162 (1), 161 (3), 160 (2), 137 (1), 136 (7), 135 (1), 101 (9), 100 (100), 99 (2), 92 (4), 91 (44), 86 (15), 85 (2), 58 (3), 57 (5), 56 (5), 44 (12). HRMS: calcd. for $C_{28}H_{31}N_5O_3S_2$: 549.18628; found 549.187203. FTIR (KBr): $\tilde{\nu}$ = 3452, 2970, 2929, 2872, 1626, 1495, 1474, 1454, 1375, 1353, 1289, 1210, 1155, 1142, 967, 754, 731, 608 cm^{-1}.

***tert*-Butyl 5-[(2,1,3-Benzothiadiazol-4-ylsulfonyl)(hexyl)amino]-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (5c):** ^{1}H NMR (400.13 MHz, $CDCl_3$): δ = 8.15 (dd, J = 8.8, J = 1.3 Hz, 1 H), 7.94 (d, J = 9.0 Hz, 1 H), 7.91 (dd, J = 7.1, J = 1.3 Hz, 1 H), 7.51 (dd, J = 8.8, J = 7.1 Hz, 1 H), 7.06 (d, J = 2.0 Hz, 1 H), 6.78 (dd, J = 9.0, J = 2.0 Hz, 1 H), 4.02 (t, J = 7.1 Hz, 2 H), 3.83 (t, J = 6.2 Hz, 2 H), 2.72 (t, J = 6.2 Hz, 2 H), 2.57 (q, J = 7.2 Hz, 4 H), 2.45 (s, 3 H), 1.62 (s, 9 H), 1.50–1.25 (m, 8 H), 1.01 (t, J = 7.2 Hz, 6 H), 0.84 (m, 3 H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 155.5 (Cq), 150.4 (Cq), 149.7 (Cq), 139.4 (Cq), 133.0 (Cq), 132.7 (Cq), 132.6, 131.7 (Cq), 128.1, 126.5 (Cq), 126.0, 124.6 (Cq), 124.5, 117.6, 116.1, 84.0 (Cq), 72.5 (CH_2), 52.9 (CH_2), 52.6 (CH_2), 47.4 (CH_2), 31.4 (CH_2), 28.9 (CH_2), 28.2, 26.1 (CH_2), 22.5 (CH_2), 14.0, 12.4, 11.7 ppm. MS (EI, 70 eV): *m*/*z* (%) = 643 (1) [M$^+$], 346 (1), 345 (2), 246 (5), 245 (3), 244 (2), 187 (2), 186 (1), 169 (3), 168 (10), 167 (2), 147 (2), 146 (1), 145 (3), 101 (7), 100 (100), 99 (6), 86 (27), 85 (7), 84 (10), 71 (15), 70 (15), 69 (24), 57 (30), 56 (39), 45 (9), 44 (99), 43 (36). HRMS: calcd. for $C_{32}H_{45}N_5O_5S_2$: 643.28566; found 643.284226. FTIR (neat): $\tilde{\nu}$ = 3442, 3093, 3060, 2965, 2929, 2871, 2809, 2725, 1732, 1471, 1353, 1272, 1256, 1225, 1208, 1162, 1070, 1021, 970, 853, 831, 754, 730, 622, 604, 593 cm^{-1}.

5-Chloro-*N*-{3-[2-(diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}-3-methyl-1-benzothiophene-2-sulfonamide (5d): ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.64 (d, J = 8.6 Hz, 1 H), 7.60 (d, J = 2.0 Hz, 1 H), 7.34 (dd, J = 8.6, J = 2.0 Hz, 1 H), 7.25 (d, J = 2.0 Hz, 1 H), 7.05 (d, J = 8.7 Hz, 1 H), 6.91 (dd, J = 8.7, J = 2.0 Hz, 1 H), 5.56 (br. s, 1 H, NH), 3.97 (t, J = 6.2 Hz, 2 H), 3.54 (s, 3 H), 2.87 (t, J = 6.2 Hz, 2 H), 2.74 (q, J = 7.2 Hz, 4 H), 2.30 (s, 3 H), 2.21 (s, 3 H), 1.09 (t, J = 7.2 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 140.5 (Cq), 137.7 (Cq), 136.9 (Cq), 136.1 (Cq), 134.5 (Cq), 132.5 (Cq), 131.1 (Cq), 127.5, 126.7 (Cq), 126.4 (Cq), 123.6, 123.2, 120.6 (Cq), 118.6, 113.5, 109.1, 71.8 (CH_2), 52.4 (CH_2), 47.4 (CH_2), 29.5, 12.1, 10.9, 8.9 ppm. MS (EI, 70 eV): *m*/*z* (%) = 520 (1), 519 (2) [M$^+$], 421 (5), 420 (9), 419 (8), 275 (1), 274 (1), 184 (7), 183 (10), 182 (20), 181 (24), 176 (15), 175 (69), 174 (51), 173 (27), 101 (49), 100 (100), 99 (6), 98 (8), 87 (5), 86 (97), 85 (2), 73 (7), 72 (44), 71 (7), 70 (7), 57 (4), 56 (16), 55 (1). HRMS: calcd. for $C_{25}H_{30}ClN_3O_3S_2$: 519.14116; found 519.139652. FTIR (KBr): $\tilde{\nu}$ = 3448, 2966, 2921, 2856, 2668, 1857, 1128, 1486, 1373, 1335, 1326, 1277, 1244, 1156, 1117, 1080, 987, 862, 799, 647, 575, 563, 547 cm^{-1}.

