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Chemistry and pharmacological activities of Thiazoles – A Review Update

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Abstract:

This mini-review presents a summary of literature survey on the synthetic approaches for the synthesis of thiazoles and their derivatives. Further, various biological activities of these derivatives were also summarized.

Keywords: Thiazole, synthesis, pharmacological activity

1. Introduction

Thiazole, or 1,3-thiazole, is a planar aromatic heterocyclic compound that contains both sulfur and nitrogen (Figure 1). It is notably present in vitamin Thiamine (B1). These are identical to imidazoles. In thiazole moiety, C5 is a primary site for electrophilic substitution where as C2 is the site for nucleophilic substitution.

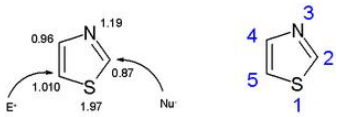
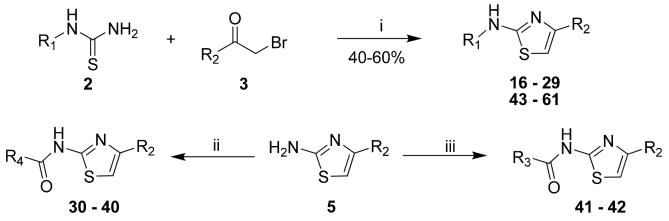


Figure 1. Thiazole

2. Existing Synthetic Approaches

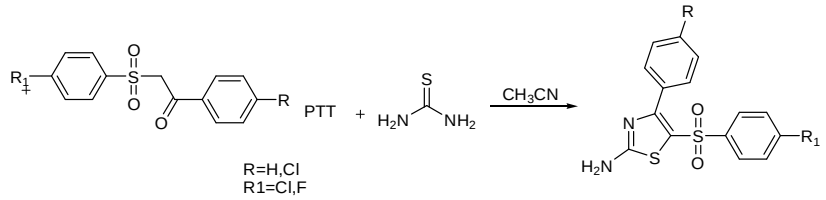
Synthesis of thiazoles have been described using several reactive species, some of these methods have been briefly discussed here.

Edward *et al*[1] described a synthesis and evaluation of structurally and electronically divergent 2-aminothiazoles as anti-tubercular agents (**Scheme 1**).



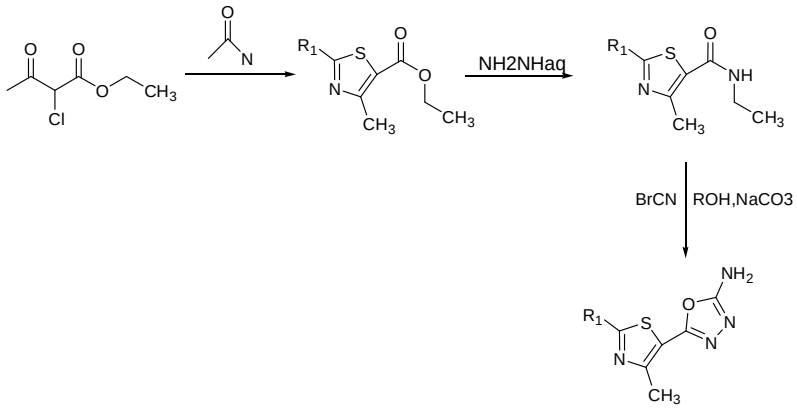
Scheme 1

Bhingolikar *et al*[2] reported that by treating α-aryl sulfonyl-4-substituted acetophenones with phenyl trimethyl ammonium tribromide and thiourea in one-pot to give the desired 2-amino-4-aryl-5-arylsulfonyl thiazoles (**Scheme 2**).



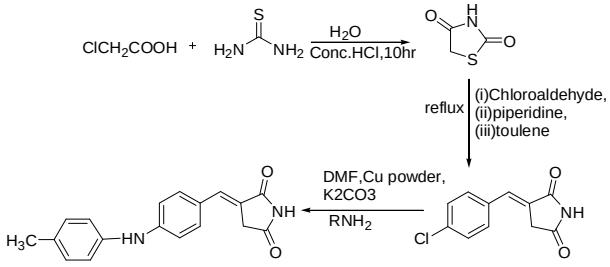
Scheme 2

Platonova *et al*[3] prepared biheterocyclic thiazoles-aminooxadiazole, possessing valuable pharmacological properties (Scheme 3).



