

UNIFICATION AND PATHOGENIC EXAMINATION OF 5-ARYLIDENE-4-THIAZOLIDINONE DERIVATIVES

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ABSTRACT:

Wonder drugs (Antibiotics) protecting efficacy is acknowledge unique class of globe's larger public fitness concerns. A medicament which is operating in the therapy, prevention of bacterial contamination, inhibits or destroy the growth of microbes called wonder drugs. To terminate this complications in the process of our scheme point out the unification of heterocyclic units having thiazolidinone loop. Variant substituted 5-arylidene-4-thiazolidinones by products are prepared by "Knoevenagel condensation" path with good turnout and put to the test toward gram-positive and gram-negative microorganisms for antimicrobes action. The synthesized combos were established on the evidence of final elementary conclusive test Infra red and ¹H NMR spectral outline.

Key words: Thiazolidinones, Wonder drugs, Knoevenagel condensation, Antimicrobes action.

INTRODUCTION:

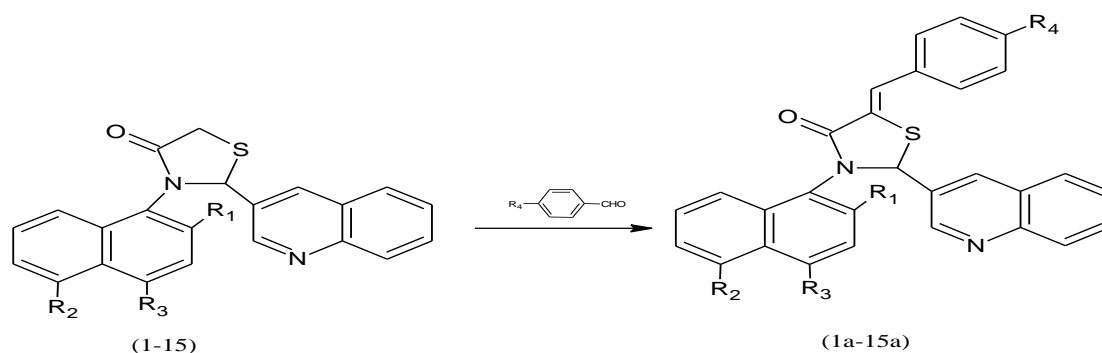
Unique principle of remedial, pharmaceutical and organic chemistry is drafting, yielding and unification of unit possess beneficial curative factors for human life due to the medication of infective disorders quiet remain important and demanding difficulties due to the developing number of multi-drug repellent pathogens both Gram +Ve and Gram -Ve microbes. For this reason expressing biotic activities by pathogens inspire us to solve all these problems. In the process of our scheme point out the unification of heterocyclic units having thiazolidinone loop. Variant routs are build-up to develop derivatives of 5-arylidene-4-thiazolidinones. The appropriate and typical is "Knoevenagel condensation" path by condensation of 4-thiazolidinones and aromatic aldehydes through the medium glacial acetic acid embodied anhydrous sodium acetate and finally we get end yield with good turnout. The 5-arylidene spin-off of thiazolidin-4-one has outstanding fungistatic influence than ancestor 4-thiazolidinones ¹. The 5-arylidene spin-off of thiazolidin-4-ones display diversified bioactivities like anti-inflammatory ²⁻³, antifungal ⁴⁻⁵, antibacterial ⁶⁻⁷, anticonvulsant ⁸, antiviral ⁹⁻¹⁰, anticancer ¹¹⁻¹², analgesic ¹³, anthelmintic ¹⁴ movement. The literature survey provides details about the occupancy of specific body such as methoxy, thio; hydroxy in aromatic ring has been increase the activity of compounds than ancestor. End yield with good turnout put to the test toward gram-positive and gram-negative microorganisms for antimicrobes action. The synthesized combos were established on the evidence of final elementary conclusive test Infra red and ¹H NMR spectral outline.

METHODOLOGY:

Whole solvents and synthetic compounds were utilize commercial or LR grade, and were passed down without additional absolution. In the first place synthesized compositions are making clean by recrystallisation utilizing applicable solvents. The melting points (°C) were recorded by open capillary tubes method and were uncorrected. IR (Infra red) spectra's were check out on Shimadzu FTIR using KBr discs. ¹H NMR spectral field was mark out over Bruker Avance II 400 spectrometer in CDCl₃ utilizing TMS as an internal standard remark. Chemical shift is given in δppm.

