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Review On Synthetic Utility And Therapeutic Value Of 1, 3 Thiazole Derivatives

[1*]D. M. Chavhan and [2]P.M. Sonparote

[1*]Department of Chemistry, Indira Mahavidyalaya, Kalamb Dist. Yavatmal(M.S.) India

[2]Department of Chemistry, B.B. Arts, N.B. Commerce and B.P. Science College Digras, Dist. Yavatmal(M.S.) India

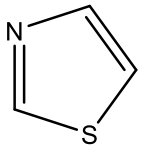
Absract

Thiazole is the nitrogen and sulphur containg biologically important heterocyclic compound widely used as medicine in different therapeutic targets. 1,3 Thiazole ring is observed in most of the naturally occurring biochemical like vitamins thiamine B_1. Among all the thiazole known to us the 2-aminnothiazole has several biological activities as an anticancer, antioxidant, antimicrobial and anti-inflammatory agent, among other thing. Considering its importance, different scientist and research scholar are interested to synthesize it by applying different methods of synthesis and also utilize different catalyst. The present article aims to review the various methods of synthesis reported by different scientists and research scholars.

Keywords: 1,3 Thiazole, hetrocyclic, nitrogens, Sulphur, thiamine B_1, anticancer, antioxidant

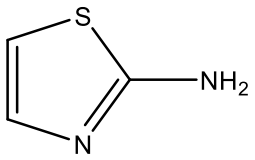
Introduction

The Nitrogen and Sulphur containing heterocyclic compounds are widely used as medicine in different therapeutic targets[1].1, 3-Thiazole (1) is an important five membered heterocyclic compounds having nitrogen and sulphur as hetero atom present at 1 and 3 position. It is pale yellow liquid having molecular formula C_3H_3NS. This ring is observed in most of the naturally occurring biochemicals like vitamins thiamine B_1. The sulphur containing compounds performs important biological activities in living organisms. Among all the thiazole known to us the 2-aminnothiazole (2) has several biological activities as an anticancer, antioxidant, antimicrobial and anti-inflammatory agent, among other thing.



1,3-Thiazole

(1)



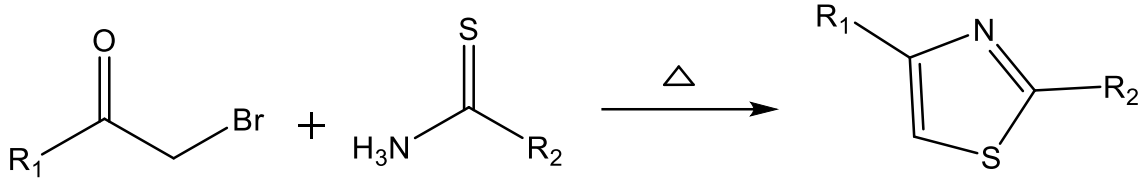
2-Aminothiazole

(2)

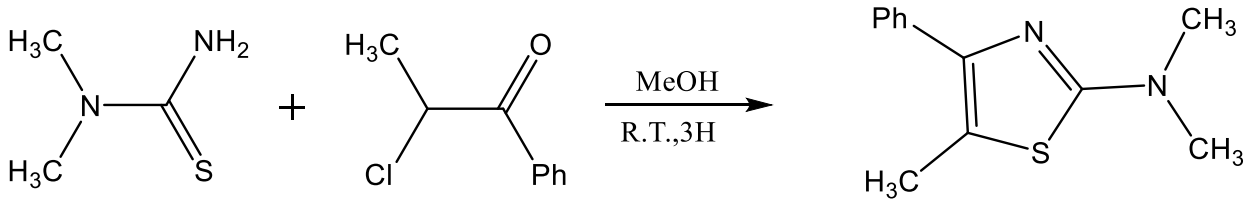
The different derivatives of 2-aminothiazole possess drug like properties used to remedy different kinds of diseases with high therapeutic influence, which has led to their wide innovations. The natural product obtained from terrestrial and marine compounds possesses thiazole as a subunit performs number of different biological activities. More attention was given on to the thiazole moleculein the 1930's when this ring was observed in thiamine[8] (vitamin B_1)by Williams and Cline.Later on in addition to vitamin B_1, the thiazole ring is found in epothilone. Other important thiazole derivatives are benzothiazoles, eg. firefly chemical luciferin.

