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# Palladium-Catalyzed Amination and Amidation of Benzo-Fused Bromine-Containing Heterocycles

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**Abstract**—Amination and amidation of bromoindole, 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one, and 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazole derivatives was effected in the presence of palladium complexes. The use of the catalytic system $Pd_2dba_3 \cdot CHCl_3$–2-[di(*tert*-butyl)phosphino]biphenyl in the amination and of $Pd_2dba_3 \cdot CHCl_3$–Xantphos [or 3,5-$(CF_3)_2$Xantphos] in the amidation ensured moderate to high yields of the corresponding products.

Heterocycles containing a substituted or unsubstituted amino group are known to be structural fragments of many medical agents. Taking into account exceptional importance of natural and synthetic biologically active derivatives of carbazole, benzofuran, and especially indole, it seems to be reasonable to search for new biologically active compounds and drugs among benzo-fused heterocycles of the aminoindole, aminobenzofuran, and aminocarbazole series. Several drugs have been developed on the basis of indole derivatives, e.g., antiphlogistic drug indomethacin and some its analogs, antiemetic agent tropisetron and its analogs, β-adrenoblocker pindolol, sumatriptan (used in the treatment of migraine), antiviral and immunomodulating agent arbidol, and antiallergic agent dimebon [1, 2].

1-Alkylaminotetrahydrocarbazoles exhibit antiviral [3], antifungal, and antibacterial activity [4, 5] and are also active against *Mycobacterium tuberculosis* [5]. The parent compound of this series, 1-aminotetrahydrocarbazole was also found to be active against *Mycobacterium tuberculosis* [6, 7], while 3-dimethylamino-1,2,3,4-tetrahydrocarbazole showed antidepressant activity [7]. Functional derivatives of 1-oxotetrahydrocarbazoles were the subjects of numerous biological studies [6, 8]; a broad spectrum of biological properties was revealed in the series of polycyclic 1-oxotetrahydrocarbazole derivatives [9], specifically in 1,10-trimethylenepyrazino[1,2-*a*]indoles (or 2,3,3a,-4,5,6-hexahydro-1*H*-pyrazino[3,2,1-*jk*]carbazoles) [10]. Antidepressants pyrazidol and tetrindole also belong to the same class of compounds [2]. Taking into account high efficiency of these antidepressants, search for new synthetic approaches to their analogs is now in progress both in Russia and abroad.

Synthetic and natural pterocarpans including a benzofuran system as a structural fragment attract attention as potential antimicrobial, antitumor, antituberculous, and antiulcer agents, as well as inhibitors of human immunodeficiency virus [11]. Polysubstituted benzofurans having amino-, amido-, and ureido groups were found to exhibit antiarrhythmic activity [12].

On the other hand, amino group is fairly rarely encountered in the structure of indole-containing drugs and their analogs. A few exceptions are antitumor antibiotic mitomycin C and leucotriene biosynthesis blocker zafirlukast (5-aminoindole derivative) [2]. There are data indicating that 3-piperidinoaminoindoles control serotonin and adrenaline functions of the central nervous system and are used as antidepressants and tranquilizers [13].

Extensive synthesis of new amino-substituted indoles, carbazoles, and benzofurans is restrained due to the lack of general regioselective method for introduction of amino group into these heterocycles. Such procedures as reduction of nitro compounds (which is widely used in the synthesis of aromatic amines) have found limited application in the series of indole, benzofuran, and carbazole. In the recent years, palladium-catalyzed arylation of amines (Buchwald–Hartwig reaction) [14, 15] was successfully used for

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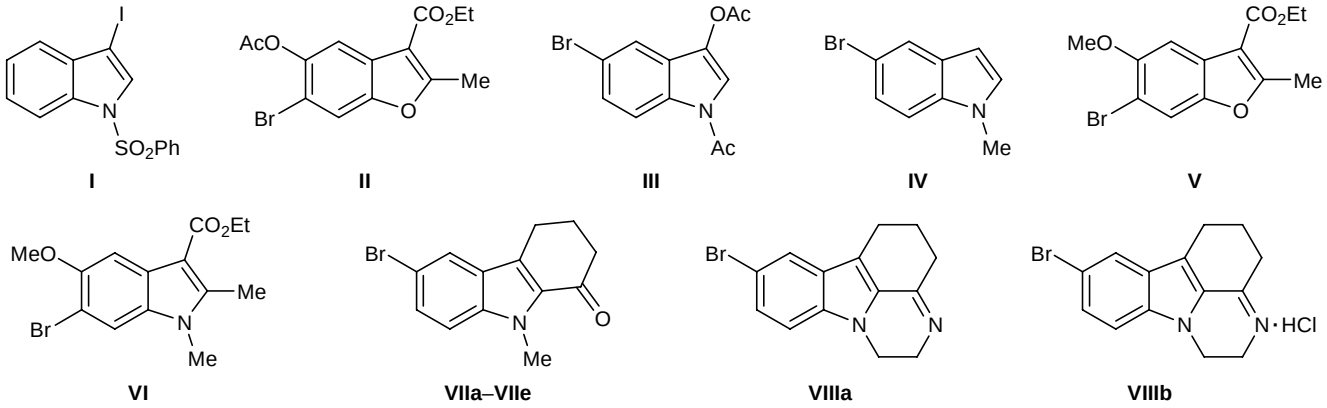



**VII**, R = H (**a**), Ac (**b**), Me (**c**), $PhCH_2$ (**d**), $Ph_3C$ (**e**).

building up new $C_{Ar}$–N bonds. However, this reaction still remains rare in the series of indole and its derivatives. As far as we know, only Hooper *et al*. [16] described palladium-catalyzed intermolecular amination of 2- and 3-bromoindoles [18], and two examples were reported on intramolecular version of this reaction [17]. He *et al*. [18] described intramolecular amidation of 2-iodoindole.

In the present work we examined the possibility of applying the above approach to introduction of nitrogen-containing groups (amino, amido, and ureido) into halogen-substituted indoles **I**, **III**, **IV**, and **VI**, benzofurans **II** and **V**, tetrahydrocarbazolones **VIIa**–**VIIe**, and tetrahydropyrazinocarbazoles **VIIIa** and **VIIIb**. It should be noted that bromoindoles having no substituent on the nitrogen atom do not undergo palladium-catalyzed arylation, as was demonstrated by the reaction of compound **VIIa** with piperidine. This fact was also noted in [16]. In addition, the reaction is accompanied by almost quantitative removal of acetyl and phenylsulfonyl protecting groups. Therefore, heterocycles **I**–**III**, **VIIa**, and **VIIb** cannot be used as substrates in the amination reaction under the given conditions.

The amination of compounds **IV**–**VI** and **VIIc**–**VIIe** was initially performed using the system $Pd_2dba_3$–BINAP–$Cs_2CO_3$ in toluene. This system was widely used for the amination of aryl halides [15]. However, 5-bromo-1-methylindole (**IV**) failed to react with piperidine under these conditions. We succeeded in obtaining the target product in 83% yield when BINAP was replaced by 2-(di-*tert*-butylphosphino)biphenyl (*t*-$Bu_2$BP-phos) and $Cs_2O_3$ was replaced by a stronger base, sodium *tert*-butoxide (Scheme 1; Table 1, run no. 1). In the presence of $Cs_2CO_3$, the substrate conversion was as low as ~30%.

The reaction with bromoindole **VI** was less smooth, and the amount of palladium catalyst was increased to 4 mol % to attain a moderate yield of the amination product. Moreover, the reaction was accompanied by

