

# A Review on Substituted Thiadiazole and its Antimicrobial Activity

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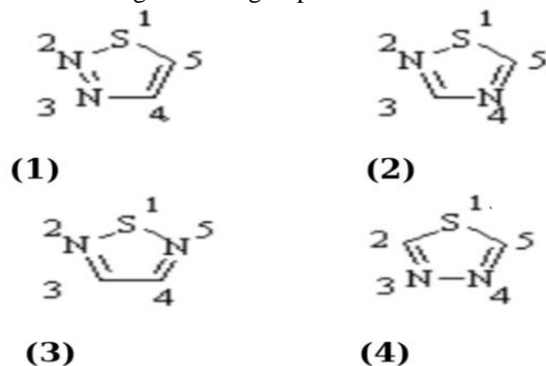
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**Abstract:** Five-member heterocyclic compound show various type of biological activity among them 2,5-disubstituted 1,3,4-thiadiazole are associated with diverse biological activity probably virtue of -N=C-S grouping. Therapeutic importance of these rings prompted us to developed selective molecules in which substituted could be arrange in a pharmacophoric pattern to display higher pharmacological activity. Thiadiazole have occupied an important place in drug industry. 1,3,4-thiadiazole have wide application in many field. Earliest uses were in the pharmaceutical area as an antibacterial with sulphonamide drugs. Some of other uses are antitumor, anti-inflammatory, pesticide, dyes, lubricant and reagent.

**Keywords:** Antimicrobial activity, Thiadiazole.

## 1. Introduction

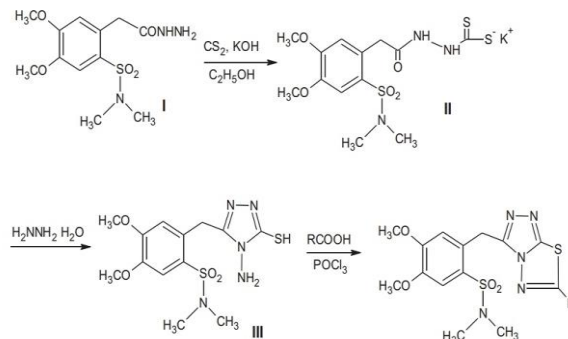
Thiadiazole is a five membered ring system containing sulphur and nitrogen atom with two double bonds, to give an aromatic ring having molecular formula C<sub>2</sub>H<sub>2</sub>N<sub>2</sub>S. It occurs in four isomeric form 1,2,3-thiadiazole(1), 1,2,4-thiadiazole(2), 1,2,5-thiadiazole(3), 1,3,4-thiadiazole(4). The numbering of monocyclic azoles system begins with the heteroatom that is in the highest group in the periodic table and with the element of lowest atomic weight in that group.<sup>[20,21]</sup>



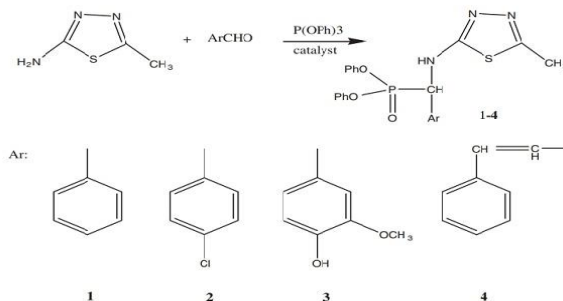
1. Georgios Chariots et.al,<sup>[5]</sup> have reported the Synthesis and anticancer activity of novel 3,6-disubstituted 1,2,4-triazolo-[3,4-b]-1,3,4-thiadiazole derivatives

2. Mohamed M. Azaam et.al have reported the Antioxidant and anticancer activities of α- amino phosphonates containing

thiadiazole moiety<sup>[6]</sup>.

