Cross-Coupling Reactions of Chloropyrazines with 1-Substituted Indoles

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Palladium-catalyzed coupling reactions of 2-chloro-3,6-dialkylpyrazines with 1-tosylindole gave 1-tosyl-3-(3,6-dialkylpyrazin-2-yl)indoles as the main product in each case. The subsequent hydrolysis of the products yielded the corresponding 3-(3,6-dialkylpyrazin-2-yl)indoles under alkaline conditions. Coupling reactions of 2-chloro-3,6-dialkylpyrazines with 1-methyl- or 1-benzylindole occurred at the 2-position of the indoles, but 2-chloro-3,5-diphenylpyrazine (1e) failed to react with 1-methylindole.

Keywords chloropyrazine; 1-substituted indole; pyrazinylindole; cross-coupling reaction; palladium catalyst

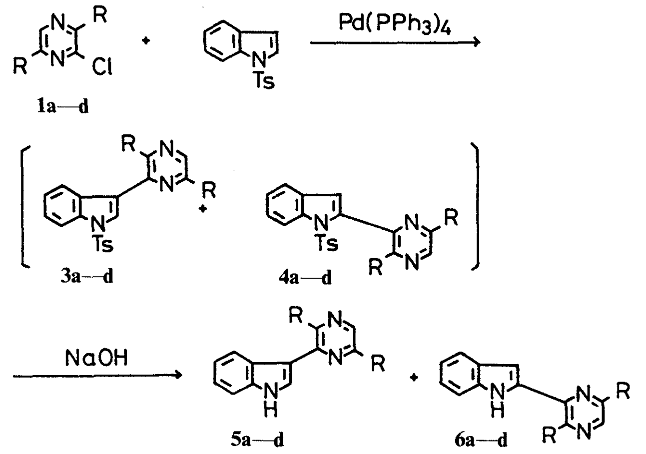
In recent years, considerable attention has been directed to the palladium-catalyzed cross-coupling reactions of indole derivatives with conjugated olefins.[1] In our previous study, it was found that indole couples with 2-chloropyrazines to give 2-(pyrazin-2-yl)indoles in the presence of palladium catalysts.[2] We further studied the coupling reactions of 2-chloropyrazines with 1-substituted indoles, such as 1-tosyl-, 1-methyl-, and 1-benzylindoles. We found 1-tosyl-3-(3,6-dialkylpyrazin-2-yl)indoles to result from the cross-coupling reactions of 2-chloro-3,6-dialkylpyrazines with 1-tosylindole, and 1-methyl- and 1-benzyl-2-(3,6-dialkylpyrazin-2-yl)indoles to result from those of 2-chloro-3,6-dialkylpyrazines with 1-methyl- and 1-benzylindoles, respectively. 3-(Pyrazin-2-yl)indoles constitute the carbon skeleton of the Cypridina luciferin, isolated from *Cypridina hilgendorfii*.[3] Reactions of 2-chloro-3,5-diphenylpyrazine (**1e**)[4] with 1-tosyl-, 1-methyl-, and 1-benzylindoles did not proceed. This raised doubt in our minds as to the validity of the established structures of the products from 2-chlorodiphenylpyrazines and indoles, and consequently, a re-examination was conducted of the reactions of **1e** with indole.

2-Chloro-3,6-dialkylpyrazines were allowed to react with 1-tosylindole using potassium acetate as a base and tetrakis(triphenylphosphine)palladium as a catalyst under reflux in *N*,*N*-dimethylacetamide (DMA), and coupling products were obtained. 1-Tosyl-3-(3,6-dialkylpyrazin-2-yl)indoles (**3a**—**d**) were obtained through the recrystallization of the coupling products from methanol. The hydrolysis of **3a**—**d** with 5 N sodium hydroxide solution gave 3-(3,6-dialkylpyrazin-2-yl)indoles (**5a**—**d**) in good yields. In all cases, the 2-isomer (**6a**—**d**) could be detected by hydrolysis of the crude coupling products. The results of the two-step synthesis of pyrazinylindoles are summarized in Table I.