**Scheme 1.**

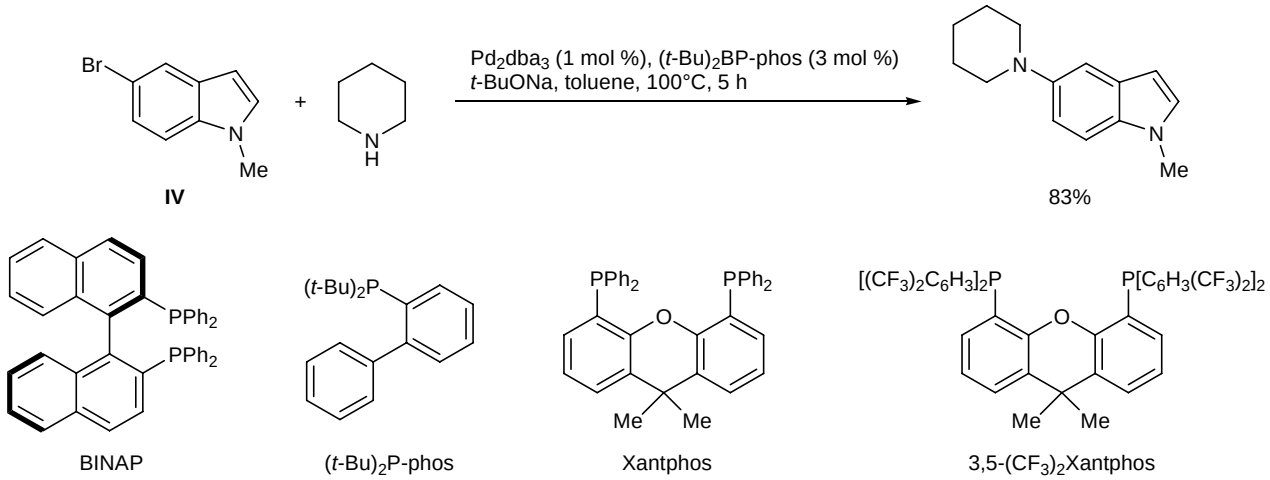
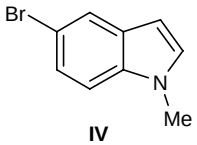
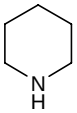
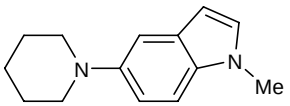
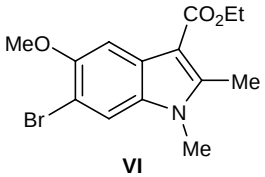
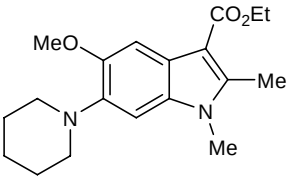
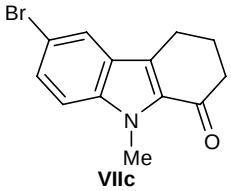
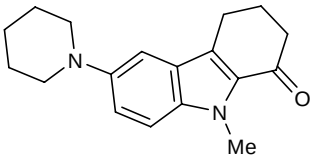
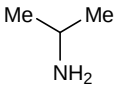
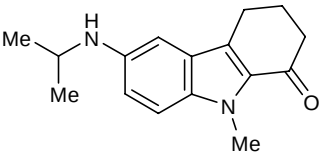
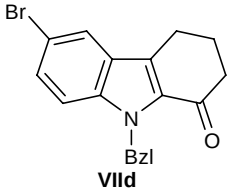
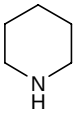
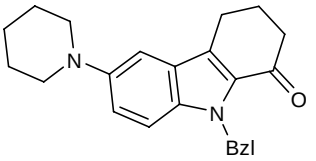
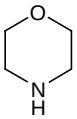
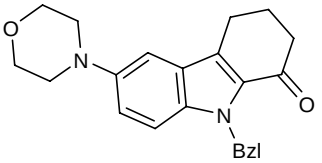
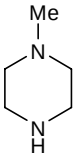
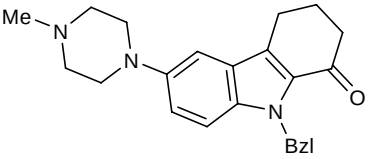
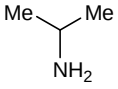
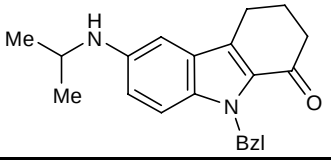


**Table 1.** Palladium-catalyzed amination of 5- and 6-bromoindoles and 6-bromo-1,2,3,4-tetrahydrocarbazol-1-ones[a]

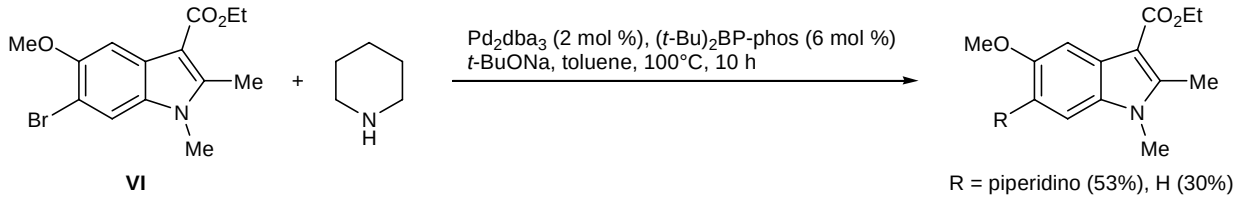
| Run no. | Substrate | Amine | Reaction time, h | Product | Yield, % |
|---|---|---|---|---|---|
| 1 |  **IV** |  | 5 |  | 83 |
| 2 |  **VI** | " | 10 |  | 53 |
| 3 |  **VIIc** | " | 4 |  | 74 |
| 4[b] | " |  | 22 |  | 97 |
| 5 |  **VIId** |  | 4 |  | 68 |
| 6 | " |  | 3 |  | 91 |
| 7[c] | " | " | 4 | " | 91 |
| 8 | " |  | 2 |  | 90 |
| 9[c] | " | " | 4 | " | 95 |
| 10[b] | " |  | 20 |  | 83 |

[a] The reactions were carried out under argon using 1 equiv of hetaryl bromide, 1.2 equiv of amine, 1.4 equiv of *t*-BuONa, 1–2 mol % of $Pd_2dba_3$, and 3–6 mol % of *t*-$Bu_2$BP-phos (toluene, 100°C).

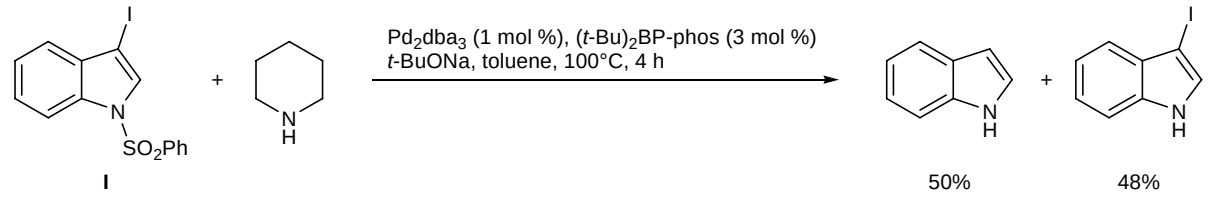
[b] At 80°C.

[c] Without a solvent.

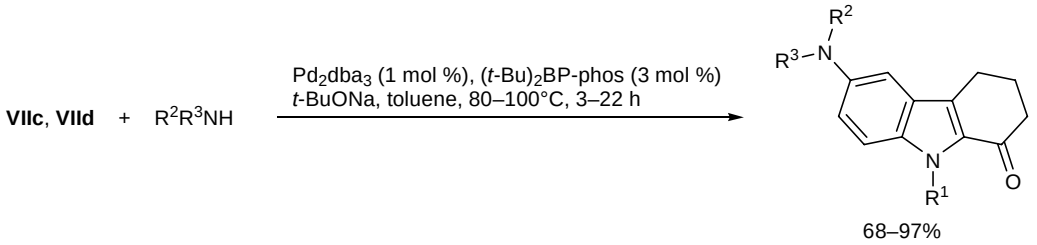
**Scheme 2.**



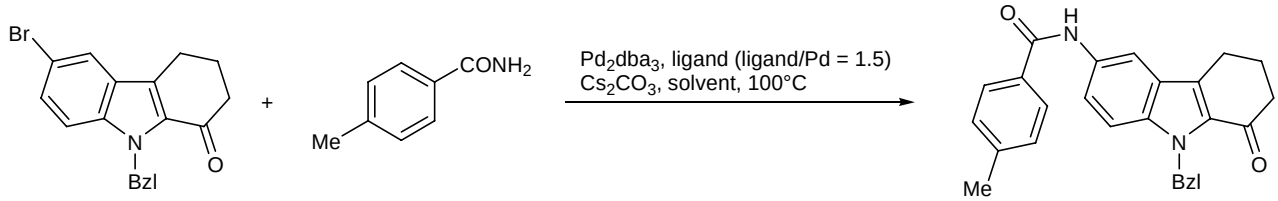

**Scheme 3.**




**Scheme 4.**




**Scheme 5.**




formation of an appreciable amount (30%) of the dehydrobromination product (Scheme 2; Table 1, run no. 2). The contribution of the dehydrohalogenation process was even larger in the reaction of 3-iodo-1-phenylsulfonylindole (**I**) with piperidine (Scheme 3); in this case, no amination product was detected. Nevertheless, the system $Pd_2dba_3$–*t*-$Bu_2$BP-phos–*t*-BuONa–toluene ensured amination of compounds **VIIc** and **VIId** in high yields (Scheme 4; Table 1, run nos. 3–10).

These reactions can also be carried out in the absence of a solvent: the product yields were either comparable to or even greater than those obtained in toluene (Table 1; cf. run nos. 6 and 7, 8 and 9). The reaction with *N*-(triphenylmethyl)carbazole **VIIe** was less successful: even in the presence of 3 mol % of palladium, the conversion was only 36% in 22 h, and palladium black was formed.

We also performed palladium-catalyzed amidation of compounds **VI**, **VIIc**, **VIId**, **VIIIa**, and **VIIIb** with the goal of introducing amido and ureido groups into functionally substituted heterocycles. The conditions

**Table 2.** Palladium-catalyzed reaction of 9-benzyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIId**) with 4-methylbenzamide[a]

| Run no. | [Pd], mol % | Ligand | Base | Solvent | Yield, % (conversion, %) |
|---|---|---|---|---|---|
| 1 | 2 | *t*-$Bu_2$BP-phos | *t*-BuONa | Toluene | – (16) |
| 2 | 4 | Xantphos | *t*-BuONa | Toluene | 31 (100) |
| 3 | 4 | Xantphos | $Cs_2CO_3$ | Dioxane | 82 (100) |

[a] The reactions were carried out under argon using 1 equiv of hetaryl bromide, 1.2 equiv of 4-methylbenzamide, 1.4 equiv of base, 1–2 mol % of $Pd_2dba_3$, and 3–6 mol % of ligand in toluene or dioxane at 100°C.

for catalytic amidation of bromine-containing heterocyclic compounds were optimized using the reaction of *p*-methylbenzamide with bromocarbazole **VIId** (Scheme 5, Table 2).

