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A Straightforward Approach Toward Dihydrothiazoles via Intramolecular Bromocyclization

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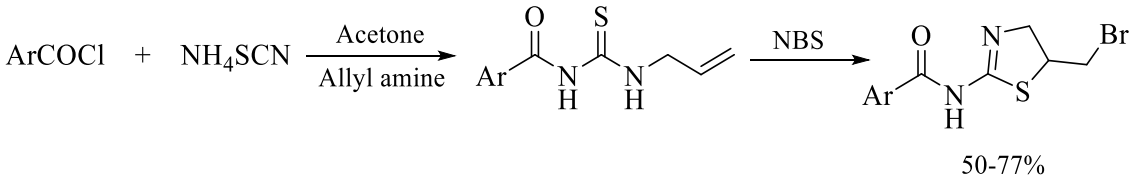
GRAPHICAL ABSTRACT



Abstract An intramolecular bromonium ion-assisted cyclization with sulfur as an internal nucleophile is described. Starting from benzoyl chlorides, this method provides an easy procedure for the synthesis of dihydrothiazole derivatives in moderate to good yields.

KEYWORDS Bromocyclization, Dihydrothiazole, *N*-bromosuccinimide (NBS), Benzoyl chloride

INTRODUCTION

The electrophilic addition of halogens to alkenes has been utilized by chemists since 1851.[1] The resulting intermediate exhibited considerable synthetic applications to access further functionality or complexity. Specially, the nucleophilic attack to this reactive intermediate, halonium electrophile, in an intra- or intermolecular fashion afforded an array of useful products. Halocyclization is a well-known intramolecular attack to halogen-activated double bonds for the construction of heterocyclic compounds[2] even with asymmetric induction.[3] In this protocol, different nucleophiles such as carbon, nitrogen and oxygen are applicable and made this method more attractive for the construction of halogenated natural products.[4]

In order to obtain the new pharmacological agents, the combination of various heterocyclic templates has been proposed as an approach for drug-like molecules' build-up.[5] 2-aminothiazolines have been regarded as privileged scaffold possess a wide range of biological activities, including neuronal acetylcholine receptor modulators,[6] antimicotic agents,[7] antimicrobial agents,[8] nitric oxide synthase inhibitors.[9] Thiazolines were also known as an alternative for Riluzol, the only drug for the suppression of amyotrophic lateral sclerosis (ALS) progress, structural modification.[10]