Regioselective Palladium-Catalyzed Arylation and Heteroarylation of Imidazo[1,2-*a*]pyridines

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Abstract: Palladium-catalyzed direct arylation and heteroarylation of imidazo[1,2-*a*]pyridines at the 3-position are described. The optimization of the reaction in conventional heating and the adaptation under microwaves irradiation is reported. The compatibility of the synthesis with the presence of bromo or chloro substituents in the 6-position was investigated.

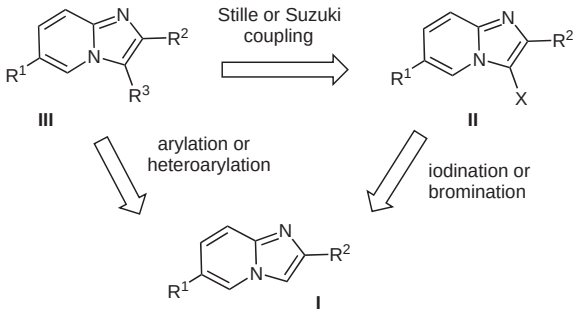
Key words: palladium-catalyzed coupling, arylation, heteroarylation, imidazo[1,2-*a*]pyridines, microwave irradiation

Imidazo[1,2-*a*]pyridine derivatives have attracted considerable interest because of their therapeutic properties. For instance, this heterocyclic system is found as antiviral,[1] antiulcer,[2] antibacterial,[3] antifungal,[4] agonist of benzodiazepine receptor,[5] calcium channel blocker,[6] β-amyloid formation inhibitor,[7] ligand for detecting β-amyloid[8] and constitute a novel class of orally active nonpeptide bradykinin B_2 receptor antagonists.[9] Indeed, the imidazo[1,2-*a*]pyridine derivative zolpidem was commercialized as a hypnotic.[10]

Recently, we have reported that 2,3,6-trisubstituted imidazo[1,2-*a*]pyridine analogues exhibit a high affinity as melatonin receptor ligands.[11] In continuation of our research group programme,[11,12] we report herein a new, efficient and regioselective microwave directed palladium-catalyzed arylation and heteroarylation of imidazo[1,2-*a*]pyridines (Scheme 1).

As far as we know, only two approaches, including palladium cross-coupling, were known to prepare intermediates such as **III** – the Suzuki[13] and Stille[14] cross-coupling reactions (Scheme 1). All these methods, however, involve two principal steps: (1) the preparation of 3-haloimidazo[1,2-*a*]pyridines from the corresponding imidazo[1,2-*a*]pyridines, and (2) Suzuki[13] or Stille[14] cross-coupling reactions which require longer reactions times (two steps) and expensive reagents (heteroaromatic boronic acid for the Suzuki reaction and heteroaromatic stannanes for the Stille reaction).

In the past few years, using palladium-catalyzed arylation, various heterocycles have been functionalized such as thiophene,[15] furan,[16] imidazole,[17,18] indole,[18] pyrrole,[18] pyrazole,[18] imidazo[1,2-*a*]pyrimidine,[19] indolizine[20] and



Scheme 1

oxazolo[4,5-*b*]pyridine.[21] However, a few examples of heteroarylation have being reported[19,20] with often modest yields. It is important to note that our work also reports the first study of the tolerance of the (hetero)arylation reaction conditions with the presence of sensitive groups.

