MOL2NET, 2016, Vol. 2, H008; doi:10.3390/MOL2NET-02-H008
http://sciforum.net/conference/MOL2NET-02/MOL2NET-UFI-QOSYC

UFI-QOSYC 1st Young Scientist Workshop

Organized by the Department of Organic Chemistry II

SciForum MOL2NET

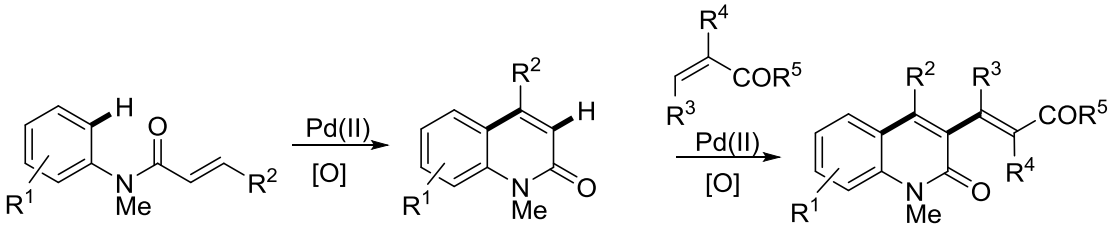
Intramolecular Palladium-catalyzed C-H activation reactions: Synthesis of substituted quinolones

Verónica Ortiz de Elguea, Nuria Sotomayor, and Esther Lete

Departamento de Química Orgánica II, Facultad de Ciencia y Tecnología, UPV/EHU, P.O. Box 644, 48080 Bilbao, Spain

veronica.ortizdeelguea@ehu.es

In the last years, the Palladium-catalyzed direct alkenylation of Csp^2-H bonds, an oxidative variant of the Heck reaction known as Fujiwara-Moritani reaction, has emerged as an efficient, atom-economical, and environmentally friendly synthetic tool for the preparation of highly functionalized aromatic molecules. In connection with our work in catalytic C-H activation chemistry,[1] we decided to apply this procedure to the synthesis of polysubstituted quinolone scaffolds, an important structural motif embedded in a wide variety of bioactive natural products and pharmaceuticals. An efficient approach to the synthesis of biologically active 3-alkenyl-4-substituted quinolin-2(1H)-ones that involves two sequential C-H alkenylation reactions has been developed. First, a Pd(II) catalyzed selective 6-*endo* intramolecular C-H alkenylation of *N*-phenylacrylamides has allowed the construction of the quinolone core, which could be further functionalized in C-3 through a second intermolecular C-H alkenylation reaction. This method is a significant advance over the existing procedures that require preactivatated reaction partners. Furthermore, these reactions can also be carried out in aqueous media at room temperature, using a 2% aqueous solution of PTS, or even in water, in good yields. Details of these transformations will be given.



R^1= H, OCH_3 R^3, R^4 = H, CH_3

R^2 = H, alkyl, aryl R^5= O*t*Bu, OCH_3, NMe_2

Acknowledgements: Financial support by the Spanish MICINN (CTQ2009-07733), the Basque Government (IT623-13) and UPV/EHU (UFI QOSYC 11/22) is gratefully acknowledged. We also thank Gobierno Vasco for a grant (V.O-E)

1. (a) Lage, S.; Martínez Estíbalez, U. Sotomayor, N. Lete, E. *Adv. Synth. Catal.*. **2009**, *351*, 2460. (b) Coya, E.; Sotomayor, N. Lete, E. *Adv. Synth. Catal.* **2014**, *356,* 1853.