The structural determination of **5a** was made on the basis of a comparison of the carbon-13 nuclear magnetic resonance (^{13}C-NMR) spectra of **5a** and **6a** with those of 2- and 3-phenylindoles. The ^{13}C-NMR spectrum of **5a** was similar to that of 3-phenylindole, as is evident from Table II. The reactions thus appear to occur predominantly at C-3 of indole.

Although the tosylation of 3-(3,6-dimethylpyrazin-2-yl)- (**5a**), 2-(3,6-dimethylpyrazin-2-yl)- (**6a**), and 3-(3,6-diisobutylpyrazin-2-yl)indoles (**5d**) produced the corresponding original tosyl compounds, the reaction of 2-(3,6-diisobutylpyrazin-2-yl)indole (**6d**) failed to do so owing to the steric hindrance of the isobutyl group.

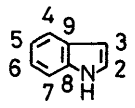
Table I. Reactions of 2-Chloro-3,6-dialkylpyrazines with 1-Tosylindole



	R	Yield (%)		Ratio of 5 and 6
		3+4	5+6[a)]	
a	Me[5)]	40	88	8 : 1
b	Et[6)]	58	89	15 : 1
c	iso-Pr[7)]	46	98	50 : 1
d	iso-Bu[8)]	68	96	50 : 1

a) Yield from a mixture of 3 and 4.

Table II. ^{13}C Chemical Shifts of Indole Derivatives and **5a**



Position	Indole	2-Phenylindole[9)]	6a	3-Phenylindole[9)]	5a
2	125.2	137.4	134.0	121.3	125.3
3	102.6	98.5	104.8	117.5	114.6
4	121.3	119.7	121.5	119.2	121.3
5	122.3	121.2	123.7	121.8	122.8
6	120.3	119.1	120.0	119.8	120.8
7	111.8	110.9	111.0	111.1	111.2
8	136.1	136.8	136.0	*a*)	136.2
9	128.8	128.2	129.2	125.1	126.7

a) Chemical shift was not recorded in reference 9.

Reactions of 2-chloro-3,6-dialkylpyrazines with 1-methyl- and 1-benzylindoles gave the coupling products in moderate yields under the same conditions specified above. The results are shown in Table III. To determine the structure of 1-methyl-2-(3,6-dimethylpyrazin-2-yl)- (**7a**)

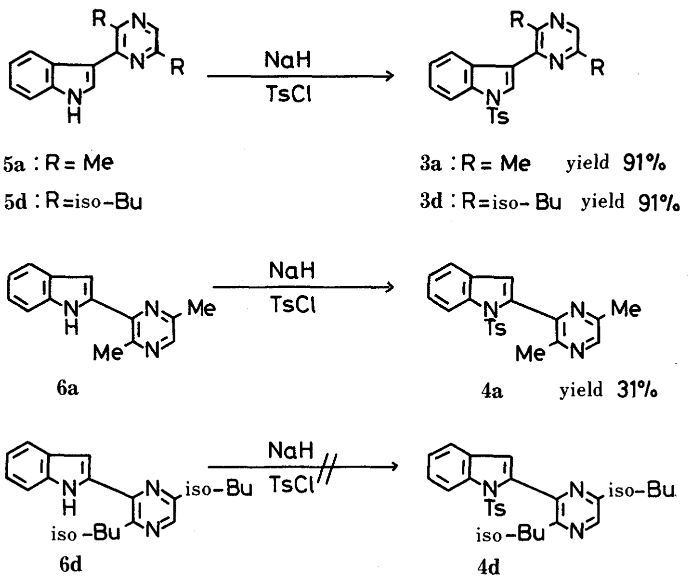
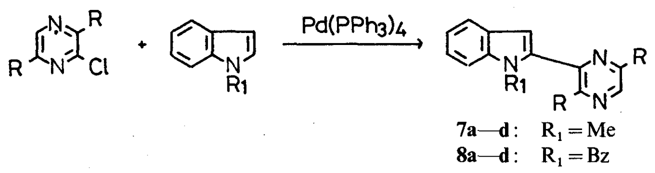


Chart 1

TABLE III. Reactions of 2-Chloro-3,6-dialkylpyrazines with 1-Methyl- or 1-Benzylindoles



	R	Yield (%) 7	Yield (%) 8
a	Me[5]	48	36
b	Et[6]	62	50
c	iso-Pr[7]	66	18
d	iso-Bu[8]	70	25

