

THE SYNTHESIS OF DERIVATIVES OF 1,3-THIAZOLAN-4-ONE AND EXHIBIT THE DESIRED BIOLOGICAL AND PHARMACOLOGICAL ACTIVITIES: A REVIEW

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ABSTRACT

The researchers have extensively investigated the approaches for the development of the synthesis of derivatives of 1,3-thiazolan-4-one, and those that are practical for the synthesis of title compounds 1,3-thiazolan-4-one and its derivatives, which are significant and exhibit the desired biological and pharmacological activities

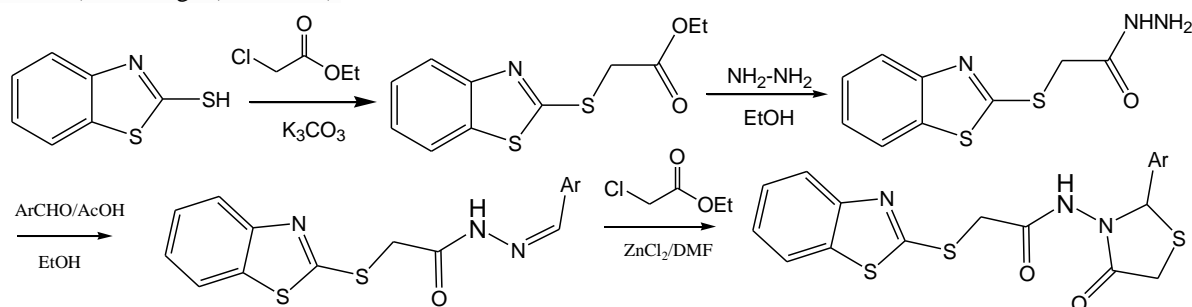
Keywords: 1,3-thiazolan-4-one, pharmacological activity, development, biological.

1. INTRODUCTION

Because many biologically active molecules, including thiamine, penicillin, and other antibiotics^{1,2}, include these heterocyclic rings, the nitrogen and sulfur-containing heterocyclic compounds are important from a biological perspective. The same goes for 1,3-thiazolan-4-one and its derivatives, which are significant and exhibit the desired biological and pharmacological activities, such as hypnotic³, antiinflammatory⁴, antibacterial⁵, antifungal⁶, antitubercular⁷, anticancer⁸, antitumor⁹, analgesic¹⁰, anesthetic¹¹, antiproliferative¹², anti-HIV¹³, and nematocidal¹⁴. 1,3-Thiazolan-4-one have also been utilised to treat schizophrenia¹⁵, diabetic problems such cataract, nephropathy, and neuropathy¹⁶, as well as selective antiplatelet activating factor (PAF)¹⁷. Additionally, cyanine dyes, which are utilised in the photographic film industry¹⁸, are made using 1,3-thiazolan-4-one derivatives. Some of the important biologically active heterocyclic compounds incorporating the 1,3-thiazolan-4-one nucleus are briefly discussed in the following few pages.

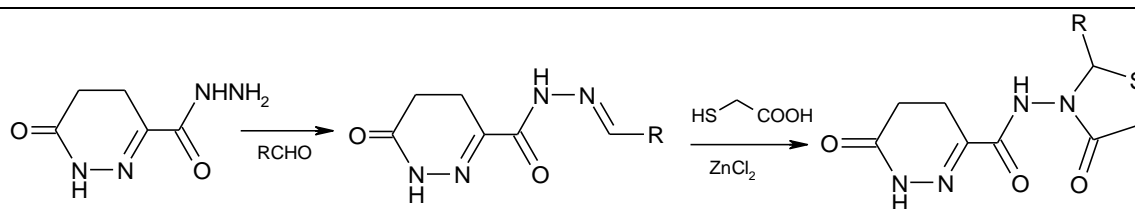
The researchers have extensively investigated the approaches for the development of the synthesis of derivatives of 1,3-thiazolan-4-one, and those that are practical for the synthesis of title compounds were briefly reviewed in the following sections.

Scheme 1. Desai et al.¹⁹ reported the heterocyclization reaction of 2-(benzothiazol-2-ylthio)-N'-benzylideneacetohydrazide with thioglycolic acid in DMF in the presence of a catalytic amount of anhydrous ZnCl₂ under microwave irradiation is described and compared with conventional synthesis methods. This reaction produced 4-thiazolidinones in good yields. Elemental analyses and spectrum data allowed for the complete elucidation of all freshly synthesised compounds' structures. Some of the new compounds were tested against bacteria (Gram- ve and Gram+ ve) and fungi. (**Scheme 1**)



