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RESEARCH ARTICLE

Facile Synthesis of 2-AminoThiazole Derivatives and their Biological Evaluation

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ABSTRACT

An efficient and eco-friendly procedure for the synthesis of 2-Amino thiazole derivatives from different substituted acetophenone and thiourea by using microwave irradiation as a greener approach have been developed. The products were obtained in good to excellent yields and evaluated for their biological activities.

KEYWORDS

2-Amino Thiazole, Microwave Irradiation, Solvent Extraction, Antibacterial Activities

INTRODUCTION

The chemistry of heterocyclic compounds is the most interesting for its theoretical implications due the diversity of its synthetic procedure and physiological and industrial significance. Thiazoles are the members of the azoles heterocycles that includes imidazoles and oxazoles. Thiazoles are structurally similar to imidazoles, with the thiazole sulfur replaced by nitrogen. Heterocyclic compounds play very important role in life processes. Approximately 95% of new drugs contain heterocyclic moieties.

Synthetic heterocycles have wide spread therapeutic uses such as antibacterial[2], antifungal, antimicrobial[1,4,5,7,12], trypanocidal, anti HIV activity, genotoxic, antitubercular[3], antimalarial, herbicidal, analgesic, anti-inflammatory, muscle relaxant, anticancer agents, hypnotics, sedatives, antidepressant, antimalarial, anthelmentic, antiulcer, insecticidal, etc.

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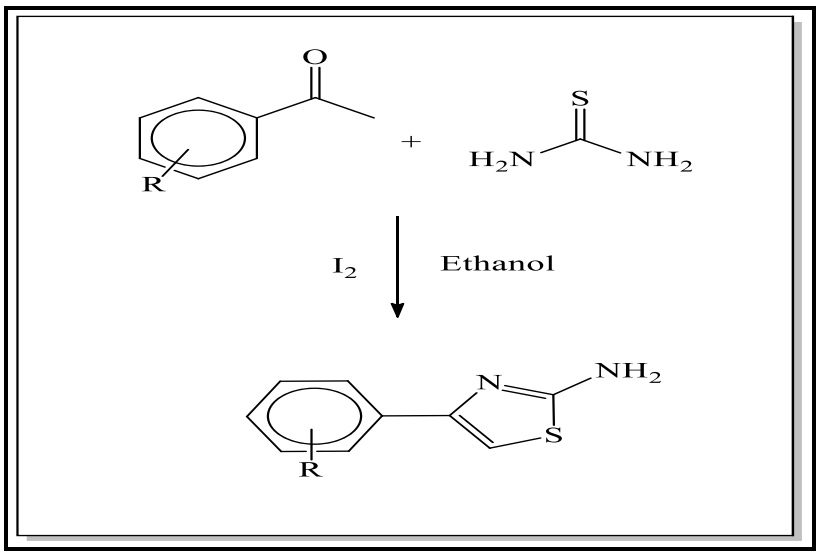
Microwave[9] Assisted Organic Synthesis (MAOS) has shown high impact in increasing the rates of reaction and therefore here we present microwave synthesis of some 2-Amino thiazole derivatives.

PRESENT WORK AND METHODS

A mixture of 0.1 mole of acetophenone, 0.1 mole of iodine and 0.2 mole of thiourea was taken in a 250ml round bottom flask and heated to get the homogenous mixture. Then reaction mixture was subjected to microwave irradiation and formation of product was monitored by TLC. After this reaction mixture was diluted with 100ml water and extracted with ether to remove unreacted iodine and acetophenone. Excess of ether was distilled off. This residue then dissolves in boiling water and filtered to remove sulphur. It was allowed to stand for 30 minutes. Make the reaction mixture alkaline (up to pH 8-9) using ammonium hydroxide solution. The solid obtained was filtered and washed successively with water. The separated solid was recrystallized using ethanol. This procedure was repeated for the synthesis of remaining compounds.



General Scheme

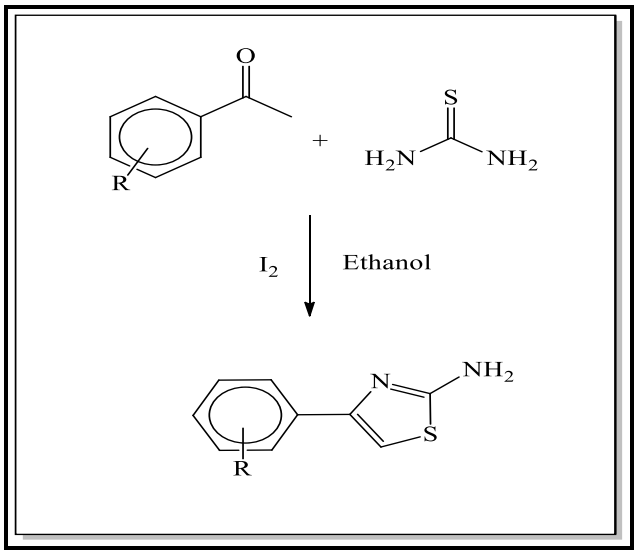
Table 1: Physicochemical data of synthesized 2-Aminothiazole derivatives

Product	**R**	**Time(hours)**	**Yield (%)**	**M.P (^{0}C) Recorded**	**M.P (^{0}C) Reported**
A	H	12	80	148	149-153
B	4-NO_2	10	90	283	283-287
C	2-OH	15	70	142	Not found
D	4-OH	15	65	121	Not found

