Heterocyclic Compound –A Review

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ABSTRACT : Main aim of this paper is to present the information regarding the Heterocyclic compounds that constitute the largest family of organic compounds. These are extremely important with wide array of synthetic, pharmaceutical and industrial applications. There is always a strong need for new and efficient processes in synthesizing of new Heterocycles. Several 1,3,4,5-tetraaryl-2 pyrazoline, 41 –piperazine, 3-methoxybenzofuran and thiazolidin-4-one substituted 1, 2, 4-triazole, 4- NO2, 2-OH and 4-Cl in phenyl ring at 5- position of pyrazoline ring of synthesized compounds. The new compounds were characterized using IR, 1H-NMR, 13C-NMR and mass spectra. Biological screening of some compounds is reported.

Keywords: Synthesized compounds, heterocyclic substances.

I. INTRODUCTION

Compounds classified as heterocyclic probably constitute the largest and most varied family of organic compounds. After all, every carbocyclic compound, regardless of structure and functionality, may in principle be converted into a collection of heterocyclic analogs by replacing one or more of the ring carbon atoms with a different element. Even if we restrict our consideration to oxygen, nitrogen and sulfur (the most common heterocyclic elements), the permutations and combinations of such a replacement are numerous.

Derivatives of the simple fused ring heterocycle purine constitute an especially important and abundant family of natural products. The amino compounds adenine and guanine are two of the complementary bases that are essential components of <u>DNA</u>. Structures for these compounds are shown in the following diagram. Xanthine and uric acid are products of the metabolic oxidation of purines. Uric acid is normally excreted in the urine; an excess serum accumulation of uric acid may lead to an arthritic condition known as gout.

Heterocyclic aromatic compounds are widely distributed pollutants in soil, air, sediments, surface water and groundwater, as well as in animal and plant tissues [1]. They may be of natural origin (e.g. alkaloids), but high environmental concentrations mainly result from human activities. In particular, industrialized areas, such as creosote contaminated sites, represent important sources of tar oil pollutants [2,3]. Creosote represents a complex mixture of over 10,000 single organic substances which are formed by thermal processes related to coal and fossil fuels [4]. Beside technical and chemical processes that involve tar oil, heterocyclic compounds are also present in dyestuff [5], pesticides and pharmaceuticals [6,7]. While creosote contains only 5-13% heterocyclic compounds [8,9], up to 40% of their water-soluble fraction consists of these heterocyclic compounds [10]. The higher polarity and water solubility of the heterocyclic substances is based on the substitution of one carbon atom by nitrogen, sulfur or oxygen (NSO-HET) [11]. These chemical properties lead to increased bioavailability and mobility as compared to the homologous polycyclic aromatic hydrocarbons (PAH). Several studies using the concept of effect-directed analysis and mass balance calculations concluded that NSO-HET contribute significantly to the ecotoxicological hazard of water, sediment and soil samples [12-14]. As a consequence, several heterocyclic compounds are under discussion to be included in the priority list of the European Water Framework Directive [15]. Heterocyclic aromatic compounds are known to show a large range of ecotoxic effects, e.g. acute toxicity, developmental and reproductive toxicity, cytotoxicity, photoinduced toxicity, mutagenicity, and carcinogenicity [1,16-19]. Moreover, some studies have shown that NSO-HET bioaccumulate in aquatic organisms, and acute toxicity has been reported for Daphnia, midge, and algae [17,18,20]. Only a few publications are available comparing the toxicology of different groups of NSO-HET [17,21–23]. Even though heterocyclic compounds have frequently been detected in the environment, there are no publications about the toxic effects on embryos of Danio rerio and the knowledge of their occurrence, the environmental fate, biological metabolism and toxic effects is limited [3,21,24]. Thus, further investigations are needed to evaluate the toxicity of these compounds with a special focus on the development of aquatic organisms. In eco toxicological testing, fish are an indispensable component of integrated toxicity testing strategies for the aquatic environment.

