Eco-Friendly Synthesis and Antimicrobial and Antibacterial Evaluation of thiazolo pyridine.

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ABSTRACT

The green processes have resulted through use of less or no catalyst, readily recyclable solvents and yield that are often higher than conventional method. Microwave heating produces heat in entire material in the same rate and the same time at a high speed and at a high rate of reaction On the basis current growing awareness around the area of environmental pollution in nature and environmental legislation, the current time have witnessed a phenomenal increasing order in the application of microwave irradiation in organic reaction synthesis. Microwave heating produces heat in entire material in the same rate and the same time at a high speed and at a high rate of reaction. Heterocyclic aromatic compounds are abundant in nature and are of great significance in life because their structural units are highly used in many natural products such as vitamins, hormones, and antibiotics; hence, they have attracted considerable attention in the design of biologically active molecules and advanced area of organic chemistry. Microwave assisted synthesis has become an important tool to the medicinal chemist for rapid organic synthesis. The microwave reactions were performed using microwave assisted synthesis on microwave, the reactions were worked up extensively to obtain a pure form of product which was isolated using literature work-up procedures. The products were further recrystallized with suitable organic solvents. The application of molecular diversity technique to drug discovery is a multidisciplinary effort in organic synthesis. Medicinal chemistry concerns with the discovery, development, interpretation and the identification of mechanism of action of biologically active compounds at the molecular level. On the basis of above reports and as part of our research programmed for development of eco-friendly synthetic protocol for biologically active compounds as well as in pursuing of our work on new solvent-free cyclisation process in different area of organic chemistry .

KEY WORD - : Green chemistry, microwave irradiation, microwave reactions, , microwave assisted reactions , Cyclisation, Active compounds.

Introduction

Thiazolo pyridine are hetero aromatic cyclic compounds in which one of the atom in the ring are heteroatom as like nitrogen other then carbon. The heterocyclic ring comprises the core of the active moiety. An especially big attention is given to nitrogen containing heterocyclic compounds, as they possess a broad spectrum of biological activity[1]. Heterocyclic compounds have attracted considerable attention in the design as biologically active molecules and more advanced in the area of organic chemistry.[2-4] Thiazolo pyridine containing nitrogen in its aromatic ring structure which an important class of hetero aromatic organic compounds.. The common method available for the synthesis of thiazolo pyridine compound is not satisfactory because it requires long interval of reaction times, use more expensive and hazardous solvents and yield are only comparatively low in amount. Due to the growing awareness about environmental pollution and environmental legislation, recent period have witnessed a phenomenal increase in the application of microwave irradiation in many organic synthesis reaction. Due to its operational simplicity, generality and efficiency this method is expected to have wider applicability in large area of organic synthesis.[5-7]The synthesis of nitrogen containing heterocyclic aromatic compound thiazolo pyridine has increasing interest over the past decade because of their utility in various applications in different area, such as propellants, explosives, pyrotechnics and especially chemotherapy. In current period, the chemistry of triazolo pyridine and their fused aromatic heterocyclic derivatives has shown considerable attention owing to their synthetic and effective biological importance in different area of heterocyclic aromatic compounds.[8] The nucleus of thiazolo pyridine has been synthesized as an antiviral agent for inhibiting the metastasis of malignant tumor cell. The Nitrogen bridged heterocycle developed from thiazolo pyridine possesses anti-inflammatory, antifungal[9-12] antimicrobial [12-13], antiparasitic, cytostati], brassinosteroid biosynthesis inhibitory activities activities.[14-16]

The nucleus of thiazolo pyridine is one of the most important heterocyclic aromatic compounds which is source of natural products and medicines. The derivatives of Thiazolo pyridine ring is based on the phenomenon in which replacement of oxygen by nitrogen in thiazolo pyridine analogue. These correction are carried out by using different functionalities in heterocyclic aromatic ring systems with different of hetero cyclic aromatic compounds.[17-21]

Materials and Methods

Experimental Section

All chemicals used were reagent grade. The Melting points of thiazolo pyridine were measured by open glass capillary tube method. The Laboratory Microwave Oven operating at 2450 MHz and power output of 600 W was used for all the experimental works in laboratory. Elemental analyses were carried out using a Coleman automatic C, H, N analyzer. The reactions between them were measured by TLC. IR spectra were recorded on a Shimadzu FTIR-420 spectrophotometer. 1H NMR and 13C NMR spectra were recorded at 400oC on a Bruker AVANCE DPX FT spectrometer in $CDCl_3$ using TMS as an internal reference. Mass spectra were recorded on JEOL SX-303 mass spectrophotometer.