Moreover Hantzsch and Weber[9]were first reported Thiazole derivatives in 1887, but the benzoate azoles had been described in 1879.

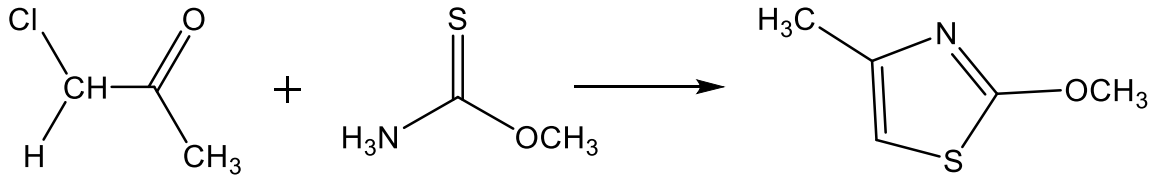
The thiazole molecule were firs synthesize by Hantzsch[10]in 1889 from alpha haloketones and thiourea or thioamides this reaction regarded as Hantzsch thiazole synthesis.



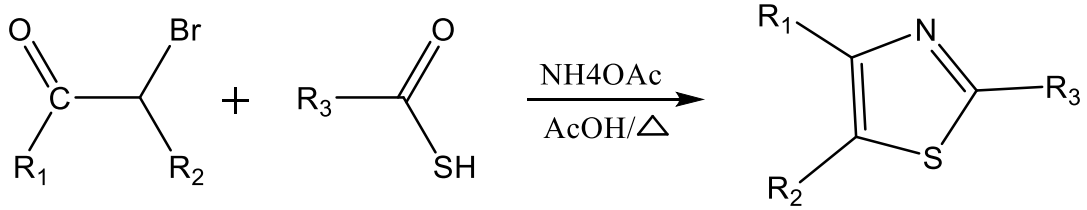
Another example of synthesis of substituted thiazole N,N,5-trimethyl-4-phenylthiazol-2-amine. In this 1, 1-dimethylthiourea, 2-chloro-1-phenylpropan-1-one and at room temperature.



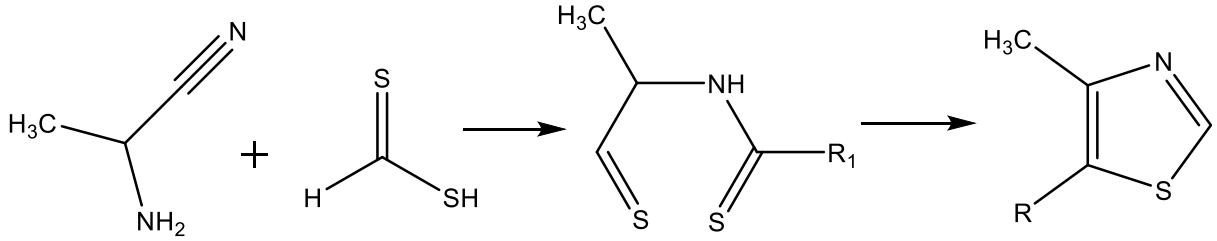
Substituted derivatives of 2-aminothiazolewere first synthesized by Marchesini[11]in 1893 by the reaction of a 1-chloropropan-2-one with O-methyl carbamothioate gave 2- hydroxythiazole derivatives.



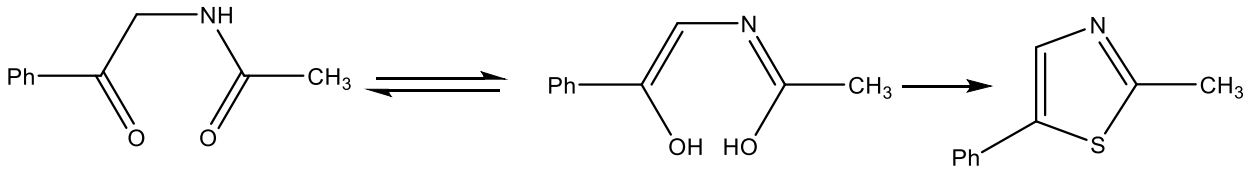
1,3 thiazole derivatives[12-14] can easily be prepared by reaction of a-bromoketones with thioacids in presence of ammonium acetate in acetic acid at reflux temperature.