Pyrazol belongs to the family of azoles, five membered heterocycles; pyrazolines have proved to be the most useful framework for biological activities. Pyrazolines have attracted attention of medicinal hemists for both with regard to heterocyclic chemistry and the pharmacological activities associated with them. The pharmaceutical importance of these compounds lies in the fact that they can be effectively utilized as antibacterial, antifungal, antiviral, antiparasitic, antitubercular and insecticidal agents. As evident from the

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literature, in recent years a significant portion of research work in Eterocyclic chemistry has been devoted to pyrazolines containing different aryl groups as substituents pyrazolines and substituted pyrazolines due to their interesting biological ctivities. They have found to possess antifungal [1], antidepressant [2-5] anticonvulsant [4,5], anti-inflammatory [6], antibacterial [7] and anti-tumor [8] properties. Moreover, many electively fluoro-substituted organic compounds show peculiar pharmacological and agrochemical properties [9-14]. Several methods are employed in the synthesis of pyrazolines, including the condensation of chalcones with hydrazine, hydrazine derivatives [15-19] and thiosemicarbazide [20] under acidic [15,16] or basic [20] conditions, and the cycloaddition of nitrilimines, generated *in situ* from the corresponding hydrazonoyl halides by the action of a suitable base, to carbon-carbon double bonds of a suitable dipolarophile [21-24]. As a connection of our interest in the preparation of heterocyclic compounds from hydrazonoyl halides [21-27] and the above-mentioned findings, the work reported herein was aimed at the preparation of some new pyrazoline derivatives with anticipated biological activities.

II. LITERATURE REVIEW

Sabrina Peddinghaus et. al. [25] experimented and indicated a high ecotoxicological potential of NSOHETs towards aquatic organisms. The calculation of toxic ratios for most of the N-, S- and O-HETs showed a baseline toxicity with TRs ranging from 0.3 to 1.9. A polar narcotic mode of action could be shown for 6-methylquinolin, pyridine and carbazole and a specific mode of action for acridine. However, if the substance loss would be considered in the calculation of the toxic ratios the mode of action for almost all NSO-HETs would change significantly. Therefore, for further research and risk assessment of pollutants the chemical properties should be taken into account. The decrease of substance during exposure is likely due to adsorption to the surface of the test vessel and/or volatilisation. Consequently, the toxicity assessment of chemicals with high HLC/vapor pressure and log KOW, should be based on measured and not on nominal concentrations. Loss of the substance leads to an underestimation of its environmental hazard potential, thus, biotesting on the basis of nominal concentrations may result in misleading approaches for risk assessment. For example, the risk potential of benzothiophene would be underestimated by a factor of 16 (after 2 h) in the FET on the basis of nominal concentration. Therefore, we recommend the quantification of test concentrations during any ecotoxicity testing. In addition, more sampling time points are necessary to describe the kinetic of substance loss. So far most studies on heterocyclic compounds have focused on heterocycles with an incorporated nitrogen atom belonging to the class of azaarenes [20,21,24,39,52]. However, in recent years in particular O-HET and S-HET proved to be slowly biodegradable, resulting in large plumes of contaminated groundwater [3,32,53]. Their high mobility and persistence in the aquatic environment makes release of these compounds a potential environmental threat. For a conclusive estimation of their effects on fish, however, additional data are required both for embryos and adult fish. Further investigations and discussions are required to define clear threshold values for log KOW and HLC to declare when it is necessary to us chemical analysis in order to determine more reliable LC50 values.

P. Bharath Rathna Kumar [26] concluded that the two moieties i.e. 3-methoxybenzofuran and thiazolidin-4-one substituted 1, 2, 4-triazole moieties independently are antibacterial agents. Here when the two moieties are fused and screened for possible antimicrobial studies, they showed a broad spectrum of antibacterial activity against G (+ve) and G (-ve) bacteria. Benzofuran and triazole molecule is responsible for antibacterial activity, but it is interesting to note that thiazolidin-4-one substituted 1, 2, 4-triazole moiety showed a broad-spectrum antibacterial activity. The above results establish the fact that thiazolidin-4-one substituted 1, 2, 4-triazole benzofuran can be a potential source for exploitation in search of new generation of antibiotics. It may be worthwhile to explore the possibility in this area by fusing other heterocyclic moieties and increase the potency of the synthesized compounds.

