

ABSTRACT

Charles University in Prague, Faculty of Pharmacy in Hradec Králové

Department of Inorganic and Organic Chemistry

Candidate: Mgr. Jiří Mikušek

Supervisor: prof. RNDr. Milan Pour, PhD.

Title of Doctoral Thesis: Synthesis and Utilization of Selected Nitrogen Heterocycles

The thesis is divided into two parts, both dealing with the synthesis of pyridine and pyrimidine derivatives. The first one is focused on the cyclization of enynes with gold(I) catalysts. Main attention is paid to the synthesis of various acyclic precursors starting from propargylamines, their addition to propiolates and Sonogashira cross-coupling. The mechanism of the cyclization with (TFP)AuCl is then discussed in detail. Prepared dihydropyridines were converted to pyridines or tetrahydropyridines. A precursor of the antidepressant drug paroxetine was prepared.

The second part deals with quinazoline alkaloids with pyrimidine moiety and their structural variations towards potential organocatalysts and biologically active compounds. Therein new types of organocatalysts based on the structure of vasicine were prepared and screened on model aldolization and addition reactions. Prepared compounds were tested for bronchodilator activity.