Biological Activities of Thiazolidine – A Review

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Abstract: Article is based on the different pharmacological aspects of thiazolidine ring. From the last decade a lot of work is going on the thiazolidine ring. Scientist had developed a lot of new compound related to this moiety. They have screened them for different pharmacological activities to get a molecule which have good pharmacological activity with least adverse effects.

The thiazolidine is not only synthetically important scaffold but also possesses a wide range of promising biological activities. Some thiazolidine derivatives have better activity than standard drugs and could become a new drug for the market in future.

This thiazolidine has shown its importance as antimicrobial, anti-inflammatory, anticonvulsant, antimalarial, analgesic, anti-HIV and anticancer agent.

Keywords- Thiazolidine, anticancer, anti-inflammatory, Biological activities, Future aspect

Introduction

Thiazolidines are a class of hetrocyclic organic compounds having a 5 membered saturated ring with a thio ether group at 1 position and an amine group in the 3 position. It is sulfur analogue of oxazolidine. Thiazolidines may be synthesized by a condensation reaction between a thiol and an aldehyde or ketone. It is a reversible reaction. Therefore many thiazolidines are labile towards hydrolysis in aqueous solution. Hydrolysis of the thiazolidine generates the thiol and an aldehyde from which it was synthesized [1].

Physical Properties of Thiazolidine

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
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</thead>
<tbody>
<tr>
<td>Melting Point</td>
<td>326.69 [K]</td>
</tr>
<tr>
<td>( \log p )</td>
<td>0.46</td>
</tr>
<tr>
<td>Molecular Formula</td>
<td>C₃H₇NS</td>
</tr>
<tr>
<td>Molecular Weight</td>
<td>89.16</td>
</tr>
<tr>
<td>pH Value</td>
<td>&gt; 6</td>
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<tr>
<td>( R_f ) Value</td>
<td>0.45</td>
</tr>
</tbody>
</table>

Biological Activities of Thiazolidine Derivatives

Antimicrobial activity

- Pandey et al. [2] prepared a series of Schiff and Mannich bases, derived from isatin derivatives and N-[4-(4’chlorophenyl) thiazol-2-yl] thiosemicarbazide. Antimicrobial investigation of synthesized compounds was done by agar dilution method against 28 pathogenic bacteria, 8 pathogenic fungi and anti-HIV-1 in MT-4 cells.

- Among the synthesized compounds, compound [I] showed the most favorable antimicrobial activity.
Shiradkar et al. [3] reported a series of N-{4-[(4-amino-5-sulphanyl-4H-1, 2, 4-triazol-3-yl) methyl]-1, 3-thiazol-2-yl}-2-substituted amide derivatives. These compounds were tested for their preliminary in-vitro antibacterial activity against S. aureus, E. coli, P. aeroginosa and S. typhosa and then were screened for antitubercular activity against M. tuberculosis H37Rv strain by both micro dilution assay method. Compound [II] and [III] showed best activity. They revealed that the compounds showing more than 90% inhibition were obtained by S-alkylation with acetonitrile. It was noted that the cyano group did not have any role in increasing in the activity.

Several new N-[(4-oxo-2-substituted aryl-1, 3-thiazolidine)-acetamidyl]-5-nitroindazoles were synthesized by Upadhyay A. et al. [4] from N-(arylidene amino acetamidyl)-5-nitroindazoles. The reactions were carried out by both conventional as well as microwave method. The structures of these compounds were confirmed by IR, 1HNMR, 13C NMR, FAB-mass spectra and also by micro analytical data. The newly synthesized compounds were evaluated for their antimicrobial activity against bacterial and fungal strains. The compound [IV] and [V] show the maximum antibacterial activity (MIC 11 and 10 mg/mL) against Escherichia coli and antifungal activity (MIC 9 and 8 mg/mL) against Fusarium oxysporum.