We found that the amidation of bromocarbazolone **VIId** under the conditions optimal for amination ($Pd_2dba_3$–*t*-$Bu_2$BP-phos–toluene) was characterized by a poor conversion (Table 2, run no. 1). We succeeded in attaining the complete conversion of initial halogen derivative **VIId** with the use of Xantphos as ligand in toluene; however, the product yield was as low as 31% (Table 2, run no. 2). The yield increased to 82% (Table 2, run no. 3) in the presence of a milder base, $Cs_2CO_3$, in dioxane. These conditions were applied to the amidation of brominated indole-containing heterocycles with various amides and ureas. The results are summarized in Table 3.

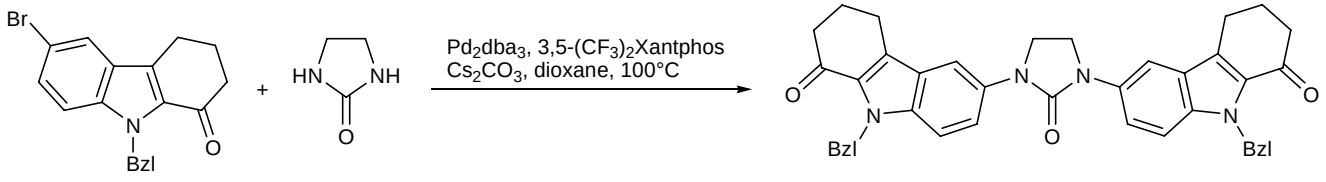
The amidation of compound **VIId** in the presence of 4 mol % of palladium catalyst gave 81–84% of the corresponding amides (Table 3, run nos. 1–3). Interestingly, the yields of the target products in the reactions with *N*-methylcarbazole **VIIc** decreased to 57–58% (Table 3, run nos. 5, 7, 9), and these reactions were accompanied by formation of appreciable amounts of *N*-phenyl derivatives of the initial amides. Phenylation of amides at the nitrogen atom often occurs in palladium-catalyzed amidation of nonactivated aryl halides [19–21]. This process involves exchange of the aryl group linked to palladium with phenyl group of the phosphine ligand in the complex Pd(Xantphos)(Ar)Br [22]. As a result, replacement of the bromine atom by amide group and reductive elimination gives a mixture of *N*-aryl and *N*-phenyl derivatives of the initial amide. Reduction of the amount of catalyst to 1–2 mol % and of the ligand to 1.5–3 mol % allowed us to minimize the yield of *N*-phenylation products and increase the yield of the target products to 68–84% (Table 3, run nos. 6–10).

Bromocarbazolone **VIId** reacts with *N*,*N*′-ethyleneurea (imidazolidin-2-one) more difficultly than with amides. The reaction in the presence of 2 mol % of Pd and 3 mol % of Xantphos gives an inseparable mixture of the corresponding *N*,*N*′-dihetarylurea and *N*-hetaryl-*N*′-phenylurea. We previously showed that a modified analog of Xantphos, 3,5-$(CF_3)_2$Xantphos, containing acceptor trifluoromethyl substituents in the phenyl rings of the ligand is more effective in the arylation of ureas with nonactivated aryl halides. In our case, the use of 3,5-$(CF_3)_2$Xantphos also favored a smoother reaction and formation of the target product in a good yield (Scheme 6, Table 3, run no. 4).

However, we failed to attain complete conversion of bromocarbazolone **VIId** and a satisfactory yield of its ureido derivatives in the presence of both Xantphos and 3,5-$(CF_3)_2$Xantphos (4 mol % of palladium).

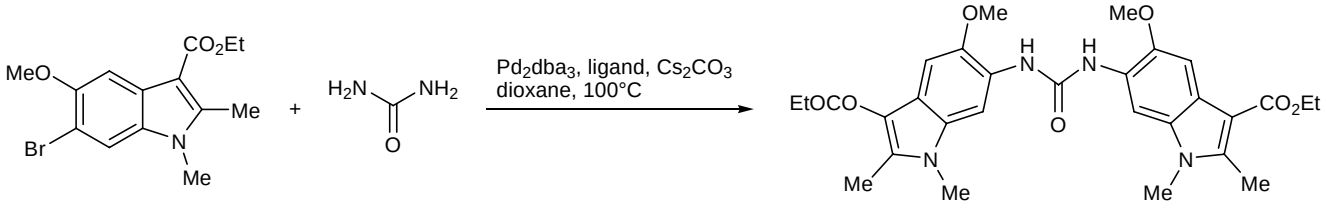
Unlike amination, the amidation of 6-bromoindole **VI** having a methoxy group in position *5* (in the *ortho* position with respect to bromine), was characterized by high yield of the products (1 mol % of Pd, Xantphos; Table 3, run nos. 11,12). 6-Bromoindole **VI** turned out to be more reactive than bromocarbazoles **VIIc** and **VIId** (Table 3, run no. 14) in the reaction with urea. The yield of the corresponding *N*,*N*′-dihetarylurea in the presence of Xantphos was 69%, while in the

**Scheme 6.**




**VIId** 71%

**Scheme 7.**




**VI**

Ligand = Xantphos, 69%
Ligand = 3,5-$(CF_3)_2$Xantphos, 91%

**Table 3.** Palladium-catalyzed amidation of 6-bromoindole, 6-bromobenzofuran, and 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one derivatives and 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazole[a]

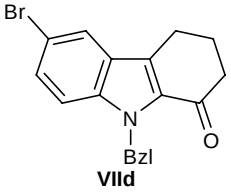
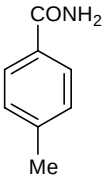
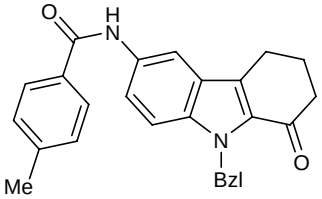
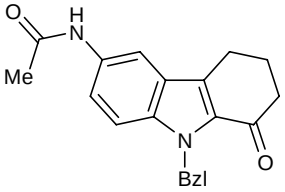
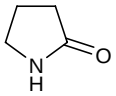
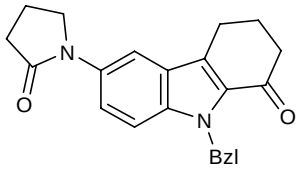
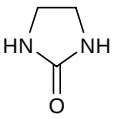
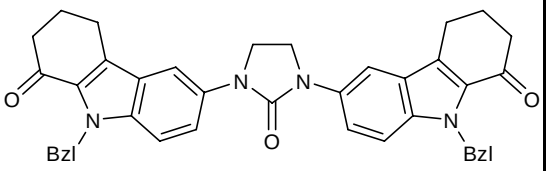
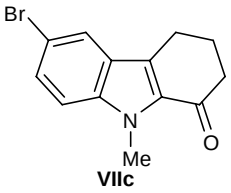
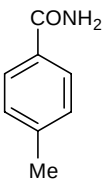
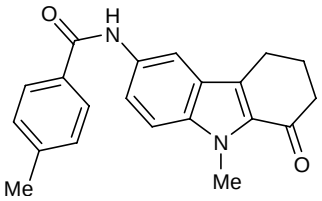
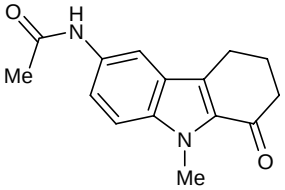
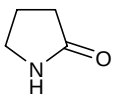
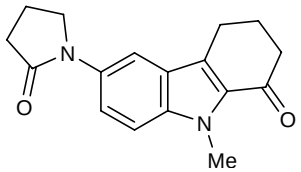
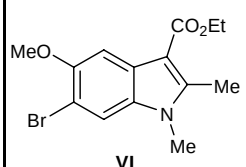
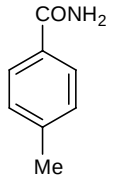
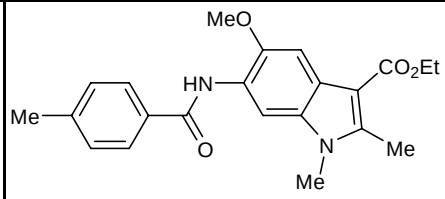
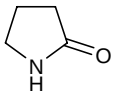
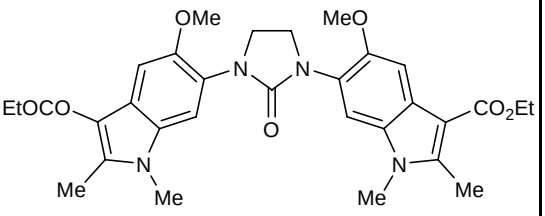
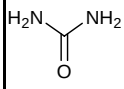
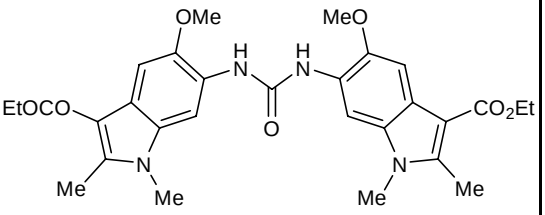
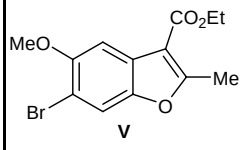
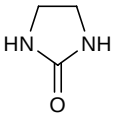
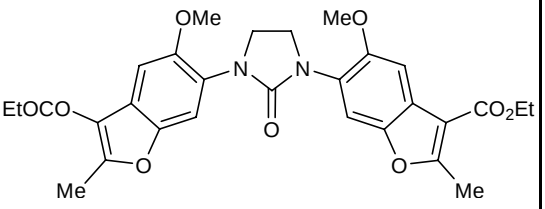
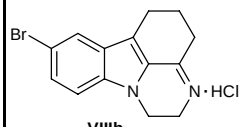
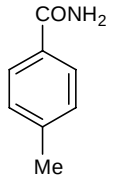
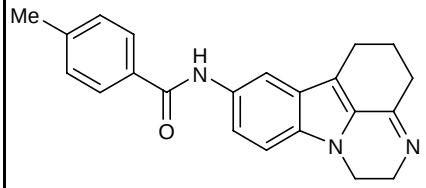
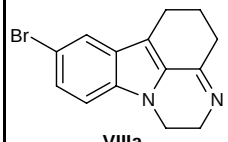
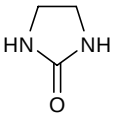
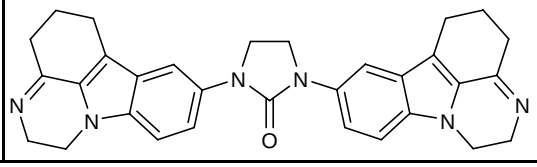
| Run no. | Substrate | Amide | [Pd], mol % | Ligand | Time, h | Product | Yield, % |
|---|---|---|---|---|---|---|---|
| 1 |  **VIId** |  | 4 | Xantphos | 3 |  | 82 |
| 2 | " | $MeCONH_2$ | 4 | Xantphos | 7 |  | 84 |
| 3 | " |  | 4 | Xantphos | 6 |  | 81 |
| 4 | " |  | 2 | 3,5-$(CF_3)_2$-Xantphos | 7.5 |  | 71 |
| 5 |  **VIIc** |  | 4 | Xantphos | 8 |  | 58 |
| 6 | " | " | 1 | Xantphos | 17 | " | 68 |
| 7 | " | $MeCONH_2$ | 4 | Xantphos | 6 |  | 57 |
| 8 | " | " | 2 | Xantphos | 11 | " | 74 |
| 9 | " |  | 4 | Xantphos | 4 |  | 57 |
| 10 | " | " | 1 | Xantphos | 16 | " | 84 |

