A Brief Review Article: Thiazolidines Derivatives and Their Pharmacological Activities.

Hetal R. Makwana, Ali H. Malani

Department of Chemistry, St. Xavier’s College (Autonomous), Navrangpura, Ahmedabad-380009

Abstract: The aim of this review article is to provide a systematic approach on synthesis and various biological activities associated with thiazolidine derivatives. The thiazolidine derivatives are not only synthetically important but also possess various type of biological activities like antimalarial, anti bacterial, antimicrobial, anti-inflammatory, anticancer etc. Thiazolidine derivatives give better pharmacological activity than standard drugs. Thiazolidines, 2, 4 dione, 4-oxo thiazolidine contains basic skeleton of thiazolidine derivatives.

Keywords: Thiazolidines derivatives, pharmacological activity of thiazolidine derivatives, Schiff base

I. Introduction

Thiazolidines are five membered rings with a thio group and amine group. Thio group are always in one and amine group at third position. Thiazolidines may be synthesized by condensation between a thiol and various types of aldehyde or ketone. Thiazolidine moieties are known to have various type of biological activity like antiviral [1], anticancer [2], [3], anti-tubercular [4], and antimicrobial [5-17] etc.

![Thiazolidine](image)

Various type of drug contains a thiazolidine ring. Pioglitazone is a drug usually used for treating hyperglycemia; It is also used for reducing blood pressure. Penicillin is a well known anti-biotic used for treating many types of bacterial infections.

II. Physical Properties

<table>
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<th>Property</th>
<th>Value</th>
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</thead>
<tbody>
<tr>
<td>Molecular formula</td>
<td>C₅H₇NS</td>
</tr>
<tr>
<td>Molecular Weight</td>
<td>89.16 gm/mole</td>
</tr>
<tr>
<td>pH Value</td>
<td>&gt;6</td>
</tr>
<tr>
<td>Rf Value</td>
<td>0.45</td>
</tr>
</tbody>
</table>

III. Importance Of Biological Activity

The thiazolidine ring has been incorporated into various type of biological compounds either a substituents group or a replacement of another ring. Researchers have prepared a various compounds containing this moiety.

3.1 Anti Microbial Activity
Antimicrobial is agent and they kill microorganisms or stop their growth.
Pandeya et al [18] derived a series of Schiff base and Mannich bases, prepared from isatin derivatives. Synthesized Compounds were evaluated for Antimicrobial activity by agar diffusion method.
Ranjana et al.[19] prepared a series of phthalimido [2-aryl-3-(5′-(4′-pyridyl)-1′,3′,4′-thiadiazol-2′-yl)-4-oxothiazolidin-5-yl] ethanoates. The synthesized compounds were analyzed for antimicrobial activity against *Escherichia coli*, *Proteus vulgaris*, *Klebsiella pneumonia*, *Pseudomonas auregenosa*, *Salmonella typhi* and *Bacillus subtilis* bacterial strain by cup or well method.

Meltem Ceylan et al.[20] prepared 3-(substituted-benzyl)-5-(4-chloro-2-piperidin-1yl-thiazole-5-ylmethylene)-thiazolidine-2,4-dione derivatives and evaluated their anti-microbial activity against *Staphylococcus aureus* ATCC 250 and *Escherichia coli*.

Vagdevi H. M et al.[21] synthesized 2-[2-(2-Aryl-4-thiazolidinono) thiazol-4-yl] naphtha furans and found their antimicrobial activity against *Staphylococcus aureus*, *Klebsiellapneumonia*, *Aspergillus niger* and *Candida albicans* by cup-plate method.

Bhoot D. P. et al.[22] prepared a series of 2-arylimino-3-aryl-5-[5′-(3,4-dichlorophenyl)-2′furylidene]-4-thiazolidinones and analyzed their anti-microbial activity against *E. coli*, *P. vulgaris*. 

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Sharma M. C. et al [23] prepared a series of N-(5-methyl-4-oxo-thiazolidin-3-yl)-nicotinamide and analyzed the anti microbial activity against B. Subtilis, S. aureus, E. coli, A. niger and C. albicans.


3.2 Anti Bacterial Activity

Singh et al [26] prepared a series of thiazolyl-thiazolidinylbenzo-thiazoles and analyzed for their antibacterial activity against Gram-positive bacteria S.aureus and E.coli.
Sayed et al [27] prepared a series of 3-(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)-2-(2-hydroxy-3,5-diiodophenyl)-thiazolidin-4-one which showed antibacterial activity against *E.coli*, *B.subtilis* and *S.typhi* respectively.

Gududuru et al [28] prepared a series of 2-arylthiazolidine-4-carboxylic acid amides that showed activity in prostate cancer.

Raheman et al [29] prepared a series of thiazolidine derivatives and showed activity against human cancer cells.