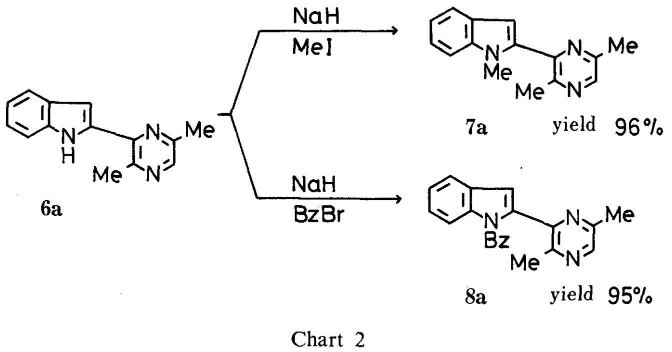
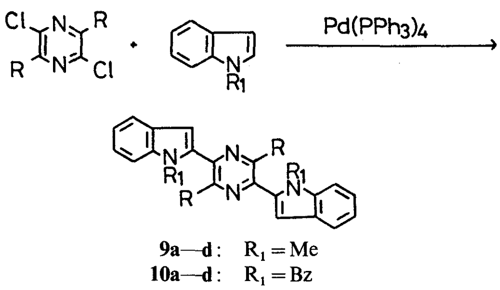


Chart 2

and 1-benzyl-2-(3,6-dimethylpyrazin-2-yl)indoles (**8a**), the methylation and benzylation of **6a** with methyl iodide and benzyl bromide were carried out to give **7a** and **8a**, respectively. It would thus appear that the coupling reactions of other 2-chloro-3,6-dialkylpyrazines also occur at C-2 of indole.

The reactions of various 2,5-dichloro-3,6-dialkylpyrazines with 1-methyl- and 1-benzylindoles were also conducted. The products were produced in poor yields, as shown in Table IV. For example, assignments of the structures of 2,5-bis(1-methyl-2-indolyl)- (**9a**) and 2,5-bis(1-benzyl-2-indolyl)-3,6-dimethylpyrazines (**10a**) were made on the basis of the presence of the molecular ion peak (*m/z* 366 and 518) in the mass spectrum. Reactions with 1-tosylindole gave many products which could not be separated from each other.

TABLE IV. Reactions of 2,5-Dichloro-3,6-dialkylpyrazines with 1-Methyl- or 1-Benzylindoles



	R	Yield (%) 9	Yield (%) 10
a	Me[5]	23	12
b	Et[7]	37	11
c	iso-Pr[7]	11	17
d	iso-Bu[8]	27	35

Although **1e** reacted with 1-methylindole in the presence of palladium catalysts such as tetrakis(triphenylphosphine)-palladium or a combination of bis(triphenylphosphine)palladium dichloride/copper(I) iodide, recovery of 1-methylindole was the only result. In our previous study,[2] 2-chloro-diphenylpyrazines coupled with indole with the aid of bis(triphenylphosphine)palladium dichloride/copper(I) iodide and potassium carbonate. Thus, in the present study also, **1e** was made to react with indole in the presence of copper(I) iodide and potassium carbonate under Goldberg reaction conditions,[10] with the same results as in our previous study. It is clear that only copper(I) iodide is effective in this case.

The reaction of 2-chloro-3,6-dimethylpyrazine (**1a**) with indole was also successfully carried out in the presence of copper(I) iodide and potassium carbonate under the same conditions as before to obtain 1-(3,6-dimethylpyrazin-2-yl)indole (**11a**). The structure of **11a** was determined from ^{1}H-NMR spectral data which showed the proton signals of C-2 and C-3 of the indole ring at 6.70 and 7.33 ppm as a doublet ($J = 3$ Hz), but no NH signal. In the reaction of 2-chloro-3,6-diisobutylpyrazine (**1d**) under the same conditions, 1-(3,6-diisobutylpyrazin-2-yl)indole (**11d**) was ob-