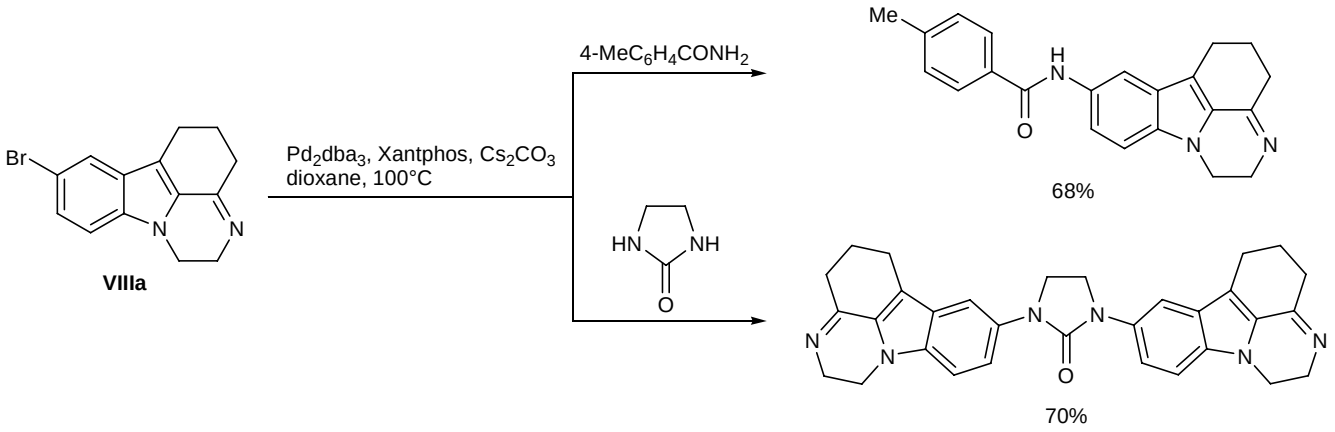
**Table 3.** (Contd.)

| Run no. | Substrate | Amide | [Pd], mol % | Ligand | Time, h | Product | Yield, % |
|---|---|---|---|---|---|---|---|
| 11 |  **VI** |  | 1 | Xantphos | 10 |  | 85 |
| 12 | " | " | 1 | 3,5-$(CF_3)_2$-Xantphos | 6 | " | 96 |
| 13 | " |  | 2 | Xantphos | 13 |  | 87 |
| 14 | " |  | 4 | Xantphos | 23 |  | 69 |
| 15 | " | " | 2 | 3,5-$(CF_3)_2$-Xantphos | 10 | " | 91 |
| 16 |  **V** |  | 2 | Xantphos | 9 |  | 82 |
| 17 |  **VIIIb** |  | 3 | Xantphos | 13 |  | 68 |
| 18 |  **VIIIa** |  | 4 | Xantphos | 4 |  | 70 |

[a] The reactions were carried out under argon using 1 equiv of hetaryl bromide, 1.2 equiv of amide or 0.5 equiv of urea, 1.4 equiv of $Cs_2CO_3$, 1–2 mol % of $Pd_2dba_3$, and 3–6 mol % of Xantphos or 3,5-$(CF_3)_2$Xantphos in dioxane at 100°C.

presence of 3,5-$(CF_3)_2$Xantphos it increased to 91% (Scheme 7; Table 3, run no. 15). This result sharply differs from the data obtained by us previously: the reaction of urea with *ortho*-substituted aryl halides under the same conditions (in the presence of Xantphos) afforded the corresponding diarylamines as the major products [20, 21]. Bromoindole **VI** and its furan analog **V** fairly readily reacted with cyclic urea to give dihetarylureas in high yields (Table 3, run nos. 13, 16).

**Scheme 8.**




The amidation of heterocycles **VIIIa** and **VIIIb** requires larger amounts of the catalyst, as compared to reactions with compounds **V**, **VI**, **VIIc**, and **VIId**, and the yields are somewhat lower (Scheme 8; Table 3, run. no. 17, 18).

## EXPERIMENTAL

The $^1$H NMR spectra were recorded on a Varian VXR 400 instrument (400 MHz); the chemical shifts were measured relative to signals from residual protons in the deuterated solvent. The mass spectra (electron impact, 70 eV) were obtained on Kratos MS-30 and Kratos MS-890 instruments.

Dioxane and toluene were purified and dried by standard procedures and were stored over potassium diphenylketyl under reduced pressure. Cesium carbonate and potassium phosphate were dried at 200°C under reduced pressure. The palladium complexes and ligands were prepared according to known procedures: $Pd_2dba_3 \cdot CHCl_3$ [23], Xantphos [24], 3,5-$(CF_3)_2$Xantphos [21]. The amines used were purified and dried by standard procedures, and the amides were distilled or recrystallized prior to use. Initial hetaryl halides **II**–**VI** were synthesized by known methods: 3-acetoxy-1-acetyl-5-bromoindole (**III**) [25], mp 120–122°C (from 2-propanol), published data [26]: mp 122–123°C (from hexane–benzene, 5:1); 5-bromo-1-methylindole (**IV**), bp 160–163°C (8 mm), published data [27]: bp 155°C (6.5 mm); ethyl 6-bromo-5-methoxy-1,2-dimethylindole-3-carboxylate (**VI**), mp 163–164°C (from ethanol), published data [28]: mp 164–165°C (from $CCl_4$)]; ethyl 5-acetoxy-6-bromo-2-methylbenzofuran-3-carboxylate (**II**), mp 120–121°C (from 2-propanol); published data [29]: mp 120–121°C (from methanol); ethyl 6-bromo-5-methoxy-2-methylbenzofuran-3-carboxylate (**V**), mp 128–131°C (from ethanol), published data [30]: mp 129–130°C (from ethanol); 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino-[3,2,1-*jk*]carbazole (**VIIIb**), yield 69%, mp 284–288°C (from alcohol), published data [31]: mp 298–300°C.

**9-Acetyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (VIIb).** A mixture of 2.0 g (7.90 mmol) of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIIa**), 0.14 g (5.0 mmol) of fused sodium acetate, and 6.70 ml (71.0 mmol) of acetic anhydride was heated for 6 h under reflux. The mixture was cooled, and the precipitate was filtered off and washed with methanol and water. Yield 1.93 g (83%), mp 157–158°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 8.11–8.13 d (1H, $J$ = 8 Hz), 7.75 s (1H), 7.56–7.59 d (1H, $J$ = 8 Hz), 2.96–2.99 m (2H, $J$ = 6 Hz), 2.69–2.73 m (2H), 2.65 s (3H), 2.24–2.29 m (2H, $J$ = 6 Hz). Found, %: C 54.92; H 3.95; N 4.57. $C_{14}H_{12}BrNO_2$. Calculated, %: C 54.76; H 3.87; N 4.71.

**9-Benzyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (VIId).** A solution of 2.64 g (10.0 mmol) of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIIa**) in 20 ml of DMF was cooled to 0–5°C, and 0.24 g (10.0 mmol) of 100% sodium hydride was added in portions under stirring and cooling. The mixture was stirred for 30 min, and 1.15 ml (10.0 mmol) of benzyl chloride was slowly added. The mixture was heated for 30 min at 70°C, cooled, and poured into water. The aqueous phase was extracted with ethyl acetate, and the extract was dried over $MgSO_4$ and evaporated. Yield 2.69 g (76%), mp 101–102°C (from heptane). $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.82 s (1H), 7.40–7.72 d (1H, $J$ = 7 Hz), 7.21–7.27 m (4H), 7.08–7.10 d (2H, $J$ = 7 Hz), 5.81 s (2H), 3.00–3.02 m (2H, $J$ = 6 Hz), 2.64–2.68 m (2H), 2.23–2.26 m

(2H, $J$ = 6 Hz). Found, %: C 64.42; H 4.55; N 3.95. $C_{14}H_{12}BrNO_2$. Calculated, %: C 64.42; H 4.75; N 3.81.