3.3 Anticancer Activity

Cancer is a group of diseases involving abnormal cell growth with the potential to invade or spread to other parts of the body. The majority of cancers, some 90–95% of cases, are due to environmental factors. The remaining 5–10% due to inherited genetics. Therefore the researchers developed the new effective anti cancer drugs.
3.4 Analgesic Activity
Analgesic drugs are used for relief from pain. Ottana et al [30] prepared 3,3’-(1,2-ethanediyl)-bis[2-aryl-4-thiazolidinone] and analyzed for their analgesic activity.

![Figure 14](image)

Bhati et al [31] investigated the analgesic activity of 2-aryl-3-[5-[[1,3,4]thiadiazino[6,5-b]indol-3-ylamino)methyl]-1,3,4-thiadiazol-2-y1]-1,3-thiazolidin-4-one.

![Figure 15](image)

3.5 Anti Inflammatory Activity
Uchova et al [32] prepared (5Z, E)-3-[2-(4-chlorophenyl)-2-oxoethy1]-5-(1H-indol-3-ylmethylene)-thiazolidine-2,4-dione which showed 67.2% inhibition zone.

![Figure 16](image)

Amin et al [33] investigated the series of spiro [(2H, 3H) quinazoline-2,10-cyclohexan]-4(1H)-one and analyzed their anti inflammatory activity.

![Figure 17](image)

A series of 2-(3-Aryl-1-phenyl-1H-pyrazole-4-y1)-3-(4-fluorobenzyl)-4-oxothiazolidine compounds gave less activity compared to indomethacin.
3.6 Antidepressant Activity

Antidepressants drugs are used for the treatment of major depressive disorder and other conditions like dysthymia, anxiety disorders etc. Akulla et al [34] investigated 3-[1H-benzimidazole-2-yl-amino]-2-phenyl-1,3-thiazolidin-4-one gave the promising anti-depressant activity.

3.7 Anti Hiv Activity

Chen et al [35] derived a series of 2-(2, 6-dihalophenyl)-3-(4, 6-dimethyl-5-(un)substituted-pyrimidin-2-yl)-thiazolidin-4-ones and evaluated this compound for their anti HIV activity.

2-aryl-3-(4, 5, 6-trimethylpyrimidin-2-yl) thiazolidin-4-ones compounds give anti HIV activity.
3.8 Trypanocidal Activity

Pizzo et al. [36] synthesized a series of 3-aryl-2-(a-naphtyl)-4-thiazolidinones has synthesized and analysis for their biological activity. Compound 3-(4-bromophenyl)-2-(a-naphthyl)-1,3-Thiazolidin-4-one gives 91.4% anti epimastigote activity.

3.9 Anticonvulsant Activity

Anticonvulsants drugs are a diverse group of pharmacological agents used in the treatment of epileptic seizures. Anticonvulsant drugs are also known as anti-seizure drugs or antiepileptic drugs. Amin et al. investigated some new substituted coumarinyl thiazolines, coumarinyl Thiazolidin-4-ones and substituted chromenothiazoles and evaluated for the anticonvulsant activity.

Wilson Cunico et al. [38] prepared a series of 3-(4-[2-alkylphenyl]-4-oxo-1,3-thiazolidin-3-yl]-1,3,4-thiadiazol-2-yl)methylamino)-2-methyl-6-monosubstituted-quinazolin-4(3H)-one.

IV. Marketed Drugs

Pharmaceutical drugs are used to treat or cure or to prevent a disease or to promote well-being. The drug synthesized by organic reaction. The drug used in treatment of bacterial infection and also drug decrease the blood sugar in our body.
4.1 Rosiglitazone
Its trade name is Avandia. It is an antidiabetic drug in the thiazolidine derivatives class of drug. This drug used in decreasing blood sugar. [37]

4.2 Pioglitazone
Its trade name is Actos. This drug is used in decrease the blood sugar and also used in cardiovascular treatment. It also used in the treatment of high depression in person. This drug is not used for with hypersensitivity person [39].

4.3 Troglitazone
Its trade name is Rezulin, Resulin, Romozin, Noscal. It is an antidiabetic and anti-inflammatory drug. It was developed by Japan [40].

4.4 Benzylpenicillin
It’s also known as a penicillin G. It is used in bacterial infection in body. This drug was discovered in 1929 and used in 1942. It is on the World Health Organization’s List of Essential Medicines, the most effective and safe medicines needed in a health System [41].

4.5 Teneligliptin
It is also known as a Tenelia. It used in treatment of 2 types of diabetes mellitus.
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V. Conclusion

Finally, we may conclude that heterocyclic compounds containing Thiazolidine moiety plays a very significant role in the field of medicinal chemistry. It shows a wide range of biological activity ranging from anti-hypertensive, anti-malarial, anti-diabetic to simple anti inflammatory activity. Many of these are available in various dosage forms and marketed drugs widely as discussed in this review.

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References