ABSTRACT

Annulated heteroarenes are ubiquitous in a diverse range of bioactive natural products, pharmaceutically important compounds and organic materials. Among a diverse range of annulated heteroarenes, cyclopenta[b]annulated hetroarenes are especially attractive due to their unique biological, physicochemical and opto-electronic properties. Consequently, a myriad of attractive strategies for the cyclopenta[b]annulation of heteroarenes have been developed. Despite the availability of several synthetic strategies for cyclopenta[b]annulated heteroarenes, the development of general and more efficient one-pot methods starting from readily accessible materials in an inexpensive and atom economical manner remains an area of intense research. The thesis entitled “One-Pot Approaches for the Synthesis of Annulated Heteroarenes” demonstrates the efforts towards the development of new synthetic methodologies for the onepot annulation of herteroarenes. For the sake of convenience, the content of thesis has been divided into five sections. In all the sections, a brief introduction is provided to keep the presnt work in proper prespective, the compounds are sequentially numbered (bold), and references are marked sequentially as superscript and listed at the end of the thesis. The first section provides a non-exhaustive introduction to the well-established synthetic approaches toward cyclopentannulation of heteroarenes. The second section of this thesis discusses the acid promoted ring transformation of furyl/benzofuryl carbinols, and cyclopenta[b]annulation of benzothienyl carbinols. The first part talks about the reaction of furyl/benzofuryl carbinols with various nucleophiles under Lewis acid catalysis, and elaboration to some architecturally novel scaffolds. Work in the area of acid catalyzed reaction of furyl/benzofuryl carbinols has also resulted in the discovery of a novel Brønsted acid catalyzed benzofuran ring opening and furan recyclization sequence leading to the formation of tri- and tetrasubstituted furans. Furyl/benzofuryl carbinols and 1,3-dicarbonyls in the presence of a catalytic amount of super acid generates functionalized, polysubstituted furans in good to excellent yields. After the success of furyl carbinols as substrates, an interest in using the benzothienyl carbinols led us to develop the new one-pot protocol for the cyclopentannulation of benzothiophenes. In this new protocol, synthesis of 1,2,3-trisubstituted cyclopenta[b]thiophenes has been achieved through a polyphosphoric acid mediated domino process under solvent-free conditions.