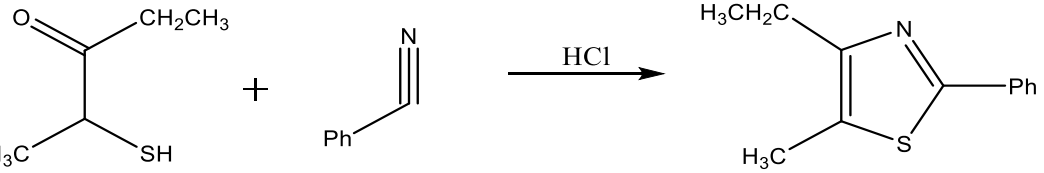
5-aminothiazoles can be prepared[15] in good yields at room temperature by condensing of dithioformic with alpha-aminonitriles, in aqueous ethereal solution.



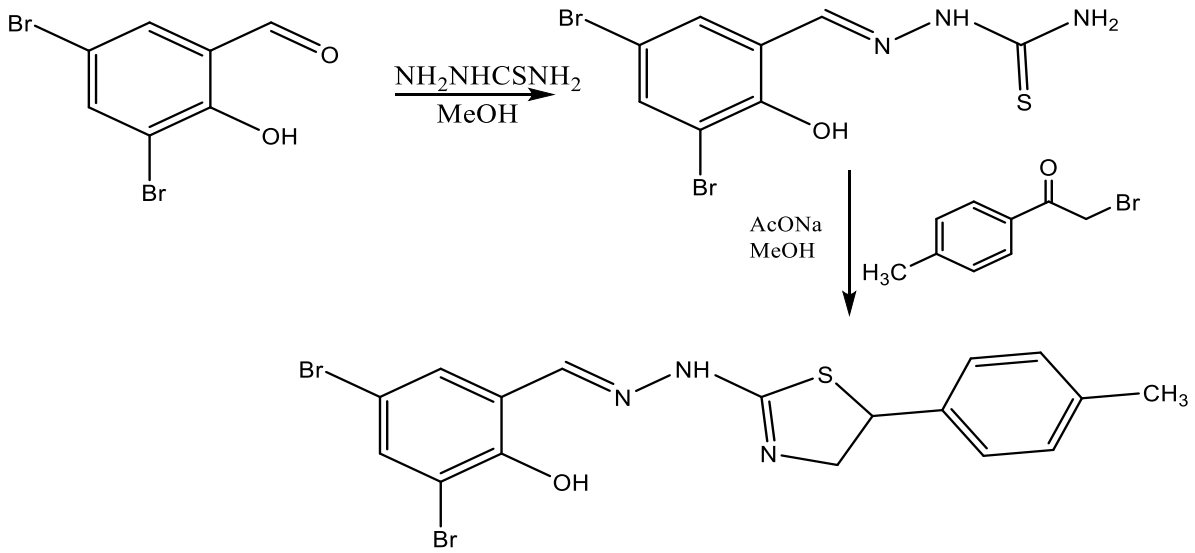
2-methyl-5-phenylthiazole was prepared[16] in 1910 from N-(2-oxo2-phenylethyl) acetamide (18) when reacted with with an equimolecular amount of phosphorus pentasulfide this reaction is known as Gabriel thiazole synthesis.



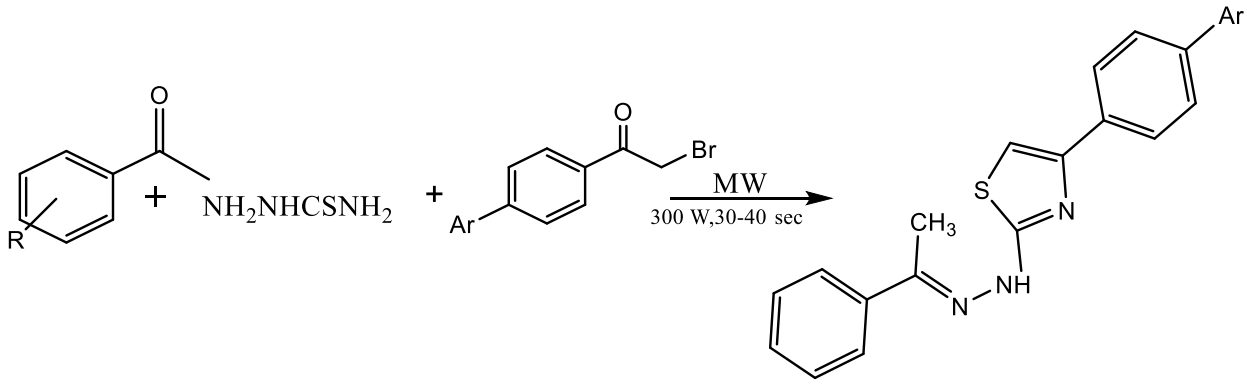
4-Ethyl-5-methyl-2-phenylthiazole obtained[17] from 2-mercaptopentan-3-one and benzonitrile reacts together in acidic condition gives the product inn very low yield.



