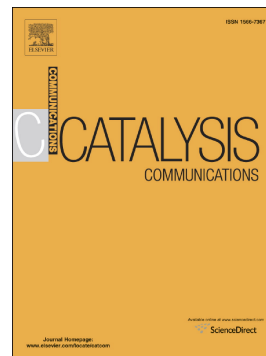


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Reactivity of 5-aminopyrazoles bearing a cyclopropyl group at C3-position in palladium-catalyzed direct C4-arylation

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Abstract— Pyrazole derivatives bearing a cyclopropyl group at C3-position and an amino substituent at C5 were successfully employed in palladium-catalyzed direct arylations. These couplings were performed using air-stable PdCl(C₃H₅)(dppb) catalyst associated to KOAc as inexpensive base, and afforded regioselectively the C4-arylated pyrazoles without decomposition of the cyclopropyl unit and formation of amination products. A wide variety of functional groups on the aryl bromide including electron-withdrawing and electron-donating ones such as nitrile, nitro, propionyl, ester, trifluoromethyl, chloro, fluoro or methoxy was tolerated. Moreover, from 5-aminopyrazoles bearing *N*-2'-bromoaryl or 2'-bromobenzenesulfonamide substituent on the amino group, intramolecular Pd-catalyzed direct arylations allowed the formation of tricyclic compounds by formation of 5- or 6-membered rings. © 2018 Elsevier Science. All rights reserved

Keywords: palladium, C-H bond functionalization, pyrazoles, cyclopropyl, aryl bromides

1. Introduction

Pyrazoles derivatives bearing a cyclopropyl unit are of considerable interest for pharmaceutical chemists due to their biological activities. Several molecules containing a cyclopropylpyrazole moiety such as compounds **I-IV** exhibit properties against some cancers; and some of them such as **III** and **IV** are under *in vivo* and *in vitro* investigation in the field of insulin-like growth factor and IGF-1 receptor which play an important role in cancer [1,2]. Therefore, the development of simple and reliable methods for the synthesis of 3-cyclopropylpyrazol derivatives, especially using commercially available 3-cyclopropylpyrazoles is highly desirable.

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