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Dehydrative C-H Alkylation and Alkenylation of Phenols with Alcohols: Expedient Synthesis for Substituted Phenols and Benzofurans

J. Am. Chem. Soc. 2012, 134, 7325-7328.

Ruthenium-Catalyzed Dehydrative Benzofuran Synthesis via C-H Activation

Significance: Reported is the ruthenium-catalyzed dehydrative *ortho*-functionalization of phenols **1** with diols **2** affording benzofurans **4**. When simple alcohols were employed, only *ortho*-functionalized phenols were obtained (not shown). When diols **2** were employed, the cyclized benzofurans **4** were the preferred products. Inclusion of an excess of a simple alkene (cyclopentene) promoted the coupling reaction. An impressive array of substrates were shown to undergo the transformation (**4a**–**x**), including more complex diols (**4u**) and structurally elaborate phenols (**4s**,**4v**,**4w**). A cursory investigation of the reaction mechanism is also reported.

SYNFACTS Contributors: Victor Snieckus, Matthew O. Kitching Synfacts 2012, 8(7), 0713 Published online: 19.06.2012 **DOI:** 10.1055/s-0032-1316516; **Reg-No.:** V06012SF

Comment: The present report represents a highly convenient and robust method for the synthesis of substituted benzofurans, which are medicinally relevant heterocycles with a diverse range of biological activities (see Review below). The sheer scope and high yields of the reported process appear to make this method highly attractive for the synthesis of 2-substituted benzofurans. It would be interesting to know if the process performed as well on scale (10 g and above) as it does on more standard quantities (1 mmol).

Review: L. De Luca, G. Nieddu, A. Porcheddu, G. Giacomelli *Curr. Med. Chem.* **2009**, *16*, 1–20.

Category

Synthesis of Heterocycles

Key words

benzofurans

C-H activation ruthenium catalysis



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