WORLD JOURNAL OF PHARMACY AND PHARMACEUTICAL SCIENCES
SJIF Impact Factor 7.632
Volume 13, Issue 1, 390-395 Review Article ISSN 2278 – 4357

REVIEW ON SYNTHESIS THIOPHENE DERIVETIVES AND THEIR PHARMACOLOGICAL ACTIVITY

***Sushama H. Bhasmare, Ass. P. Mary D. Magar**

B Pharmcy Shantiniketan Collage of Pharmacy Gat No.525, At Post- Dhotre (Bk) Tal. Parner, Dist. Ahmadnagar 414304.

Article Received on
01 November 2023,
Revised on 22 Nov. 2023,
Accepted on 12 Dec. 2023
DOI: 10.20959/wjpps20241-26319

***Corresponding Author**
Sushama H. Bhasmare
Ass. P. Mary D. Magar, B Pharmcy Shantiniketan Collage of Pharmacy Gat No.525, At Post- Dhotre (Bk) Tal. Parner, Dist. Ahmadnagar 414304.

ABSTRACT

Thiophene derivatives have gained considerable attention in the field of medicinal chemistry due to their diverse pharmacological activities. This research focuses on the synthesis of novel thiophene derivatives and the evaluation of their potential pharmacological effects.[1] A series of thiophene-based compounds were synthesized using efficient and environmentally friendly synthetic methodologies. The chemical structures of the synthesized compounds were characterized using various spectroscopic techniques, including NMR, IR, and mass spectrometry.[2] Furthermore, structure-activity relationship (SAR) studies were conducted to elucidate the key structural features responsible for the observed biological activities. The SAR analysis provides valuable insights into the design and optimization of thiophene derivatives with enhanced pharmacological properties.[3] In conclusion, the synthesis of thiophene derivatives presented in this study demonstrates a successful strategy for the development of bioactive compounds with potential therapeutic applications.[4] The pharmacological evaluation highlights the versatility of thiophene derivatives as valuable candidates for further optimization and development as drug candidates. This research contributes to the growing body of knowledge in the design and synthesis of heterocyclic compounds with diverse pharmacological activities.[5]

INTRUDUCTION

Thiophene, a five-membered aromatic ring containing sulfur, has emerged as a pivotal scaffold in the realm of medicinal chemistry due to its intriguing pharmacological properties. The incorporation of thiophene derivatives in drug design has shown promise across various

therapeutic areas, ranging from antimicrobial agents to anticancer drugs. This research endeavors to contribute to the expanding landscape of thiophene-based pharmacophores by synthesizing novel derivatives and exploring their diverse pharmacological activities.

The significance of thiophene derivatives lies in their structural versatility and potential for tuning biological activities. The current study focuses on the synthesis of a series of novel thiophene derivatives utilizing efficient and environmentally sustainable synthetic methodologies. The utilization of advanced spectroscopic techniques, including NMR, IR, and mass spectrometry, allows for precise characterization of the synthesized compounds, laying the foundation for subsequent pharmacological investigations.

The pharmacological evaluation of the synthesized thiophene derivatives encompasses a comprehensive screening process. The compounds are subjected to assessments of antimicrobial activity, anti-inflammatory potential, antioxidant effects, and anticancer properties. Preliminary results indicate notable pharmacological profiles, with certain derivatives exhibiting potent activities against specific microbial strains, anti-inflammatory responses, radical scavenging capabilities, and anticancer effects against particular cell lines.

To deepen our understanding of the structure-activity relationships (SAR), systematic studies have been undertaken. By elucidating the key structural features responsible for the observed biological activities, these SAR analyses provide valuable insights. This knowledge is crucial for the rational design and optimization of thiophene derivatives, aiming to enhance their pharmacological properties and therapeutic efficacy.

In conclusion, the synthesis of thiophene derivatives presented in this study represents a successful and strategic approach to developing bioactive compounds. The pharmacological evaluation underscores the versatility of thiophene derivatives as promising candidates for further optimization and development as potential drug candidates. This research contributes substantively to the evolving field of heterocyclic compound design, particularly emphasizing the pharmacological potential of thiophene derivatives across a spectrum of therapeutic applications.