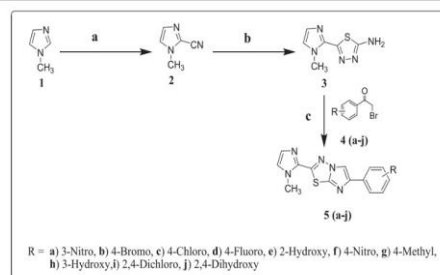


Scheme 1 Synthetic route for the newly synthesized analogues.



Scheme 1 Synthesis of α-aminophosphonates compounds (1-4).

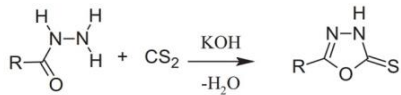
3. Amer sated et.al have reported the Novel isochroman-triazole and thiadiazole hybrids: Design, synthesis and antimicrobial activity<sup>[13]</sup>.



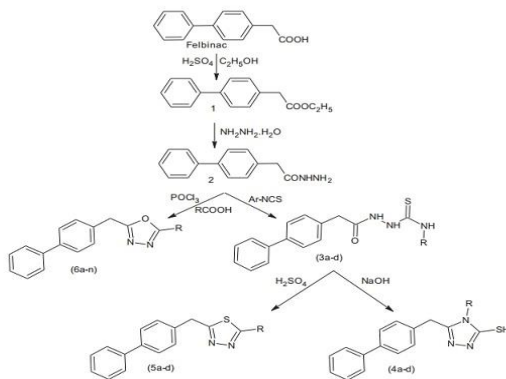
Scheme 1 Reagents and conditions: (a) 4-N,N-Dimethylamino pyridine, DMF, Cyanogen bromide, stirred 15 h; (b) thiosemicarbazide, trifluoroacetic acid, reflux 15 h and (c) refluxed in dry ethanol for 18 h.

4. ADIL has been reported the 1,3,4-Oxadiazole, 1,3,4-thiadiazole and 1,2,4-triazole derivatives as potential antibacterial agents.

**Scheme 2** General synthetic method for 1,3,4-oxadiazole.



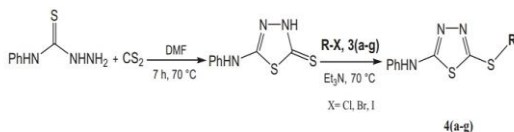
5. Shah Alam Khan have been reported the Synthesis, molecular docking with COX 1& II enzyme, ADMET screening and in vivo anti-inflammatory activity of oxadiazole, thiadiazole and triazole analogs of felines Journal of Saudi Chemical Society<sup>[9]</sup>.



**Scheme 1** Synthetic protocol for the 1,3,4 oxadiazole, 1,3,4 thiadiazole and 1,2,4 triazole derivatives of felbinac.

6. Mohammad Soleiman-Beigi et.al. has reported the Chemo selective one-pot synthesis of 2-phenylamino-5-alkylthio-1,3,4-thiadiazole derivatives from phenylthio semicarbazide and CS<sub>2</sub> Arabian Journal of Chemistry <sup>[10]</sup>.

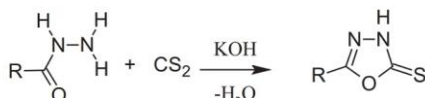
thesis of 2-phenylamino-5-alkylthio-1,3,4-thiadiazole



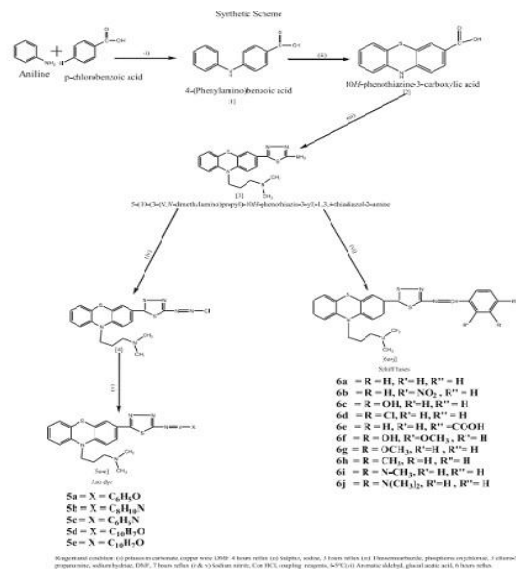
**Scheme 2** 2-phenylamino-5-alkylthio-1,3,4-thiadiazole derivatives synthesis.