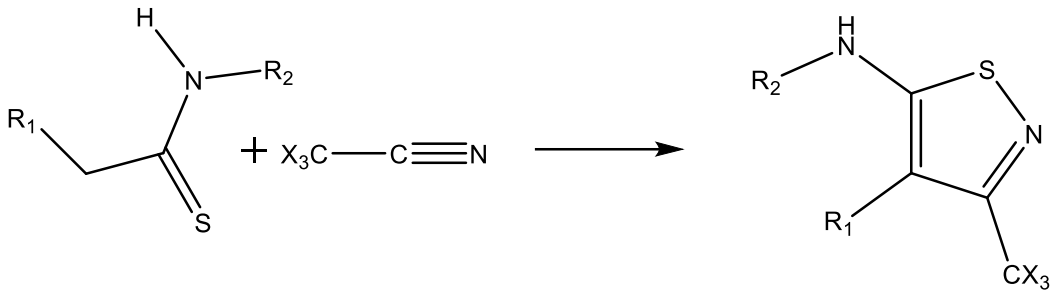
Preparation of new thiazole derivatives were reported from schiffs base bearing thiourea and substituted phenacyl bromide by abd[18] and coworkers in 2010.



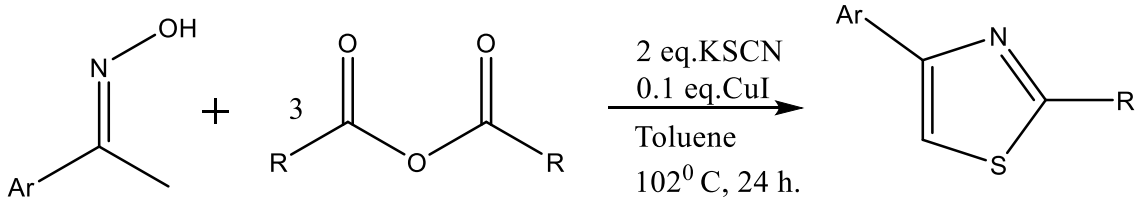
Chinnaraja *et al*.[19] gave the ecofriendly method for one pot synthesis of hydrazinyl thiazole derivatives by microwave assisted solvent and catalyst free reactions.



Michael *et al*. reported[20] the synthesis of 4,5-disubstituted-3-trihalomethyl isothiazoles.



Tang *et al.*[21] reported the synthesis of thiazoles in very good yields under mild reaction conditions by the condensation of oximes, anhydrides and potassiumthiocyanate (KSCN). This transformation has copper-catalyzed [3+1+1]-type & good functional group tolerance.