***tert*-Butyl 5-{[(6-Chloroimidazo[2,1-*b*][1,3]thiazol-5-yl)-sulfonyl](hexyl)amino}-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (5e):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.02 (d, J = 8.9 Hz, 1 H), 7.19 (d, J = 2.1 Hz, 1 H), 7.06 (d, J = 4.5 Hz, 1 H), 6.94 (d, J = 8.9, J = 2.1 Hz, 1 H), 6.70 (d, J = 4.5 Hz, 1 H),

3.89 (t, J = 6.1 Hz, 2 H), 3.76 (t, J = 7.0 Hz, 2 H), 2.81 (t, J = 6.1 Hz, 2 H), 2.63 (q, J = 7.1 Hz, 4 H), 2.47 (s, 3 H), 1.64 (s, 9 H), 1.46–1.18 (m, 8 H), 1.65 (t, J = 7.1 Hz, 6 H), 0.83 (t, J = 7.0 Hz, 3 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 150.4 (Cq), 149.3 (Cq), 139.4 (Cq), 137.6 (Cq), 133.0 (Cq), 132.5 (Cq), 126.8 (Cq), 124.7 (Cq), 124.1, 120.5, 119.0 (Cq), 117.0, 116.2, 113.4, 84.2 (Cq), 72.5 (CH_2), 52.7 (CH_2), 51.7 (CH_2), 47.4 (CH_2), 31.3 (CH_2), 28.2 (CH_2), 28.2, 26.0 (CH_2), 22.5 (CH_2), 14.0, 12.5, 11.6 ppm. MS (EI, 70 eV): m/z (%) = 667 (3), 666 (8) [M$^+$], 568 (2), 567 (3), 566 (4), 447 (5), 446 (15), 445 (7), 444 (4), 347 (13), 346 (16), 345 (11), 344 (7), 300 (2), 299 (8), 161 (37), 160 (11), 159 (100), 158 (10), 101 (6), 100 (39), 99 (11), 86 (5), 85 (24), 84 (4), 83 (14). HRMS: calcd. for $C_{31}H_{44}ClN_5O_5S_2$: 666.25452; found 666.25510. FTIR (ATR): $\tilde{\nu}$ = 3148, 3121, 2959, 2929, 2870, 2858, 1737, 1619, 1453, 1355, 1324, 1269, 1248, 1118, 1133, 1069, 1020, 728, 670 cm^{-1}.

***N*-{3-[2-(Diethylamino)ethoxy]-1,2-dimethyl-1*H*-indol-5-yl}-1-benzothiophene-3-sulfonamide (5f):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.21–8.14 (m, 1 H), 7.93 (s, 1 H), 7.87–7.79 (m, 1 H), 7.47–7.37 (m, 2 H), 7.05 (d, J = 2.0 Hz, 1 H), 7.00 (d, J = 8.7 Hz, 1 H), 6.76 (dd, J = 8.7, J = 2.0 Hz, 1 H), 3.87 (t, J = 6.2 Hz, 2 H), 3.52 (s, 3 H), 2.76 (t, J = 6.2 Hz, 2 H), 2.60 (q, J = 7.1 Hz, 4 H), 2.28 (s, 3 H), 1.03 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 140.1 (Cq), 135.1, 134.7 (Cq), 133.7 (Cq), 132.6 (Cq), 132.5 (Cq), 126.7 (Cq), 126.3 (Cq), 125.6, 125.5, 123.2, 122.8, 120.7 (Cq), 118.8, 113.8, 109.0, 72.5 (CH_2), 52.5 (CH_2), 47.3 (CH_2), 29.4, 11.5, 8.9 ppm. MS (EI, 70 eV): m/z (%) = 471 (2) [M$^+$], 470 (1), 373 (3), 372 (10), 371 (9), 370 (2), 176 (10), 175 (47), 174 (36), 173 (21), 161 (3), 160 (7), 159 (14), 134 (25), 133 (4), 132 (7), 131 (5), 101 (36), 100 (100), 99 (5), 86 (67), 85 (3), 84 (5), 72 (26), 71 (8), 70 (7), 69 (7), 58 (8), 57 (10), 56 (16), 55 (5). HRMS: calcd. for $C_{24}H_{29}N_3O_3S_2$: 471.16448; found 471.164566. FTIR (ATR): $\tilde{\nu}$ = 3257, 3104, 2965, 2927, 2872, 2853, 1372, 1276, 1250, 1142, 1064, 076, 797, 756, 731, 706, 668 cm^{-1}.

***tert*-Butyl 5-{[(1-Benzothiophen-3-yl)sulfonyl](benzyl)amino}-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (5g):** ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.98 (m, 1 H), 7.97 (s, 1 H), 7.92 (d, J = 8.8 Hz, 1 H), 7.88 (m, 1 H), 7.46–7.33 (m, 2 H), 7.24–7.14 (m, 5 H), 6.96 (d, J = 2.1 Hz, 1 H), 6.85 (dd, J = 8.8, J = 2.1 Hz, 1 H), 4.89 (s, 2 H), 3.70 (t, J = 6.3 Hz, 2 H), 2.68 (t, J = 6.3 Hz, 2 H), 2.55 (q, J = 7.1 Hz, 4 H), 2.44 (s, 3 H), 1.62 (s, 9 H), 1.02 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 150.4 (Cq), 140.1 (Cq), 139.4 (Cq), 136.0 (Cq), 135.0, 134.1 (Cq), 133.0 (Cq), 132.8 (Cq), 132.8 (Cq), 128.7, 128.3, 127.6, 126.2 (Cq), 125.5, 125.5, 125.2, 124.3 (Cq), 124.0, 122.7, 117.6, 115.9, 83.9 (Cq), 72.2 (CH_2), 55.4 (CH_2), 52.6 (CH_2), 47.3 (CH_2), 28.2, 12.4, 11.7 ppm. MS (EI, 70 eV): m/z (%) = 647 (1) [M$^+$], 341 (2), 151 (4), 150 (3), 149 (15), 135 (4), 134 (13), 133 (5), 101 (6), 100 (81), 99 (14), 71 (49), 70 (27), 69 (52), 57 (78), 56 (55), 55 (62), 44 (100), 43 (71). HRMS: calcd. for $C_{35}H_{41}N_3O_5S_2$: 647.24821; found 647.249346. FTIR (neet): $\tilde{\nu}$ = 3109, 3064, 3035, 2970, 2925, 2868, 2811, 2255, 1731, 1471, 1455, 1355, 1325, 1259, 1225, 1160, 1139, 1066, 911, 757, 733, 590 cm^{-1}.