MICROWAVE ASSISTED SYNTHESIS OF THIAZOLO PYRIDINE.

The mixture of appropriate compounds as thiazolo pyridine derivative (0.01mol) and chloroacetyl chloride (0.01 mol) in dioxane (10-20 ml) were refluxed for 15-30 min. The reaction mixture was cooled and the precipitate filtered off and then washed with ethyl alcohol. The crude product was recrystallized from ethyl alcohol. This procedure yields was used for the synthesis of compounds by suitable chemical of Kappe process. Which is more popular and more easily with more convenient method.

Antimicrobial screening

The antimicrobial and antibacterial activity of the synthesized heterocyclic aromatic compounds as thiazole pyridine was tested against both Gram-negative and Gram-positive bacteria. The test solutions were prepared in suitable organic solvent. The inhibition zone against the growth of the verified bacteria for the compounds. It seems that enhanced biological activity for the compounds is due to its electron donating group and the poly-conjugated nature of the compound. On the basis of conjugation compounds provide large surface areas with respect to free metal ions. Which enhance greater extent lipophilic and absorbing nature as a result the complexes are more active due to the greater dissolving ability in fats, oils, lipids and non-polar organic solvent such as hexane, toluene etc with more absorbing nature of the complexes which controls the growth or killed the bacteria and other microorganism with respect to free metal ions.

Results and Discussion

The antibacterial and antimicrobial activity of the synthesized heterocyclic aromatic compounds was tested with respect to both Gram-negative and Gram-positive bacteria and with other microorganism. The test solutions were prepared in benzene and other suitable organic compounds. It seems that enhanced biological activity for the compound is due to its electron donating group and the poly-conjugated nature of the compound which enhance their biological activity. On the basis of conjugation of compounds to arise large surface areas which enhance greater extent lipophilic and absorbing nature of complex compounds with respect to free metal ions. The complexes are more active due to the greater dissolving ability in fats, oils, lipids and other suitable non-polar solvent such as hexane, toluene etc with more absorbing nature of the complexes which controls the growth or killed the bacteria and other microorganism. The chemical reactions were carried out using a thermo-stated oil-bath system at the same temperature as for the MW activated method, but for a very longer period of time. It was found that this method has highly improved the yields significantly. This observation can be rationalized on the basis of the formation of dipolar activated complex from uncharged adduct in these chemical reactions and greater stabilization of the more dipolar activated complex by dipole-dipole interaction with electric field of the microwaves as compared to the less polar product which may reduce the activation energy resulting in the rate enhancement of chemical reaction because reduce the activation energy then the reaction occurs at low temperature with very festally..

All the position of hetero aromatic ring of the electron donating atoms or groups in the aromatic system plays a significant role in the bioactivities process. In addition to this, the presence of hetero atom such as nitrogen also plays a vital role in the observed antibacterial and antimicrobial activity. The results It is also suggested that the Nitrogen-containing compounds might inhibit enzyme synthesis, since enzymes need specific groups for their activity and are especially susceptible to deactivation by the compounds. The presence of carbon and nitrogen atoms in the structure of the compounds facilitates their diffusion through the lipid layer of the microorganism membranes to the site of action, eventually killing them or control by linking with essential groups of certain cell enzymes

Conclusion

The major application in medicinal chemistry with the development of simple, effective and eco- friendly method for the synthesis of different types of heterocyclic aromatic compound with enhance their antimicrobial and antibacterial activity.

At present time the different methods have been proposed for the preparation of thiazolo pyridine but, all of these present reported methods has several errors as like use of different types of suitable organic solvents which causes, pollution, drastic conditions, time consuming reactions and use of expensive and coastally suitable organic reagents. To solve such type of different problems here we reported the simple, practically feasible and eco-friendly method for the synthesis of thiazolo pyridine derivatives. The growth and large application of green chemistry in different area of chemistry is more important because it has many advantages such as, short and quick reaction period, eco-friendly, different types of pollution free and save with suitable use of energy. On the basis of importance of thiazolo pyridine derivatives as a chemotherapeutic agent, and development of a facile, efficient and environmentally benign method to synthesize this heterocyclic aromatic compound would be great value in different area application. This observation prompted us to develop an environment friendly approach for synthesis of substituted thiazolo pyridine derivatives which possesses various antimicrobial and antibacterial activities.