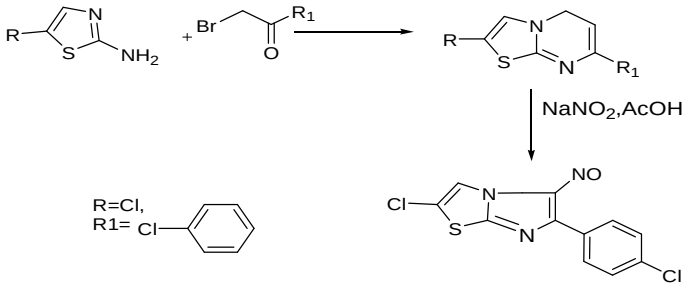
Scheme 3

Patta *et al*[4] prepared a set of 5-[1-(4-(4-sustituted-pheenylamino)-meth-(z)-ylidene]-thiazolidine-2,4-diones analogues and were screened for their antitubercular activity (Scheme 4).



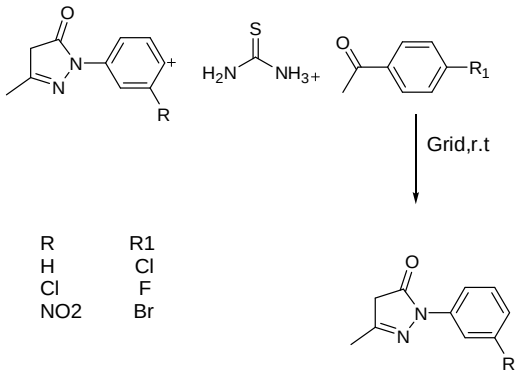
Scheme 4

Andreani *et al*[5] reported a number of selected imidazo[2,1-b]thiazoles that were successfully evaluated for their anti-tubercular activity (**Scheme 5**).



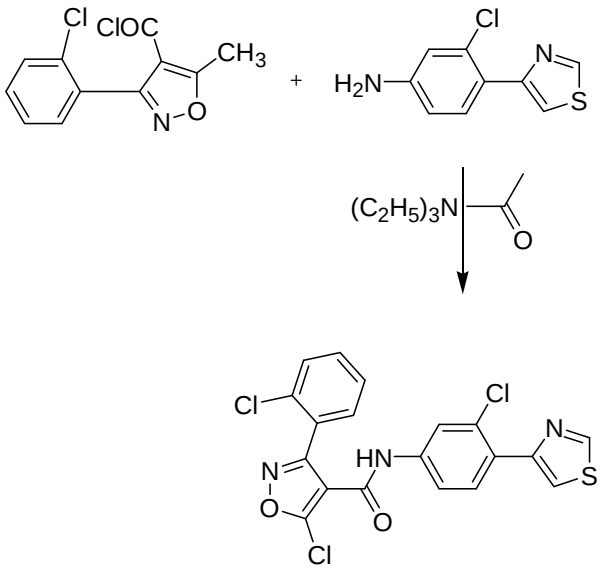
Scheme 5

Bhaskar *et al*[6] prepared substituted thiazole analogues in one-pot synthesis as shown in Scheme 6, and evaluated them for antiviral activity.



