ABSTRACT

Charles University in Prague, Faculty of Pharmacy in Hradec Králové
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Title of Doctoral Thesis: Cyclization reactions mediated by transition metals

Within the framework of this Thesis, several analogues of naturally occurring biologically active pyranones were prepared. The synthetic procedure was based on a Pd-catalyzed carbopalladation of enyne precursors with subsequent lactonization. Employing this method, bicyclic pentenolides containing fused nitrogen heterocycle as well as carbocycle were synthesized. The preparation of the corresponding oxygen-containing derivative was not successful. The compounds were tested for their antifungal, anitibacterial and cytostatic activity.

The second part of the Thesis deals with synthesis of furans and dihydropyrans via palladium and gold catalysis. A highly efficient method for Au^{I}-catalyzed cyclization of propargyl vinyl ethers to dihydropyrans was developed using tris(2-furyl)phosphine as a ligand and methanol as a nucleophile. This method was employed for the preparation of 15 dihydropyran derivatives in high yields. In the absence of a nucleophile furans were formed in lower yields. Dihydropyrans undergo an as yet undescribed acid-promoted rearrangement into cyclopentenones.

A catalytic version of Knoevenagel condensation of cyclopentenedione with cinnamaldehyde was examined using Au^{III} and Au^{I} catalysts.