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Synthesis and Microbial studies of Pyrazolo (3,4-b)quinoline derivatives

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Abstract: Pyrazolo quinolines form a class of aromatic heterocyclic compounds. Among the possible systems which possess pharmacological properties pyrazolo(3,4-b) quinolines are widely studied due to their application as intermediates in biologically active substances like antiviral and antitumor agents . 2-chloro -3-formyl quinolines seemed to be an important precursor for the construction of fused quinolines. 2-chloro -3-formyl quinolines and its derivatives were prepared then condensed with p-methylphenylhydrazine hydrochloride in ethanol and triethylamine as a base to yield p-methylphenylpyrazolo(3,4-b)quinolines. The compounds were screened for their in vitro growth inhibitory action against different strains of pathogenic bacterias namely Escherichia coli and Salmonella typhi.

Keywords: Pyrazolo, quinoline, anti-viral, pathogenic

I. INTRODUCTION

The chemistry of heterocyclic compounds is one of the most complex branches of organic chemistry. It is important for its theoretical implications for the diversity of its synthetic procedures and for the physiological and industrial significances of heterocyclic compounds. The pyrazolo derivatives form the basis of numerous dyes and drugs.

In addition they are also found to have analgesic and antipyretic properties. Pyrazoles and its N-substituted derivatives are found to inhibit and deactivate the liver alcohol dehydrogenase. Alkyl and aryl pyrazoles were found to show a sedative action on the central nervous system. Anti arrhythmic and anti-inflammatory activities are found in tricyclic pyrazoles.

GENERAL EXPERIMENTAL PROCEDURE

Pyrazolo(3,4-b)quinolines were prepared by using 2-chloro-3-formyl –quinolines. Accordingly 2-chloro-3-formyl quinolines are prepared by the treatment of acetanilide with vilsmeir's reagent. It was observed that with electron donating group on phenyl ring yield the required chloroquinoline aldehyde while these with electron withdrawing groups gave the uncyclised enamine. By following the above procedure 6-methyl, 7-methyl, 8methyl and 8-methoxy derivatives of 2-chloro-3-formyl quinoline derivatives are prepared. Then they were condensed with p-methyl phenyl hydrazine hydrochloride in absolute ethanol in presence of triethylamine under reflux condition for 15 hours. The product that separated as reddish yellow solid was filtered, washed with ethanol and recrystalliesd from benzene-methanol. The IR spectrum, sodium fusion test and further elemental analysis confirmed the structure of 6-methyl-1-p-methyl-phenylpyrazolo(3,4-b)quinoline. The reaction has been extended to few derivatives under the same condition.

Thin layer chromatography (TLC) was performed using silica gel coated glass plates. Petroleum ether, benzene and ethyl acetate were used as the developing solvents. Spots were detected with iodine. The samples were purified using chromatographic columns. IR spectra were recorded on a Perkin Elmer 597 spectrophotometer. NMR spectra were taken using triethylsilane as internal standard. UV spectra taken on Hitachi spectrophotometer using chloroform as solvent. Melting points were determined on cintex precision melting point apparatus. The solvents and reagents used for synthesis were of reagent grade and purified by standard methods.

ANTI-BIOGRAM OF SOME PYRAZOLES

The antibiotic activity of the compounds against some important pathogens was resulted successfully. The organisms used were highly pathogenic to human beings. The compounds were screened for their invitro growth inhibitory action against different strains of pathogenic

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bacteria, namely Escherichia coli, Salmonella typhi, Klebsiella pneumonia. The testing was carried out by disc diffusion method Acetone was used as a control. It is found that the growth was observed only at optimum concentration. The organisms are mostly found in water and cause water born diseases. The results clearly show that the compounds have antibacterial activity at lower concentration itself.

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