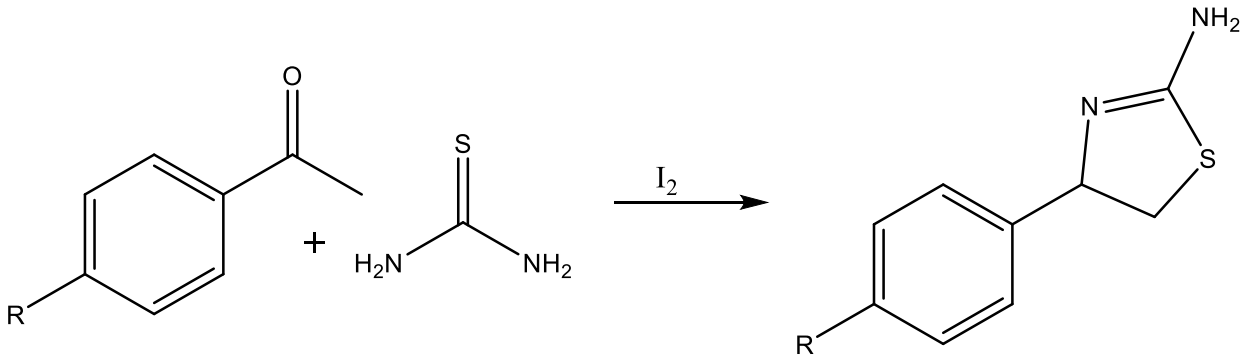


R=Alkyl

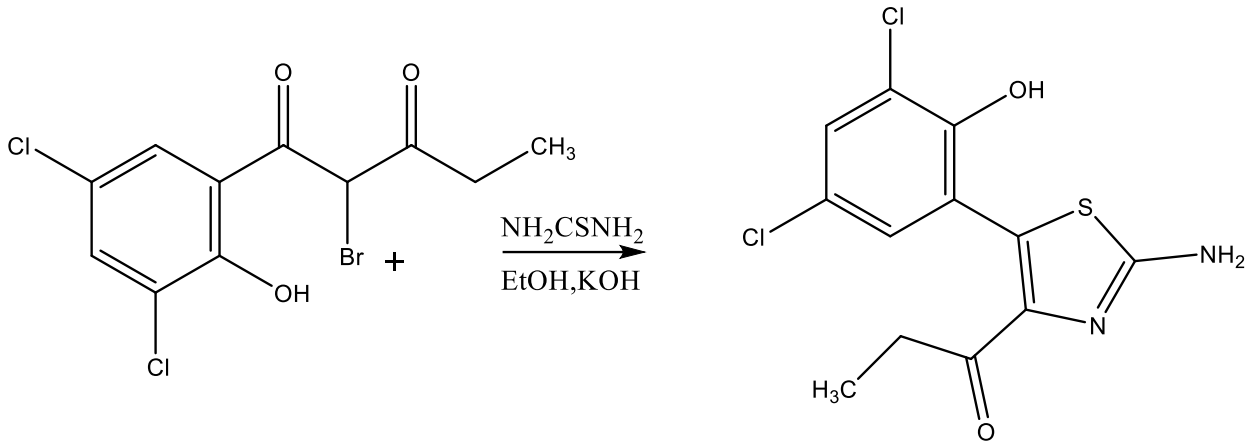
Ligaraju *et al*[22] reported Base-induced cyclization of active methylene isocyanides such as tosylmethyl isocyanide, ethyl isocyanoacetate, and arylmethyl isocyanides with methyl arene- and hetarenecarbodithioates enables an efficient synthesis of 4,5-disubstituted thiazoles. This synthesis is simple, rapid, and often avoids purification steps.

R=Ar, Ts, CO_2Et

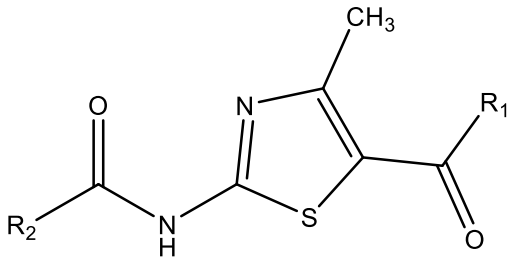
Pattan *et al.*[23] reported new phenyl thiazole derivatives and screened them for their anti-inflammatory activities.



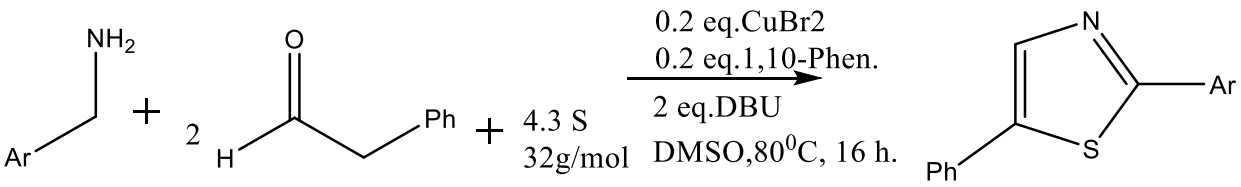
Rathod[24] in his thesis reported some thiazole derivatives synthesis from bromodiketones and substituted thiourea using ethanolic aqueous KOH medium via dibromochalcone.