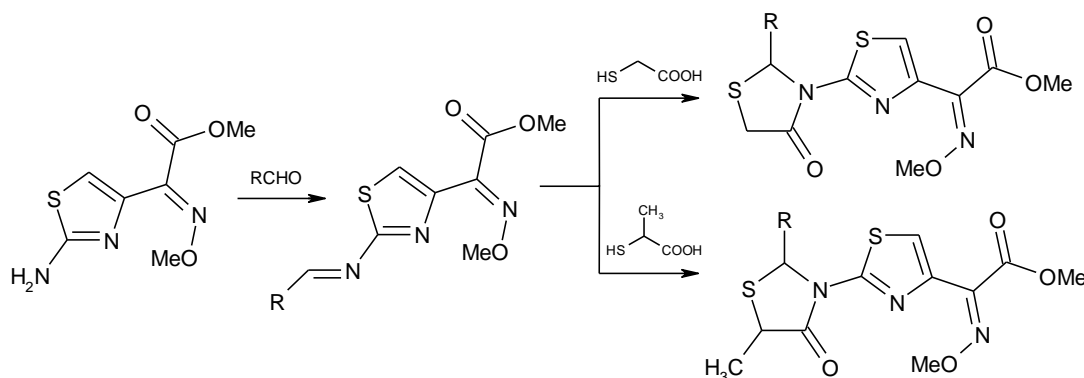
Scheme 1

Scheme 2. Tetrahydro-6-pyridazinone-3-carboxylic acid hydrazides of 1,4,5,6-tetrahydro-6-pyridazinone-3-carboxylic acid react with aromatic aldehydes to produce hydrazones of 1,4,5,6-tetrahydro-6-pyridazinone-3-carbonyl aromatic aldehydes. The 1,3-thiazolidinone derivatives were produced by cyclocondensing the intermediate 1,3,4-oxadiazole with acetic anhydride in absolute ethanol and then cyclizing it with mercaptoacetic acid in DMF in the presence of anhydrous ZnCl₂. (**Scheme 2**)²⁰.



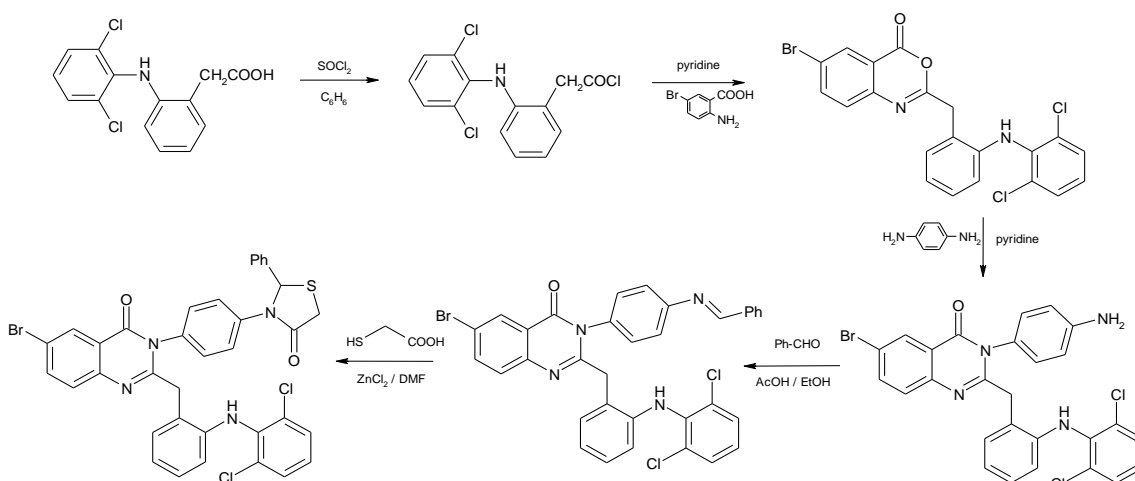
Scheme 2

Scheme 3. Parekh et al.²¹ reported the thiazolidinones derivatives by the cyclocondensation of the 2-amino-4-(methoxyiminocarbomethoxymethyl)-thiazole with mercaptoacetic acid and mercaptopropionic acid in dry benzene. Spectral data and elemental analysis were used to determine the compounds' structures. The products' ability to prevent the growth of several bacteria in vitro was tested. Some of the substances had notable antifungal and antitubercular action (**Scheme 3**).