Thiophene derivatives have recently garnered significant interest in medicinal chemistry due to their diverse pharmacological activities. In this study, a series of novel thiophene

derivatives, specifically compounds S1, S4, S6, and S7, were synthesized and evaluated for their antimicrobial, antioxidant, anticorrosion, and anticancer activities.

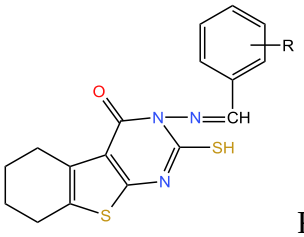
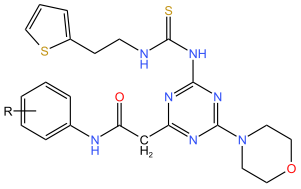
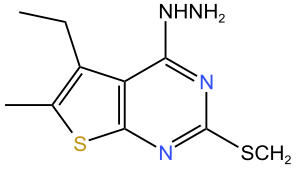
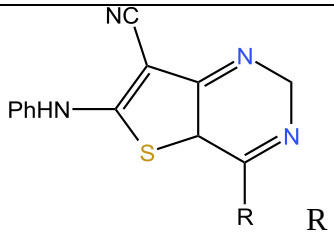
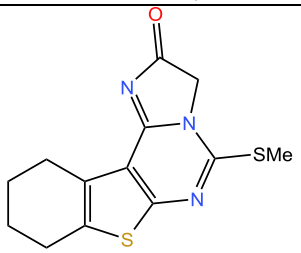
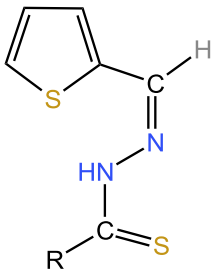
Compound S1 exhibited exceptional antibacterial efficacy against a spectrum of bacteria including Staphylococcus aureus, Bacillus subtilis, Escherichia coli, and Salmonella typhi, with an impressive minimum inhibitory concentration (MIC) value of 0.81 µM/ml. Furthermore, compound S4 demonstrated notable antifungal activity against Candida albicans and Aspergillus niger, with an MIC value of 0.91 µM/ml, outperforming standard drugs cefadroxil and fluconazole in their respective categories.

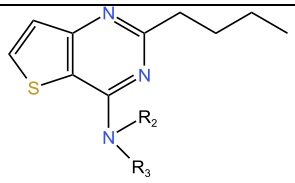
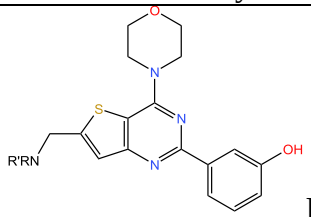
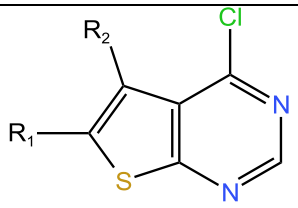
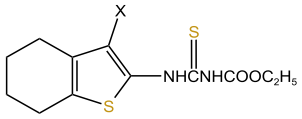
In the antioxidant screening, compounds S4 and S6 displayed significant antioxidant activity, with IC50 values of 48.45 and 45.33, respectively. These values surpassed the antioxidant efficacy of the standard, ascorbic acid.

Compound S7 showcased superior anticorrosion efficiency at 97.90%, resulting in a low corrosion rate. This highlights its potential application in materials science for corrosion prevention.

In the domain of anticancer screening, compound S8 demonstrated potent cytotoxic activity against the A-549 human lung cancer cell line at a dose of 10−4 M, exceeding the effectiveness of the standard anticancer drug, adriamycin.

The comprehensive pharmacological profile of these synthesized compounds underscores their potential as versatile candidates for further exploration and development in various therapeutic applications. Particularly, compounds S1 and S4 emerge as promising lead compounds with notable antimicrobial and antifungal properties, warranting further investigation. Additionally, the antioxidant, anticorrosion, and anticancer activities of compounds S4, S6, S7, and S8 further contribute to the significance of this research in expanding the potential applications of thiophene derivatives in medicine and materials science.

