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ANTIMICROBIAL ACTIVITY OF SOME NOVEL HETEROCYCLIC COMPOUNDS

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ABSTRACT

Interest this review mainly focuses on the research work reported in the scientific several heterocyclic derivatives containing nitrogen have been used as versatile scaffolds in drug development. Thiazole is one of the heterocyclic compounds with remarkable pharmacological activities. Thiazole derivatives were found to possess the biological activities like anti-convulsant, anti-microbial, anti-inflammatory, anti-cancer, anti-HIV, anti-diabetic, anti-Alzheimer, anti-hypertensive, anthelmintic and anti-oxidant activities. Due to its potent and significant biological activities it has great pharmaceutical importance; hence, synthesis of this compound is of considerable literature on different synthetic procedures of Thiazole compounds.

KEYWORDS: thiazole, anti-Alzheimer**,** nitrogen, and anti-oxidant.

INTRODUCTION

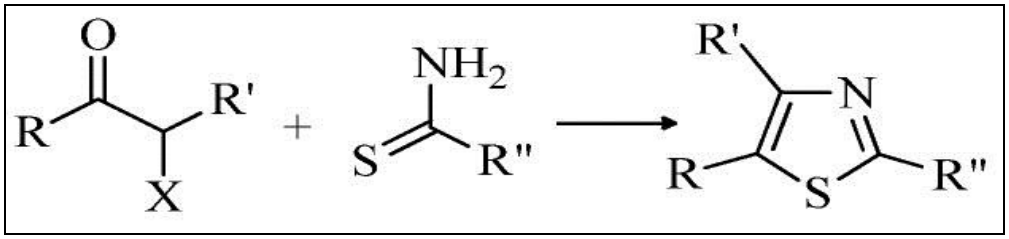
Several heterocyclic derivatives containing nitrogen have been used as versatile scaffolds in drug development.[1] Thiazole is a good pharmacophore nucleus due to its various pharmaceutical applications. Its derivatives have wide range of biological activities such as antioxidant, analgesic, antibacterial, anticancer, antiallergic, antihypertensive, anti-inflammatory, antimalarial, antifungal, and antipsychotic.[2,3,4,5,6,7] The goal of the present review is to highlight the recent advancement in the discovery of biologically active thiazole derivatives.

Thiazole Derivatives

Chemistry of Thiazole Derivatives:

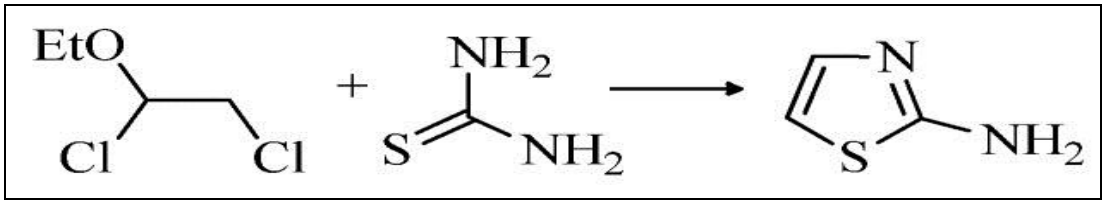
There are many methods for synthesizing thiazole and its derivatives. Some are presented below:

Synthesis according to Hantzsch (1889) is the main mode of synthesis of thiazole derivatives and refers to the reaction of α-halocarbonyl compounds with thioamides or thiourea.[8]



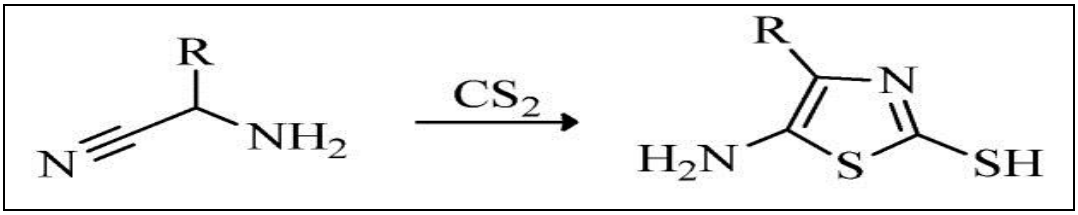
Hantzsch synthesis of thiazoles.