**6-Bromo-9-methyl-1,2,3,4-tetrahydrocarbazol-1-one (VIIc)** was synthesized as described above for compound **VIId** from 3.0 g (11.4 mmol) of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one and 0.7 ml (11.4 mmol) of methyl iodide. Yield 2.68 g (85%), mp 121–123°C (from 2-propanol). $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.93 s (1H), 7.53 d (1H, $J$ = 10 Hz), 7.47 d (1H, $J$ = 10 Hz), 3.98 s (3H), 2.93 m (2H, $J$ = 6 Hz), 2.57–2.59 m (2H), 2.11 m (2H, $J$ = 6 Hz). Found, %: C 56.14; H 4.35; N 5.04. $C_{13}H_{12}BrNO$. Calculated, %: C 56.19; H 4.21; N 4.94.

**6-Bromo-9-triphenylmethyl-1,2,3,4-tetrahydrocarbazol-1-one (VIIe).**) Sodium hydride, 0.086 g (3.6 mmol), was slowly added under stirring to 0.95 g (3.6 mmol) of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one in 10 ml of anhydrous DMF on cooling to 0–5°C. The mixture was stirred for 1.5 h at that temperature, 1.0 g (3.6 mmol) of chlorotriphenylmethane was added, and the mixture was heated at 70°C until the initial compound disappeared (TLC), cooled, and poured into water. The precipitate was filtered off and washed with water to pH 7. We thus isolated 1.78 g (98%) of crude product **VIIe** which was recrystallized several times from 2-propanol (TLC) and was then subjected to chromatography on silica gel using benzene as eluent. mp 205–207°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.94 s (1H), 7.18–7.31 m (15H), 7.05 d (1H, $J$ = 9 Hz), 5.98 d (1H, $J$ = 9 Hz), 2.99 m (2H, $J$ = 5.6 Hz), 2.28–2.29 m (2H), 2.05 m (2H, $J$ = 5.6 Hz). Found, %: C 73.52; H 4.78; N 2.77. $C_{31}H_{24}BrNO$. Calculated, %: C 73.26; H 4.74; N 2.77.

**8-Bromo-2,4,5,6-tetrahydro-1*H*-pyrazino-[3,2,1-*jk*]carbazole (VIIIa).** Aqueous ammonia (25%), was added under stirring to a suspension of 0.26 g (8.0 mmol) of 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazole (**VIIIb**) in 20 ml of methylene chloride until pH 8–9, and the mixture was stirred until the precipitate completely dissolved. The organic phase was washed with water until neutral (pH 7), dried over $MgSO_4$, and evaporated. Yield 0.20 g (85%), white substance, mp 114–115°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.74 s (1H), 7.38 d (1H, $J$ = 9 Hz), 7.20 d (1H, $J$ = 9 Hz), 3.99–4.07 m (4H), 2.85 m (2H, $J$ = 6 Hz), 2.67 m (2H, $J$ = 6 Hz), 2.17 m (2H, $J$ = 6 Hz). Found, %: C 57.92; H 5.15; N 9.57. $C_{14}H_{15}BrN_2$. Calculated, %: C 57.75; H 5.19; N 9.62.

**General procedure for amination of compounds IV, VI, VIIc, and VIId.** A reactor was filled with argon and charged with 0.4–0.5 mmol of hetaryl bromide **IV**, **VI**, **VIIc**, or **VIId**, 0.48–0.6 mmol of the corresponding amine, 0.56–0.7 mmol of base, 1 mol % of $Pd_2dba_3\cdot CHCl_3$ (2 mol % of Pd), 3 mol % of *t*-$Bu_2$BP-phos or BINAP, and 3 ml of toluene saturated with argon. The mixture was degassed by triple freeze–thaw cycles, and the reactor was filled with argon. The mixture was then stirred at 100°C until the initial aryl halide disappeared (TLC), diluted with 30 ml of ethyl acetate, and filtered, silica gel was added to the filtrate, and the solvent was distilled off. The residue was subjected to chromatography on Merck 60 silica gel (40–63 μm).

**Amination of 9-benzyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (VIId) in the absence of a solvent.** The reaction was performed in a spherical reactor (30 mm in diameter) with a steel Teflon-lined screw-top. The reactor was charged with appropriate reactants, 5 steel balls ($d$ = 4 mm), and 100–150 mg of Carbopack C (specific surface 10 $m^2/g$). The reactor was evacuated using an analogous steel Teflon-lined screw-top with a welded steel tube ($d$ = 4 mm). The mixture was degassed, the reactor was filled with argon, and the reactor was shaken with a frequency of 25 Hz and an amplitude of 1.5 cm on heating with an electric oven (a glass cylinder wound with a nichrome wire).

**Reaction of 9-acetyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (VIIb) with piperidine in the presence of $K_3PO_4$.** The reaction was performed with 59 μl (51 mg, 0.6 mmol) of piperidine, 157 mg (0.74 mmol) of $K_3PO_4$, 5.23 mg ($5.1\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 5.5 mg ($16.9\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 3 ml of toluene saturated with argon. The product was isolated using ethyl acetate–petroleum ether (1:6) as eluent. Yield of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIIa**) 129 mg (97%), light beige solid substance, mp 229–231°C.

**Reaction of 9-acetyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (VIIb) with piperidine in the presence of *t*-BuONa.** The reaction was performed with 153 mg of compound **VIIb**, 59 μl (51 mg, 0.6 mmol) of piperidine, 66 mg (0.686 mmol) of *t*-BuONa, 5.17 mg ($5.0\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 5.03 mg ($16.9\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 3 ml of toluene. The product was isolated using ethyl acetate–petroleum ether (1:6) as eluent. Yield of 6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIIa**) 121 mg (92%), light beige solid substance.

**Reaction of 3-iodo-1-phenylsulfonylindole (I) with piperidine.** The reaction was performed with

148 mg (0.386 mmol) of compound **I**, 48 μl (42 mmol) of piperidine, 57 mg (0.59 mmol) of *t*-BuONa, 4.09 mg ($3.95\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.08 mg ($13.68\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 2.5 ml of toluene. By chromatography using ethyl acetate–petroleum ether (1:10) as eluent we isolated 23 mg (50%) of indole and 36 mg (48%) of 3-iodoindole. $^1$H NMR spectrum of indole ($CDCl_3$), δ, ppm: 8.13 br.s (1H), 7.67 d (1H, *J* = 8 Hz), 7.41 d (1H, *J* = 8 Hz), 7.18–7.24 m (2H), 7.13 t (1H, *J* = 7.5 Hz), 6.55–6.59 m (1H). $^1$H NMR spectrum of 3-iodoindole ($CDCl_3$), δ, ppm: 8.09 br.s (1H), 7.38 d (1H, *J* = 7.5 Hz), 7.21 d (1H, *J* = 8 Hz), 7.08–7.18 m (3H).

**Reaction of ethyl 5-acetoxy-6-bromo-2-methylbenzofuran-3-carboxylate (II) with morpholine.** The reaction was performed with 86 mg (0.253 mmol) of compound **II**, 26 μl (26 mg, 0.298 mmol) of morpholine, 135 mg (0.414 mmol) of $Cs_2CO_3$, 5.20 mg ($5.02\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 9.42 mg ($15.12\times10^{-3}$ mmol) of BINAP, and 2 ml of toluene. The product was isolated using ethyl acetate–petroleum ether (1:3) and ethyl acetate as eluents. Yield of ethyl 5-bromo-4-hydroxy-2-methylbenzofuran-2-carboxylate 73 mg (96%). White solid. $^1$H NMR spectrum (acetone-$d_6$), δ, ppm: 7.69 s (1H), 7.58 s (1H), 4.36 t (2H, *J* = 7 Hz), 2.70 s (2H), 1.40 t (3H, *J* = 7 Hz).

**1-Methyl-5-piperidinoindole** was obtained from 133 mg (0.632 mmol) of 5-bromo-1-methylindole (**IV**), 63 mg of piperidine, 90 mg (0.936 mmol) of *t*-BuONa, 6.21 mg ($6\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 5.36 mg ($18\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 3 ml of toluene. The product was isolated using ethyl acetate–petroleum ether (1:4) as eluent. Yield 112 mg (83%), light beige solid substance, mp 85–87°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.23 s (1H, *J* = 9 Hz), 7.18 d (1H, *J* = 2 Hz), 7.03 d.d (1H, *J* = 2, 9 Hz), 6.99 d (1H, *J* = 3 Hz), 6.38 d (1H, *J* = 3 Hz), 3.75 s (3H), 3.05–3.11 m (4H), 1.72–1.83 m (4H), 1.52–1.62 m (2H). Found, %: C 76.55; H 8.02; N 9.82. $C_{18}H_{22}N_2O$. Calculated, %: C 76.56; H 7.85; N 9.92.