To explore the potential of the regioselective (hetero)arylation reaction, we initially tested the conditions previously described by Li et al.[19] (Table 1). Thus, the reaction of 6-chloroimidazo[1,2-*a*]pyridine[22] (**1**) and 3-bromotoluene (1.5 equiv) in the presence of palladium(II) acetate (2 mol%) and triphenylphosphine (4 mol%) in dioxane at 100 °C for 48 hours gave, after purification, only 69% yield of **2** (Scheme 2, entry 1, Table 1). On using two equivalents of 3-bromotoluene, under the same reaction conditions, compound **2** was isolated in 75% yield (entry 2, Table 1). It is noteworthy that a significant amount of the starting material was recovered. To optimize the reaction conditions, we decided to investigate the reactivity of 6-chloroimidazo[1,2-*a*]pyridine using various ligands and/or palladium. Thus, by the replacement of palladium(II) acetate [$Pd(OAc)_2$; 2 mol%]–triphenylphosphine (PPh_3; 4 mol%) system with $Pd(OAc)_2$ (5 mol%)–1,1′-bis(diphenylphosphino)ferrocene (dppf; 10 mol%), the yield of **2** was improved to 88% (entry 3, Table 1). The same result was observed with tetrakis(triphenylphosphine)palladium(0) [$Pd(PPh_3)_4$; 10 mol%] (entry 4, Table 1). Indeed, the catalyst system tris(dibenzylideneacetone)dipalladium(0) [$Pd_2(dba)_3$; 5 mol%]–triphenylarsine ($AsPh_3$; 10 mol%) afforded **2** in 83% yield (entry 5, Table 1). Finally, we found the optimal conditions using the $Pd(OAc)_2$ (5 mol%)–PPh_3 (10 mol%) system. Under present conditions, compound **2** was obtained with 100% conversion and in 92% yield (entry 6, Table 1). All the reactions were conducted in dioxane at 100 °C for 48 hours.

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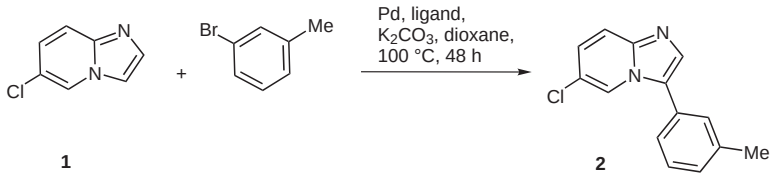
Table 1 Optimization of Arylation Reaction of 6-Chloroimidazo[1,2-*a*]pyridine with Conventional Heating

Entry	Pd (equiv)	Ligand (equiv)	Reactant (equiv)	Solvent	Time	Conversion	Yield
1	$Pd(OAc)_2$ (0.02)	PPh_3 (0.04)	1.5	dioxane	48 h	75%	69%
2	$Pd(OAc)_2$ (0.02)	PPh_3 (0.04)	2	dioxane	48 h	84%	75%
3	$Pd(OAc)_2$ (0.05)	Dppf (0.1)	1.5	dioxane	48 h	96%	88%
4	$Pd(PPh_3)_4$ (0.1)	–	1.5	dioxane	48 h	98%	90%
5	$Pd_2(dba)_3$ (0.05)	$AsPh_3$ (0.1)	1.5	dioxane	48 h	90%	83%
6	$Pd(OAc)_2$ (0.05)	PPh_3 (0.1)	1.5	dioxane	48 h	100%	92%
7	$Pd(OAc)_2$ (0.05)	PPh_3 (0.1)	1.5	dioxane–EtOH	36 h	100%	92%

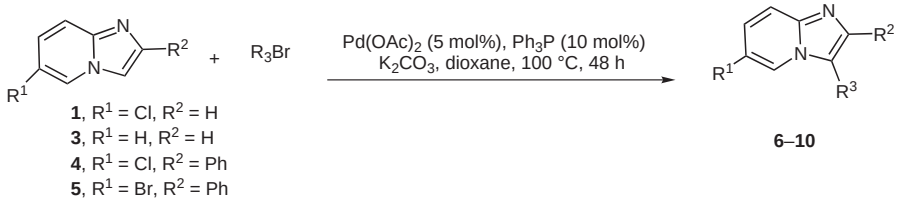
The reaction time was slightly improved by replacement of dioxane with a mixture of dioxane–ethanol (2:1) (entry 7, Table 1).[23] In this case, the reaction was carried out at reflux for 36 hours. It is important to note the tolerance of the arylation reaction to the presence of chloro substituent at the 6-position, which could be important for biological activity[1g,5,24], or to carry out further transformations.