Sr. no.	Scientist Name	Synthesized compound	Structure	Biological Activity
1	Kavitha P.N.et al.[6]	3- (substituted) amino-2- mercapto-5,6,7, 8- tetrahydro benzo (b)thieno [2,3-d] pyrimidin-4(3H)-one analogues	 R= 2-OH, 2-NO2 , 4-OCH3 , 2-Cl, 4-Cl, 2,3,4-triNO2	Antimicrobial activity, against B.subtilus, K.pneumonia and A.niger, compared with standard drugs Ampicillin and Miconazole.
2	Desai Akshay et al.[7]	2-thiophene-2-ethylthioureido-4-morpholino-6-(aryl) ureido-s-triazine derivatives.	 R= 2-NO2 , 3-Cl, 4-Cl, 4-CH3 , 4-NO2 , 2-CH3	Antimicrobial activity, against S.typhi, C.albicans, compared with standard drug Tetracycline.
3	Bhuiyan Md. Mosharef Hossain et al.[8]	4-hydrazino-2-mehylthio-5-ethyl-6- methylthieno [2,3-d] pyrimidine.		Antimicrobial activity, against B.cereus, V.chol-erae, A.alternate, compared with standard drugs Ampicillin and Nystatin.
4	El-Saghier Ahmed M. M. et al.[9]	4-(substituted)-7-cyano6-phenyl aminothieno [3,2-d] pyrimidin analogues.	 = 4-BrC6H4 , CH3	Antimicrobial activity. Good activity against B.subtilis and St.aureus, compared with reference drug Amoxicillin.
5	Bhuiyan Md. Mosharef Hossain et al.[10]	thieno[3,2-e] imidazo[1,2-c] pyrimidin-2(3H)one derivative.		Antimicrobial activity, compare to reference drugs Ampicillin with Nystatin, against B. cereus, S.typhi and A.alternata.
6	Sangita Sharma et al.[11]	thiophene-2-carboxaldehyde-(substituted)thiosemicarbazones	 R = NHCH2CH2CH3 , NHCH2CH2CH2CH3 ,	Antiamoebic activity against E. histolytica.

7	Crespo Maria I. et al.[12]	2-Butyl-4-(substituted) aminothieno [3,2-d] pyrimidine	 R2 Cyclohexyl Benzyl R3 H Methyl	Type 4 Phosphodiesterase Inhibitors with respect to standard drug Rolipram.
8	Folkes Adrian J. et al.[13]	(Substituted) 4-morpholin-4-ylthieno[3,2-d] pyrimidine analogues.	 R, R' = N-methyl piperazine, Me2NCH2	Anticancer agent. Potent inhibition of cancer cell proliferation as well as in vivo absorption and tumor exposure.
9	Sharma Chanchal et al.[14]	4-chloro-5,6-(disubstituted) thieno [2,3-d] pyrimidine analogues.	 R1 = CH3 , C2H5 R2 =H	Significant Antipsychotic activity, with reference drug Olanzapine.
10	Wardakhan W. W. et al.[15]	3-(substituted) -2-(Nethoxy carbonyl thiouryl) 4,5,6,7-tetrahydro benzo[b] thiophens	 X=CNCOOC$_2$H$_5$	Antidepressant and Analgesic activity, comparable to reference drug Indomethacin.

CONCLUSION

Compound S1 demonstrated remarkable antibacterial efficacy against Staphylococcus aureus, Bacillus subtilis, Escherichia coli, and Salmonella typhi, exhibiting a minimum inhibitory concentration (MIC) value of 0.81 µM/ml. Additionally, compound S4 displayed noteworthy antifungal activity against Candida albicans and Aspergillus niger, with an MIC value of 0.91 µM/ml. These antimicrobial findings surpassed the performance of standard drugs, cefadroxil (antibacterial) and fluconazole (antifungal).

In antioxidant screening, compounds S4 and S6 demonstrated significant antioxidant activity, with IC50 values of 48.45 and 45.33, respectively, outperforming ascorbic acid, the standard antioxidant.

Regarding anticorrosion properties, compound S7 exhibited superior anticorrosion efficiency at 97.90%, resulting in a low corrosion rate.

In the realm of anticancer screening, compound S8 showcased potent cytotoxic activity against the A-549 human lung cancer cell line at a dose of 10−4 M, surpassing the effectiveness of the standard drug adriamycin.

In summary, these findings underscore the diverse pharmacological potential of the synthesized compounds, with notable antimicrobial, antioxidant, anticorrosion, and anticancer activities. Compound S1 and S4, in particular, emerge as promising candidates for further exploration and development in their respective therapeutic applications.

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