7. Bhoomendra A. Bhongade et.al. have been a new series of Biological activities of imidazo[2,1-b][1,3,4]-thiadiazole derivatives: A review Journal of Saudi Chemical Society<sup>[11]</sup>

**Scheme 2** General synthetic method for 1,3,4-oxadiazole.

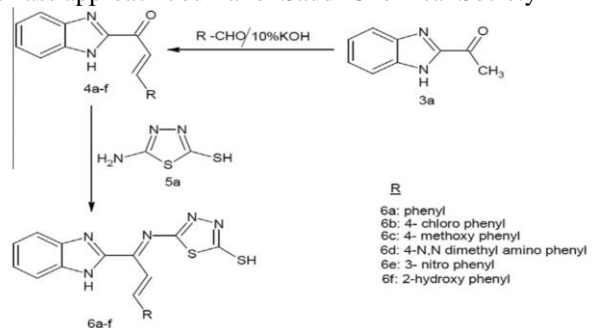


8. Chandravadivelu Gop iet.al. have been reported the Synthesis, spectroscopic characterization, X-ray crystallography, structural activity relationship and antimicrobial activity of some novel 4-(5-(10-(3-N, N-dimethylamino)propyl)-10H-phenothiazine-3-yl)-1, 3, 4-thiadiazole-2-yl) Azo dye/Schiff base derivatives Future Journal of Pharmaceutical Sciences.



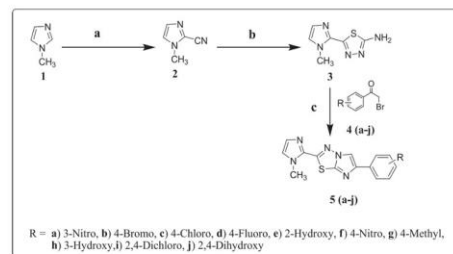
**Fig. 1.** Synthesis of novel series of Azo dye (5a-e) and Schiff bases (6a-j) derivatives.

9. Bijo Mathew et. al. have reported the Discovery of some novel imines of 2-amino, 5-thio,1,3,4-thiadiazole as muco membranous protector. Synthesis, anti-oxidant activity and in silica Pass approach Journal of Saudi Chemical Society<sup>[21]</sup>



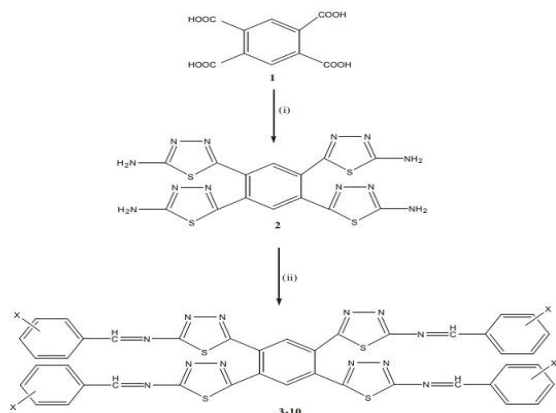
**Figure 1** Synthetic route of the titled imines (6a-f).

10. Harun M. Patel et. at. have been reported the Synthesis and ant tubercular evaluation of imidazo[2,1-b][1,3,4]thiadiazole derivative Arabian Journal of Chemistry.

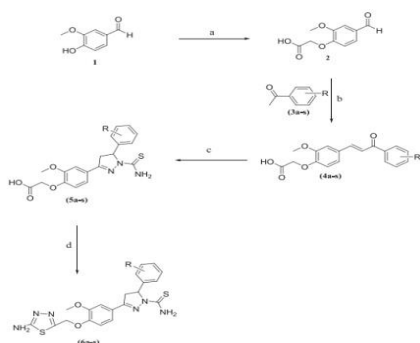


**Scheme 1** Reagents and conditions: (a) 4-N,N-Dimethylamino pyridine, DMF, Cyanogen bromide, stirred 15h; (b) thiosemicarbazide, trifluoroacetic acid, reflux 15h and (c) refluxed in dry ethanol for 18h.