***tert*-Butyl 5-{[(6-Chloroimidazo[2,1-*b*][1,3]thiazol-5-yl)-sulfonyl]amino}-3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indole-1-carboxylate (5h):** In a round-bottomed flask under argon atmosphere to a solution of **4c** (0.5 mmol), $NaHCO_3$ (2 equiv.) and 6-chloroimidazo[2,1-*b*]thiazole-5-sulfonyl chloride (0.5 mmol) were added in 5 mL of acetonitrile. The reaction mixture was stirred at room temperature for 15 h. The product was isolated by column chromatography (eluent: ethanol gradient 5–50% in CH_2Cl_2) in a yield of 81% as a white powder. ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.98 (d, J = 8.8 Hz, 1 H), 7.70 (d, J = 4.5 Hz, 1 H), 7.31 (d, J = 2.3 Hz, 1 H), 7.01 (dd, J = 8.8, J = 2.3 Hz, 1 H), 6.86 (d, J = 4.5 Hz, 1 H), 5.24 (br., 1 H, NH), 4.01 (t, J = 6.1 Hz, 2 H), 2.88 (t, J = 6.1 Hz, 2 H), 2.74 (q, J = 7.1 Hz, 4 H), 2.45 (s, 3 H), 1.63 (s, 9 H), 1.09 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 150.4 (Cq), 149.5 (Cq), 139.1 (Cq), 137.3 (Cq), 132.0 (Cq), 130.1 (Cq), 126.7 (Cq), 124.6 (Cq), 120.3, 119.8, 118.6 (Cq), 116.4, 114.0, 112.1, 84.0 (Cq), 72.0 (CH_2), 52.5 (CH_2), 47.3 (CH_2), 28.2, 12.4, 11.2 ppm. MS (EI, 70 eV): m/z (%) = 581 (1) [M$^+$], 382 (1), 381 (1), 158 (12), 101 (18), 100 (100), 86 (83), 72 (16), 57 (15), 56 (24). HRMS (ESI$^+$, [M + H]$^+$) Calcd. for $C_{25}H_{32}ClN_5O_5S_5$: 582.16061; found 582.16052. FTIR (Nujol): $\tilde{\nu}$ = 3436, 3109, 2924, 2854, 2716, 1728, 1612, 1542, 1460, 1376, 1323, 1271, 1249, 1179, 1129, 1067, 1022, 933, 725, 622 cm^{-1}.

***N*-Benzyl-*N*-{3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indol-5-yl}-1-benzothiophene-3-sulfonamide (6a):** Ester **5g** was solved in a 33% methylamine/ethanol solution (10 mL) and stirred at room temperature for 24 h. After removal of the solvent in vacuo the corresponding indole product was isolated by column chromatography (eluent: ethanol gradient 5–20% in CH_2Cl_2) as solid material in a yield of 78%. ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.98 (m, J = 7.3 Hz, 1 H), 7.97 (s, 1 H), 7.88 (m, J = 7.3 Hz, 1 H), 7.50 (br. s, 1 H, NH), 7.44–7.31 (m, 2 H), 7.25–7.14 (m, 5 H), 6.97 (d, J = 1.9 Hz, 1 H), 6.94 (d, J = 8.6 Hz, 1 H), 6.66 (dd, J = 8.6, J = 1.9 Hz, 1 H), 4.89 (s, 2 H), 3.77 (t, J = 6.2 Hz, 2 H), 2.71 (t, J = 6.2 Hz, 2 H), 2.59 (q, J = 7.1 Hz, 4 H), 2.29 (s, 3 H), 1.04 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 140.1 (Cq), 136.4 (Cq), 135.4 (Cq), 134.8, 134.3 (Cq), 133.3 (Cq), 131.9 (Cq), 130.0 (Cq), 128.7, 128.3, 127.5, 125.4, 125.4, 124.2, 123.7 (Cq), 123.2, 122.6, 121.8 (Cq), 118.0, 110.8, 72.3 (CH_2), 55.8 (CH_2), 52.5 (CH_2), 47.3 (CH_2), 11.6, 10.3 ppm. MS (EI, 70 eV): m/z (%) = 547 (1) [M$^+$], 448 (1), 447 (1), 351 (1), 350 (1), 252 (5), 251 (5), 250 (4), 161 (4), 134 (16), 133 (3), 101 (8), 100 (100), 99 (8), 71 (25), 70 (15), 57 (41), 56 (16), 55 (29). HRMS: calcd. for $C_{30}H_{33}N_3O_3S_2$: 547.19578; found 547.197375. FTIR (ATR): $\tilde{\nu}$ = 3308, 3106, 2966, 2928, 2851, 1492, 1454, 1346, 1291, 1259, 1233, 1146, 1086, 1063, 1024, 969, 844, 803, 757, 732, 699 cm^{-1}.

6-Chloro-*N*-{3-[2-(diethylamino)ethoxy]-2-methyl-1*H*-indol-5-yl}imidazo[2,1-*b*]thiazole-5-sulfonamide (6b): In a round-bottomed flask under argon atmosphere **5h** was heated up to 140 °C for 3 h. After column chromatography (eluent: ethanol gradient 10–30% in CH_2Cl_2) a light-cream powder was obtained in 88% yield. ^{1}H NMR (300.13 MHz, [D$_6$]acetone, referenced to solvent signal δ = 2.05): δ = 9.67 (br., 1 H, NH), 7.71 (d, J = 4.5 Hz, 1 H), 7.35 (d, J = 4.5 Hz, 1 H), 7.30 (d, J = 2.1 Hz, 1 H), 7.12 (dd, J = 8.5, J = 0.5 Hz, 1 H), 6.87 (dd, J = 8.5, J = 2.1 Hz, 1 H), 3.96 (t, J = 6.3 Hz, 2 H), 2.78 (t, J = 6.3 Hz, 2 H), 2.61 (q, J = 7.1 Hz, 4 H), 2.30 (s, 3 H), 1.02 (t, J = 7.1 Hz, 6 H) ppm. ^{13}C NMR (75.5 MHz, [D$_6$]-acetone, referenced to solvent signal δ = 29.9): δ = 150.4 (Cq), 138.1 (Cq), 135.8 (Cq), 132.5 (Cq), 128.0 (Cq), 125.4 (Cq), 122.8 (Cq), 121.2, 119.7 (Cq), 118.6, 115.9, 113.2, 112.2, 73.6 (CH_2), 53.7 (CH_2), 47.4 (CH_2), 12.6, 10.3 ppm. MS (EI, 70 eV): m/z (%) = 481 (0.3) [M$^+$], 382 (1), 174 (1), 173 (1), 161 (5) (5) 160, 145 (2), 101 (7), 100 (100), 86 (48), 72 (7), 58 (4). HRMS (ESI$^+$, [M + H]$^+$) Calcd. for $C_{20}H_{24}ClN_5O_3S_2$: 482.10819; found 482.10949. FTIR (ATR): $\tilde{\nu}$ = 3308, 3129, 3059, 2964, 2923, 2853, 1459, 1435, 1269, 1240, 1211, 1177, 1139, 1122, 1103, 1042, 1022, 926, 882, 814, 791, 727, 671 cm^{-1}.