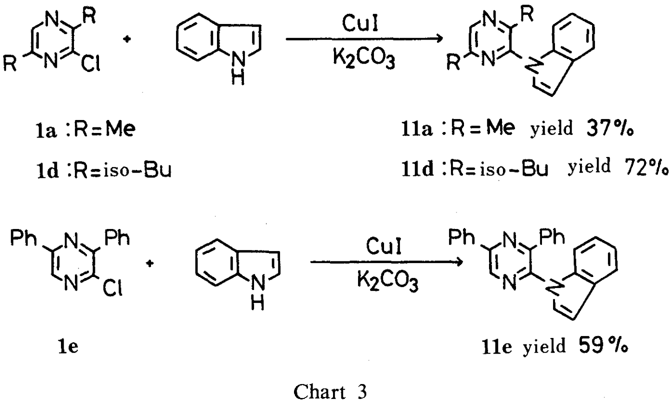


Chart 3

tained in 72% yield. The coupling position was clearly indicated by ^{1}H-NMR spectroscopy (C_2- and C_3-H: 6.72 and 7.32 ppm, doublet, $J=3$ Hz).

The reactions of 2-chloro-3,6-dialkylpyrazines with 1-tosylindole may be definitely concluded to give 1-tosyl-3-(3,6-dialkylpyrazin-2-yl)indoles. Those of 2-chloro-3,6-dialkylpyrazines with 1-methyl- and 1-benzylindoles, however, gave the corresponding 2-substituted indoles. The structures of the products obtained from 2-chloro-diphenylpyrazines and indole should thus be redetermined, since it has been clearly demonstrated in the present study that the substitution of indole occurs at N-1 through the effect of copper(I) iodide in the Goldberg reaction.