General outline for construction of 5-arylidene-4-thiazolidinone derivatives:

The substituted 4-thiazolidinones compound (0.01M) and anhydrous sodium acetate (0.01M) in glacial acetic acid (35 mL), was added the respective aromatic aldehydes (0.01M). The admixture was inflaming reflux for the time 9-10 hours and move into ice cool water. The precipitate was cleaned of impurities and crystallized from acetic acid. Physical and spectral outlines are listed down. The synthetic path use for the construction of the plan moiety was display in scheme.



Scheme

Spectral analysis of: (7) comp.

IR (vmax) (cm-1): 1271 (C-N Str.), 1710 (C=O Str.), 3036 (=CH Str. in Ar), 1250 (C-OC Str.), 636 (C-S-C Str.).

NMR (δ ppm): 3.6 (s, 3H, OCH₃), 2.4 (s, 3H, CH₃), 6.31- 7.55 (m, 17H, Ar-H), 5.82(s,1H,S-CH-N).

table: 1

Comp.	R ₁	R ₂	R ₃	R ₄	Molecular Formula	MP °C	% Yield	R.F. Value	% Nitrogen	
									Found	Calculated
1a	H	SO ₃ H	H	H	C ₂₉ H ₂₀ N ₂ O ₄ S ₂	177	52	0.60	5.32	5.34
2a	CH ₃	H	H	H	C ₃₀ H ₂₂ N ₂ OS	180	46	0.55	6.8	6.11
3a	H	NO ₂	H	H	C ₂₉ H ₁₉ N ₃ O ₃ S	192	52	0.61	8.55	8.58
4a	H	H	H	H	C ₂₉ H ₂₀ N ₂ OS	181	51	0.54	6.29	6.30
5a	H	H	Br	H	C ₂₉ H ₁₉ BrN ₂ OS	160	45	0.50	5.34	5.35
6a	H	SO ₃ H	H	OCH ₃	C ₃₀ H ₂₂ N ₂ O ₅ S ₂	221	52	0.54	5.02	5.05
7a	CH ₃	H	H	OCH ₃	C ₃₁ H ₂₄ N ₂ O ₂ S	198	50	0.52	5.70	5.73
8a	H	NO ₂	H	OCH ₃	C ₃₀ H ₂₁ N ₃ O ₄ S	198	52	0.57	8.06	8.09
9a	H	H	H	OCH ₃	C ₃₀ H ₂₂ N ₂ O ₂ S	186	44	0.60	5.90	5.90
10a	H	H	Br	OCH ₃	C ₃₀ H ₂₁ BrN ₂ O ₂ S	185	51	0.52	5.03	5.06
11a	H	SO ₃ H	H	OH	C ₂₉ H ₂₀ N ₂ O ₅ S ₂	232	45	0.53	5.16	5.18
12a	CH ₃	H	H	OH	C ₃₀ H ₂₂ N ₂ O ₂ S	223	52	0.51	5.88	5.90
13a	H	NO ₂	H	OH	C ₂₉ H ₁₉ N ₃ O ₄ S	212	49	0.54	8.28	8.31
14a	H	H	H	OH	C ₂₉ H ₂₀ N ₂ O ₂ S	207	52	0.56	6.05	6.08
15a	H	H	Br	OH	C ₂₉ H ₁₉ BrN ₂ O ₂ S	172	50	0.52	5.17	5.19

ANTIMICROBIAL ACTIVITY:

The antimicrobial action of prepared compounds 5-arylidene derivatives of thiazolidin-4-ones was examined methodically against strains of bacteria Gram-negative (*Escherichia coli* and *Pseudomonas aeruginosa*) and Gram-positive (*Staphylococcus Aureus* and *Bacillus subtilis*). Bacteria exhibit valuable work toward all microbes species. By the evidence of screening data it was observed that these heterocyclic compounds can be easily utilized for the medication of disease caused by test microbes (Table 2).

table: 2

Sr. No.	Compounds	Gram negative		Gram positive	
		<i>E. coli</i>	<i>P. aeruginosa</i>	<i>S. Aureus</i>	<i>B. subtilis</i>
1	1a	14	15	15	13
2	2a	13	15	12	14
3	3a	16	18	18	16
4	4a	12	11	12	10
5	5a	17	18	16	14
6	6a	14	16	12	11
7	7a	13	15	11	16
8	8a	18	15	17	16
9	9a	14	12	10	07
10	10a	16	18	14	15
11	11a	15	11	14	16
12	12a	13	15	12	14
13	13a	18	17	17	16
14	14a	09	12	12	13
15	15a	16	10	13	15

Strongly active range 15-18 mm, Moderately active range 11-14mm, Weakly active range 7-10 mm, Inactive range ---

CONCLUSION:

From above work it was noticed that each compound examined against *S.aureus*, *B.subtilis*, *E.coli*, *P.aeruginosa* are effective. So these compounds can be easily utilized for the medication of diseases caused by test pathogens, only when they do not have toxicant and other side effects.