**Ethyl 5-methoxy-1,2-dimethyl-6-piperidinoindole-3-carboxylate** was obtained from 163.67 mg (0.501 mmol) of ethyl 6-bromo-5-methoxy-1,2-dimethylindol-3-carboxylate (**VI**), 52 mg (0.611 mmol) of piperidine, 59 mg (0.613 mmol) of *t*-BuONa, 5.15 mg ($4.98\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.64 mg ($15.56\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 2.5 ml of toluene. Yield 92 mg (55%), pale yellow substance, mp 174–175°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.47 s (1H), 6.96 s (1H), 4.27 q (2H, *J* = 7 Hz), 3.81 s (3H), 3.65 s (3H), 3.18 s (3H), 2.92–2.98 m (4H), 2.66 s (3H), 1.64–1.72 m (4H), 1.49–1.58 m (2H), 1.36 t (3H, *J* = 7 Hz). Found, %: C 68.96; H 83.5; N 8.19. $C_{19}H_{29}N_2O_3$. Calculated, %: C 69.09; H 7.93; N 8.48. Ethyl 5-methoxy-1,2-dimethylindole-3-carboxylate, 37 mg (30%), was also isolated as by-product (using $CHCl_3$ as eluent). mp 118–120°C. $^1$H NMR spectrum (acetone-$d_6$), δ, ppm: 7.62 d (1H, *J* = 2.5 Hz), 7.30 d (1H, *J* = 9 Hz), 6.82 d.d (1H, *J* = 2.5, 9 Hz), 4.32 q (2H, *J* = 7 Hz), 3.82 s (3H), 3.71 s (3H), 2.71 s (3H), 1.40 t (3H, *J* = 7 Hz).

**9-Methyl-6-piperidino-1,2,3,4-tetrahydrocarbazol-1-one** was obtained from 139 mg (0.5 mmol) of 6-bromo-9-methyl-1,2,3,4-tetrahydrocarbazol-1-one (**VIIc**), 52 mg (0.614 mmol) of piperidine, 71 mg (0.74 mmol) of *t*-BuONa, 5.19 mg ($5.01\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.63 mg ($15.53\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 2.5 ml of toluene. The product was isolated using ethyl acetate–petroleum ether (1:2) as eluent. Yield 104 mg (74%), yellow solid substance, mp 147–148°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.20–7.27 m (2H), 7.05 d (1H, *J* = 1.5 Hz), 4.03 s (3H), 3.07–3.12 m (2H), 2.94–2.99 m (2H), 2.59–2.64 m (2H), 2.15–2.24 m (2H), 1.73–1.82 m (4H), 1.54–1.62 m (2H). Found, %: C 76.55; H 8.02; N 8.82. $C_{24}H_{26}N_2O$. Calculated, %: C 76.56; H 7.85; N 9.92.

**6-Isopropylamino-9-methyl-1,2,3,4-tetrahydrocarbazol-1-one** was obtained from 140 mg (0.503 mmol) of compound **VIIc**, 50 μl (35 mg, 0.592 mmol) of isopropylamine, 67 mg (0.697 mmol) of *t*-BuONa, 5.23 mg ($5.05\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.80 mg ($16.1\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 2.5 ml of toluene. Yield 126 mg (97%), yellow solid substance, mp 63–65°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.16 d (1H, *J* = 9 Hz), 6.82 d (1H, *J* = 9 Hz), 6.72 s (1H), 4.00 s (2H), 3.66 m (1H, *J* = 6 Hz), 2.89–2.97 m (2H), 2.56–2.65 m (2H), 2.12–2.23 m (2H), 1.23 d (6H). Found, %: C 75.04; H 8.00; N 10.80. $C_{16}H_{20}N_2O$. Calculated, %: C 74.97; H 7.86; N 10.93.

**9-Benzyl-6-piperidino-1,2,3,4-tetrahydrocarbazol-1-one** was obtained from 177 mg (0.5 mmol) of 9-benzyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIId**), 59 μl (51 mg, 0.6 mmol) of piperidine, 67 mg (0.697 mmol) of *t*-BuONa, 5.23 mg ($5.05\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.58 mg ($15.36\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 3 ml of toluene. The product was isolated using ethyl acetate–petroleum ether (1:6) as eluent. Yield 122 mg (68%), yellow solid substance, mp 117–118°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm:

7.13–7.26 m (5H), 7.04–7.12 m (2H), 5.77 s (2H), 3.05–3.12 m (4H), 3.00 t (2H, $J$ = 6 Hz), 2.59–2.65 m (2H), 2.17–2.25 m (2H), 1.71–1.81 m (4H), 1.53–1.61 m (2H). Found, %: C 80.27; H 7.33; N 8.03. $C_{24}H_{26}N_2O$. Calculated, %: C 80.41; H 7.31; N 7.81.

**9-Benzyl-6-morpholino-1,2,3,4-tetrahydrocarbazol-1-one.** *a*. (Table 1, run no. 6). The reaction of 178 mg (0.501 mmol) of compound **VIId** with 53 μl (53 mg, 0.608 mmol) of morpholine, 68 mg (0.707 mmol) of *t*-BuONa, 5.12 mg ($4.94\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 4.48 mg ($15.02\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos in 3 ml of toluene, followed by chromatography using ethyl acetate–petroleum ether (3:4) as eluent, gave 165 mg (91%) of the product as a yellow solid substance with mp 118–120°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.00–7.34 m (8H), 5.78 s (2H), 3.86–3.94 m (4H), 3.10–3.11 m (4H), 2.97–3.05 m (2H), 2.59–2.68 m (2H), 2.16–2.28 m (2H). Found, %: C 76.78; H 6.54; N 7.59. $C_{23}H_{24}N_2O_2$. Calculated, %: C 76.64; H 6.71; N 7.77.

*b.* (Table 1, run no. 7). The reaction of 177 mg (0.5 mmol) of compound **VIId** with 54 μl (54 mg, 0.620 mmol) of morpholine, 68 mg (0.707 mmol) of *t*-BuONa, 5.6 mg ($5.41\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 5.2 mg ($17.44\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 112 mg of Carbopack C gave 164 mg (91%) of the product as a yellow solid substance.

**9-Benzyl-6-(4-methyl-1-piperazinyl)-1,2,3,4-tetrahydrocarbazol-1-one.** *a*. (Table 1, run no. 8). The reaction of 177 mg (0.5 mmol) of 9-benzyl-6-bromo-1,2,3,4-tetrahydrocarbazol-1-one (**VIId**) with 67 μl (60 mg, 0.598 mmol) of 1-methylpiperazine, 67 mg (0.697 mmol) of *t*-BuONa, 5.34 mg ($5.16\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 4.41 mg ($14.79\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos in 3 ml of toluene, followed by chromatography using ethyl acetate–methanol (2:1) as eluent, gave 169 mg (90%) of the product as a light brown solid substance with mp 147–148°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.01–7.30 m (8H), 5.77 s (2H), 3.14–3.21 m (2H), 2.96–3.03 m (2H), 2.57–2.67 m (2H), 2.36 s (3H), 2.14–2.27 m (2H). Found, %: C 77.40; H 7.25; N 11.15. $C_{24}H_{26}N_2O$. Calculated, %: C 77.18; H 7.29; N 11.25.

*b.* (Table 1, run no. 9). The reaction of 178 mg (0.501 mmol) of compound **VIId** with 67 μl (60 mg, 0.598 mmol) of 1-metylpiperazine, 72 mg (0.75 mmol) of *t*-BuONa, 5.20 mg ($5.02\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 5 mg ($16.77\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 160 mg of Carbopack C gave 177 mg (95%) of the product as a light brown solid substance.

**9-Benzyl-6-isopropylamino-1,2,3,4-tetrahydrocarbazol-1-one** was obtained from 141 mg (0.398 mmol) of compound **VIId**, 68 μl (43 mg, 0.901 mmol) of isopropylamine, 68 mg (0.695 mmol) of *t*-BuONa, 4.22 mg ($4.07\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 3.57 mg ($11.97\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 2.5 ml of toluene (the reaction was carried out at 80°C in a closed vessel). The product was isolated by chromatography using ethyl acetate–petroleum ether (1:4 to 1:3) as eluent. Yield 110 mg (83%), yellow solid substance, mp 108–109°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.03–7.32 m (7H), 6.72 s (1H), 5.75 s (2H), 3.64 m (1H, $J$ = 6.0 Hz), 2.91–3.05 m (2H), 2.54–2.62 m (2H), 2.13–2.27 m (2H), 1.23 d (2H, $J$ = 6.0 Hz). Found, %: C 79.73; H 7.02; N 8.26. $C_{22}H_{24}N_2O$. Calculated, %: C 79.48; H 7.28; N 8.43.

**Reaction of compound VIId with 4-methylbenzamide.** *a.* (Table 2, run no. 1). The reaction was performed with 124 mg (0.35 mmol) of compound **VIId**, 48 mg (0.350 mmol) of 4-methylbenzamide, 56 mg (0.582 mmol) of *t*-BuONa, 7.24 mg ($7.0\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 6.25 mg ($20.96\times10^{-3}$ mmol) of *t*-$Bu_2$BP-phos, and 3 ml of toluene. The mixture was heated for 18 h at 100°C, and 104 mg (84%) of initial compound **VIId** was recovered by chromatography using ethyl acetate–petroleum ether (1:8) as eluent (conversion 16%).

