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Oxidative Carbon–Carbon Bond Formation in the Synthesis of Bioactive Spiro β-Lactams
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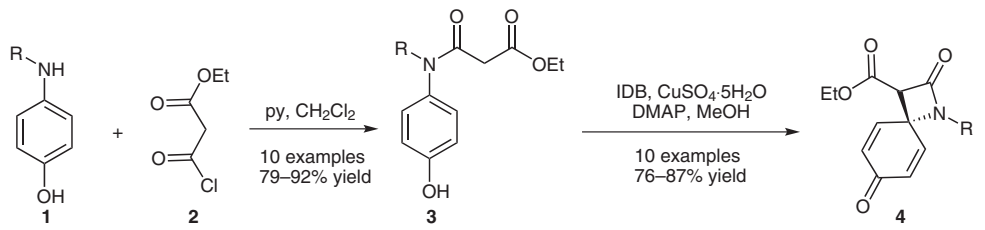
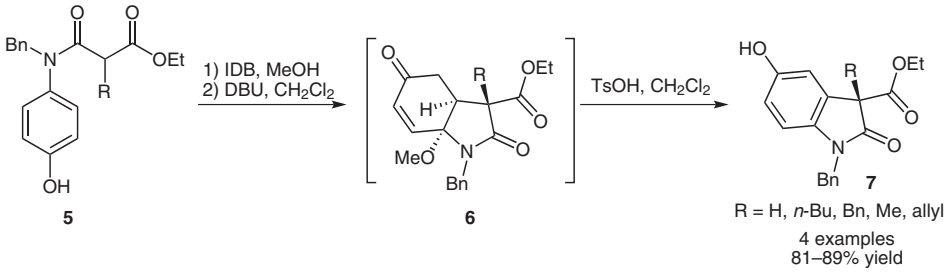
Synthesis of Spiro β-Lactams and Oxoindoles by Oxidative Dearomatization



R = Bn, 3-O_2NBn, 3,4,5-MeOBn, 2-MeOBn,3-MeOBn, 3,4-MeOBn, 4-MeOBn, *i*-Pr, 3,4-$MeOC_6H_3CH_2CH_2$, 3,4-methylenedioxybenzyl



R = H, *n*-Bu, Bn, Me, allyl
4 examples
81–89% yield

Significance: Reported is the synthesis of spiro β-lactams and oxoindoles by oxidative dearomatization of phenolic acetanilides. Following the lead of similar strategies developed by Kita for oxidative C–N coupling (*Chem. Commun.* **2007**, 1224), the present group developed conditions for the conversion of phenolic amide **3** into spiro β-lactams **4** combining the $CuSO_4·5H_2O$, iodobenzene diacetate (IDB) and DMAP reagents. The reaction pathway may involve a radical coupling pathway involving oxidation reactions of IBD and $CuSO_4·5H_2O$ (H. Eickhoff, G. Jung, A. Rieker *Tetrahedron* **2001**, *57*, 353). However, an ionic pathway cannot be excluded. Using the phenolic amide **5** as starting material, similar conditions for obtaining oxoindoles **6** were developed which, however, were not isolated but converted into the corresponding oxoindoles **7** by treatment with TsOH.

Comment: The β-lactam and oxoindole substructures are widely found in bioactive natural products and particularly in numerous pharmaceuticals such as penicillins and carbapenems (M. S. Butler *Nat. Prod. Rep.* **2005**, *22*, 162). An especially attractive feature of the present route is the use of common phenolic acetanilide starting materials. A significant disadvantage, however, is the need for N-substituted precursors **3**.

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