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REFERENCES:

1. Thirupathi, G., Venkatanarayana, M., Dubey, P. K. and Bharathi Kumari, Y. 2012. Facile and Green Syntheses of Substituted-5-Arylidene-2,4-Thiazolidinediones Using L-Tyrosine as an Eco-Friendly Catalyst in Aqueous Medium. *Der Pharma Chemica*, 4(5): 2009-2013.
2. Garg, A., Chawla, P., Panjwani, D. and Saraf, S. A. 2011. Synthesis of Some Novel 5-Substituted Arylidene-2,4-thiazolidinediones as Bioactive Agents. *International Journal of Pharmaceutical Science and Nanotechnology*, 4(1): 1373-1378.
3. Waghmare, R. A., Bhosle, M. R., Khillare, L. D. and Mane, R. A. 2015. Synthesis and Anti-Inflammatory Evaluation of New 5-Arylidene-3- Methylsulphonyl Thiazolidine-2, 4-Diones. *World Journal of Pharmacy and Pharmaceutical Sciences*, 4(4): 1171-1182.
4. Garnaik, B. and Dash, S. 2014. Recent Advances and Potential Antimicrobial Activities of Thiazolidinone Derivatives. *Asian Journal of Research in Chemistry*, 7(4): 446-457.
5. Abdellatif, R. A. K., Abdelall, K. A. E., Abdelgawad A. M., Abdelhakeem, M. M. and Omar, A. H. 2015. Design and Synthesis of Certain Novel Arylidene Thiazolidinone Derivatives as Anticancer Agents. *Der Pharma Chemica*, 7(8): 149-161.
6. Metwally, H. N., Rateb, M. N. and Zohdi, F. H. 2011. A Simple and Green Procedure for the Synthesis of 5-Arylidene-4-thiazolidinones by Grinding. *Green Chemistry Letters and Reviews*, 4(3): 225-228.
7. Shelke, F. K., Sapkal, B. S., Kakade, K. G., Sadaphal, A. S., Shingate, B. B. and Shingare, S. M. 2010. Alum Catalyzed Simple and Efficient Synthesis of 5-Arylidene-2,4-thiazolidinedione in Aqueous Media. *Green Chemistry Letters and Reviews*, 3(1): 17-21.
8. Solankee, A. N., Patel, K. P. and Patel, R. B. 2012. A Facile Synthesis and Studies of Some New 4-thiazolidinones and 5-Arylidenes. *Advances in Applied Science Research*, 3(1): 117-122.
9. Mohammadi, A., Ghafoori, H., Rassa, M. and Safarnejad, M. 2014. Aryl azo 5-Arylidene-2,4-thiazolidinone Dyes as Novel Antioxidant and Antibacterial Compounds. *Progress in Color Colorants Coatings*, 8(2015): 145-152.
10. Prajwal, L. L., Boja, P., Manjunatha, K., Prathibha, A. and Suchetha Kumari, N. 2012. Novel Thiazolidine-2,4-dione Mannich Bases: Synthesis, Characterization and Antimicrobial Activity. *Der Pharma Chemica*, 4(3): 867-871.
11. Anca, S., Brindusa, T., Mihaela, D., Adrian, P., Philippe, V. and Ovidiu, O. 2014. Synthesis and Antimicrobial Activity of Some New N-(aryloxyalkyl)-5-Arylidene-thiazolidine-2,4-diones. *Journal of Serbian Chemical Society*, 79(2): 115-123.
12. Sharda, M. and Acharya, G. D. 2015. Synthesis and Biological Evaluation of Some New Heterocyclic Derivatives Incorporating Naphthofuran Moiety. *Der Pharma Chemica*, 7(8):25-29.
13. Prajwal, L. L., Boja, P., Jagadeesh Prasad, D. and Suchetha Kumari, N. 2014. Synthesis, Spectroscopic Characterization and Antimicrobial Activity of 5-Arylidene-2-substituted-1,3-thiazol-4-one. *Der Pharma Chemica*, 6(5): 19-23.
14. Solankee, A. N., Patel, K. P. and Patel, R. B. 2012. Efficient Synthesis and Pharmacological Evaluation of Some New 4-Thiazolidinones and 5-Arylidenes. *Archives of Applied Science Research*, 4(1): 72-77.