

PLENARY PRESENTATIONS

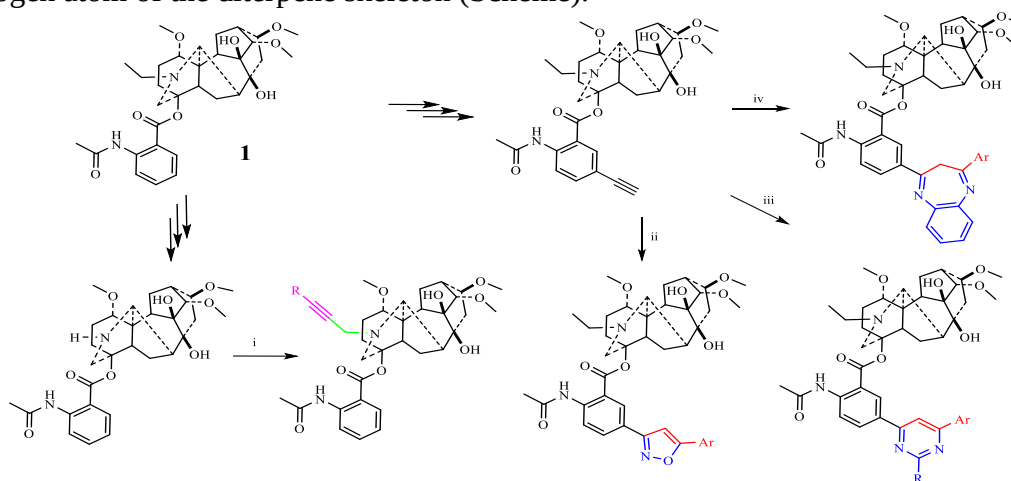
LAPPACONITINE MODIFICATIONS BY MULTICOMPONENT REACTIONS FOR PREPARATION OF PHARMACOLOGICALLY PROMISING AGENTS

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Diterpene alkaloids, which have a wide spectrum of physiological activity, considered as basic structures for such transformations [1, 2]. The availability of lappaconitine **1** have determined and caused interest in synthesizing new derivatives with reduced toxicity and enhanced pharmacological properties.

The report summarizes the results of our research on the transformation of lappaconitine, which allowed for the introduction of additional heterocyclic pharmacophoric substituents into the aromatic fragment [3,4,5], as well as modifications of N-deethylappaconitine with the introduction of propynyl substituents onto the nitrogen atom of the diterpene skeleton (Scheme).



i: terminal acetylene, formalin, copper acetate, solvent (yields 57 - 78 %). ii: carboxylic acid chloride, catalysts, base, hydroxylamine, solvent (65 - 80%). iii: carboxylic acid chloride, catalysts, base, amidine, solvent (62 - 90%). iv: carboxylic acid chloride, catalysts, base, o-phenylenediamine, solvent (66-76%)

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