Table 2: Antibacterial activities of synthesized compounds

Sample	**Bacteria**		**Fungi**	
	E.coli	***B.sub.***	***Fm***	***Af***
A	12	11	8	06
B	14	12	10	12
C	**16**	16	08	09
D	13	**17**	10	09
Penicillin	14	19	16	14

*Zone of inhibition was measured in mm



General Scheme

IR Spectral Analysis

i) 4-phenylthiazol-2-amine (in cm^{-1}) 3436, 3255 (NH_2), 3116 (aromatic C-H), 1599, 1483 (aromatic C=C)

ii) 4-(4-nitrophenyl) thiazol-2-amine (in cm^{-1}) 3398, 3306 (NH_2), 3149 (aromatic C-H), 1594, 1503 (aromatic C=C), 1321, 1537 (NO_2).

iii) 2-(2-aminothiazol-4-yl) phenol (in cm^{-1}) 3416, 3306 (NH_2), 3200 (OH), 3115 (aromatic C-H) 1621, 1490 (aromatic C=C).

iv) 4- (2-aminothiazol-4-yl) phenol (in cm^{-1}) 3600-3200 (NH_2, OH), 1642, 1520 (aromatic C=C).

Biological Evaluation

The work presented deals with the study of antibacterial activities of newly synthesized compounds against selected pathogens. The antibacterial activity results were measured by the average diameter of the inhibition zones, expressed in cm are presented in table. From the table it is concluded that all tested compounds displayed significant activities against all tested organisms. The antibacterial activities of the compounds are moderate.

CONCLUSION

The products were obtained in good to excellent yields. The antibacterial and antifungal activities of the synthesized 2-amino thiazole derivatives are good to moderate.

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REFERENCES

1. Prakasha, K. C., Raghavendra, G. M., Harisha, R., & Gowda, D. C. (2011). Design, Synthesis and antimicrobial screening of amino acids conjugated 2-amino-4-arylthiazole derivatives. *International Journal of Pharmacy and Pharmaceutical Sciences*, *3*(3), 120-125.
2. Chigarambatla Kistayya1, N.G. Raghavendra Rao, B.SanjeevNayak, V.N.Sonar. (2013) *Journal of Advanced Scientific Research*, 4(2), 1-5.
3. Pattan, S. R., Reddy, V. K., Manvi, F. V., Desai, B. G., & Bhat, A. R. (2006). Synthesis of N-3 (4-(4-chlorophenyl thiazole-2-yl)-(2-(amino) methyl)-quinazoline-4 (3H)-one and their derivatives for antitubercular activity. *Indian Journal of Chemistry Section B*, *45*(7), 1778.
4. Pattan, S. R., Ali, M. S., Pattan, J. S., Purohit, S. S., Reddy, V. V. K., & Nataraj, B. R. (2006). Synthesis and microbiological evaluation of 2-acetanilido-4-arylthiazole derivatives. *Indian Journal of Chemistry Section B*, *45*(8), 1929.
5. Singh, N., Sharma, U. S., Sutar, N., Kumar, S., & Sharma, U. K. (2010). Synthesis and antimicrobial activity of some novel 2-amino thiazole derivatives. *Journal of Chemical and Pharmaceutical Research*, *2*(3), 691-698.
6. Geronikaki, A., Hadjipavlou-Litina, D., Chatziopoulos, C., & Soloupis, G. (2003). Synthesis and biological evaluation of new 4, 5-disubstituted-thiazolyl amides, derivatives of 4-hydroxy-piperidine or of 4-

N-methyl piperazine. *Molecules*, *8*(6), 472-479.

7. Ali, P., Meshram, J., & Tiwari, V. (2010). Microwave mediated cyclocondensation of 2-aminothiazole into β-lactam derivatives: virtual screening and in vitro antimicrobial activity with various microorganisms. *International Journal of ChemTech Research*, *2*(2), 956-964.
8. Deepti, V., Kumari, M. A., Harikrishna, N., Ramesh, G., & Rao, C. V. (2013). Synthesis of novel 2-amino thiazole derivatives. *Der Pharma Chemica*, *5*(2), 181-184.
9. Jain, K. S., Bariwal, J. B., Kathiravan, M. K., Raskar, V. K., Wankhede, G. S., Londhe, N. A., & Dighe, S. N. (2011). An efficient and rapid synthesis of 2-amino-4-arylthiazoles employing microwave irradiation in water. *Green and Sustainable Chemistry*, *1*(02), 36-40.
10. Sadek, K. U., Mekheimer, R. A., Hameed, A. M. A., Elnahas, F., & Elnagdi, M. H. (2012). Green and highly efficient synthesis of 2-arylbenzothiazoles using glycerol without catalyst at ambient temperature. *Molecules*, *17*(5), 6011-6019.
11. Bhaskar S. Dawane, Shankaraiah G. Konda. (2010), *International Journal of Pharmaceutical Sciences Review and Research*, 3, 96-98.
12. Sadek, B., Al-Tabakha, M. M., & Fahelelbom, K. M. S. (2011). Antimicrobial prospect of newly synthesized 1, 3-thiazole derivatives. *Molecules*, *16*(11), 9386-9396.
13. Pattan, S. R., Hullolikar, R. L., Dighe, N. S., Ingalagi, B. N., Hole, M. B., Gaware, V. M., & Chavan, P. A. (2009). Synthesis and evaluation of some new phenyl thiazole derivatives for their anti-inflammatory activities. *Journal of Pharmaceutical Sciences and Research*, *1*(4), 96-102.

