Novel electrosynthesis of arylboronic acids and esters

Carine Laza,[a] Elisabet Duñach,[b],*

[a] *Laboratoire Arômes, Synthèses et Interactions*

[b] *Laboratoire de Chimie Bio-Organique, CNRS, UMR 6001*

Université de Nice-Sophia Antipolis, 06108 Nice Cédex 2, France

e-mail: dunach@unice.fr

Arylboronic acids and esters constitute an important class of compounds, widely used as coupling agents in the Suzuki reaction.[1] This C-C coupling reaction allows, among others, the efficient and selective synthesis of non-symmetrical Ar-Ar'biaryl derivatives.

The access to arylboronic acids is almost limited to the reaction of an aryl Grignard or an aryl lithium reagent with a trialkyl borate at low temperature.

We present a novel synthetic alternative based on the electrochemical preparation of arylboronic acids and esters from the coupling of aryl and heteroaryl halides with different borating agents, under mild conditions.[2]

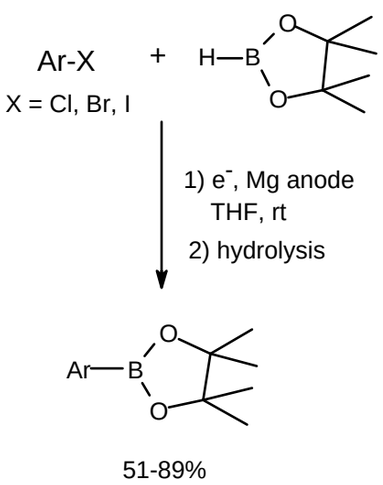
The reductive coupling of aryl chlorides, bromides and iodides in the presence of trialkylborates in a single-compartment cell affords the corresponding arylboronic acids in moderate to good yields. The influence of several parameters on the reaction selectivity have been examined.

The use of pinacolborane as electrophilic borating agent allowed to improve the C-B coupling reaction (equation 1) and arylboronic esters were obtained in isolated yields up to 89%.[3]

Mechanistic considerations of this new reaction will be presented.

Further Pd-catalysed coupling involving these arylboronic esters with several aryl halides afforded the corresponding non-symmetrical biaryl derivatives in good yields.

Equation 1:



51-89%

References

1) (a) Miyaura, N.; Suzuki, A. *Chem. Rev*. **1995**, *95*, 2457-2483. (b) Suzuki, A. *J. Organomet. Chem*. **1999**, *576*, 147-168.

2) Laza, C.; Duñach, E.; Serein-Spirau, F.; Moreau, J. J. E.; Vellutini, L. *New J. Chem.*, **2002**, 26, 373-375.

3) Laza, C.; Duñach, E., under press.