ELECTROPHILE-INITIATED CYCLIZATION REACTIONS OF 2-(3-SUBSTITUTED 2-PROPINILTHIO)-1*H*-IMIDAZOLES

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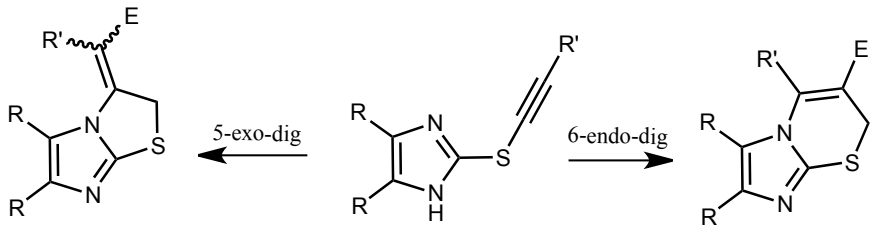
Heterocycles are of major interest in the design of biologically active molecules and advanced organic compounds. In fact, ring closure reactions, in which a new carbon-heteroatom bond is formed, are a commonly used approach in the synthesis of functionalized heterocycles [1]. Specifically, the intramolecular addition of nitrogen functionality to an alkyne is a valuable strategy. In the first attempts to obtain cyclization products, metallic catalysts and strong bases were used. Later on, the investigation of electrophile induced cyclization reactions appeared to be a favorable approach as well. This synthetic route could offer a new way to synthesize derivatives containing thio-imidazole ring system which were found to exhibit potential pharmaceutical properties [2,3]. In order to broaden the scope of the electrophilic cyclization of propargylic substrates, we investigated the pathway of the cyclization reaction between various electrophiles and two propargylic thio-imidazole substrates **1** which differ in aromaticity.

Herein, we report a synthetic methodology which, depending on the aromaticity of the substrate and the substitute of the propargylic compound, enables efficient access to the construction of five- or six- membered heterocyclic rings *via* intramolecular ring closure reactions.



1

R= H, Ph
R'= H, Et, 4-MeC_6H_4
E= I, Br, PhSe

Fig. 1. Possible pathways of electrophilic cyclization of propargylic compound **1.**

[1] French, J. M.; Diver, S. T. J. *Org. Chem*. 2014, 79, 5569−5585.
[2] K.A. Al-Rashood, H. A. Abdel-Aziz *Molecules* 2010, 15, 3775-3815.
[3] Su Y. Kim. S. H. Lee, J. S. Shin, D. Lee, T. Lee, K. Ch. Park, K. H. Min, DS. Kim, *Die Pharmazie*, 2014, 69, 5, 353-357.