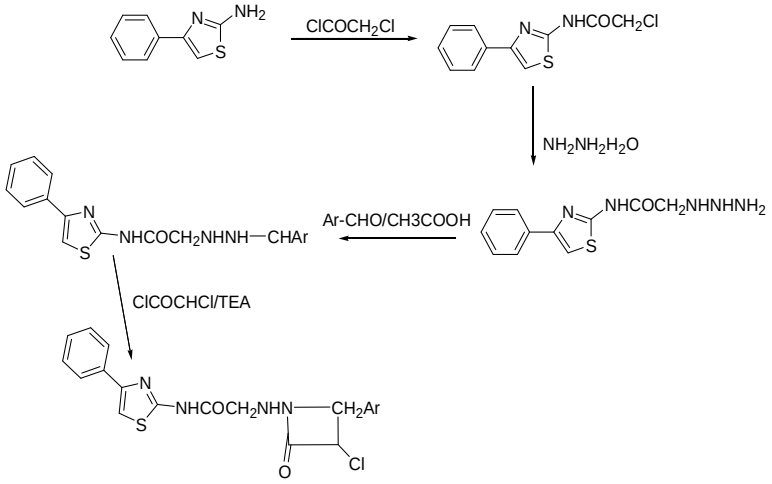
Scheme 6

Manian *et al*[7] synthesized divergent 2-substituted-anilino/ phenyl/ benzyl-5-substituted-4-(p-aminophenyl)-thiazoles as exemplified in Scheme 7. The compounds were screened for antitubercular activity, in vitro.



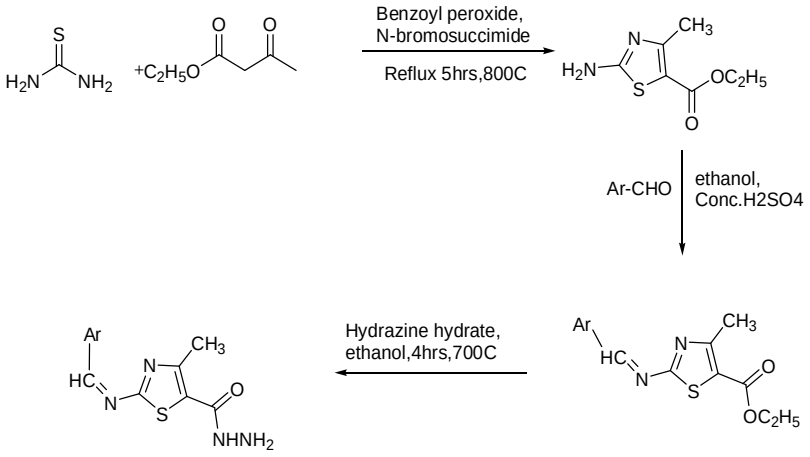
Scheme 7

Sonawane *et al*[8] prepared hydrazine derived thiazoles and got screened for anti-fungal activity (Scheme 8).



Scheme 8

Sunayana *et al*[9] reported the synthesis and evaluation of novel thiazole derivatives and described their antibacterial activities against *E.coli* and antibacterial activity against *S.aureus, P.aeruginosa* it was found that synthesized compounds were more active than that of Ciprofloxacin.



Scheme 9

3. Biological significance

Thiazole moiety is an important heterocyclic scaffold and the below thiazole analogues with specific activity were segregated, accordingly.

3.1 Antibacterial activity

Dighe *et al*[10] have investigated 2-amino-4-arylthiazoles and studied their antibacterial properties and interesting point is that they possess (Figure 2) good activity than standard (Nitrofurantoin).

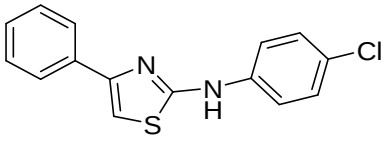


Figure 2

Karegoudar *et al*[11] developed a series of substituted thiazole derivatives in which 2-Phenyl-4-(2,3,5-trichloro-phenyl)-thiazole showed good antibacterial activities. Among the synthesized compounds (Fig. 22) showed comparable activity with standard (Ciprofloxacin).

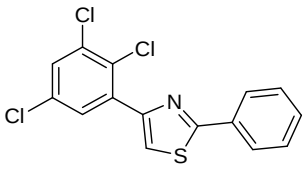


Figure 3

3.2 Antifungal activity

Logu *et al*[12] have reported cyclohexyl derived phenylthiazoles which exhibited potent anti-fungal activity (Figure 4).

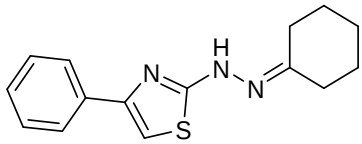


Figure 4

R. H *et al*[13] synthesized and described the biological importance of 2-amino-4 flouroaryl thiazoles (Figure 5) as antifungal agents.

