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Highly selective palladium-catalyzed Suzuki–Miyaura monocoupling reactions of ethene and arene derivatives bearing two or more electrophilic sites

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Abbreviations: Ac, acetyl; Ar, aryl; Bn, benzyl; 9-BBN, 9-borabicyclo(3.3.1)nonane; Boc, *tert*-butoxycarbonyl; Bs, benzenesulfonyl; *i*-Bu, *iso*-butyl; *n*-Bu, *n*-butyl; *t*-Bu, *tert*-butyl; Bz, benzoyl; Cbz, *N*-carbobenzoxy; Cy, cyclohexyl; DABCO, 1,4-diazabicyclo[2.2.2]octane; dba, *trans*,*trans*-dibenzylideneacetone; DMA, *N*,*N*-dimetylacetamide; DMF, *N*,*N*-dimethylformamide; DME, dimethoxyethane; DMEDA, *N*,*N*′-dimethylethylene diamine; DMPU, 1,3-dimethyl-3,4,5,6-tetrahydro-2(1*H*)-pyrimidone; DMSO, dimethylsulfoxide; DPEphos, 2,2′-oxybis(2,1-phenylene)bis(diphenylphosphine); dppf, 1,1′-bis(diphenylphosphino)ferrocene; dppp, 1,3-bis(diphenylphosphino)propane; Et, ethyl; (Het)Ar, (Hetero)aryl; Me, methyl; MOM, methoxymethyl; MPM, 4-methoxybenzyl; Ms, methylsulfonyl; NMP, *N*-methylpyrrolidinone; Ph, phenyl; Piv, pivaloyl; *i*-Pr, *iso*-propyl; PPD, 1,3-propanediol; TBAB, tetrabutylammonium bromide; TBS, *tert*-butyldimethylsilyl; Tf, trifluoromethylsulfonyl; THF, tetrahydrofuran; Ts, *p*-toluenesulfonyl.

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1. Introduction

In recent years, economic and environmental reasons have prompted the organic chemistry community to investigate and develop a number of catalytic methods not involving the use of stoichiometric amounts of organometallic reagents to form C–C bonds from organic halides or pseudohalides. Thus, in addition to the classical Mizoroki–Heck[1] and Sonogashira reactions,[2] at the present time synthetic organic chemists can use other very useful general transition metal-catalyzed methods that include α-arylation reactions of substrates with sp^3-hybridized C–H bonds,[3] direct arylation reactions of arenes and heteroarenes,[4] decarboxylative cross-coupling reactions,[5] oxidative coupling reactions of heteroarene Csp^2–H bonds with alkenes (Fujiwara–Moritani reaction),[6] and addition reactions of heteroarene Csp^2–H bonds to alkynes.[7]

Nevertheless, the Suzuki–Miyaura (S.–M.) Pd-catalyzed cross-coupling reaction of organoboron reagents with organic halides or pseudohalides can still be regarded as one of the most valuable transition metal-catalyzed methods for C–C bond formation. In fact, since the pioneering work published by Suzuki, Miyaura et al. in 1981,[8a] a huge number of studies aimed at the development and applications of this reaction have been accomplished and continue to be reported in international journals of organometallic, organic and polymer chemistry.[8b] In this regard, it is also worth mentioning that in October 2010 Professor Akira Suzuki, together with Professors Richard Heck and Ei-chi Negishi, were awarded the Nobel Prize in Chemistry for Pd-catalyzed cross couplings in organic synthesis.

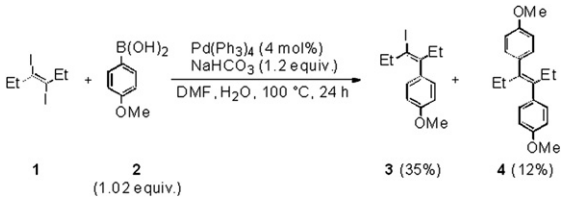
The relevant impact of the S.–M. reaction on both academic and industrial laboratories is due to several factors that include: (i) high tolerance to a wide range of functional groups; (ii) commercial availability and stability of organoboronic acids to heat, water and air; (iii) ease of separation of the boron-containing byproducts from the reaction mixtures; (iv) low toxicity of the boronic acids and their esters; and (v) ultimate degradation of the organoboron compounds into environmentally friendly boric acid. Moreover, during the last few decades, many catalyst systems have been developed that accelerate the reaction and/or make the cross-coupling reaction proceed with relatively inert electrophilic substrates such as aryl chlorides or extremely hindered aryl halides.[8c]

Several reviews on this reaction have been published[9] and significant examples of site-selective S.–M. reactions involving multiple halogenated compounds have been summarized and commented on in the reviews by Bach,[10] Manabe[11] and Chelucci.[12] However, no comprehensive review devoted to summarizing and discussing the updated literature data on selective S.–M. monocoupling reactions of dihalo- and polyhaloethenes and dihalo- and polyhaloarenes bearing different or identical halogen substituents has been published.