The reaction mechanism consists of the nucleophilic attack of the thioamide sulfur atom on the alpha carbon of the alpha-halocarbonyl, with the formation of an intermediate by subsequent dehydration to the corresponding thiazole. A variation of the foregoing synthesis comprises concentrating thiourea or its derivatives with 1,2-dichloro-1-ethoxyethane.[9,10]



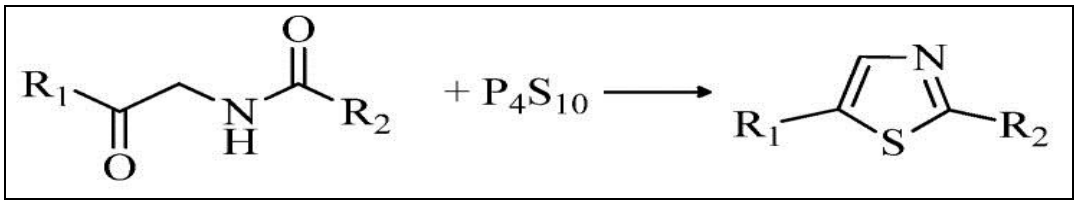
Synthesis of 2-aminothiazole from thiourea and 1,2-dichloro-1-ethoxyethane.

Another way to synthesize thiazole derivatives is the Cook–Heilbron method, wherein an aminonitrile reacts with carbon disulphide.[11] According to this method, 2,4-disubstituted 5-aminothiazole derivatives are synthesized.



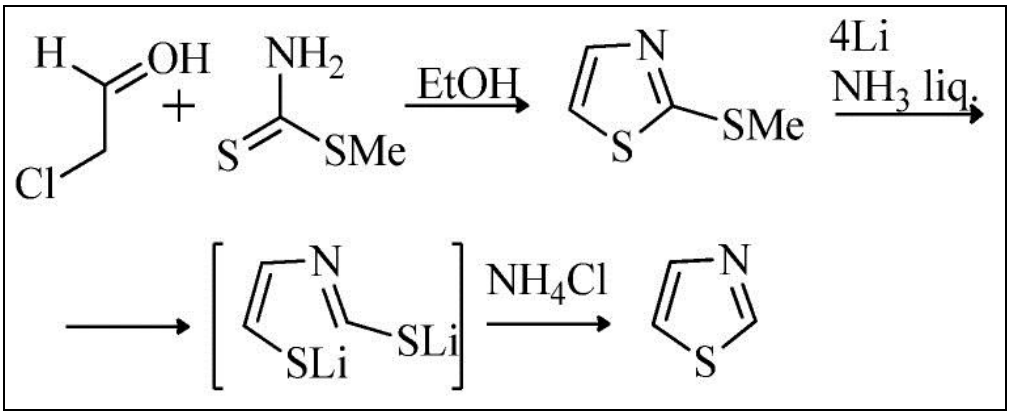
Cook–Heilbron thiazole synthesis.

Thiazole derivatives can be synthesized by the Robinson–Gabriel method based on the cyclization of acylaminocarbonyl compounds in the presence of stoichiometric amounts of phosphorus pentasulfide.[12]



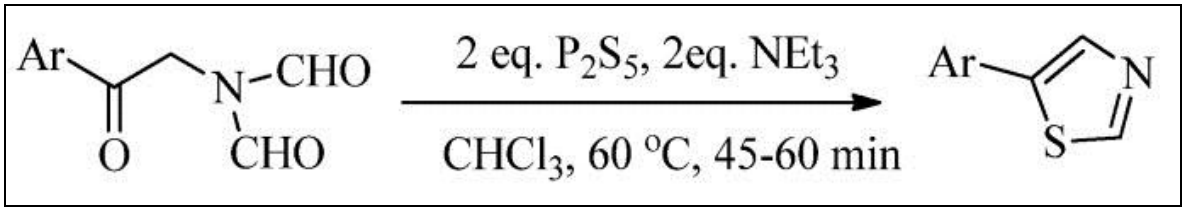
Robinson–Gabriel thiazole synthesis.