[3-(*tert*-Butyldimethylsilanyloxy)-1,2-dimethyl-1*H*-indol-5-yl]amine (7e): Ammonia (gaseous) was liquified in a flask at –80 °C. After addition of sodium (10 equiv.) to liquid ammonia a solution of benzyl[3-(*tert*-butyldimethylsilanyloxy)-1,2-dimethyl-1*H*-indol-5-yl]amine (0.9 mmol) in THF (4 mL) was added carefully over sy-

ringe. The reaction mixture was stirred for 2 h at –30 °C. The dark violet solution was charged with NH_4Cl carefully until all sodium was destroyed. After 1 h water was added to the reaction mixture, extracted with dichloromethane and dried with Mg_2SO_4. The solvent was removed and the crude product was cleaned by column chromatography (eluent: ethyl acetate gradient 5–20% in hexane). The product was obtained as brown oil with a yield of 130 mg (20%). ^{1}H NMR (500.13 MHz, $CDCl_3$): δ = 7.00 (d, J = 8.5 Hz, 1 H), 6.78 (d, J = 2.2 Hz, 1 H), 6.60 (dd, J = 8.5, J = 2.2 Hz, 1 H), 3.54 (s, 3 H), 2.93 (br., 2 H, NH_2), 2.25 (s, 3 H), 1.07 (s, 9 H), 0.15 (s, 6 H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 137.7 (Cq), 129.5 (Cq), 129.4 (Cq), 123.2 (Cq), 122.2 (Cq), 111.5, 108.9, 102.8, 29.4, 25.9, 18.2 (Cq), 9.2, –4.2 ppm. MS (EI, 70 eV): m/z (%) = 292 (19), 290 (100) [M$^+$], 233 (25), 218 (6), 192 (7), 175 (5), 160 (14), 159 (13), 73 (5).. HRMS: calcd. for $C_{16}H_{26}N_2OSi$: 290.18089; found 290.180559. FTIR (Nujol): $\tilde{\nu}$ = 3446, 2952, 2923, 2854, 1844, 1648, 1559, 1506, 1457, 1419, 1376, 1318, 1251, 1160, 1066, 891, 778 cm^{-1}.

Compounds 8a–i. General Procedure for the Sulfonylation of 5-Amino-3-(silanyloxy)indole Derivatives: Under argon atmosphere the indole derivative (1 mmol) and the arylsulfonyl chloride (2 mmol) were dissolved in triethylamine (5 mL) and heated to 40 °C for 2 h. After the reaction was complete by TLC control, the solvent was removed in vacuo. The product was isolated by column chromatography with hexane/ethyl acetate (10:1) as a solid material.

***N*-Benzyl-*N*-[3-(*tert*-butyldimethylsilanyloxy)-1,2-dimethyl-1*H*-indol-5-yl]naphthalene-2-sulfonamide (8a):** ^{1}H NMR (500.13 MHz, $CDCl_3$): δ = 8.27 (d, J = 1.7 Hz, 1 H), 7.91 (d, J = 8.7 Hz, 1 H), 7.91–7.87 (m, 2 H), 7.71 (dd, J = 8.7, J = 1.7 Hz, 1 H), 7.63, 7.57 (2 ddd, 2 H), 7.27–7.24 (m, 2 H), 7.21–7.14 (m, 3 H), 7.01 (d, J = 8.8 Hz, 1 H), 6.95 (d, J = 2.0 Hz, 1 H), 6.71 (dd, J = 8.8, J = 2.0 Hz, 1 H), 4.87 (s, 2 H), 3.51 (s, 3 H), 2.22 (s, 3 H), 0.88 (s, 9 H), –0.16 (s, 6 H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 136.6 (Cq), 136.6 (Cq), 134.8 (Cq), 132.8 (Cq), 132.2 (Cq), 130.4 (Cq), 129.6 (Cq), 129.3, 128.9, 128.8, 128.7, 128.5, 128.2, 127.8, 127.4, 127.2, 123.5 (Cq), 123.3, 122.2, 121.4 (Cq), 118.0, 108.5, 56.2 (CH_2), 29.5, 25.7, 18.0 (Cq), 9.1, –4.5 ppm. MS (EI, 70 eV): m/z (%) = 571 (16), 570 (38) [M$^+$], 380 (46), 379 (99), 378 (15), 277 (6), 276 (5), 205 (28), 204 (5), 203 (31), 191 (5), 93 (9), 92 (13), 91 (100), 78 (10), 77 (21), 74 (11), 73 (96), 57 (38). HRMS: calcd. for $C_{33}H_{38}N_2O_3SSi$: 570.236511; found 570.23669. FTIR (ATR): $\tilde{\nu}$ = 3057, 3301, 2956, 2929, 2854, 1337, 1321, 1247, 1156, 1131, 1077, 820, 807, 786, 754, 699, 666 cm^{-1}.