In order to study the scope and limitation of the reaction, we decided to exemplify the coupling reaction of 6-chloroimidazo[1,2-*a*]pyridine (**1**) and various aryl or heteroaryl bromides using the previously optimized conditions (Scheme 3). The results (Table 2) showed that compound **1** could be efficiently functionalized in 3-position under the palladium cross-coupling reactions. The yields were good to excellent (79–92%, entries 1–3 and 5 in Table 2). However, the coupling reaction between **1** and 5-bromoindole gave only the starting material (entry 4, Table 1). This result was certainly due to the presence of the NH group.[25] For this reason, we then decided to perform the reaction using alkylated indole. In this case, the desired compound **8** was isolated in 79% yield. Thereafter, the imidazo[1,2-*a*]pyridines **3** and **4**, obtained as described in the literature,[22] were (hetero)arylated using similar optimal conditions, leading to compounds **9** and **10** in 84% and 96% yields, respectively (entries 6 and 7, Table 2). All these reactions were clean and free from any byproduct. Unfortunately, the reaction of 6-bromo-2-phenylimidazo[1,2-*a*]pyridine[22] (**5**) and 3-bromotoluene was completely ineffective and afforded a very complex mixture of products (entry 8, Table 2).

We then decided to use microwave irradiation in order to improve the reaction times. First, we optimized the reaction between compound **1** and 3-bromotoluene (Scheme 4). Thus, we irradiated the reaction mixture at 130 °C for 30 minutes under the already optimized conditions used under conventional heating [$Pd(OAc)_2$ (5 mol%), PPh_3 (10 mol%)]. In this case, compound **2** was obtained with only 78% conversion (22% of starting material was recovered). When the time of irradiation was increased to one hour or one hour and 30 minutes, a significant improvement in the yield was observed and compound **2** was obtained with 86% and 91% conversion, respectively. Using dioxane as solvent, complete conversion and an excellent yield (94%) were finally obtained after an irradiation time of two hours. Interestingly, complete conversion was also achieved when the reaction was irradiated at 130 °C in a mixture of dioxane–ethanol (2:1) for only one hour.[26] Under these conditions, the desired

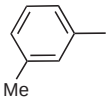
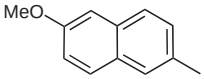
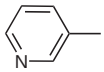
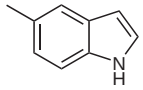
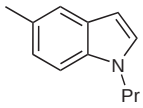
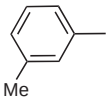
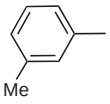
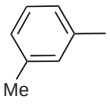


Scheme 2



Scheme 3

Table 2 Arylation and Heteroarylation of Various Imidazo[1,2-*a*]pyridines with Conventional Heating

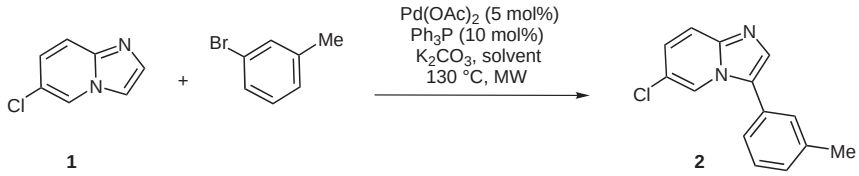
Entry	R^1	R^2	R^3	Compound	Yield
1	Cl	H		**2**	92%
2	Cl	H		**6**	80%
3	Cl	H		**7**	81%
4	Cl	H		**8**	0%
5	Cl	H		**8**	79%
6	H	H		**9**	84%
7	Cl	Ph		**10**	96%
8	Br	Ph		–	Complex mixture

product **2** was isolated in 93% yield. Synthesis and results are summarized in Table 3.