*b.* (Table 2, run no. 2). The reaction was performed with 177 mg (0.5 mmol) of compound **VIId**, 67 mg (0.498 mmol) of 4-methylbenzamide, 67 mg (0.697 mmol) of *t*-BuONa, 10.38 mg ($10.0\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 17.66 mg ($30.52\times10^{-3}$ mmol) of Xantphos, and 3 ml of toluene. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:5 to 1:2) as eluent.Yield 64 mg (31%), light beige solid substance, mp 212–213°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 10.17 br.s (1H), 8.21 s (1H), 7.91 d (2H, $J$ = 8 Hz), 7.66 d (2H, $J$ = 9 Hz), 7.51 d (2H, $J$ = 9 Hz), 7.33 d (2H, $J$ = 9 Hz), 7.22–7.28 m (2H), 7.16–7.21 m (1H), 7.09 d (2H, $J$ = 9 Hz), 5.80 s (2H), 2.95–3.01 m (2H), 2.56–2.62 m (2H), 2.38 s (3H), 2.11–2.19 m (2H). Found, %: C 79.32; H 5.93; N 6.91. $C_{27}H_{24}N_2O_2$. Calculated, %: C 79.39; H 5.92; N 6.86.

*c.* (Table 2, run no. 3; Table 3, run no. 1). The reaction was performed with 142 mg (0.401 mmol) of compound **VIId**, 55 mg (0.406 mmol) of 4-methylbenzamide, 185 mg (0.568 mmol) of $Cs_2CO_3$, 8.36 mg ($8.07\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 14.19 mg ($24.52\times10^{-3}$ mmol) of Xantphos, and 2.5 ml of di-

oxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:4 to 1:2) as eluent. Yield 117 mg (82%), white solid substance.

**General procedure for amidation of bromine-containing heterocycles V, VI, and VIIa–VIId.** A reactor was filled with argon and charged with 0.4–0.5 mmol of compound **V**, **VI**, or **VIIa**–**VIId**, 0.48–0.6 mmol of the corresponding amide, 0.56–0.7 mmol of base, 0.5–2 mol % of $Pd_2dba_3 \cdot CHCl_3$ (4 mol % of Pd), 6 mol % of Xantphos or 3,5-$(CF_3)_2$Xantphos, and 3 ml of dioxane saturated with argon. The mixture was degassed by three freeze–thaw cycles, the reactor was filled with argon, and the mixture was stirred at 100°C until the initial halogen derivative disappeared (TLC). The mixture was diluted with 30 ml $CHCl_3$ and filtered, and the filtrate was evaporated with addition of silica gel. The residue was subjected to chromatography on Merck 60 silica gel (40–63 μm).

***N*-(9-Benzyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)acetamide** was obtained from 142 mg (0.4 mmol) of compound **VIId**, 27 mg (0.463 mmol) of acetamide, 200 mg (0.613 mmol) of $Cs_2CO_3$, 8.25 mg ($7.97\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, 13.56 mg ($23.43\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:1 to 2:1) as eluent. Yield 112 mg (84%), light beige solid substance, mp 213–215°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 9.94 br.s (1H), 8.04 s (1H), 7.45 d (1H, $J$ = 9 Hz), 7.38 d (1H, $J$ = 9 Hz), 7.14–7.30 m (3H), 7.06 d (2H, $J$ = 7.5 Hz), 5.76 s (2H), 2.90–2.98 m (2H), 2.53–2.63 m (2H), 2.07–2.18 m (2H), 2.03 s (3H). Found, %: C 75.64; H 6.28; N 8.12. $C_{21}H_{20}N_2O_2$. Calculated, %: C 75.88; H 6.06; N 8.43.

**1-(9-Benzyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)pyrrolidin-2-one** was obtained from 142 mg (0.401 mmol) of compound **VIId**, 37 μl (0.48 mmol) of 2-pyrrolidinone, 200 mg (0.613 mmol) of $Cs_2CO_3$, 8.39 mg ($7.97\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, 14.07 mg ($24.31\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:4 to 1:2) as eluent. Yield 109 mg (81%), light beige solid substance, mp 195–196°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.80 d (1H, $J$ = 2 Hz), 7.70 d.d (1H, $J$ = 2, 9 Hz), 7.53 d (1H, $J$ = 9 Hz), 7.15–7.28 m (3H), 7.06 d (2H, $J$ = 7.5 Hz), 5.79 s (2H), 3.86 t (2H, $J$ = 7 Hz), 2.98 m (2H), 2.59 m (2H), 2.45–2.50 m (2H), 2.13 m (2H), 2.01–2.10 m (2H). Found, %: C 77.02; H 6.06; N 8.01. $C_{23}H_{22}N_2O_2$. Calculated, %: C 77.07; H 6.19; N 7.82.

**1,3-Bis(9-benzyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)imidazolidin-2-one** was obtained from 178 mg (0.401 mmol) of compound **VIId**, 22 mg (0.253 mmol) of imidazolidin-2-one, 250 mg (0.760 mmol) of $Cs_2CO_3$, 2.68 mg ($2.59\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, 8.66 mg ($7.71\times10^{-3}$ mmol) of 3,5-$(CF_3)_2$-Xantphos, and 3 ml of dioxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:2 to 1:1) as eluent. Yield 112 mg (71%), light beige solid substance, mp >250°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.71 s (1H), 7.68 d (1H, $J$ = 9 Hz), 7.32 d (1H, $J$ = 9 Hz), 7.15–7.29 m (4H), 7.10 d (2H, $J$ = 7.5 Hz), 5.81 s (6H), 3.98 s (3H), 2.98–3.05 m (2H), 2.59–2.66 m (2H), 2.15–2.26 m (2H). Found, %: C 77.76; H 5.41; N 8.59. $C_{41}H_{36}N_4O_3$. Calculated, %: C 77.83; H 5.73; N 8.85.

***N*-(9-Methyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)-4-methylbenzamide.** *a.* (Table 3, run no. 5). The reaction of 140 mg (0.502 mmol) of 6-bromo-9-methyl-1,2,3,4-tetrahydrocarbazol-1-one (**VIIc**) with 82 mg (0.606 mmol) of 4-methylbenzamide, 230 mg (0.706 mmol) of $Cs_2CO_3$, 10.40 mg ($10.04\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, and 17.30 mg ($29.90\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane, followed by chromatography using ethyl acetate–petroleum ether (1:3 to 1:2) as eluent, gave 97 mg (58%) of the product as a white solid substance, mp 200–202°C. Found, %: C 75.75; H 6.12; N 8.23. $C_{21}H_{20}N_2O_2$. Calculated, %: C 75.88; H 6.06; N 8.43.

*b.* (Table 3, run no. 6). The reaction of 140 mg (0.502 mmol) of compound **VIIc** with 82 mg (0.606 mmol) of 4-methylbenzamide, 230 mg (0.706 mmol) of $Cs_2CO_3$, 2.62 mg ($2.53\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, and 4.46 mg ($7.71\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane, followed by chromatography using ethyl acetate–petroleum ether (1:3 to 1:2) as eluent, gave 114 mg (68%) of the product as a white solid substance. $^1$H NMR spectrum (acetone-$d_6$), δ, ppm: 9.48 br.s (1H), 8.27 d (1H, $J$ = 2 Hz), 7.94 d (2H, $J$ = 8 Hz), 7.73 d.d (1H, $J$ = 2, 9 Hz), 7.44 d (1H, $J$ = 9 Hz), 7.32 d (2H, $J$ = 8 Hz), 4.04 s (3H), 2.96–3.02 m (2H), 2.53–2.61 m (2H), 2.4 s (3H), 2.16–2.24 m (2H).

***N*-(9-Methyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)acetamide.** *a.* (Table 3, run no. 7). The reaction was performed with 139 mg (0.499 mmol) of compound **VIIc**, 36 mg (0.609 mmol) of acetamide, 250 mg (0.767 mmol) of $Cs_2CO_3$, 10.42 mg ($10.06\times10^{-3}$ mmol) of $Pd_2dba_3 \cdot CHCl_3$, 17.82 mg ($30.79\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. By chromatography using ethyl acetate–petroleum ether (1:1 to 2:1) as eluent we isolated 73 mg (57%) of

the product as a white solid substance with mp 205–207°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 9.93 br.s (1H), 8.01 s (1H), 7.40–7.41 m (2H), 3.94 s (3H), 2.85–2.92 m (2H), 2.51–2.58 m (2H), 2.06–2.15 m (2H), 2.04 s (3H). Found, %: C 70.19; H 6.41; N 10.70. $S_{15}H_{16}N_2O_2$. Calculated, %: C 70.29; H 6.29; N 10.93.

*b.* (Table 3, run no. 8). The reaction of 140 mg (0.5 mmol) of compound **VIIc** with 36 mg (0.609 mmol) of acetamide, 230 mg (0.706 mmol) of $Cs_2CO_3$, 5.25 mg ($5.07\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 8.84 mg ($15.27\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane, followed by chromatography using ethyl acetate–petroleum ether (1:1 to 2:1) as eluent, gave 96 mg (74%) of the product as a white solid substance.

**1-(9-Methyl-1-oxo-1,2,3,4-tetrahydrocarbazol-6-yl)pyrrolidin-2-one.** *a* (Table 3, run no. 9). The reaction was performed with 140 mg (0.502 mmol) of compound **VIIc**, 46 μl (52 mg, 0.610 mmol) of 2-pyrrolidinone, 230 mg (0.706 mmol) of $Cs_2CO_3$, 10.49 mg ($10.13\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 17.66 mg ($30.52\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. By chromatography using ethyl acetate–petroleum ether (1:1) and then ethyl acetate as eluent we isolated 82 mg (57%) of the product as a white solid substance with mp 200–202°C. $^1$H NMR spectrum (DMSO-$d_6$), δ, ppm: 7.64 s (1H), 7.63 d (1H, $J$ = 9 Hz), 7.33 d (1H, $J$ = 9 Hz), 3.94 s (3H), 3.80–3.89 m (2H), 2.83–2.84 m (2H), 2.44–2.56 m (2H), 2.01–2.18 m (4H). Found, %: C 72.04; H 6.33; N 9.62. $C_{17}H_{18}N_2O_2$. Calculated, %: C 72.32; H 6.43; N 9.92.