Gududuru et al. [5] described the synthesis and biological evaluation of new 2-aryl-4-oxo-thiazoilidin-3-yl amides against prostate cancer cells. The antiproliferative effects of synthesized compounds were examined in five human prostate cancer cell lines (DU-145, PC-3, LNCaP, PPC-1 and TSU). Three potent compounds have been identified (VI, VII and VIII), which are effective in killing prostate cancer cells with improved selectivity compared to serine amide phosphates (SAPs).
Anti-inflammatory and Analgesic activity

- Taranalli AD et al. [6] synthesized a series of thiazolidine-4-one derivatives from sulfanilamide and evaluated for anti-inflammatory, analgesic and anti-ulcer activity. Anti-inflammatory activity was investigated by carrageenan induced rat paw edema method and analgesic activity by acetic acid induced writhing and rat caudal immersion method. Anti-ulcer activity was investigated by pylorus ligation ulcer model. The anti-inflammatory, analgesic and antiulcer activity was performed in 100 mg/kg b.w. rats. The nimesulide was used as standard drug for comparison. The compound [IX] and compound [X] with substitution R'-CH₃ showed potential activity.

- Kumar et al. [7] synthesized a group of 3-[4'(p-clorophenyl)-thiazol-2'-yl]-2-[(substituted azetidinone/thiazolidinone)-aminomethyl]-6-bromoquinazolin-4-ones and screened them for anti-inflammatory and analgesic activities. Compound [XI] was found to be most active in both the activities. They found that the presence of thiazolidinone ring have shown much better anti-inflammatory and analgesic activity at 50 mg/kg po as compared to their parent compounds.

- Holla et al. [8] reported the different series of arylaminothiazoles, arylidene/5-aryl-2-furfurylidene hydrazinothiazoles and screened them for their antibacterial and anti-inflammatory activities. Two of the newly synthesized compounds [XII] and [XIII] showed anti-inflammatory activity and were found to be most active.

Anti-HIV activity

- Jan Balzarini et al. [9] synthesized a series of novel thiazolidin-4-ones bearing a lipophilic adamantyl substituent at position 2, and versatile substituents on the nitrogen atom of the thiazolidine ring, whereas several compounds exhibited a modest anti-HIV-1 activity, (+)-2-adamantan-1-y1-3-(4,6-dimethyl-pyridin-2-yl)-thiazolidin-4-one [XIV] was endowed with a remarkable antiviral potency (EC50 ¼ 0.35 mM). The adamantane moiety played an important role in the eventual antiviral activity of the compound. This compound behaved as a typical non-nucleoside reverse transcriptase (RT) inhibitor (NNRTI) with non-competitive inhibition against RT with respect to the substrate (Ki ¼ 12 mM).
The anti-HIV activity of several series of 2, 3-diaryl-1, 3-thiazolidin-4-ones [XV] has been studied by Chavan, Y.B. et al. [10, 11, 12]. Which are reported as a new family of antiviral agents acting as NNRTIs with minimal cytotoxicity.

Antimalarial activity

Solomon et al. [13] reported the synthesis of chloroquine analogues having a 1, 3-thiazolidin-4-one nucleus at the terminal side chain amino group of 4-aminoquinoline [XVI]. All compounds were evaluated for their antimalarial activity against P. falciparum in-vitro and some compounds that have shown their activity comparable to standard drug were also evaluated against P. yoelli in-vivo. The best compound (IC\textsubscript{50} = 0.039 μM) posses superior in-vitro activity compared to chloroquine.

Anticonvulsant activity

Amin et al. [14] reported some new substituted coumarinyl thiazolines, coumarinyl thiazolidin-4-ones and substituted chromenothiazoles and evaluated for the anticonvulsant activity. Compounds [XVII] and [XVIII] were the most active against PTZ induced seizures.

Several 5-[(2-phenyl-4-oxo-thiazolidin-3-yl) amino]-2-oxo-thio barbituric acids [XIX and XX] [15] and 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\textsubscript{H})-one [XXI] [16] have been synthesized by Wilson Cunico et al. and screened in-vivo for their anticonvulsant activity.
Antioxidant activity

Shih et al. [17] synthesized a series of sydnonyl substituted thiazolidinone and thiazoline derivatives and evaluated for their antioxidant activity. The antioxidant activity of derivatives of compound [XXII] have been found to exhibit the significant DPPH (1, 1-diphenyl-2-picrylhydrazyl) radical scavenging activity, comparable to that of vitamin E.

Conclusion

In this article, we review the recently literature data of synthesis and biological activities of thiazolidine. The thiazolidine is not only synthetically important scaffold but also possesses a wide range of promising biological activities. Some thiazolidine derivatives have better activity than standard drugs and could become a new drug for the market in future.

Future Aspect

Future investigation could give some interesting results on substitution at various position of thiazolidine ring.

References


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