Naphthalene-2-sulfonamide 8b: ^{1}H NMR (500.13 MHz, $CDCl_3$): δ = 8.21 (d, J = 1.8 Hz, 1 H), 7.89–7.85 (m, 3 H), 7.65 (dd, J = 8.8, J = 1.8 Hz, 1 H), 7.61, 7.55 (2m, 2 H), 7.09 (d, J = 8.8 Hz, 1 H), 7.01 (d, J = 2.2 Hz, 1 H), 6.84 (dd, J = 8.8, J = 2.2 Hz, 1 H), 3.66 (t, J = 7.0 Hz, 2 H), 3.58 (s, 3 H), 2.26 (s, 3 H), 1.45–1.18 (m, 8 H), 0.89 (s, 9 H), 0.84 (t, J = 7.3 Hz, 3 H), –0.10 (s, 6 H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 138.2 (Cq), 136.3 (Cq), 134.6 (Cq), 132.8 (Cq), 130.4 (Cq), 129.7 (Cq), 129.3, 128.7, 127.8, 128.7, 128.3, 127.1, 123.7 (Cq), 123.3, 122.1, 121.5 (Cq), 117.5, 108.6, 51.7 (CH_2), 31.4 (CH_2), 28.3 (CH_2), 26.1 (CH_2), 22.5 (CH_2), 29.5, 25.6, 17.9 (Cq), 13.9, 9.1, –4.5 ppm. MS (EI, 70 eV): m/z (%) = 565 (18), 373 (100), 304 (4), 303 (15), 302 (4), 243 (6), 185 (5), 160 (8), 128 (10), 127 (8), 83 (11), 82 (6), 73 (12), 57 (17), 55 (18). HRMS: calcd. for $C_{32}H_{44}N_2O_3SSi$: 564.28364; found 564.283310. FTIR (KBr): $\tilde{\nu}$ = 3444, 3060, 2958, 2929, 2852, 1732, 1487, 1464, 1377, 1337, 1249, 1163, 1129, 1074, 891, 839, 813, 782, 749, 666, 616, 544 cm^{-1}.

Naphthalene-2-sulfonamide 8c: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.27 (d, J = 1.5 Hz, 1 H), 7.83 (m, 3 H), 7.69 (dd, J = 8.6, J = 1.9 Hz, 1 H), 7.61–7.57 (m, 1 H), 7.55–7.51 (m, 1 H), 7.04–7.01 (m, 2 H), 6.85 (dd, J = 8.8, J = 2.0 Hz, 1 H), 6.49 (br. s, 1 H, NH), 3.53 (s, 3 H), 2.22 (s, 3 H), 0.93 (s, 9 H), –0.12 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 136.2 (Cq), 134.9 (Cq), 132.3 (Cq), 132.1 (Cq), 130.3 (Cq), 129.3, 129.1, 128.8, 128.6, 127.8, 127.2, 126.6 (Cq), 123.9 (Cq), 122.7, 121.6 (Cq), 118.6, 113.6, 108.9, 29.5, 25.7, 18.0 (Cq), 9.2, –4.5 ppm. MS (EI, 70 eV): m/z (%) = 481 (23), 480 (70) [M$^+$], 291 (6), 290 (23), 289 (100), 232 (5), 231 (5), 161 (2), 160 (7), 159 (5), 129 (2), 128 (7), 127 (8), 79 (3), 78 (5), 77 (11), 57 (5), 56 (4). HRMS: calcd. for $C_{26}H_{32}N_2O_3SSi$: 480.18974; found 480.189326. FTIR (ATR): $\tilde{\nu}$ = 3237, 3056, 2930, 2857, 1376, 1331, 1273, 1247, 1161, 1153, 1130, 1074, 895, 836, 816, 795, 777, 743, 675 cm^{-1}.

Naphthalene-2-sulfonamide 8d: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.19 (d, J = 1.5 Hz, 1 H), 7.89–7.83 (m, 3 H), 7.64–7.51 (m, 3 H), 7.30–7.12 (m, 4 H), 7.02 (d, J = 2.1 Hz, 1 H), 6.90 (dd, J = 8.7, J = 2.1 Hz, 1 H), 3.93 (m, 2 H), 3.62 (s, 3 H), 2.80 (m, 2 H), 2.28 (s, 3 H), 0.89 (s, 9 H), –0.11 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 138.5 (Cq), 136.1 (Cq), 134.7 (Cq), 133.0 (Cq), 132.1 (Cq), 130.4 (Cq), 129.6 (Cq), 129.3, 128.9, 128.8, 128.7, 128.4 (2×), 127.7, 127.2, 126.4, 123.9 (Cq), 123.3, 122.3, 121.6 (Cq), 117.5, 108.8, 53.2 (CH_2), 35.2 (CH_2), 29.6, 25.6, 18.0 (Cq), 9.2, –4.5 ppm. MS (EI, 70 eV): m/z (%) = 585 (15), 584 (35) [M$^+$], 394 (12), 393 (28), 392 (2), 303 (34), 302 (100), 246 (7), 245 (17), 218 (4), 217 (12), 216 (3), 188 (4), 187 (10), 128 (13), 127 (13), 73 (16). HRMS: calcd. for $C_{34}H_{40}N_2O_3SSi$: 584.25234; found 584.25293. FTIR (ATR): $\tilde{\nu}$ = 3083, 3061, 3026, 2958, 2930, 2855, 1331, 1249, 1152, 1129, 1072, 937, 890, 833, 817, 783, 750, 692, 665 cm^{-1}.

Naphthalene-2-sulfonamide 8e: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.17 (d, J = 1.7 Hz, 1 H), 7.87–7.82 (m, 3 H), 7.61–7.52 (m, 3 H), 7.11 (d, J = 8.6 Hz, 1 H), 7.10–7.05 (m, 2 H), 7.00 (d, J = 2.0 Hz, 1 H), 6.95–6.90 (m, 2 H), 6.84 (dd, J = 8.5, J = 2.0 Hz, 1 H), 3.90 (m, 2 H), 3.59 (s, 3 H), 2.76 (m, 2 H), 2.26 (s, 3 H), 0.88 (s, 9 H), –0.11 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 161.6 (d, J = 244 Hz, Cq), 136.0 (Cq), 134.7 (Cq), 134.1 (d, J = 3.2 Hz, Cq), 132.9 (Cq), 132.1 (Cq), 130.4 (Cq), 130.3 (d, J = 7.8 Hz), 129.5 (Cq), 129.2, 128.8, 128.8, 128.4, 127.8, 127.2, 123.9 (Cq), 123.2, 122.1, 121.6 (Cq), 117.6, 115.2 (d, J = 21.5 Hz), 108.8, 53.1 (CH_2), 34.3 (CH_2), 29.6, 25.6, 17.9 (Cq), 9.1, –4.5 ppm. MS (EI. 70 eV): m/z (%) = 603 (14), 602 (34) [M$^+$], 413 (2), 412 (8), 411 (18), 304 (8), 303 (32), 302 (100), 246 (5), 245 (13), 217 (7), 216 (2), 187 (7), 186 (2), 185 (5), 128 (7), 127 (7), 109 (5), 73 (9). HRMS: calcd. for $C_{34}H_{39}FN_2O_3SSi$: 602.24292; found 602.243034. FTIR (ATR): $\tilde{\nu}$ = 3064, 3042, 2959, 2932, 2855, 1509, 1337, 1248, 1219, 1152, 1130, 1106, 1075, 938, 891, 855, 835, 815, 781, 749, 665 cm^{-1}.

