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

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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.1, 3 thiazolidines, 2, 4 dione,4-oxo thiazolidine contains basic skeleton of thiazolidine derivatives.*

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

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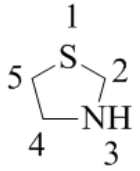
I. Introduction

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
Figure 1

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

Molecular formula C_3H_7NS
Molecule Weight 89.16 gm/mole
P^H Value >6
R_f Value 0.45

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.

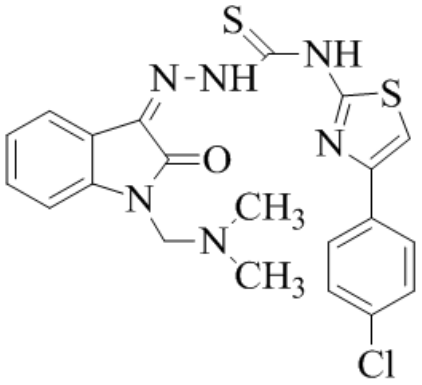
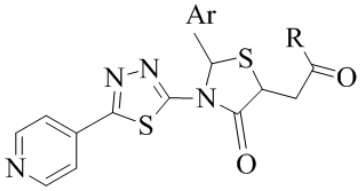


Figure 2

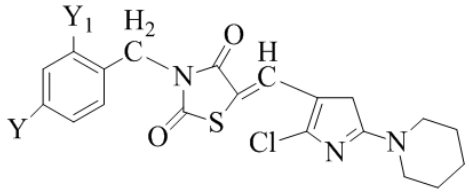
Ranjana *et al* [19] prepared a series of phthalimido [2-aryl-3-(5'-(4''-pyridyl)-1',3',4'-thiadiazol-2'-yl)-4-oxothiazolidin-5-yl] ethanoates .The synthesized compounds were analyzed for antimicrobial activity against *Escherichia coli,Proteus vulgaris, Klebsiella pneumoniae, Pseudomonas auregenosa, Salmonella typhi* and *Bacillussubtilis bacteria*l strain by cup or well method **.**



Ar= 4-OCH_3, 3-$NO_2C_6H_4$, $4NO_2C_6H_4$,

Figure 3

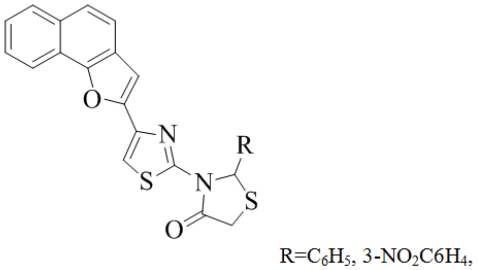
Meltem Ceylan *et al* [20] prepared 3-(substituted-benzyl)-5-(4-chloro-2-piperidin-1yl-thiazole-5-ylmethylene)-thiazolidine-2,4-dione derivatives and evaluated their anti -microbial activity against *Staphylococcus aureus* ATCC 250 and *Escherichia coli*.



Y= H
Y_1=H, Cl

Figure 4

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.



R=C_6H_5, 3-NO_2C6H_4,

Figure 5

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*.

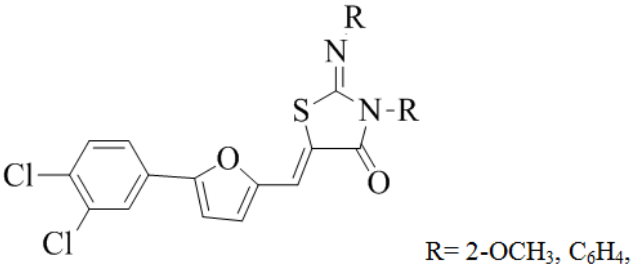


Figure 6

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.

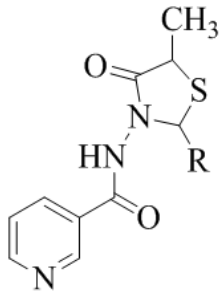


Figure 7

Paola Vicini *et al* [24] prepared a series of 2-Heteroarylimino-5-benzylidene-4-thiazolidinones analogues of 2-thiazolylimino-5-benzylidene-4-thiazolidinones and analyzed the anti- microbial activity.

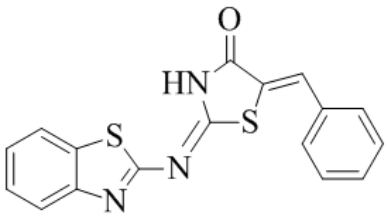


Figure 8

3.2 Anti Bacterial Activity

Mulwad *et al* [25] prepared a series of N-[coumarin-6-yl] spiro-indoloazetidin-2-ones thiazolidin-4-ones derivatives.