11. Emad Yousif et.al. have been reported the Synthesis and antimicrobial screening of tetra Schiff bases of 1,2,4,5-tetra (5-amino-1,3,4-thiadiazole-2-yl)benzene Journal of Saudi Chemical Society.



12. Malleshappa N. Noolvi et.al. have been reported the Synthesis and antimicrobial evaluation of novel 1,3,4-thiadiazole derivatives of 2-(4-formyl-2-methoxyphenoxy) acetic acid.



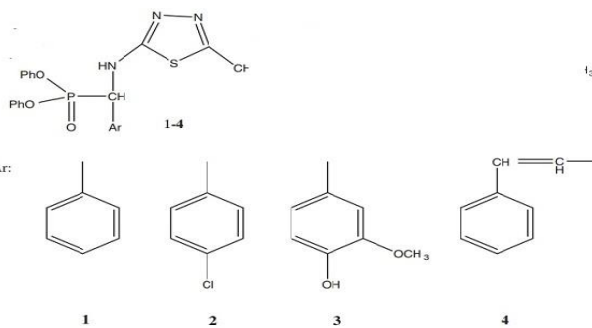
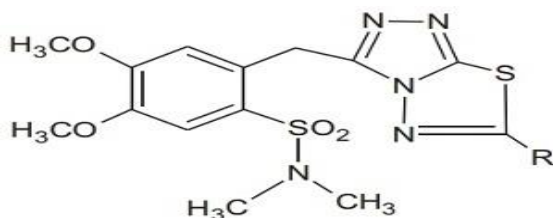
R= a) H; b) 2-OCH<sub>3</sub>; c) 2,4-di-Cl; d) 3-NH<sub>2</sub>; e) 3-NO<sub>2</sub>; f) 4-OCH<sub>3</sub>; g) 4-F; h) 4-NO<sub>2</sub>; i) 4-Br; j) 4-CH<sub>3</sub>; k) 3-OH; l) 2-OH; m) 4-Cl; n) 2-NH<sub>2</sub>; o) 2,4-di(OEt); p) 4-NH<sub>2</sub>; q) 2-Cl; r) 4-OH; s) 3-CH<sub>3</sub>

Scheme 1 Reagents: (a) chloroacetic acid, NaOH, HCl; (b) EtOH, KOH, petroleum ether; (c) thiosemicarbazide, glacial acetic acid; (d) thiosemicarbazide, PPA or POCl<sub>3</sub>.

## 2. Pharmacological Activities

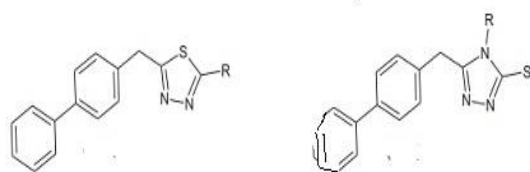
Some derivatives of thiadiazole have Antimicrobial effect, antibacterial effect and anti-cancer and show high efficacy as agonists and antagonists for different receptors.

### A. Anticancer activity [5]

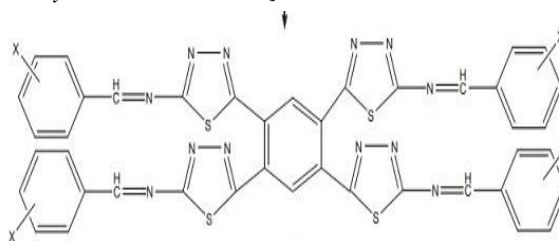


Scheme 1 Synthesis of  $\alpha$ -aminophosphonates compounds (1-4).

### B. Anti-inflammatory [9]



### C. Activity-Anti-microbial [18]



## 3. Conclusion

This paper presented an overview on substituted thiadiazole and its antimicrobial activity.

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