6-Chloroimidazo[2,1-*b*]thiazole-5-sulfonamide 8f: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.07 (d, J = 2.0 Hz, 1 H), 6.91 (d, J = 4.5 Hz, 1 H), 6.88 (d, J = 8.6 Hz, 1 H), 6.60 (dd, J = 8.6, J = 2.0 Hz, 1 H), 6.51 (d, J = 4.5 Hz, 1 H), 3.66 (t, J = 7.0 Hz, 2 H), 3.44 (s, 3 H), 2.24 (s, 3 H), 1.36–1.01 (m, 8 H), 0.90 (s, 9 H), 0.70 (t, J = 7.0 Hz, 3 H), –0.09 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 149.1 (Cq), 137.2 (Cq), 132.9 (Cq), 130.4 (Cq), 128.4 (Cq), 124.1 (Cq), 121.6 (Cq), 120.7, 120.6, 119.4 (Cq), 118.2, 113.0, 108.8, 52.1 (CH_2), 31.4 (CH_2), 29.6, 28.2 (CH_2), 26.1 (CH_2), 25.8, 22.5 (CH_2), 18.1 (Cq), 14.0, 9.2, –4.3 ppm. MS (EI, 70 eV): m/z (%) = 595 (12), 594 (34) [M$^+$], 531 (4), 530 (11), 495 (10), 494 (12), 424 (19), 423 (8), 422 (38), 375 (18), 374 (76), 373 (100), 304 (12), 303 (52), 302 (9), 290 (13), 262 (15), 158 (14), 73 (31). HRMS: calcd. for $C_{27}H_{39}ClN_4O_3S_2Si$: 594.19159; found 594.192313. FTIR (KBr): $\tilde{\nu}$ = 3150, 3117, 2954, 2925, 2852, 2709, 2479, 1842, 1736, 1486, 1455, 1360, 1324, 1269, 1248, 1178, 1133, 1084, 1068, 946, 890, 839, 807, 781, 727, 668, 619 cm^{-1}.

5-Chloro-3-methylbenzo[*b*]thiophene-2-sulfonamide 8g: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 7.72 (d, J = 8.6 Hz, 1 H), 7.65 (d, J = 2.0 Hz, 1 H), 7.41 (dd, J = 8.6, J = 2.0 Hz, 1 H), 7.11 (d, J = 2.0 Hz, 1 H), 7.09 (d, J = 8.7 Hz, 1 H), 6.85 (dd, J = 8.7, J = 2.0 Hz, 1 H), 3.73 (t, J = 6.6 Hz, 2 H), 3.59 (s, 3 H), 2.27 (s, 3 H), 2.04 (s, 3 H), 1.50–1.15 (m, 8 H), 0.91 (s, 9 H), 0.83 (t, J = 6.6 Hz, 3 H), –0.06 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 140.8 (Cq), 137.6 (Cq), 136.4 (Cq), 135.8 (Cq), 132.9 (Cq), 131.2 (Cq), 130.5 (Cq), 128.9 (Cq), 127.4, 123.9 (Cq), 123.6, 123.4, 121.8, 121.6 (Cq), 117.7, 108.6, 52.2 (CH_2), 31.4 (CH_2), 29.6, 28.3 (CH_2), 26.1 (CH_2), 25.6, 22.5 (CH_2), 18.0 (Cq), 14.0, 12.1, 9.2, –4.4 ppm. MS (EI, 70 eV): *m*/*z* (%) = (rel, intensity): 619 (23), 618 (61) [M^+], 555 (4), 554 (10), 375 (13), 374 (54), 373 (100), 304 (6), 303 (24), 302 (7), 290 (8), 258 (4), 257 (11), 218 (4), 217 (7), 183 (6), 182 (11), 181 (14), 73 (19), 57 (9), 43 (12). HRMS: calcd. for $C_{31}H_{43}ClN_2O_3S_2Si$: 618.216211; found 618.21674. FTIR (KBr): $\tilde{\nu}$ = 3448, 2954, 2921, 2860, 1486, 1464, 1378, 1341, 1250, 1158, 1145, 1081, 894, 864, 839, 810, 783, 662, 573, 558 cm^{-1}.

2,1,3-Benzothiadiazole-4-sulfonamide 8h: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.15 (dd, J = 8.8, J = 1.1 Hz, 1 H), 7.93 (dd, J = 7.1, J = 1.1 Hz, 1 H), 7.50 (dd, J = 8.8, J = 7.1 Hz, 1 H), 7.05 (d, J = 9.2 Hz), 6.84–6.80 (m, 2 H), 4.10 (t, J = 7.0 Hz, 2 H), 3.55 (s, 3 H), 2.23 (s, 3 H), 1.55–1.20 (m, 8 H), 0.91 (s, 9 H), 0.87 (t, J = 7.0 Hz, 3 H), –0.16 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 155.6 (Cq), 149.8 (Cq), 132.8 (Cq), 132.6, 132.2 (Cq), 130.2 (Cq), 129.1 (Cq), 128.2, 125.8, 123.8 (Cq), 122.4, 121.4 (Cq), 117.6, 108.7, 53.7 (CH_2), 31.5 (CH_2), 29.6, 29.0 (CH_2), 26.2 (CH_2), 25.6, 22.6 (CH_2), 18.0 (Cq), 14.0, 9.1, –4.5 ppm. MS (EI, 70 eV): *m*/*z* (%) = 573 (13), 572 (36) [M^+], 374 (72), 373 (100), 372 (8), 304 (13), 303 (55), 302 (6), 290 (10), 289 (4), 217 (11), 216 (5), 188 (6), 187 (11), 168 (9), 136 (15), 109 (9), 75 (12), 74 (5), 73 (65), 57 (12), 56 (8), 44 (15). HRMS: calcd. for $C_{28}H_{40}N_4O_3S_2Si$: 572.23056; found 572.229155. FTIR (ATR): $\tilde{\nu}$ = 3058, 2952, 2927, 2856, 1344, 1247, 1158, 1137, 1068, 963, 892, 834, 826, 778, 750, 665 cm^{-1}.