TABLE V. Properties of Products

Compd.	bp (°C/Torr) or mp (°C, recryst. from)	Formula	Analysis (%) Calcd (Found)			MS m/z (M^+)	^{1}H-NMR (δ, ppm)
			C	H	N		
3a	147.5—151 MeOH–H_2O	$C_{21}H_{19}N_3O_2S$	66.82 (66.84	5.07 5.04	11.13 11.09)	377	2.35 (3H, s), 2.60 (3H, s), 2.68 (3H, s), 7.27—7.57 (2H, m), 7.28 (2H, d), 7.80—8.00 (2H, m), 7.87 (2H, d), 8.00—8.17 (1H, m), 8.37 (1H, s)
3b	110—113 Hexane	$C_{23}H_{23}N_3O_2S$	68.12 (68.27	5.72 5.79	10.36 10.33)	405	1.30 (3H, t), 1.40 (3H, t), 2.37 (3H, s), 2.90 (2H, q), 2.98 (2H, q), 7.30 (2H, d), 7.33—7.58 (2H, m), 7.80—8.08 (2H, m), 7.90 (2H, d), 8.08—8.25 (1H, m), 8.47 (1H, s)
3c	113—115 Hexane	$C_{25}H_{27}N_3O_2S$	69.25 (68.96	6.28 6.18	9.69 9.57)	433	1.26 (6H, d), 1.37 (6H, d), 2.37 (3H, s), 3.18 (1H, m), 3.40 (1H, m), 7.22—7.57 (2H, m), 7.30 (2H, d), 7.73—8.00 (2H, m), 7.90 (2H, d), 8.05—8.23 (1H, m), 8.52 (1H, s)
3d	100—101 Hexane	$C_{27}H_{31}N_3O_2S$	70.25 (70.28	6.77 6.69	9.10 9.05)	461	0.78 (6H, d), 0.95 (6H, d), 2.13 (2H, m), 2.35 (3H, s), 2.70 (2H, d), 2.78 (2H, d), 7.27 (2H, d), 7.25—7.57 (2H, m), 7.63—8.00 (2H, m), 7.85 (2H, d), 8.03—8.20 (1H, m), 8.37 (1H, s)
5a	210 CH_3CN	$C_{14}H_{13}N_3$	75.31 (75.31	5.87 5.92	18.82 18.96)	223	2.55 (3H, s), 2.60 (3H, s), 7.10—7.53 (4H, m), 7.92—8.13 (1H, m), 8.18 (1H, s), 8.63 (1H, br s)
5b	130—131 Cyclohexane	$C_{16}H_{17}N_3$	76.46 (76.50	6.82 6.83	16.72 16.73)	251	1.18 (3H, t), 1.30 (3H, t), 2.80 (2H, q), 2.85 (2H, q), 7.00—7.30 (4H, m), 7.80—8.00 (1H, m), 8.23 (1H, s), 8.87 (1H, br s)
5c	142—144 Cyclohexane	$C_{18}H_{21}N_3$	77.38 (77.42	7.58 7.62	15.04 15.07)	279	1.22 (6H, d), 1.35 (6H, d), 3.10 (1H, m), 3.47 (1H, m), 7.00—7.33 (4H, m), 7.77—8.00 (1H, m), 8.23 (1H, s), 8.67 (1H, br s)
5d	144—145 Cyclohexane	$C_{20}H_{25}N_3$	78.13 (78.17	8.20 8.28	13.67 13.58)	307	0.80 (6H, d), 0.97 (6H, d), 2.17 (2H, m), 2.70 (2H, d), 2.83 (2H, d), 7.07—7.40 (4H, m), 7.70—7.93 (1H, m), 8.23 (1H, s), 8.73 (1H, br s)
7a	92—93.5 MeOH–H_2O	$C_{15}H_{15}N_3$	75.92 (75.66	6.37 6.31	17.71 17.58)	237	2.58 (3H, s), 2.63 (3H, s), 3.73 (3H, s), 6.73 (1H, s), 7.00—7.57 (3H, m), 7.57—7.83 (1H, m), 8.38 (1H, s)
7b	148—149/0.3	$C_{17}H_{19}N_3$	76.94 (76.82	7.22 7.22	15.84 15.78)	265	1.27 (3H, t), 1.35 (3H, t), 2.87 (2H, q), 2.97 (2H, q), 3.72 (3H, s), 6.73 (1H, s), 7.00—7.53 (3H, m), 7.53—7.85 (1H, m), 8.45 (1H, s)
7c	76—78 MeOH	$C_{19}H_{23}N_3$	77.77 (77.78	7.90 7.98	14.32 14.28)	293	1.25 (6H, d), 1.35 (6H, d), 3.13 (1H, m), 3.53 (1H, m), 3.70 (3H, s), 6.67 (1H, s), 7.03—7.53 (3H, m), 7.60—7.80 (1H, m), 8.48 (1H, s)
7d	180—182/2	$C_{21}H_{27}N_3$	78.46 (78.19	8.47 8.63	13.07 13.05)	321	0.82 (6H, d), 0.95 (6H, d), 2.18 (2H, m), 2.73 (2H, d), 2.87 (2H, d), 3.67 (3H, s), 6.70 (1H, s), 7.07—7.60 (3H, m), 7.63—7.83 (1H, m), 8.42 (1H, s)