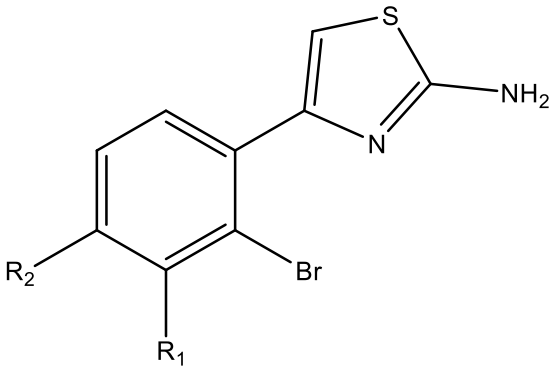
Mruthyunjay and Basavarajaiah[25] synthesised ethyl-5-(ethoxycorbonyl)-4- methyl thiazol-2-yl-carbonate compounds and studied their antimicrobial efficacy.



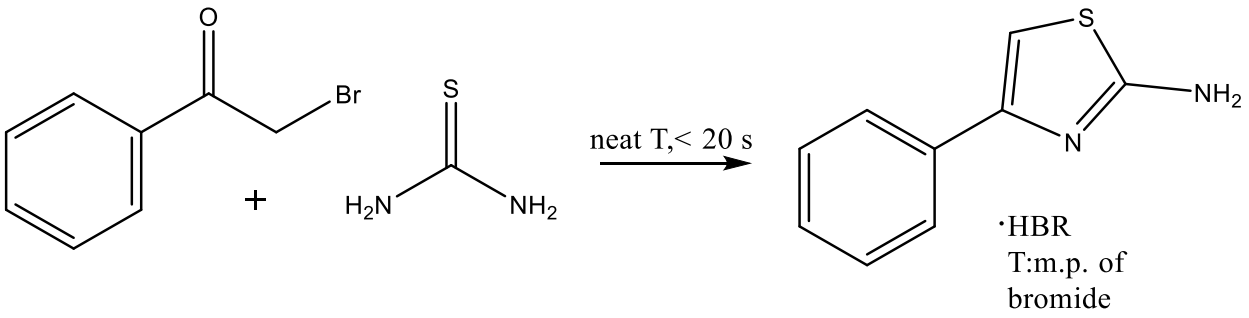
Recently Wang *et al.*[26] gave the Practical synthesis of thiazoles from simple aldehydes, amines, and element sulfur in the presence of molecular oxygen as a green oxidant. This is a Cu-catalyzed oxidative, multiple Csp^3-H bond cleavage processes.



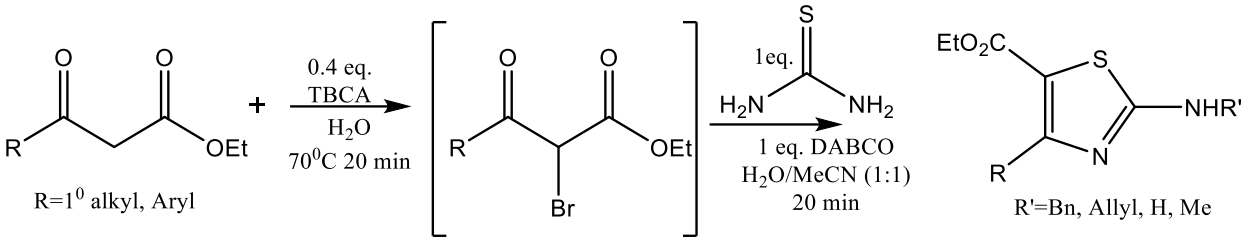
latif *et al.*[27] reported the synthesis 2-Amino-4-(2-bromophenyl) thiazole compounds as a antimicrobial agent.



Facchinetti *et al.*[28] reported Hantzsch condensation of 2-bromoacetophenones with thiourea or selenourea enables a simple, fast, and eco-friendly solvent-free synthesis of 2-aminothiazoles and 2-amino-1,3-selenazoles without the use of a catalyst. Reactions proceed to completion in a few seconds and products are obtained in good yields after easy workup.



Andrade et al.[29] reported the use of tribromoisocyanuric acid enables a simple and efficient one-pot protocol for the synthesis of 2-aminothiazoles from readily available β-keto esters via α-monohalogenation in aqueous medium and a subsequent reaction with thiourea and DABCO. Extension of the reaction to thioacetamide and *o*-phenylenediamine led to 2-methylthiazole and quinoxalines, respectively.



CONCLUSIONS

From the above discussion, it is observed that an 1,3-Thiazole derivative is most important organic compounds due to its versatile role in different chemistry. This molecule performs various biological activities in different biological systems. Considering its importance, different scientist and research scholar are interested to synthesize it by applying different methods of synthesis and also utilize different catalyst. But the simple economic and environmental friendly methods are still in demands.

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