An interesting synthesis of thiazole is mediated by reduction of 2-methylthiothiazole.[13]



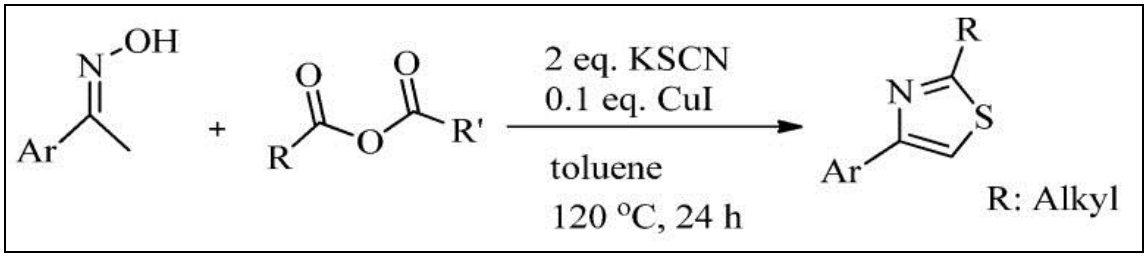
Synthesis of thiazole by reduction of methylthiothiazole.

Additionally, there are novel recent methods for the synthesis of thiazole derivatives. Sheldrake et al.[14] reported the synthesis of 5-arylthiazoles by treatment of *N*,*N*-diformylaminomethyl aryl ketones with phosphorus pentasulfide and triethylamine in chloroform. The reaction results in 5-arylthiazoles with good yields.



Synthesis of 5-arylthiazoles.

Tang et al.[15] reported the synthesis of 5-arylthiazoles by a copper-catalyzed [3+1+1]-type condensation of oximes, anhydrides, and potassiumthiocyanate (KSCN).

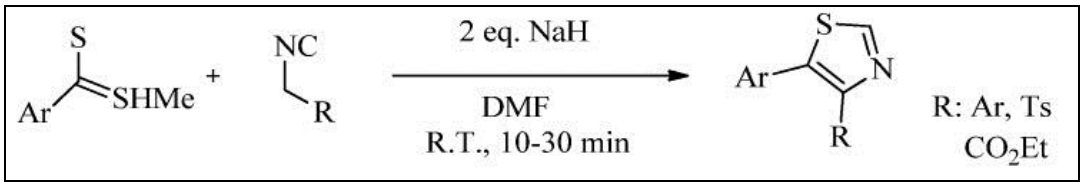


Synthesis of thiazoles from oximes, anhydrides, and KSC.

Wang et al.[16] synthesized thiazoles from simple aldehydes, amines, and sulfur in the presence of molecular oxygen as a green oxidant by a practical Cu-catalyzed oxidative, multiple Csp^3-H bond cleavage process.

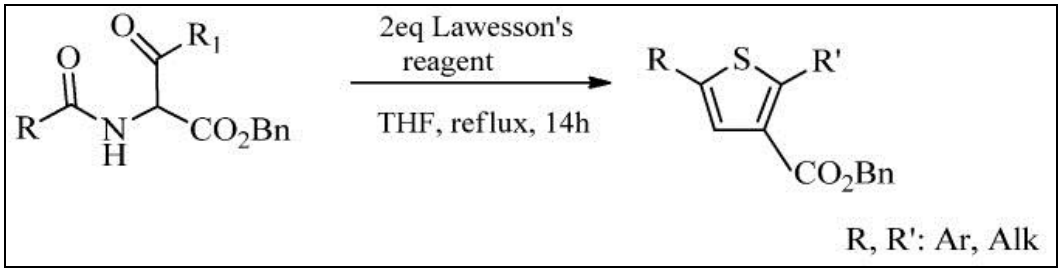
Synthesis of thiazoles from aldehydes, amines, and elemental sulphur.

Lingaraju et al.[17] synthesized 4,5-disubstituted thiazoles via the base-induced cyclization of active methylene isocyanides such as tosylmethyl isocyanide, ethyl isocyanoacetate, and arylmethyl isocyanides with methyl arene- and hetarenecarbodithioates.