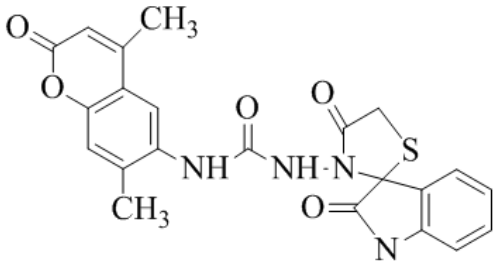


Figure 9

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.*

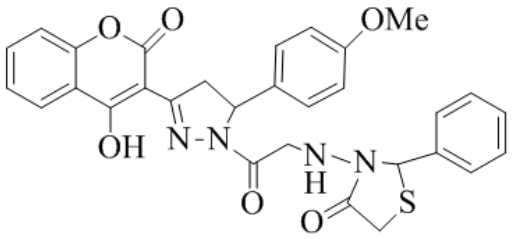


Figure 10

Sayed *et al* [27] prepared a series of 3-(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1*H*-pyrazol-4-yl)-2-(2-hydroxy-3,5-diiodophenyl)-thiazolidin -4-one which showed antibacterial activity against *E.coli,B.subtillis* and *S.typhi* respectively.

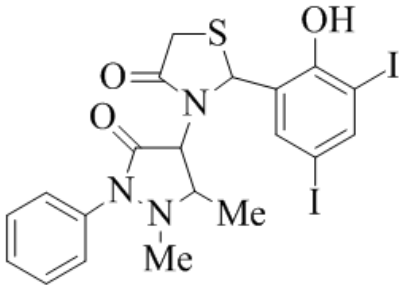


Figure 11

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.

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

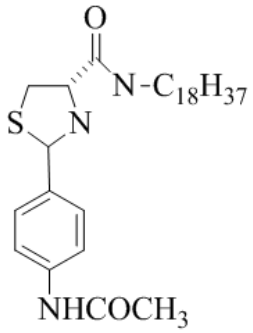


Figure 12

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

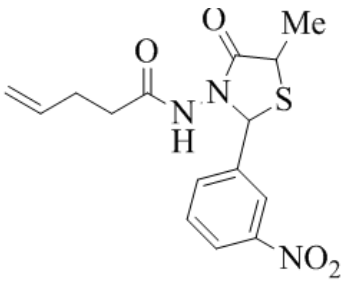


Figure 13

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.

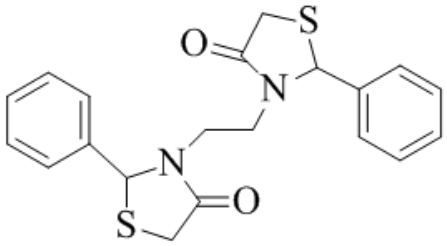


Figure 14

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-yl}-1,3-thiazolidin-4-one.

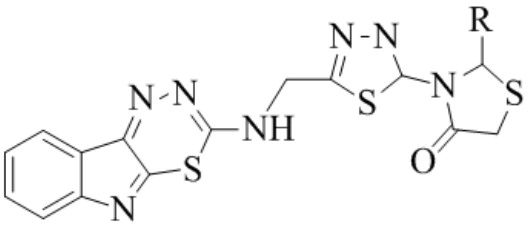


Figure 15

3.5 Anti Inflammatory Activity

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

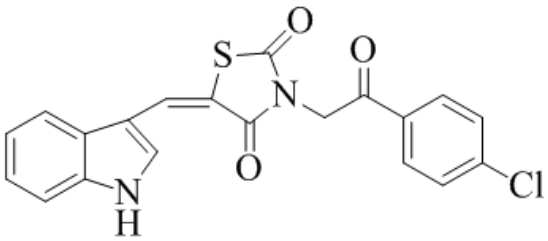


Figure 16

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

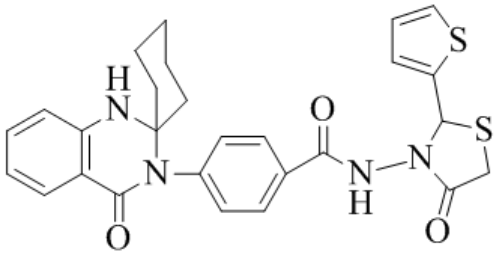


Figure 17

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

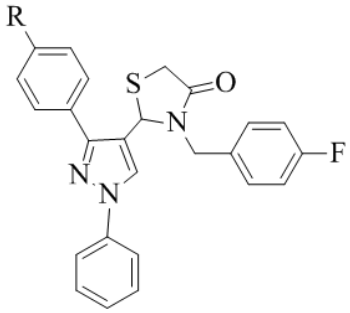


Figure 18

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-[1*H*-benzimidazole-2-yl-amino]-2-phenyl-1,3-thiazolidin-4-one gave the promising anti depressant activity.

