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Antibacterial screening of Acridone Derivative

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Abstract

Current work involve antibacterial screening of Acridone derivative.Acridone derivative was synthesized from fluoroquinolone nucleus.After characterization of derivative by spectroscopic techniques i.e.UU,IR,1HNMR and 13CNMR,compound was screened against pathogens K.pneumoniae, S,aureus and E.coli and results obtained was compared with synthesized acridone derivatives.Antibacterial activities of synthesized compound has been determined in term of "Zone of inhibition".Result obtained shows newly synthesized acridone derivative has potent antibacterial activity against pathogens K.pneumoniae E.coli and S.aureus.

Key words: Acridone derivative, antibacterial activity,K.pneumoniae,E.coli, S.aureus.

Introduction

One of the key objectives of organic and medicinal chemistry is to synthesize molecules that posse's potent therapeutic values.The rapid development of existing antimicrobial drugs generates a serious challenge to the scientific community. Consequently there is a need for the development of new antimicrobial agents with potent activity against microorganism[1]. Chemistry of acridone was about hundred years old, its journey as pharmaceutical was started by Paul Ehrlich in 19th centuary due to their exceptional diverse activity which attracted the scientific community and emerging as new class of bioactive molecules.

Acridone and their derivatives [acridone aceticacid) (neovir),3chloro-6-(2 diethyl amino ethoxy).-10-(2 diethyl amino ethyl)] embedded with a number of diffrerent functional group which are important biological agents and they are used antibacterial, antiprotozoan,

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antifungal,anticancer[2]antiviral, antileishmanial[3,] antiherpes[4], antiparasite, antimalarial[5] and nucleus activity[6] etc. Ullmann reaction[7] is important for the synthesis and modification of biologically important compound acridone derivative used as antimicrobial agents. Recently we synthesized a new acridone derivative derived from fluoroquinolone nucleus, characterized the compound by physic-chemical and spectral techniques i.e. UV, IR1HNMR and 13C NMR spectroscopy.Antibacterial screening of compound against pathogenic bacteria E.coli, S.aureus and K.pneumoniae, compound is more potent antibacterial agent in compare to their parent acridone.In present work we screened the acridone derivative derived from fluoroquinolone nucleus against pathogenic bacteria .

Materials and methods

The invitro screening of synthesized compound was carried out on gram negative and gram positive organisms i.e. Klebsiella pneumoniae,S.aureus and,E.coli methodology described by Ingraham et.al[8] is used as reference for the antimicrobial screening.The quantity needed to produce the specific effect on microorganism is determined to measure activity of the synthesized compounds .

Determination of zone of inhibition (well diffusion method): In well diffusion method the wells containing various concentration of test compound is placed on the surface of a solid nutrient inoculated with the culture of suitable microorganism and the zone of microbial growth inhibition depends upon the potency of drug to inhibit the growth of microbe.The measurement of inhibition produced by the known concentration of drug is compared with known concentration of reference.

Preparation of media: Mullar Hinton Agar, Hi Media is used to subcultured the culture of gram positive and gram negative microorganisms S.aureus, K.pneumoniae and E.coli.This media was suspended in doubly distilled water and boiled to dissolve the media completely .It was sterized by autoclaving at 100^0C for 30 minutes .The pH of media was maintained specifically .The media was transformed to petri dishesh and to cool.

Preparation of solution: The screening was carried out at varying concentrations. The acridone derivative and the standard compound was dissolved in solvent (DMSO-di-methyl sulfoxide) and the dilutions were prepared corresponding to 25µg/ml, 50µg/ml and 100µg/ml. 0.45µm Millipore filters are used to filter the solutions.

Inoculums preparations: The decontaminated laminar flow bench is used for inoculum preparation, separate pre sterilized applicators were used to transferred inoculums into freshly prepared and sterilized nutrient broth is incubated at 37±20.C for 24 hours.

Screening by Well diffusion method: Aseptic conditions i.e. Sterilized room , decontaminated laminar flow bench are used to carried out the complete antimicrobial procedure .The 18ml of sterilized Mullar Hinton Agar was transformed asceptically to each of previously sterilized petridish and allowed to set uniformly 2ml of , of 24 hours broth culture of respective organism was added to each of the petridish and was allowed to settle.6 millimeter diameter wells punched

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into the agar plate and filled with solution of sample to be tested .On agar plates made out of Mullar Hinton Agar as media for cultivation of bacteria .
The agar plate are kept for incubation for 1-2 hour at 37^0C and then the inhibitory effect of the samples and their corresponding were measured against the pathogenic bacteria , K pneumoniae , S.aureus and E.coli . Antimicrobial screening is recorded in term of zone of inhibition for synthesized compound and standard drug .The zone of inhibition for synthesized compound is tabulated in table 1.