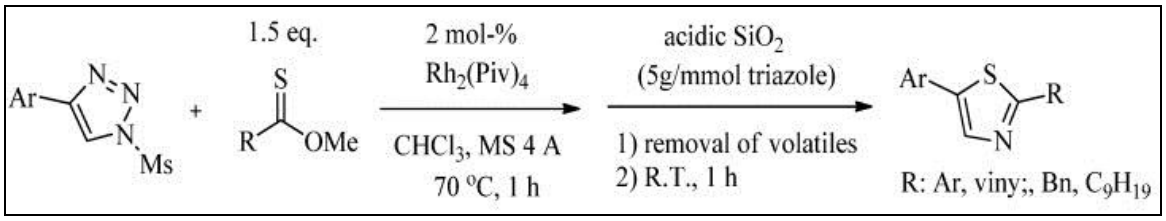
Synthesis of thiazoles by cyclization of isocyanide with methyl arene- and hetarene carbo dithioates.

Sanz-Cervera[18] synthesized a small library of compounds with thiazole scaffolds and structural diversity in both positions 2 and 5. Double acylation of a protected glycine affords intermediate α-amido-β-ketoesters, which in turn reacting with Lawesson's reagent furnished 1,3-thiazoles.



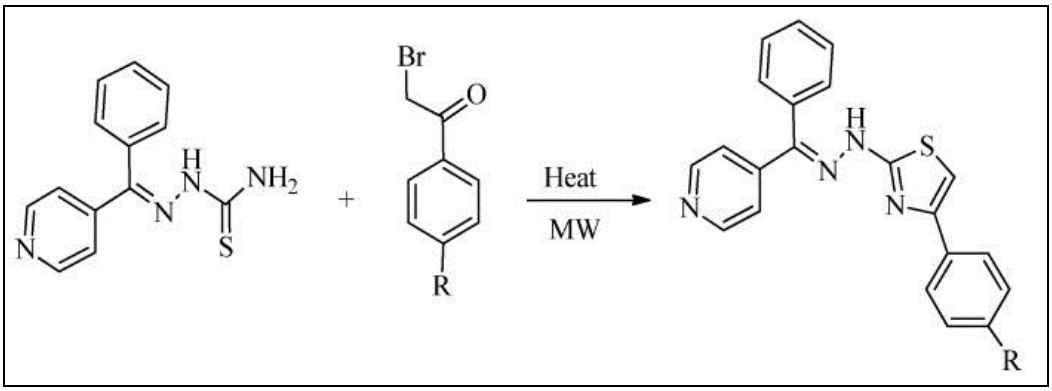
Synthesis of thiazoles from vinyl azides and potassium thiocyanate under different reaction conditions.

Miura et al.[19] reported the synthesis of 2,5-disubstituted thiazoles from 1-sulfonyl-1,2,3-triazoles and thionoesters using rhodium (II) catalyst.



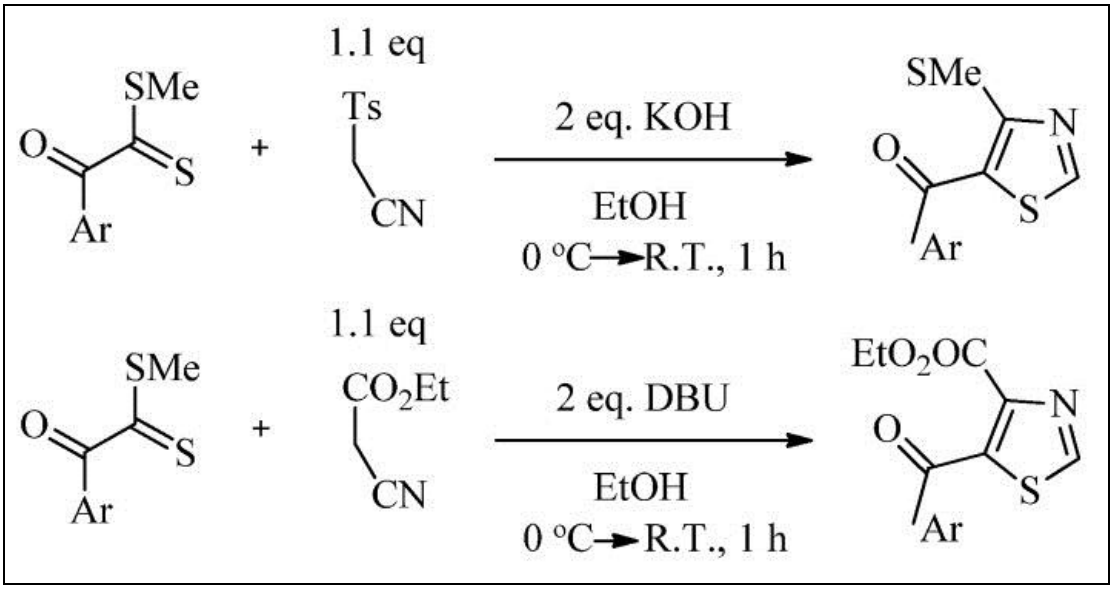
Synthesis of thiazoles from sulfonyl-1,2,3 –triazoles and thionoesters.