Shah Shailesh H.and Patel Pankaj S[28] concluded that The Main focus of this research work was to synthesize, characterize and evaluate antimicrobial activities of the newly synthesized pyrazoline derivatives, structures of synthesized compounds were confirmed and characterized with the help of analytical data's such as IR and 1H-NMR. In summary, we have described the synthesis and antimicrobial activity of some new 3-chloro-1-{4-[5-(substituted phenyl)-4, 5-dihydro-pyrazol-3-yl] phenyl}-4-(4-hydroxyphenyl) azetidin-2-one MIC values revealed that amongst newly synthesized compound having chlorophenyl type linkage has shown good activity against the bacterial strains.

SK Sahu, et. al. [29] concluded that the results of this investigation revealed that the observed increase in analgesic, anti-inflammatory and antimicrobial activities are attributed to the presence of 4- NO2, 2- OH and 4-Cl in phenyl ring at 5- position of pyrazoline ring of synthesized compounds. Obviously, the

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comparative evaluation of active compounds will required further studies; he data reported in this article may be helpful guide for the medicinal chemist who are working in this area.

Nada M. Abunada et. al. [30]] concluded that Several 1,3-diaryl-5-(cyano-, aminocarbonyl- and ethoxycarbonyl-)-2- pyrazoline, pyrrolo[3,4-c]pyrazole-4,6-dione and 1,3,4,5-tetraaryl-2-pyrazoline derivatives were prepared by the reaction of nitrilimine with different dipolarophilic reagents. The new compounds were characterized using IR, 1H-NMR, 13C-NMR and mass spectra. Biological screening of some compounds is reported.

Mr. Joshi Vijaykumar M. Mr. Deore Balavant k [31] concluded that Heterocyclic compounds constitute the largest family of organic compounds. These are extremely important with wide array of synthetic, pharmaceutical and industrial applications. There is always a strong need for new and efficient processes in synthesizing of new Heterocycles. Developing environmental friendly and effective technologies coupled with green chemistry is a major challenge facing the chemical community.

Edina Miklos, et. Al. [33] concluded that The promising hit molecule, which was identified via HTS kinase assay, showed no activity in biochemical test after its synthesis. Neither the synthesis of the impurities has led to active molecules. It is supposed that the reactive side product is an imidoyl chloride, which could be responsible for the activity in the biochemical assay via chemical reaction with the kinase enzyme.

S. A. Rahaman et. Al. [34] concluded that Pyrazolines are one of the heterocyclic compounds with very important biological activities. In this view, it was proposed to synthesize some novel pyrazolines from chalcones. The condensation of chalcones of 41 –piperazine acetophenone with phenyl hydrazine hydrochloride gives pyrazoline derivatives (RP1-8). The structures of the synthesized RP1-8 were assigned on the basis of elemental analysis, IR and 1 H NMR spectroscopy data. These compounds were also screened for their anti-histaminic activity. The recorded % of histamine inhibition showed significant anti-histaminic activity, when compared with standard antihistamine drug mepiramine.

III. CONCLUSIONS

The Main focus of this research work was to synthesize, characterize and evaluate antimicrobial, antibacterial activity against G (+ve) and G (-ve) bacteria., anti-histaminic, anti-inflammatory activities of the sythesized Heterocyclic Derivative. Structure of synthesized compounds were confirmed & charecterized with the help of analytical data's such as IR, 1-H NMR, 13 C- NMR &Mass Spectra. It has pharmaceutical and industrial applications There is always a strong need for new and efficient processes in synthesizing of new Heterocycles. Developing environmental friendly and effective technologies coupled with green chemistry is a major challenge facing the chemical community. In Heterocyclic compound having chlorophenyl type linkage has shown good activity against the bacterial strains.

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