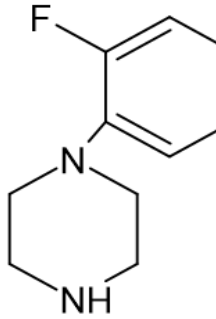
Synthesis and characterization of acridone derivative: our compound was synthesized and characterized by spectral techniques i.e.UV, IR, 1HNMR and 13CNMR spectroscopy. The Structure and molecular formula of the synthesized compound is given below:

Results and Discussion:

Well diffusion method described in pharmacopeia has been used to analyse antibacterial activity of newly synthesized compound against pathogens K.pneumonia, S. aureus,E. coli, and the result were statistically analyzed . The results obtained were compared with standard and show significant activity against S.aureus, K.Pneumoniae, E.coli. Antibacterial activities of synthesized compound has been determined in terms of zone of inhibition synthesized compound is more potent in compare to their parent acridone.

Table-1:Antibacterial activity of synthesized compound against K.pneumoniae, S.aureus and E.coli,Inhibition zone diameter (mm) Concentrations µg/µl.

Tested Bacteria		Antimicrobial activity of compound			
		Inhibition zone diameter (mm) Concentrations (µg/ml)			
		25	50	100	Average
1.	Klebsiella pneumoniae	18	21	26	21.6
2.	Staphylococcus aureus	18	22	28	22.6
3.	Escherichia Coli	17	20	24	20.3



2-fluoro-10-methyl-3-(piperazin-1-yl)acridin-9(10H)-one

Molecular formula: $C_{18}H_{18}FN_3O$

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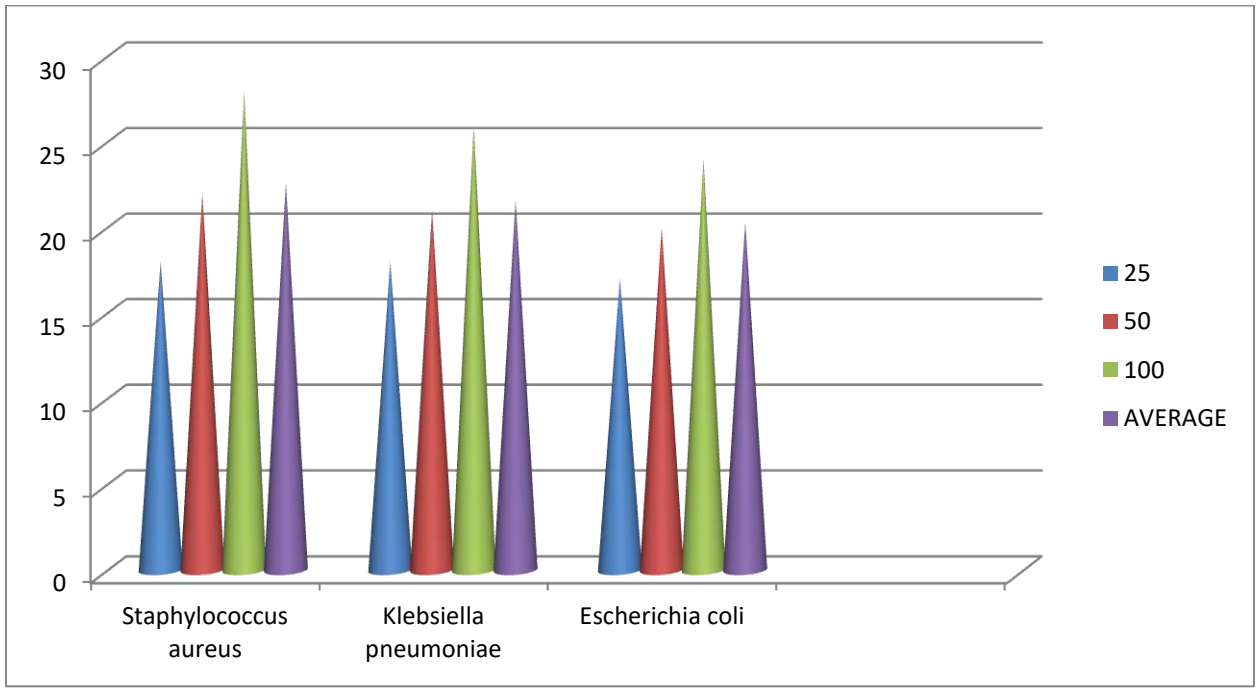

Antimicrobial activity of compound against pathogenic microorganism K.pneumoniae, S.aureus, E.coli, Inhibition zone diameter (mm), Concentrations (µg/ml).

Conclusion: Work represent shows synthesized acridone derivative has noticeable and prolonged activity against K.pneumoniae, S.aureus, E.coli synthesized compound is more potent in compare to their parent acridone. The work could be more investigate to determine the possibility of more potent drug with fewer side effects.

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