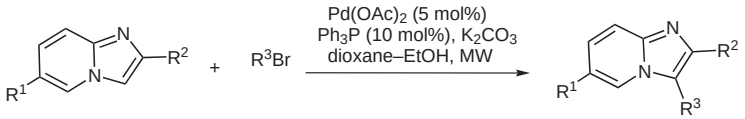
Table 3 Optimization of the Arylation Reaction of 6-Chloroimidazo[1,2-*a*]pyridine under Microwave Irradiation

Entry	Reaction time	Solvent	Conversion	Yield
1	30 min	dioxane	78%	–
2	1 h	dioxane	86%	–
3	1 h 30 min	dioxane	91%	–
4	2 h	dioxane	100%	94%
5	1 h	dioxane–EtOH	100%	93%

With these results in hand, we thought that this methodology could be extended to the synthesis of various 2,3,6-trisubstituted imidazo[1,2-*a*]pyridine analogues. Thus, starting material **1**, **3**, **4**, **11** or **12**[22] (Scheme 5), reacted with various (hetero)aryl bromides in the optimal conditions (at 130 °C or 150 °C), leading to compounds **6**–**10** and **13**–**22** in excellent yields (entries 1–20, Table 4). In contrast, to the reaction of **11** and 3-bromotoluene, using the same reaction conditions (both at 130 °C or 150 °C), compound **16** was obtained with moderate conversion of 60% and 65% respectively; however, the reaction was not complete and the starting material was recovered (entries 8 and 9, Table 4). Finally, complete conversion was obtained when the quantities of $Pd(OAc)_2$ (10 mol%) and PPh_3 (20 mol%) were increased at 150 °C (entry 10, Table 4), probably due to the presence of a phenyl group in 2-position. Under the present conditions, compounds **10** and **18**–**22** were isolated in good yields from the 6-chloroimidazo[1,2-*a*]pyridines derivatives **11** and **12** at 150 °C (entries 14–20, Table 4). It is worth noting that compound **22** was obtained in complete conversion using two equivalents of 4-bromopyridine, the excess being needed for complete conversion to occur (entry 20, Table 4).



Scheme 4



Scheme 5

Table 4 Arylation and Heteroarylation of Various Imidazo[1,2-*a*]pyridines under Microwave Irradiation

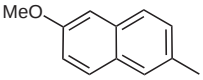
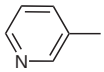
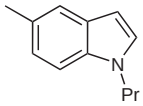
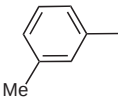
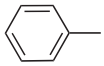
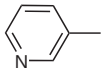
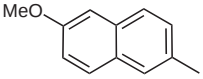
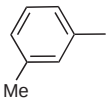
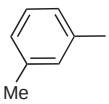
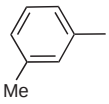
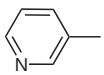
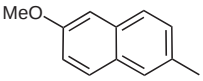
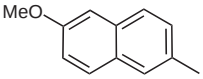
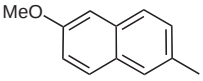
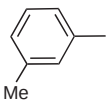
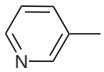
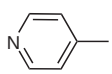
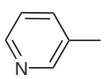
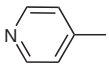
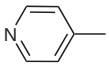
Entry	R^1	R^2	R^3	Reaction time	T (°C)	Product	Conversion	Yield
1	Cl	H		1 h	130	**6**	100%	80%
2	Cl	H		1 h	130	**7**	100%	78%
3	Cl	H		2 h	130	**8**	100%	94%
4	H	H		1 h	130	**9**	100%	86%
5	Cl	H		1 h	130	**13**	100%	89%
6	H	H		1 h	130	**14**	100%	90%
7	H	H		1 h	130	**15**	96%	77%
8	H	Ph		1.5 h	130	**16**	60%	–
9	H	Ph		1.5 h	150	**16**	65%	–
10[a]	H	Ph		2 h	150	**16**	100%	91%
11[a]	H	Ph		2 h	150	**17**	100%	96%
12[a]	H	Ph		2 h	150	**18**	82%	66%
13[a]	H	Ph		3 h	150	**18**	94%	71%
14[a]	H	Ph		3.5 h	150	**18**	100%	76%
15[a]	Cl	Ph		2 h	150	**10**	100%	92%
16[a]	Cl	Ph		2 h	150	**19**	100%	91%
17[a]	Cl	Ph		2 h	150	**20**	100%	74%
18[a]	Cl	*p*-FC_6H_4		2 h	150	**21**	100%	82%

Table 4 Arylation and Heteroarylation of Various Imidazo[1,2-*a*]pyridines under Microwave Irradiation (continued)