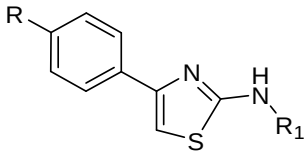


Figure 5

3.3 Anti-HIV activity

Bell *et al*[14] prepared a series of compounds in which he described phenylthiazolyl thioureas as anti-HIV agents (Figure 6).

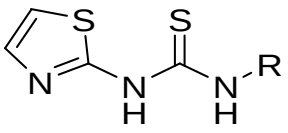


Figure 6

Rawal *et al*[15] have synthesized divergent1,3- thiazolidin-4-ones analoguesinvestigated 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones derivatives and evaluated for anti-HIV activity, in vitro (Figure 7).

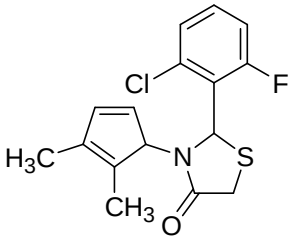


Figure 7

3.4 Antihypertensive activity

Turan-Zitouni G *et al*[16] illustrated synthesis of 4-arylthiazole derivatives with good antihypertensive activity (Figure 8).

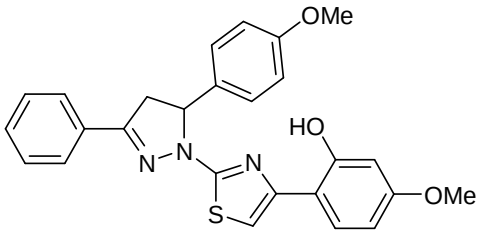


Figure 8

Abdel-Wahab *et al*[17] prepared a set of thiazolylmalonamide, tetrachloroisoindolyl-imide, and triazole scaffolds (Figure 9) and screened for antihypertensive activity.

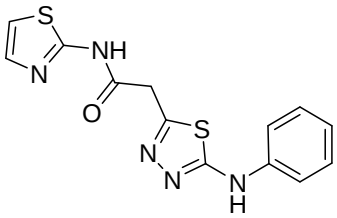


Figure 9

3.5 Anti-inflammatory activity

Hola *et al*[18] discovered the preparation of divergent arylaminothiazoles and screened for anti-inflammatory activity possessing comparable standard of ibuprofen (Figure 10).

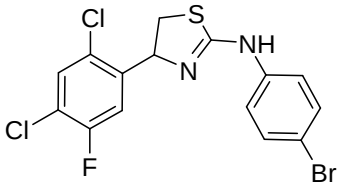


Fig.29

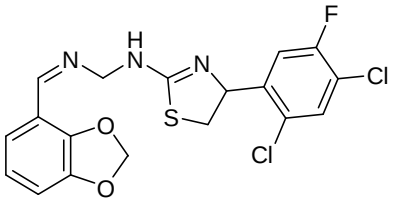


Figure 10

3.6 Anti-cancer activity

Luzina *et a*[19] reported some compounds N-bis (trifluoromethyl) alkyl-N′-thiazolylurea analogues that were screened for anticancer activity (Figure 11).

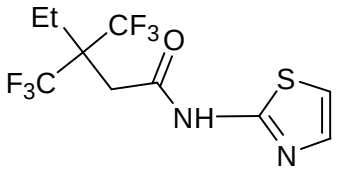


Figure 11

Liu *et al*[20] have reported synthesis of thiazole imines and thiazolones with good cytotoxicity (Figure 12).

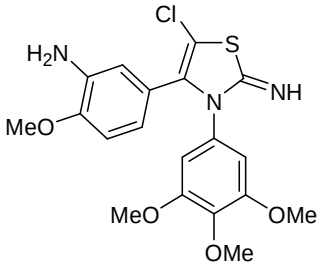


Figure 12

3.7 Anti-convulsant activity

Amin *et al*[21]` described a series of thiazole derived coumarin analogues as anticonvulsant agents (Figure 13).