Some thiazoles derivatives were synthesized by microwave irradiation.[20,21] Chinnaraja and Rajalakshmi[22] synthesized novel hydrazinyl thiazole derivatives with good yield and purity by this method.



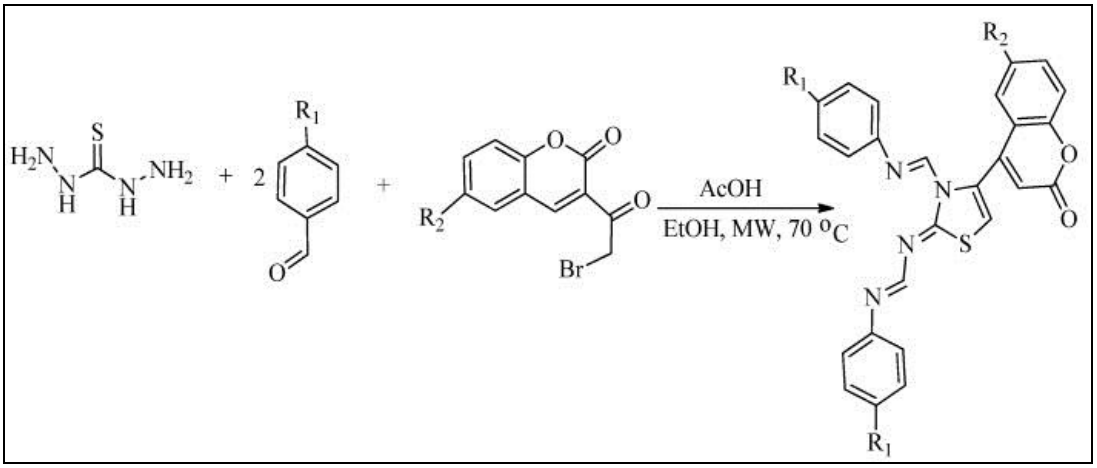
Synthesis of thiazoles from thiosemicarbazones and α-bromoketones under microwave irradiation.

Recently, Kiran et al.[23] synthesized 4-methylthio-5-acylthiazoles and 4-ethoxycarbonyl-5-acylthiazoles via a cyclization of tosylmethyl isocyanide with α-oxodithioesters in the presence of KOH and ethyl isocyanoacetate with α-oxodithioesters in the presence of DBU/EtOH, respectively.



Synthesis of substituted thiazoles via cyclization of tosylmethyl isocyanide with α-oxodithioesters.

Mamidala et al.[24] reported the synthesis of new coumarin-based thiazole derivatives, by reaction of thiocarbohydrazide, aldehydes, and α-halocarbonyl coumarins in a molar ratio of 1:2:1, under microwave heating. Different solvents were used. Ethanol with a catalytic amount of acetic acid gave the highest yield (88–93%) after a short time (5–8 min) and mild conditions.



Synthesis of new coumarin-based thiazole derivatives, by reaction of thiocarbohydrazide, aldehydes, and α-halocarbonyl coumarins.

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