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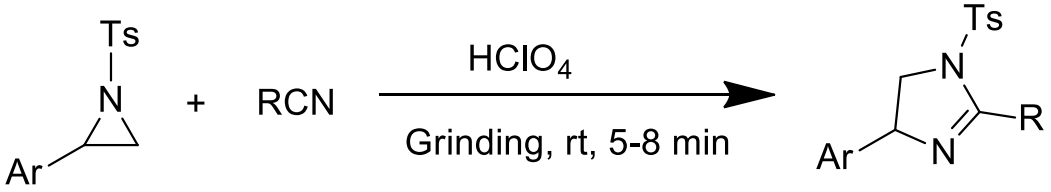
[3+2]-CYCLOADDITION REACTION OF *N*-TOSYLAZIRIDINES AND NITRILES BY GRINDING METHOD*

Keywords: grinding, aziridines, nitriles, 2-imidazolines.

Solvent-free syntheses are particularly attractive, because they incorporate many green chemistry principles. Chemical transformations involving mechanochemical (grinding) reactions using a mortar and pestle were initiated long ago during the early stage of evolution of chemistry. Recently, mechanochemistry has attracted much attention because it allows promotion of reactions under solvent-free conditions [1].

Nowadays imidazolines (dihydroimidazoles) are considered as important five-membered heterocycles. These are useful intermediates for designing molecules with pharmacological activities such as anti-inflammatory, antidiabetic and anticancer [2–4]. In addition, they have been used as synthetic intermediates and auxiliaries or catalysts for asymmetric synthesis [5–8]. Considering these important uses, their syntheses have been received much attention in the field of medicinal and pharmaceutical chemistry. An efficient solvent-free procedure has been developed for the synthesis of 2-imidazoline derivatives. The procedure involves the solid-state [3+2] cycloaddition reaction of finely milled *N*-tosylaziridines and aryl/alkylnitriles by grinding in the presence of perchloric acid. Operational simplicity, compatibility with various tosylaziridines and nitriles, high yields, fast reaction and mild reaction conditions are the notable advantages of this procedure. A large-scale reaction demonstrated the practical applicability of this methodology.



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EFFICIENT SYNTHESIS OF IMINO-1,3-THIAZINAN-4-ONE PROMOTED BY ACETONITRILE ELECTROGENERATED BASE AND COMPUTATIONAL STADIES WITH CB1 AND 11 βHSD1 MOLECULES*

Keywords: *N,N′*-disubstituted thioureas, electrogenerated base, imino-1,3-thiazinan-4-one, organic acryloyl chloride, molecular docking, molecular Dynamics, DFT.

Currently, the search for compounds possessing medicinal activities is of special interest, especially the six membered ring compounds which are showed an interesting pharmaceutic activities, such as the thioxopyrimidinones which is used as anti-allergic and anti-cancer [1].

We are focused our attention here for the synthesis of six membered imino-1,3-thiazinan-4-one which is a substrate attracting attention as a novel therapeutic agent that stops or slows the progression of Parkinson’s disease [2]. In this field, the search for new imino-1,3-thiazinan-4-oneis a promising direction to design, develop and scale alternative electrochemical synthetic methodologie.