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A review on synthesis, characterization and biological screening of novel 1, 3-thiazine derivatives using chalcones

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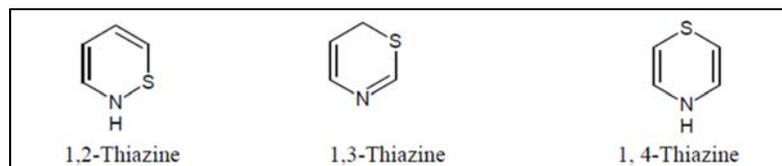
Abstract

Thiazines are very useful units in the fields of medicinal and pharmaceutical chemistry and have been reported to exhibit a variety of biological activities due to the presence of N-C-S linkage. It is prepared by reacting chalcone with thiourea in presence of alcoholic sodium hydroxide. 1,3-thiazines and its derivatives have been reported to exhibit a variety of biological activities like antibacterial, antifungal, anti-tubercular, anti-inflammatory, analgesic, sedative-hypnotic, immunosuppressive agents.

Keywords: Thiazine, chalcone, antimicrobial, anti-inflammatory, anti-tubercular

1. Introduction

Organic chemistry play an important role in modern science and has wide varieties of applications in different fields since many research has been going on to synthesize new organic compounds and derivatives of naturally occurring ones. Synthetic heterocyclic compounds especially containing heteroatoms like N, S, O have enormous potential primarily as drugs. Thiazine is a heterocyclic compound having one nitrogen and sulphur atom at varied positions in the six membered ring exist as 1,2; 1,3; 1,4-thiazines and subsequently their derivatives^[3].



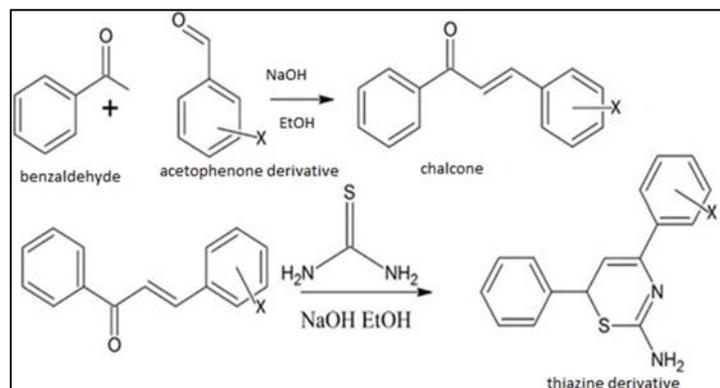
Structure of 1,3-thiazines possesses an N-C-S linkage that is believed to be very useful units in the fields of medicinal and pharmaceutical chemistry^[5] 1,3-thiazines and its derivatives have been reported to exhibit a variety of biological activities like Antibacterial, Antifungal, Anti-tubercular^[2], Anti-inflammatory^[1], Analgesic, Sedative-hypnotic, Immunosuppressive agents, etc. Some derivatives of thiazine are cannabinoid receptor agonists, also they can act as an anti-hypotensive. Moreover, thiazine derivatives can be used for gastrointestinal disorders or diabetes prevention. Condensed heterocyclic systems possessing thiazine ring have been reported as antioxidants, and calcium channel modulators 1, 3-thiazines are of great importance because they form part of the framework of cephalosporins (3, 6-dihydro-2H,1,3-thiazine) and also in some other medicinally important compounds like Xylazine (agonist at the α_2 class of adrenergic receptor is used for sedation, anesthesia, muscle relaxation, and analgesia in animals), Chlorzoxazone (used as an anxiolytic and a muscle relaxant) etc.^[4].

Chalcones are versatile molecules used for the synthesis of different heterocyclic compounds like thiazines, pyrazole, oxazine etc. Chalcones are α and β unsaturated ketones containing a reactive keto ethylene group^[2, 6].