Naphthalene-1-sulfonamide 8i: ^{1}H NMR (300.13 MHz, $CDCl_3$): δ = 8.66–8.61 (m, 1 H), 8.03 (dd, J = 7.5, J = 1.2 Hz, 1 H), 7.95 (d, J = 8.2 Hz, 1 H), 7.90–7.84 (m, 1 H), 7.56–7.50 (m, 2 H), 7.35 (dd, J = 8.2, J = 7.5 Hz, 1 H), 7.01 (d, J = 2.0 Hz, 1 H), 7.00 (d, 1 H, J = 8.8 Hz), 6.83 (dd, 1 H, J = 8.8 Hz, J = 2.0 Hz), 3.72 (t, 2 H, J = 7.0 Hz), 3.54 (s, 3 H), 2.24 (s, 3 H), 1.45–1.10 (m, 8 H), 0.96 (s, 9 H), 0.80 (t, 3 H, J = 7.0 Hz), –0.08 (s, 6 H) ppm. ^{13}C NMR (75.5 MHz, $CDCl_3$): δ = 134.6 (Cq), 134.2 (Cq), 133.8 (Cq), 132.7 (Cq), 130.9, 130.3 (Cq), 129.1, 129.0 (Cq), 128.6, 127.6, 126.5, 125.6, 124.0, 123.6 (Cq), 122.0, 121.4 (Cq), 118.5, 108.5, 51.4 (CH_2), 31.4 (CH_2), 29.5, 28.3 (CH_2), 26.1 (CH_2), 25.7, 22.5 (CH_2), 18.0 (Cq), 14.0, 9.1, –4.0 ppm. MS (EI, 70 eV): *m*/*z* (%) = 565 (18), 564 (48) [M^+], 374 (35), 373 (100), 304 (4), 303 (15), 302 (4), 243 (6), 185 (5), 161 (2), 160 (8), 128 (10), 127 (8), 83 (11), 73 (12), 57 (17), 56 (10), 55 (18). HRMS: calcd. for $C_{32}H_{44}N_2O_3SSi$: 564.28364; found 564.285035. FTIR (KBr): $\tilde{\nu}$ = 3452, 3060, 2950, 2921, 2856, 1488, 1377, 1321, 1249, 1159, 1128, 1082, 893, 838, 797, 772, 672, 612, 503 cm^{-1}.

Acknowledgments

This work has been supported by the State of Mecklenburg-Vorpommern, the Bundesministerium für Bildung und Forschung (BMBF), the Deutsche Forschungsgemeinschaft (DFG) (Leibniz price, GRK 1213), and the Fonds der Chemischen Industrie (FCI). We also thank Dr. C. Fischer, S. Schareina, S. Bucholz, A. Lehmann, K. Mevius, and K. Reincke for their excellent technical and analytical support.

[1] For selected recent syntheses of novel indole derivatives see: a) S. S. Palimkar, P. H. Kumar, R. J. Lahoti, K. V. Srinivasan, *Tetrahedron* **2006**, *62*, 5109–5115; b) Y. Terada, M. Arisawa, A. Nishida, *J. Org. Chem.* **2006**, *71*, 1269–1272; c) K. B. Hong, C. W. Lee, E. K. Yum, *Tetrahedron Lett.* **2004**, *45*, 693–697; d) P. Köhling, A. M. Schmidt, P. Eilbracht, *Org. Lett.* **2003**, *5*, 3213–3216; e) S. Cacchi, G. Fabrizi, L. M. Parisi, *Org. Lett.* **2003**, *5*, 3843–3846; f) H. Siebeneicher, I. Bytschkov, S. Doye, *Angew. Chem.* **2003**, *115*, 3151–3153; *Angew. Chem. Int. Ed.* **2003**, *42*, 3042–3044; g) K. Onitsuka, S. Suzuki, S. Takahashi, *Tetrahedron Lett.* **2002**, *43*, 6197–6199; h) J. F. Rutherford, M. P. Rainka, S. L. Buchwald, *J. Am. Chem. Soc.* **2002**, *124*, 15168–15169; i) M. Tokunaga, M. Ota, M. Haga, Y. Wakatsuki, *Tetrahedron Lett.* **2001**, *42*, 3865–3868; j) G. Verspui, G. Elbertse, F. A. Sheldon, M. P. A. J. Hacking, R. A. Sheldon, *Chem. Commun.* **2000**, 1363–1364; k) M. Beller, C. Breindl, T. H. Riermeier, M. Eichberger, H. Trauthwein, *Angew. Chem.* **1998**, *110*, 3571–3573; *Angew. Chem. Int. Ed.* **1998**, *37*, 3389–3391.

[2] a) G. R. Humphrey, J. T. Kuethe, *Chem. Rev.* **2006**, *106*, 2875–2911; b) K. R. Campos, J. C. S. Woo, S. Lee, R. D. Tillyer, *Org. Lett.* **2004**, *6*, 79–82; c) G. W. Gribble, *J. Chem. Soc. Perkin Trans. 1* **2000**, 1045–1075.

[3] a) M. G. N. Russell, R. Dias, *Curr. Top. Med. Chem.* **2002**, *2*, 643–654; b) D. Hoyer, D. E. Clark, J. R. Fozard, P. R. Hartig, G. R. Martin, E. Mylecharane, P. R. Saxena, P. P. A. Humphrey, *Pharmacol. Rev.* **1994**, *46*, 157–204.

[4] a) M. L. Woolley, C. A. Marsden, K. C. F. Fone, *Curr. Drug Top.* **2004**, *3*, 59–79; b) R. A. Glennon, *J. Med. Chem.* **2003**, *46*, 2795–2812.