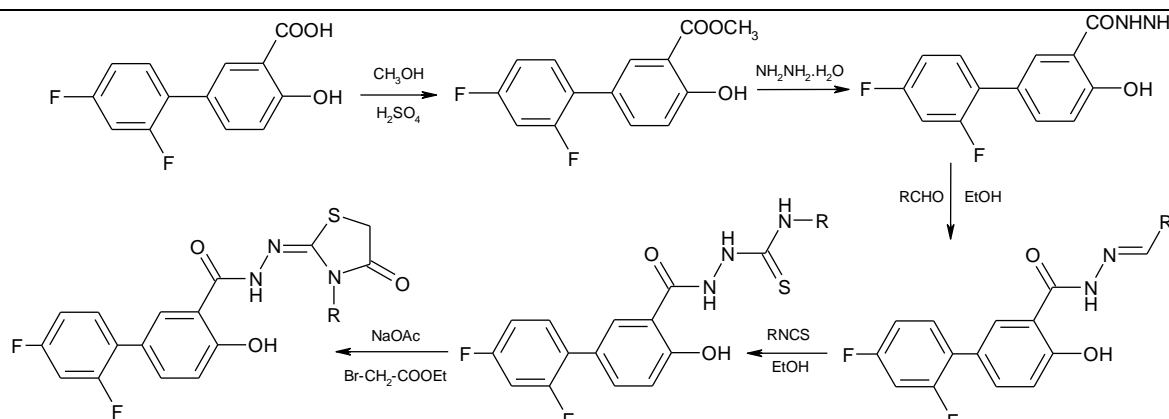
Scheme 3

Scheme 4. Based on the starting material 2-[(2,6-dichlorophenyl)amino] phenylacetic acid, Patel et al.²² reported synthesis of 1,3-thiazolan-4-one derivatives, screening them for antibacterial and antifungal activity at two concentrations, and comparing them to penicillin-G, ampicillin, and amoxicillin. When compared to the usual medications, the compounds containing 4-OCH₃ & 5-(OCH₃)₃ displayed good action (**Scheme 4**).



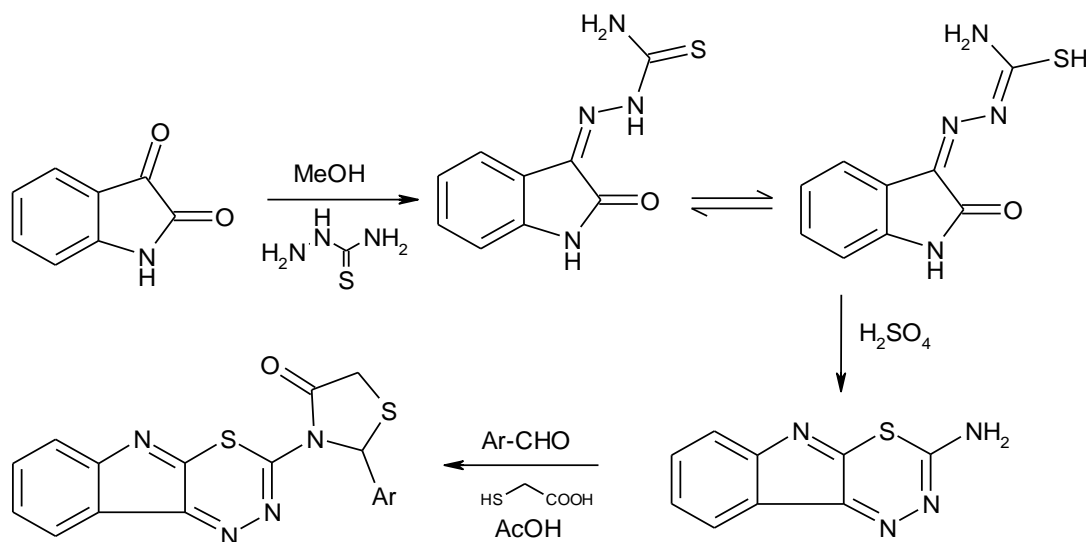
Scheme 4

Scheme 5. Two novel series of 4-thiazolidinone derivatives, including 2-(5-nitro-2-furyl/ substituted phenyl)-4-thiazolidinone-3-yl]amides of 2',4'-difluoro-4-hydroxybiphenyl-3-carboxylic acid and 2-(2',4'-difluoro-4-hydroxybiphenyl-3-carbonylhydrazono) 1-(2,4-difluoro-4-hydroxybiphenyl-3-carbonyl)4-arylthiosemicarbazides. The antimicrobial, antibacterial, antiviral, and antimicrobial properties of all produced compounds were tested against Mycobacterium tuberculosis H37Rv as well as against other virus, bacteria, and fungal strains. (**Scheme 5**)²³.



Scheme 5

Scheme 6. Panwar et al.²⁴ synthesised a number of 1,3-thiazolan-4-one derivatives and tested them for antimicrobial susceptibility against *S. aureus*, *E. coli*, *K. pneumoniae*, *P. vulgaris*, and *A. fumigatus*, *C. albicans*, *A. albicans*, and *C. krusei*, respectively. Some of the synthetic compounds displayed the strongest antibacterial and antifungal effects while still being completely toxin-free. (Scheme 6).



Scheme 6

2. CONCLUSION

This review provided a resource for basic and application study on the topic by outlining 1,3-thiazolan-4-one and its derivatives.

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3. CONFLICTS OF INTERESTS

There is no conflict of interest with the publication of this essay, according to the author.

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