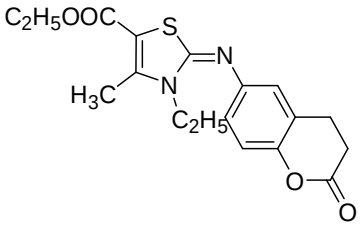


Figure 13

Azam *et al*[22] prepared simicarbazide containing thiazoles and tested for their anticonvulsant activity (Figure 14).

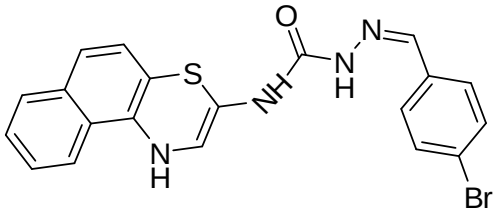


Figure 14

3.8 Anti-tubercular activity

Karuvalam et *al*[23] discovered the selected wide range of thiazole ester analogues possessing anti-TB activity (Figure 15).

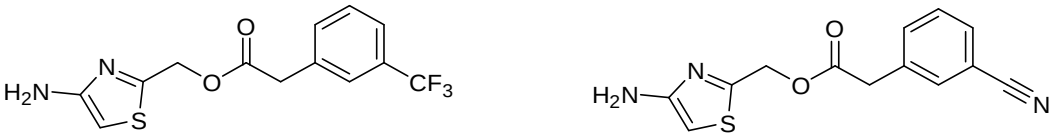


Figure 15

3.9 Antioxidant activity

Gauda *et al*[24] have reported some coumarine derived thiazoles and evaluated then successfully for their antioxidant activity (Figure 16).

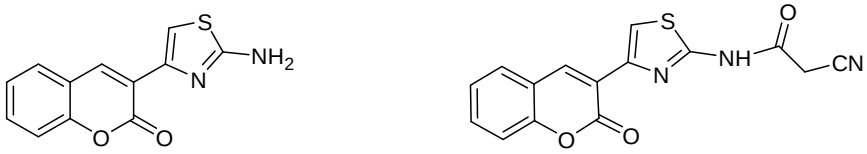
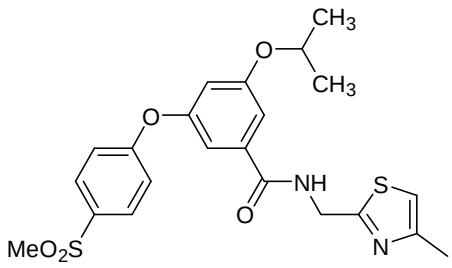


Figure 16

3.10 Antidiabetic activity

Iino *et al*[25] synthesized a group of thiazolyl benzamide analogues which were shown to possess glucokinase inhibition (Figure 17).



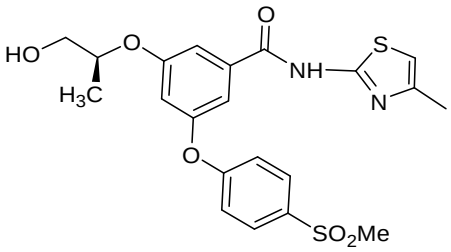


Figure 17

3.11 Antitumor activity

Ramla *et al*[26] reported synthesis of various benzimidazole derived thiazoles and tested for anti-tumor activity (Figure 18).

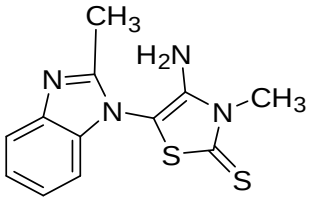


Figure 18

Popsavin *et a*[27] described a series of sugar derived thiazoles possessing anti-tumor activity (Figure 19).

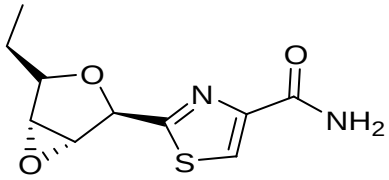


Figure 19

4. Conclusion

In conclusion, brief compilation on synthetic approaches and biological relevance of thiazole and its derivatives was performed and we do strongly hope that, this mini-review will be a valuable addition in the said field as well interest to the synthetic and medicinal chemists.

Acknowledgements

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