This review article, with 375 references, covering the literature up to the end of August 2010, is designed to bridge this gap and also illustrates selective Pd-catalyzed S.–M. monocoupling reactions of alkene and arene derivatives bearing halogen and pseudohalogen substituents or dipseudohalogen groups and the limitations they currently possess. Particular emphasis has been given to describing the catalysts systems and the reaction conditions that allow the efficient and selective preparation of functionalized stereodefined mono- and polyunsaturated aliphatic compounds, arene derivatives that include monohalo- and polyhalobiaryls, oligoarenes and heteroarenes. The use of highly selective S.–M. monocoupling reactions of alkenes and arene derivatives with two or more electrophilic sites as key steps in the synthesis of naturally-occurring compounds, bioactive substances including drugs, and liquid crystals is also reported. Moreover, the use of one-pot site-selective polycoupling reactions of polyhalogenated substrates that directly afford polysubstituted products is described. Finally, the reasons for the observed stereo-, site- and/or chemo-selectivities of the monocoupling reactions are mentioned and discussed.

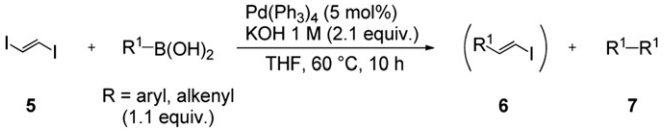
2. Monocoupling reactions of 1,2-dihalogenated- and polyhalogenated ethenes and 1,1-difluoro-2-*p*-toluenesulfonyloxyethene

A few attempts have been effected in the literature concerning S.–M. monocoupling reactions of (*E*)-1,2-diiodoethene derivatives with arylboronic acids[13,14] and it has been found that these reactions proceed in low yields and quite modest selectivity[13] or do not provide cross-coupled products.[14] Thus, the $Pd(PPh_3)_4$-catalyzed reaction of (*E*)-3,4-diiodo-3-hexene (**1**) with 4-methoxyphenylboronic acid (**2**) was found to give mono- and bis-cross-coupling products, **3** and **4**, in 35 and 12% yield, respectively (Scheme 1).[13]



Scheme 1.

On the other hand, the $Pd(PPh_3)_4$-catalyzed S.–M. reaction of (*E*)-1,2-diiodoethene (**5**) with 1.1 equiv of aryl- or alkenylboronic acids in THF in the presence of KOH as base did not provide the anticipated monocoupling products **6** and the main reactions products proved to be compounds **7** derived from homocoupling of boronic acids (Scheme 2).[14]



Scheme 2.

Similar unsatisfactory results were obtained when a stereoisomeric mixture of (*E*)- and (*Z*)-1,2-dibromoethene (**8**) (Fig. 1) was reacted with 1 equiv of arylboronic acids under experimental conditions similar to those reported in Scheme 2.[14]

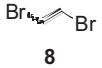


Fig. 1. Structure of compound **8**.

In stark contrast with these results, in 2006, Barluenga et al.[15] found that treatment of a large molar excess of (*E*)-1,2-dichloroethene (**9**) with electron-rich alkenylboronic acids and electron-rich arylboronic acids in dioxane at 70 °C in the presence of CsF as base and catalytic amounts of $Pd_2(dba)_3$ and JohnPhos stereoselectively provided the required monocoupling products **10a**–**i** in yields ranging from 14 to 82% (Scheme 3). However, some of the examined reactions, including those used to prepare compounds **10a**, **10d**, **10f** and **10i**, unexpectedly furnished significant amounts of double coupling products **11** as well as other undesired side products such as compounds **12** and **7**, derived from protodeboronation and homocoupling of boronic acids, respectively (Scheme 3).[15]

More recently, Geary and Hultin reported that (*E*)-1,2-dichlorovinyl ethers **13** participate in Pd-catalyzed monocoupling reactions with aryl-, heteroaryl- and alkenylboronic acids at the C-1

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