Characterisation of synthesized compounds can be done by melting point determination, TLC, solubility, Structure can be found out by using IR spectra, NMR spectra, mass spectra etc. Biological activities are also done by different methods. Antimicrobial activity by agar disc diffusion method, analgesic activity by acetic acid induced writhing, antidepressant activity by forced swim apparatus, anticonvulsant activity by pentylenetetrazole induced convulsion method.

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2. Literature Review

- Zainab Amer, 2012: synthesized some thiazine and pyrazole derivatives by using chalcones. In this study, chalcones were synthesised by condensing 2-acetylpyridine with aromatic aldehyde derivatives in dilute ethanolic potassium hydroxide solution at room temperature. Thiazine and Pyrazole were synthesized by reaction between chalcones with thiourea and urea respectively. Various derivatives formed by this method have high yield. All these compounds were characterized by FTIR, ¹H-NMR spectroscopy, elemental analysis melting point determination.
- Srikanth Jupudi *et al* 2013: In this study various 1, 3-Thiazine derivatives were synthesized by reacting acetanilide derivatives and substituted aryl aldehydes with thiosemi-carbazide to give 1,3-thiazine derivatives. All the derivatives were spectrally characterized and screened for *In-vitro* Antihelmintic activity. All compounds have shown significant activity when compared with standard. From their studies he concluded that 1,3-thiazines are versatile molecules which require further research regarding synthesis and elucidation of mechanism of action of different derivatives by conducting *in vivo* & *in vitro* studies and QSAR development studies to bring the potential effects.
- Sindhu T.J. 2014: synthesized some novel 1,3 and 1,4 thiazine derivatives by using o-amino thiophenol and maleic anhydride in presence of ethanol and formic acid. All synthesized compounds were screened for antimycobacterial activity. Both 1,3 and 1,4 derivatives shows anti mycobacterial activity. But 1,4-thiazines have more anti mycobacterial activity than 1,3-thiazines. Synthesised compounds were screened for ant mycobacterial activity by MABA (Microplate Alamar Blue Assay). Their study showed sulfamethoxazole substituted 1,4-thiazine had maximum activity.
- Farooque Haider Zulfequar Haider 2012: Synthesise some 1,3 -thiazines in two series, first series starting material is 2- hydroxyacetophenone and we got 4-(2-hydroxy phenyl)-5-benzoyl-6-phenyl or 4-alkoxy phenyl or 4-dimethyl amino phenyl -2-imino -6-H-2,3- dihydro 1,3- thiazine. Second series starting material is 2-hydroxy- 5- methyl acetophenone we got 4-(2-hydroxy -5-methyl phenyl)-5-benzoyl-6phenyl-2-imino-6 H- 2,3 dihydro-1,3-thiazine and respected derivatives as written above from thiourea. All these compounds have been analyzed by melting point, IR, H¹ NMR. All the synthesized compounds are tested for their antimicrobial activities.
- S. P. Rathod. 2010: Synthesise some chloro substituted thiazine derivatives by reacting chalcones with phenylthiourea and diphenylthiourea. All these compounds have been analyzed by UV, IR and NMR for structure assignment. The Antibacterial activities of these compounds were also studied. Presence of phenolic group and N, S hetero atoms increase the antibacterial activity of compound.
- R. Kalirajan. 2009: Some novel heterocyclic derivatives such as Thiazines, Oxazines, Isoxazoles and Pyrazoles were synthesized from various Chalcones. The synthesized compounds have been characterized by TLC, Elemental analysis, IR and ¹H NMR Spectroscopy. These compounds were screened for their Anti-inflammatory, Antibacterial and Antifungal activities. Thiazine, oxazine, andosoxazole and pyrazole were prepared from chalcones by different reagents like thiourea, urea, and hydrazine respectively.

3. Conclusion

1,3-Thiazine derivatives are synthesized by reacting chalcones with thiourea in presence of alcoholic NaOH. It was characterized by melting point determination, TLC, solubility profile, I.R, NMR, mass spectrum. The compound shows antibacterial, anti-inflammatory, analgesic, anticonvulsant and antidepressant activities.

4. Acknowledgement

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