[5] For reviews in 5-HT$_6$ receptors, see: a) S. L. Davies, J. S. Silvestre, X. Guitart, *Drugs Fut.* **2005**, *30*, 479–495; b) M. L. Woolley, C. A. Marsden, K. C. Fone, *Curr. Drug Targets CNS Neurol. Disord.* **2004**, *3*, 59–79; c) R. A. Glennon, *J. Med. Chem.* **2003**, *46*, 2795–2812; d) W. K. Kroeze, K. Kristiansen, B. L. Roth, *Curr. Top. Med. Chem.* **2002**, *2*, 507–527; e) D. Hoyer, J. P. Hannon, G. R. Martin, *Pharmacol. Biochem. Behav.* **2002**, *71*, 533–554; f) R. C. Reavill, D. C. Rogers, *Curr. Opin. Investig. Drugs* **2001**, *2*, 104–109; g) T. A. Branchek, T. P. Blackburn, *Ann. Rev. Pharmacol. Toxicol.* **2000**, *40*, 319–334; h) A. J. Sleight, F. G. Boess, F. J. Monsma Jr., A. Bourson, *J. Serotonin Res.* **1997**, *2*, 115–118; i) A. J. Sleight, F. G. Boess, A. Bourson, D. R. Sibley, F. J. Monsma Jr., *Drug News Perspectives* **1997**, *10*, 214–223; j) P. P. A. Humphrey, P. R. Hartig, D. Hoyer, *Trends Pharmacol. Sci.* **1993**, *14*, 233–236.

[6] a) J. Holenz, P. J. Pauwels, J. L. Diaz, R. Merce, X. Codony, H. Buschmann, *Drug Discovery Today* **2006**, *11*, 283–299; b) J. Holenz, R. Merce, J. L. Diaz, X. Guitart, X. Codony, A. Dordal, G. Romero, A. Torrens, J. Mas, B. Andaluz, S. Hernandez, X. Monroy, E. Sanchez, E. Hernandez, R. Perez, R. Cubi, O. Sanfeliu, H. Buschmann, *J. Med. Chem.* **2005**, *48*, 1781–1795.

[7] a) A. Moballigh, R. Jackstell, M. Beller, *Tetrahedron Lett.* **2004**, *45*, 869–873; b) K. Kumar, A. Zapf, D. Michalik, A. Tillack, M. Arlt, M. Beller, *Org. Lett.* **2004**, *6*, 7–10.

[8] K. Alex, A. Tillack, N. Schwarz, M. Beller, *Angew. Chem*. **2008**, *120*, 2337–2340; *Angew. Chem. Int. Ed*. **2008**, *47*, 2304–2307.

[9] a) V. Khedkar, A. Tillack, M. Michalik, M. Beller, *Tetrahedron* **2005**, *61*, 7622–7631; b) V. Khedkar, A. Tillack, M. Michalik, M. Beller, *Tetrahedron Lett.* **2004**, *45*, 3123–3126; c) A. Tillack, H. Jiao, I. Garcia Castro, C. G. Hartung, M. Beller, *Chem. Eur. J.* **2004**, *10*, 2409–2420.

[10] N. Schwarz, K. Alex, I. A. Sayyed, V. Khedkar, A. Tillack, M. Beller, *Synlett* **2007**, *7*, 1091–1095.

[11] K. Alex, N. Schwarz, V. Khedkar, I. A. Sayyed, A. Tillack, D. Michalik, J. Holenz, J. L. Díaz, M. Beller, *Org. Biomol. Chem.* **2008**, *6*, 1802–1807.

[12] a) A.R Muci, S. L. Buchwald, *Practical Palladium Catalysts for C–N and C–O Bond Formation* in: *Topics in Current Chemistry* (Eds.: N. Miyaura), Springer-Verlag, Berlin, Germany, **2001**,

vol. 219, pp. 131–209; b) J. P. Wolfe, S. L. Buchwald, *J. Org. Chem.* **2000**, *65*, 1144–1157; c) B. H. Yang, S. L. Buchwald, *J. Organomet. Chem.* **1999**, *576*, 125–146; d) J. F. Hartwig, *Angew. Chem.* **1998**, *110*, 2154–217; *Angew. Chem. Int. Ed.* **1998**, *37*, 2046–20677; e) J. P. Wolfe, S. Wagaw, J.-F. Marcoux, S. L. Buchwald, *Acc. Chem. Res.* **1998**, *31*, 805–818.

[13] a) N. Schwarz, A. Tillack, K. Alex, I. A. Sayyed, R. Jackstell, M. Beller, *Tetrahedron Lett.* **2007**, *48*, 2897–2900; b) N. Schwarz, A. Pews-Davtyan, K. Alex, A. Tillack, M. Beller, *Synthesis* **2007**, *23*, 3722–3730; for other information to palladium-catalyzed coupling reactions, see: c) A. Zapf, M. Beller, *Chem. Commun.* **2005**, 431–440; d) S. Harkal, F. Rataboul, A. Zapf, C. Fuhrmann, T. Riermeier, A. Monsees, M. Beller, *Adv. Synth. Catal.* **2004**, *346*, 1742–1748; e) A. Zapf, R. Jackstell, F. Rataboul, T. Riermeier, A. Monsees, C. Fuhrmann, N. Shaikh, U. Dingerdissen, M. Beller, *Chem. Commun.* **2004**, 38–39; f) D. Michalik, K. Kumar, A. Zapf, A. Tillack, M. Arlt, T. Heinrich, M. Beller, *Tetrahedron Lett.* **2004**, *45*, 2057–2061; g) A. Zapf, M. Beller, *Chem. Eur. J.* **2000**, *6*, 1830–1833; h) A. Ehrentraut, A. Zapf, M. Beller, *Synlett* **2000**, 1589–1592; i) C. Hartung, K. Köhler, M. Beller, *Org. Lett.* **1999**, *1*, 709–711.

[14] M. R. Pullagurla, R. B. Westkaemper, R. A. Glennon, *Bioorg. Med. Chem. Lett.* **2004**, *14*, 4569–4573.

Received: June 25, 2008
Published Online: October 1, 2008