Reference

1.Surati M, Jauhari S, Desai K, A brief review: Microwave assisted organic reaction. Arch. Appl. Sci. Res., 2012,4(1),645-661.
2.Yadav A, Mohite S, Magdum C, Synthesis, Characterization and Biological Evaluation of Some Novel 1,3,4-Oxadiazole Derivatives as Potential Anticancer Agents, Int J Sci Res Sci Technol. 2020,7 (2) , 275-282.
3. A KNagariya, A K Meena, A K Yadav, U S Niranjan, A K Pathak, B Singh, M MRao, Microwave assisted organic reaction as new tool in organic synthesis. J Pharm. Res. 2010, 3(3), 575-580.

4.Zificsak CA, Hlasta DJ. Current methods for the synthesis of 2-substituted azoles. Tetrahedron.2004, 60 (41),8991-9016 .
5.Yadav LD, Singh S, Singh A. Novel clay-catalysed cyclisation of salicylaldehyde semicarbazones to 2H-benz [e]-1, 3-oxazin-2-ones under microwave irradiation. Tetrahedron,letters,2002,43,(4),8551-3 .
6.Elander N, Jones JR, Lu SY, Stone-Elander S. Microwave-enhanced radiochemistry. ChemSoc Rev. 2000, 29,239-249.

7. A. Tiwari, R. Kumar, A practical book of pharmaceutical medicinal chemistry. Nirali prakashan, Furniss B. S, Hanford A. J.Vogel's textbook of practical chemistry, 5ed. Pearson education: 1989. P.1162-1163.
8.Al-Omar MA, Al-Abdullah ES, Shehata IA, Habib EE, Ibrahim TM, El-Emam AA. Synthesis, antimicrobial, and anti-inflammatory activities of novel 5-(1-adamantyl)-4-arylideneamino-3-mercapto-1, 2, 4-triazoles and related derivatives. Molecules. 2010; 15 (4), 2526-2550.

9. Wilson N S, Sarko CR, Roth GP: Development and applications of a practical continuous flow microwave cell.Org Proc Res Dev. 2004.535-538.
10. Rajput M. D, Yadav A. R, Mohite S.K, Synthesis, Characterization of Benzimidazole Derivatives as Potent Antimicrobial Agents. Int. J. Pharm. 2020.17(4), 279-285.
11. Joshi U J, Gokhale K M, Kantikar A P, Green chemistry. Ind. J. Edu. Res. 2011,168-174.

12.Gaba M, Dhingra N, Microwave chemistry: General features and applications. Ind J Pharm Edu Res, 2011, 45(2),175-183.
13. Gedye R, Smith F, WestawayK, The use of microwave ovens for rapid organic synthesis. Tetrahedron Lett, 1986, 27, 279-282.
14.Rajak H, Mishra P. Microwave assisted combinatorial chemistry: The potential approach for acceleration of drug discovery. J Sci Indus Res 2004, 63,641-654.
15. V, Fiamingo FL, Amato G, Giorgi I, Livi O, Martelli A, Martinotti E. 1, 2, 3-Triazol-carboxanilides and 1, 2, 3-triazol-(N-benzyl)-carboxamides as BK-potassium channel activators. XII European journal of medicinal chemistry. 2008,43 (11),2618-2626 .

16. Kim EM, Joung MH, Lee CM, Jeong HJ, Lim ST, Sohn MH, Kim DW. Synthesis of Tc-99m labeled 1, 2, 3-triazole-4-yl c-met binding peptide as a potential c-met receptor kinase positive tumor imaging agent. Bioorganic & medicinal chemistry letters. 2010,20 (14) 4240-4246. .
17. Surati M, Jauhari S, Desai K, A brief review: Microwave assisted organic reaction. Arch. Appl. Sci. Res.2012, 4(1),645-661.
18. Yadav A, Mohite S, Magdum C, Synthesis, Characterization and Biological Evaluation of Some Novel 1,3,4-Oxadiazole Derivatives as Potential Anticancer Agents, Int J Sci Res Sci Technol. 2020,7 (2) ,275-282.
19. A KNagariya, A K Meena, A K Yadav, U S Niranjan, A K Pathak, B Singh, M MRao, Microwave assisted organic reaction as new tool in organic synthesis. J Pharm. Res. 2010, 3(3), 575-580.
20. Gaba M, Dhingra N, Microwave chemistry: General features and applications. Ind J Pharm Edu Res, 2011, 45(2),175-183.

21.Kumar Raman, Synthesis and Spectral studies of macrocyclic Ni(II) complexes with multidentate ligands. Research Journal of Chemistry and Environment.2019, 23 (9), 68-70.