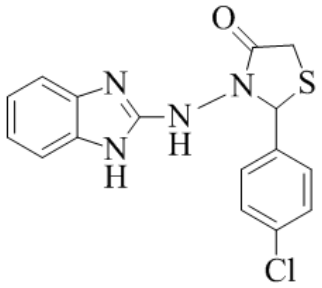


Figure 19

Series of 3-[(3-substituted-5-methyl-4-thiazolidinon-2 ylidene) hydrazono]-1*H*-2-indolinone compounds gave anti depressant activity.

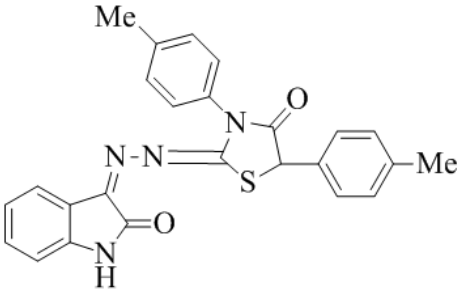


Figure 20

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.

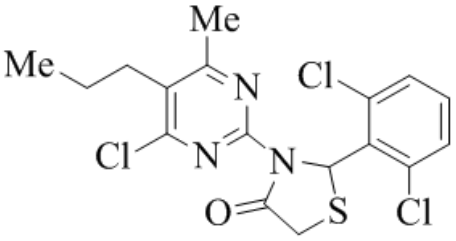


Figure 21

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

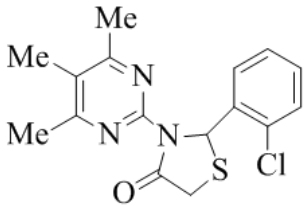


Figure 22

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.

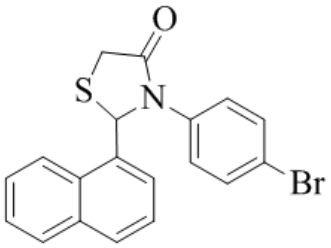


Figure 23

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.

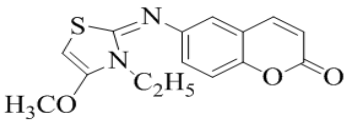


Figure 24

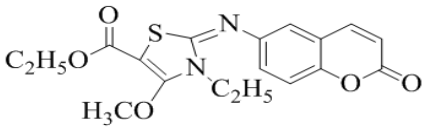


Figure 25

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(3*H*)-one.

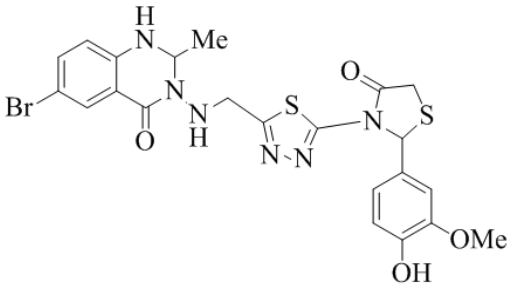


Figure 26

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]

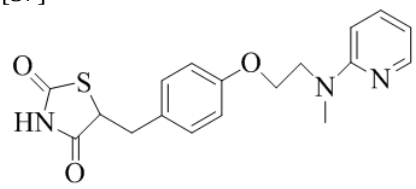


Figure 27

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].

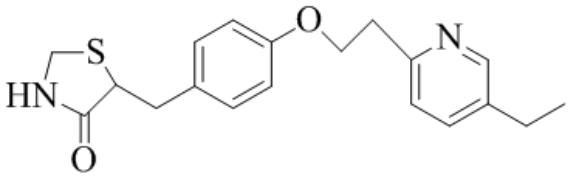


Figure 28

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].

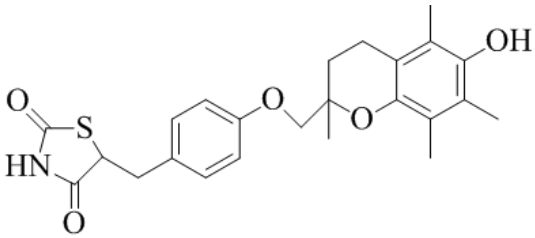


Figure 29

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].

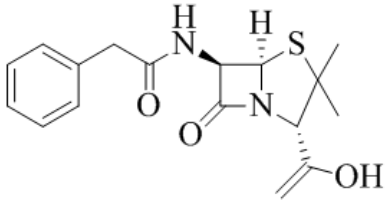


Figure 30

4.5 Teneligliptin

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

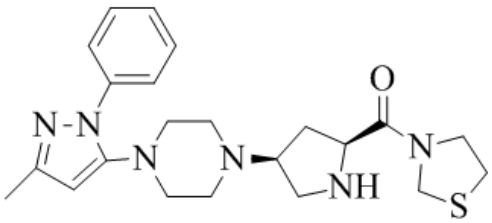


Figure 31

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.

Acknowledgement:

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