8a	98—99 MeOH	$C_{21}H_{19}N_3$	80.48 (80.35	6.11 6.04	13.41 13.46)	313	2.52 (6H, s), 5.50 (2H, s), 6.78—7.07 (3H, m), 7.07—7.53 (6H, m), 7.67—7.83 (1H, m), 8.33 (1H, s)
8b	44—45 MeOH–H_2O	$C_{23}H_{23}N_3$	80.90 (80.92	6.79 6.79	12.31 12.29)	341	1.12 (3H, t), 1.27 (3H, t), 2.82 (4H, q), 5.48 (2H, s), 6.73—7.00 (3H, m), 7.00—7.57 (6H, m), 7.57—7.83 (1H, m), 8.38 (1H, s)
8c	87—89 MeOH	$C_{25}H_{27}N_3$	81.26 (81.42	7.37 7.42	11.37 11.42)	369	1.10 (6H, d), 1.28 (6H, d), 3.08 (1H, m), 3.42 (1H, m), 5.50 (2H, s), 6.75 (1H, s), 6.81—7.60 (8H, m), 7.60—7.83 (1H, m), 8.42 (1H, s)
8d	79—80 MeOH	$C_{27}H_{31}N_3$	81.57 (81.87	7.86 7.87	10.57 10.66)	397	0.75 (6H, d), 0.88 (6H, d), 2.08 (2H, m), 2.63 (2H, d), 2.72 (2H, d), 5.44 (2H, s), 6.77 (1H, s), 6.83—7.05 (2H, m), 7.05—7.52 (6H, m), 7.60—7.83 (1H, m), 8.33 (1H, s)
9a	250—251 AcOEt	$C_{24}H_{22}N_4$	78.66 (78.38	6.05 5.98	15.29 15.31)	366	2.75 (6H, s), 3.87 (6H, s), 6.85 (2H, s), 7.08—7.58 (6H, m), 7.58—7.82 (2H, m)
9b	218—221 AcOEt	$C_{26}H_{26}N_4$	79.15 (79.30	6.64 6.57	14.20 14.25)	394	1.33 (6H, t), 3.08 (4H, q), 3.87 (6H, s), 6.83 (2H, s), 7.07—7.60 (6H, m), 7.60—7.83 (2H, m)
9c	275—277 AcOEt	$C_{28}H_{30}N_4$	79.58 (79.55	7.16 7.20	13.26 13.17)	422	1.30 (12H, d), 3.70 (2H, m), 3.85 (6H, s), 6.78 (2H, s), 7.06—7.60 (6H, m), 7.60—7.83 (2H, m)
9d	190—191.5 Hexane	$C_{30}H_{34}N_4$	79.96 (79.76	7.61 7.55	12.43 12.40)	450	0.83 (12H, d), 2.25 (2H, m), 2.97 (4H, d), 3.80 (6H, s), 6.77 (2H, s), 7.07—7.60 (6H, m), 7.60—7.80 (2H, m)
10a	193—195 AcOEt	$C_{36}H_{30}N_4$	83.37 (83.24	5.83 5.84	10.80 10.92)	518	2.52 (6H, s), 5.57 (4H, s), 6.88 (2H, s), 6.85—7.08 (4H, m), 7.08—7.58 (12H, m), 7.58—7.85 (2H, m)
10b	207—208 AcOEt	$C_{38}H_{34}N_4$	83.48 (83.58	6.27 6.31	10.25 10.19)	546	1.07 (6H, t), 2.87 (4H, q), 5.57 (4H, s), 6.93 (2H, s), 6.80—7.00 (4H, m), 7.00—7.50 (12H, m), 7.60—7.87 (2H, m)
10c	244—245 AcOEt	$C_{40}H_{38}N_4$	83.59 (83.72	6.66 6.81	9.75 9.77)	574	1.05 (12H, d), 3.48 (2H, m), 5.57 (4H, s), 6.80 (2H, s), 6.77—7.03 (4H, m), 7.03—7.57 (12H, m), 7.63—7.83 (2H, m)
10d	194—196 AcOEt	$C_{42}H_{42}N_4$	83.68 (83.89	7.02 7.09	9.30 9.33)	602	0.68 (12H, d), 2.03 (2H, m), 2.78 (4H, d), 5.57 (4H, s), 6.85 (2H, s), 6.90—7.10 (4H, m), 7.10—7.50 (12H, m), 7.63—7.87 (2H, m)
11a	160—165/3	$C_{14}H_{13}N_3$	75.31 (75.06	5.87 5.90	18.82 19.02)	223	2.42 (3H, s), 2.54 (3H, s), 6.70 (1H, d), 7.07—7.27 (3H, m), 7.33 (1H, d), 7.57—7.77 (1H, m), 8.40 (1H, s)
11d	135—138/1	$C_{20}H_{25}N_3$	78.13 (78.08	8.20 8.18	13.67 13.64)	307	0.72 (6H, d), 0.97 (6H, d), 2.08 (2H, m), 2.63 (2H, d), 2.72 (2H, d), 6.72 (1H, d), 7.10—7.27 (3H, m), 7.33 (1H, d), 7.60—7.77 (1H, m), 8.43 (1H, s)

