Synthesis of the Polysubstituted Pyrroles via Tandem Electrophilic Cyclization – Cyclopropane Ring Opening of 1-(1-Alkynyl)Cyclopropyl Imines

Aurelija Urbanaitė, Inga Čikotienė
Department of Organic Chemistry Faculty of Chemistry, Vilnius University, Naugarduko 24, LT-03225, Vilnius, Lithuania
aurelija.urbanaita@chf.vu.lt

Introduction
Polysubstituted pyrroles are widely investigated class of organic compounds. Moreover, pyrrole ring containing systems are valuable do to their versatile biological properties.¹ 5-Endo-dig cyclizations of alkynes, bearing imino groups in close proximity can be applied for the preparation of pyrroles. While on one hand, transition metal salts mediated intramolecular cyclizations are common approaches,² but on the other hand, there are only few publications about catalyst-free intramolecular cyclizations of these compounds in the literature.³

The aim of the work
Herein we describe very smooth and efficient tandem intramolecular cyclizations – cyclopropane ring opening of in situ generated 1-(1-alkynyl)cyclopropyl imines with iodine, iodine monochloride, phenyl hypochloroselenoate, hypophydidol azide, acetyl hypophydol or alcohols.

Results and Discussions
The starting 1-(alkynyl)cyclopropanecarboxaldehydes 3 were prepared from cyclopropylalkynes 2 using formylation reaction with DMF and n-BuLi (method C) or using formylation, desilylation and then Sonogashira coupling reaction (method D). Whereas cyclopropylalkynes 2 were synthesized from ethynylcyclopropane (1) and arylviodides using standard Sonogashira coupling reaction (method A) or silylation with n-BuLi (method B).

Cyclization reactions of the in situ generated 1-(1-alkynyl)cyclopropyl imines

Conclusions
Overall, we have developed catalyst-free, synthetic method for the preparation of polysubstituted pyrroles using tandem intramolecular cyclization – cyclopropane ring opening of the in situ generated 1-(1-alkynyl)cyclopropyl imines.

References