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ONE-STEP IMIDAZO[2,1-*b*][1,3]THIAZINE AND IMIDAZO[2,1-*c*][1,4]THIAZEPINE SCAFFOLD SYNTHESIS FROM 2-ALKYNYLTHIOIMIDAZOLES

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Nitrogen and sulfur-containing heterocyclic compounds have received increased attention in recent years due to their occurrence in a variety of pharmacologically active molecules [1]. Thiazines and thiazepines are no exception. For example, molecules containing imidazo[2,1-*b*][1,3]thiazine framework may exhibit antituberculosis [2], hypocholesterolemic [3], cardiotoxic [4] activity and the [1,4]thiazepine scaffold is present in structure of several medications for the treatment of hypertension [5], angina pectoris [6] and schizophrenia [7]. To the best of our knowledge, no extensive research on synthesis and biological activity has been conducted on various imidazo[2,1-*b*][1,3]thiazines and imidazo[2,1-*c*][1,4]thiazepines. As a result, these heterocycles are appealing in both synthetic and medicinal applications.

In most cases, the formation of [1,3]thiazine and [1,4]thiazepine fragments requires complicated reaction conditions or more than two synthesis steps. One of the new trending pathways to synthesize heterocycles from alkynes is electrophile promoted nucleophilic cyclization reactions [8]. Fascinated by the possibility to investigate synthesis of imidazo[2,1-*b*][1,3]thiazines and imidazo[2,1-*c*][1,4]thiazepines in one reaction step various 2-alkynylthioimidazoles were chosen as starting materials (Fig. 1). To obtain desired scaffolds different electrophiles were tested. Regardless of the electrophile source used, the dominant cyclization reaction pathway was *endo*-dig although *exo*-dig products may form depending on the substituent R in the starting material. During the oral session, the scope and limitations of electrophile promoted nucleophilic cyclization will be discussed.

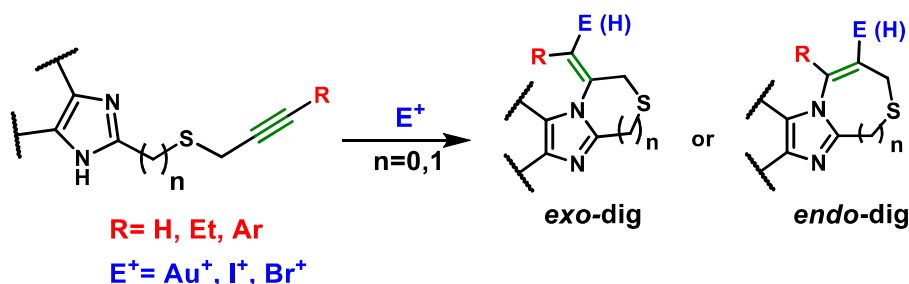


Fig. 1. Synthesis of imidazo[2,1-*b*][1,3]thiazine and imidazo[2,1-*c*][1,4]thiazepine frameworks.

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