Experimental

No correction was made in the determination of melting or boiling points. For boiling point determination, the oil bath temperature was recorded. ^{1}H-NMR spectra were taken on an Varian EM-390 instrument in $CDCl_3$ with tetramethylsilane as an internal standard. ^{13}C-NMR spectroscopy was performed for $CDCl_3$ with a Brucker AM-400 spectrometer. Mass spectra (MS) were obtained with a Hitachi M-80 spectrometer. All products were purified by medium-pressure column chromatography using a UVILOG ALPC-100 as the pump, UVILOG 5 IIIa as the ultraviolet (UV) detector (Oyo-Bunko Kiki Co., Ltd., Tokyo) and Kieselgel 60 (Merck AG, Darmstadt) as the packing material. All reactions were carried out under an argon atmosphere.

General Procedure for Reactions of 2-Chloro-3,6-dialkylpyrazines (1a—d) and 2,5-Dichloro-3,6-dialkylpyrazines (2a—d) with 1-Substituted Indoles (1-Methyl-, 1-Benzyl-, and 1-Tosylindoles) A mixture of a 2-chloro-3,6-dialkylpyrazine (3.6 mmol) or a 2,5-dichloro-3,6-dialkylpyrazine (1.8 mmol), 1-substituted indole (3 mmol), CH_3CO_2K (353 mg, 3.6 mmol) and $Pd(PPh_3)_4$ (174 mg, 0.15 mmol) in DMA (10 ml) was refluxed for 12 h. The solvent was removed by distillation *in vacuo*, and the residue thus obtained was triturated with H_2O (40 ml) and extracted with Et_2O (20 ml × 3). The Et_2O extract was dried over Na_2SO_4 and concentrated. The crude product was purified by medium-pressure column chromatography with a mixture of hexane and AcOEt to afford the coupling product.

General Procedure for the Hydrolysis of 1-Tosylpyrazinylindole Derivatives (3 and 4) A solution of 1-tosyl-2- and 1-tosyl-3-pyrazinylindoles (**3** and **4**) (1 mmol) in 1,4-dioxane (5 ml), MeOH (5 ml), and 5 N NaOH (2 ml) was stirred for 12 h at room temperature, and the solvent was evaporated off *in vacuo*. The residue was triturated with H_2O (15 ml) and extracted with Et_2O (10 ml × 3). The Et_2O extract was worked up in the usual way, and the crude product purified by medium-pressure column chromatography to give 3-(3,6-dialkylpyrazin-2-yl)indoles (**5a**—**d**) and 2-(3,6-dialkylpyrazin-2-yl)indoles (**6a**—**d**).

General Procedure for the Tosylation of Pyrazinylindoles (5a, 5d, 6a, and 6d) A solution of a pyrazinylindole (0.5 mmol) in tetrahydrofuran (THF) (2 ml) was added to a suspension of 60% NaH (22 mg, 0.6 mmol) in THF (2 ml). The mixture was stirred for 0.5 h at room temperature and treated with a solution of tosyl chloride (114 mg, 0.6 mmol) in THF (2 ml). The reaction mixture was stirred for a further 2 h at room temperature, quenched with H_2O (3 ml), and concentrated under reduced pressure. The residue was triturated with H_2O (10 ml) and extracted with Et_2O (10 ml × 3). The Et_2O extract was worked up in the usual way to give a crude product, which was purified by medium-pressure column chromatography.

Methylation and Benzylation of 2-(3,6-Dimethylpyrazin-2-yl)indole (5a) A solution of **5a** (111.5 mg, 0.5 mmol) in THF (1 ml) was added to a suspension of 60% NaH (40 mg, 1 mmol) in THF (2 ml). The resulting solution was stirred for 0.5 h at room temperature followed by the addition of a solution of methyl iodide (142 mg, 1 mmol) or benzyl bromide (171 mg, 1 mmol) in THF (2 ml). The mixture was refluxed for 1 h, quenched with H_2O (15 ml), and extracted with CH_2Cl_2 (10 ml × 3). The CH_2Cl_2 extract was worked up in the usual way to give a crude product, which was purified by medium-pressure column chromatography to give **7a** (114 mg, 96%) and **8a** (149 mg, 95%).

General Procedure for Goldberg Reactions of 2-Chloro-3,6-dialkylpyrazines (1a and 1d) and 2-Chloro-3,5-diphenylpyrazine (1e) with Indole A mixture of a 2-chloropyrazine (1 mmol), indole (165 mg, 1.5 mmol), K_2CO_3 (207 mg, 1.5 mmol) and CuI (10 mg, 0.05 mmol) in DMA (5 ml) was refluxed for 12 h. The reaction mixture was worked up as described before to give a 1-(pyrazin-2-yl)indole.

References and Notes

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