*b.* (Table 3, run no. 10). From 140 mg (0.502 mmol) of compound **VIIc**, 38 μl (43 mg, 0.505 mmol) of 2-pyrrolidinone, 240 mg (0.736 mmol) of $Cs_2CO_3$, 2.62 mg ($2.53\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 4.58 mg ($7.91\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane (eluent ethyl acetate–petroleum ether, 1:1, and then ethyl acetate) we isolated 120 mg (84%) of the product as a white solid substance.

**Ethyl 5-methoxy-1,2-dimethyl-6-(4-methylbenzamido)indole-3-carboxylate.** *a.* (Table 3, run no. 11). The reaction was performed with 131 mg (0.4 mmol) of ethyl 6-bromo-5-methoxy-1,2-dimethylindole-3-carboxylate (**VI**), 65 mg (0.480 mmol) of 4-methylbenzamide, 180 mg (0.552 mmol) of $Cs_2CO_3$, 2.03 mg ($1.96\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 4.27 mg ($7.38\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. By chromatography using ethyl acetate–petroleum ether (1:2 to 1:1) as eluent we isolated 130 mg (85%) of the product as a white solid substance with mp 192–193°C. $^1$H NMR spectrum ($CDCl_3$–DMSO-$d_6$, 2:1), δ, ppm: 8.82 br.s (1H), 8.41 s (1H), 7.75 d (2H, $J$ = 8.0 Hz), 7.57 s (1H), 7.25 d (2H, $J$ = 8.0 Hz), 4.28 q (2H, $J$ = 7.0 Hz), 3.93 s (3H), 3.65 s (3H), 2.67 s (3H), 2.37 s (3H), 1.37 t (3H, $J$ = 7.0 Hz). Found, %: C 69.40; H 6.27; N 7.30. $C_{26}H_{26}N_2O_4$. Calculated, %: C 69.46; H 63.36; N 7.36.

*b.* (Table 3, run no. 12). From 131 mg (0.4 mmol) of compound **VI**, 65 mg (0.480 mmol) of 4-methylbenzamide, 190 mg (0.583 mmol) of $Cs_2CO_3$, 2.10 mg ($2.03\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 6.72 mg ($5.98\times10^{-3}$ mmol) of 3,5-$(CF_3)_2$Xantphos in 3 ml of dioxane (eluent ethyl acetate–petroleum ether, 1:2 to 1:1) we isolated 147 mg (96%) of the product as a white solid substance.

**1,3-Bis(3-ethoxycarbonyl-5-methoxy-1,2-dimethylindol-6-il)imidazolidin-2-one** was obtained from 164 mg (0.502 mmol) of compound **VI**, 21.9 mg (0.254 mmol) of imidazolidin-2-one, 230 mg (0.706 mmol) of $Cs_2CO_3$, 5.16 mg ($4.98\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 8.9 mg ($15.38\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:2 to 1:1) and then ethyl acetate as eluent. Yield 126 mg (87%), white solid substance, mp >250°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.25 s (1H), 7.46 s (1H), 4.39 q (2H, $J$ = 7 Hz), 3.99 s (2H), 3.96 s (3H), 3.64 s (3H), 2.73 s (3H), 1.45 t (3H, $J$ = 7 Hz). Found, %: C 64.61; H 6.21; N 9.84. $C_{31}H_{36}N_4O_7$. Calculated, %: C 64.57; H 6.29; N 9.72.

***N,N′*-Bis(3-ethoxycarbonyl-5-methoxy-1,2-dimethylindol-6-yl)urea.** *a.* (Table 3, run no. 14). The reaction was performed with 164 mg (0.503 mmol) of compound **VI**, 18 mg (0.305 mmol) of urea, 230 mg (0.706 mmol) of $Cs_2CO_3$, 10.74 mg ($10.37\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, 17.57 mg ($30.36\times10^{-3}$ mmol) of Xantphos, and 3 ml of dioxane. By chromatography using ethyl acetate–petroleum ether (1:2 to 1:1) and then ethyl acetate as eluent we isolated 96 mg (69%) of the product as a light beige solid substance with mp >250°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 8.23 s (1H), 7.65 s (1H), 7.43 br.s (1H), 4.39 q (2H, $J$ = 7.0 Hz), 3.95 s (3H), 3.68 s (3H), 2.73 s (3H), 1.44 t (3H, $J$ = 7.0 Hz).

*b.* (Table 3, run no. 15). The reaction of 163 mg (0.5 mmol) of compound **VI** with 37 mg (0.616 mmol) of urea, 230 mg (0.705 mmol) of $Cs_2CO_3$, 2.57 mg ($2.48\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 8.71 mg ($7.76\times10^{-3}$ mmol) of 3,5-$(CF_3)_2$Xantphos in 3 ml of dioxane, followed by chromatography using ethyl

acetate–petroleum ether (1:2 to 1:1) and then ethyl acetate as eluent, gave 126 mg (91%) of the product as a white solid substance.

**1,3-Bis(3-ethoxycarbonyl-5-methoxy-2-methylbenzofuran-6-yl)imidazolidin-2-one** was obtained from 156 mg (0.498 mmol) of ethyl 6-bromo-5-methoxy-2-methylfuran-3-carboxylate (**V**), 21.6 mg (0.251 mol) of imidazolidin-2-one, 230 mg (0.706 mmol) of $Cs_2CO_3$, 5.20 mg ($5.02\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 8.73 mg ($15.08\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane. The product was isolated by chromatography using ethyl acetate–petroleum ether (1:2 to 1:1) as eluent. Yield 113 mg (82%), white solid substance, mp 230–231°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.55 s (1H), 7.53 s (1H), 4.41 q (2H, *J* = 7.0 Hz), 3.96 s (2H), 3.95 s (3H), 2.74 s (3H), 1.44 t (3H, *J* = 7.0 Hz). Found, %: C 63.32; H 6.71; N 5.17. $C_{29}H_{30}N_2O_9$. Calculated, %: C 63.27; H 5.49; N 5.09.

***N*-(2,4,5,6-Tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazol-8-yl)-4-methylbenzamide** was obtained from 147 mg (0.450 mmol) of 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazole hydrochloride (**VIIIb**), 59 mg (0.438 mmol) of 4-methylbenzamide, 350 mg (1.07 mmol) of $Cs_2CO_3$, 9.42 mg ($9.10\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 15.80 mg ($27.30\times10^{-3}$ mmol) of Xantphos in 3 ml of dioxane. The product was isolated by chromatography using chloroform–methanol (20:2 to 10:1) as eluent. Yield 106 mg (68%), yellow solid substance, mp 185–188°C. $^1$H NMR spectrum, δ, ppm: in $CDCl_3$: 8.04 br.s (2H), 7.80 d (2H, *J* = 7.5 Hz), 7.38 d (1H, *J* = 9 Hz), 7.22–7.34 m (3H), 4.02–4.20 m (2H), 3.85–4.20 m (2H), 2.76–2.95 m (2H), 2.56–2.71 m (2H), 2.35–2.52 m (2H), 2.41 s (3H), 2.06–2.21 m (2H); in $CD_2Cl_2$: 8.11 br.s (1H), 8.01 d (1H, *J* = 2 Hz), 7.80 d (2H, *J* = 8 Hz), 7.43 d.d (1H, *J* = 2, 8 Hz), 7.31 d (1H, *J* = 2 Hz), 7.30 d (2H, *J* = 8 Hz), 3.95–4.05 m (4H), 2.87 t (2H), 2.63 t (2H, *J* = 6 Hz), 2.42 s (3H), 2.14 m (2H, *J* = 6 Hz). Mass spectrum, *m*/*z* ($I_{rel}$, %): 343 (75) $[M]^+$, 224 (18) $[M - 119]^+$, 119 (100) $[4\text{-}CH_3C_6H_4CO]^+$, 91 (49) $[C_7H_7]^+$. The product contained 3.86% of water. Found, %: C 74.01; H 6.34; N 11.21. $C_{22}H_{21}N_3O$. Calculated, %: C 76.94; H 6.16; N 12.24.

**1,3-Bis(2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]-carbazol-8-yl)imidazolidin-2-one** was obtained from 145 mg (0.50 mmol) of 8-bromo-2,4,5,6-tetrahydro-1*H*-pyrazino[3,2,1-*jk*]carbazole (**VIIIa**), 22 mg (0.255 mmol) of imidazolidin-2-one, 220 mg (0.675 mmol) of $Cs_2CO_3$, 10.51 mg ($10.15\times10^{-3}$ mmol) of $Pd_2dba_3\cdot CHCl_3$, and 17.58 mg ($30.38\times10^{-3}$ mmol) of Xantphos in 2.5 ml of dioxane. The product was isolated by chromatography using chloroform–methanol (20:2 to 10:1) as eluent. Yield 87 mg (70%), beige solid substance, mp >250°C. $^1$H NMR spectrum ($CDCl_3$), δ, ppm: 7.68 s (1H), 7.67 d (1H), 7.31 d (1H), 3.92–4.13 m (6H), 2.80–2.95 m (2H) 2.56–2.73 m (2H), 2.07–2.24 m (2H). Mass spectrum, *m*/*z* ($I_{rel}$, %): 503 (100) $[M]^+$. Found, %: C 71.13; H 6.17; N 6.85. $C_{31}H_{30}N_6O$. Calculated, %: C 71.08; H 6.02; N 16.72.

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