Entry	R^1	R^2	R^3	Reaction time	T (°C)	Product	Conversion	Yield
19[a]	Cl	*p*-FC_6H_4		2 h	150	**22**	80%	64%
20[b]	Cl	*p*-FC_6H_4		2 h	150	**22**	100%	76%

[a] Reaction conditions: $Pd(OAc)_2$ (0.1 equiv), PPh_3 (0.2 equiv), (hetero)arylbromide (1.5 equiv).
[b] Reaction conditions: $Pd(OAc)_2$ (0.1 equiv), PPh_3 (0.2 equiv), 4-bromopyridine hydrochloride (2 equiv).

In summary, we have described a simple, useful and efficient microwave-induced palladium-mediated cross-coupling reaction for direct arylation and heteroarylation of imidazo[1,2-*a*]pyridines at 3-position. The method offers several advantages including high yields, one step only (compared to Suzuki and Stille methods) and shorter reaction times. The compatibility of (hetero)arylation reaction conditions with the presence of chloro substituent in the 6-position may lead to the prospect of introducing various substitutions to give highly diverse structures.

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(23) **Procedure for Synthesis of 6-Chloro-3-*m*-tolylimidazo[1,2-*a*]pyridine 2 under Coventional Heating**: A mixture of 3-bromotoluene (0.12 mL, 0.98 mmol), 6-chloroimidazo[1,2-*a*]pyridine (0.1 g, 0.66 mmol), K_2CO_3 (0.18 g, 1.3 mmol), PPh_3 (0.0073 g, 0.033 mmol) and $Pd(OAc)_2$ (0.017 g, 0.063 mmol) in 1,4-dioxane (2 mL) was heated to 100 °C. The reaction was stirred for 48 h, and then the mixture was cooled to r.t. and extracted with CH_2Cl_2 (3 ×). The combined organic layer was dried over $MgSO_4$ and concentrated under vacuum. The residue was purified by column chromatography on silica gel (EtOAc–PE) to give 6-chloro-3-*m*-tolylimidazo[1,2-*a*]pyridine(**2**) as an oil (146 mg, 92% yield). ^1H NMR (250 MHz, $CDCl_3$): δ = 2.45 (s, 3 H, CH_3), 7.16 (dd, *J* = 1.9, 9.4 Hz, 1 H), 7.26 (d, *J* = 7.5 Hz, 1 H), 7.33 (m, 2 H), 7.43 (t, *J* = 7.5, 8.2 Hz, 1 H), 7.62 (d,

J = 9.4 Hz, 1 H), 7.63 (s, 1 H), 8.34 (d, J = 1.9 Hz, 1 H). ^{13}C NMR (62.5 MHz, $CDCl_3$): δ = 22.1, 119.2, 121.6, 122.0, 125.7, 126.2, 129.2, 129.44 (2 × CH), 129.9 (2 × CH), 130.1, 133.9, 139.9.

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(26) **Procedure for Synthesis of 6-Chloro-3-*m*-tolyl-imidazo[1,2-*a*]pyridine 2 under Microwave Irradiation**: The 6-chloroimidazo[1,2-*a*]pyridine (0.1 g, 0.66 mmol) was dissolved in 1,4-dioxane–EtOH (1.5 mL; 2:1) in a microwave vial equipped with a stir bar. 3-Bromotoluene (0.12 mL, 0.98 mmol), K_2CO_3 (0.18 g, 1.3 mmol), PPh_3 (0.0073 g, 0.033 mmol) and $Pd(OAc)_2$ (0.017 g, 0.063 mmol) were added under argon and subjected to microwave irradiation for 1 h at 130 °C with stirring. The reaction vessel was allowed to cool to r.t. and was diluted with CH_2Cl_2 (15 mL). The mixture was extracted with CH_2Cl_2 (3 ×). The combined organic layer was dried over $MgSO_4$ and concentrated under vacuum. The crude material thus obtained was purified by column chromatography on silica gel (EtOAc–PE) to give 6-chloro-3-*m*-tolylimidazo[1,2-*a*]pyridine(**2**) as an oil (148 mg, 93% yield).