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"Synthesis ,characterization and Pharmacological activities of 7-substituted Imino-phenothiazine-2-methyl-4-Quinolones"

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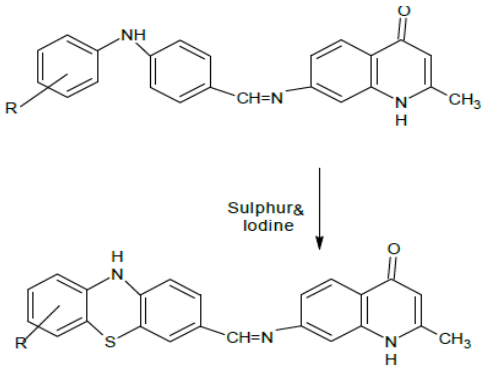
ABSTRACT

The pharmacological activities related to phenothiazine, with an account on the synthesis of 7-substituted imino-phenothiazine- 2-methyl-4-quinolones **1 (Scheme 1)** and their related compounds. The structure of the synthesized compound was predicted by elemental analysis, IR spectroscopy, 1H NMR, C13 NMR and Mass spectra, successfully confirming the prepared derivatives



Scheme 1

R= 4-Cl; 4-OCH_3;2-OH; 4-OH;2,4-Di-NO_2; 2,4-Di-Cl;2,4-Di-NH_2;H;2-Cl; 2-OCH_3; 2-CH_3;4-CH_3; 2-NO_2; 4-NO_2; 2-Br;4-Br;2-NH_2;2-$N(CH_3)_2$; 4-$N(CH_3)_2$;2-$N(CH_3)_3$; 4-$N(CH_3)_3$

Keywords: Heterocyclic, Quinolones, phenothiazines

I. INTRODUCTION

Heterocyclic compounds have played a significant role in the evolution of life, as dyes, drugs and are also used in many commercially important agrochemicals and veterinary species. Quinolones are very important family of synthetic broad spectrum antibiotic drugs and inhibit the enzymes topoisomerase II, a DNA gyrase responsible for the replication of microorganisms. They acts as anticancer, antimalarial, antiviral and antibacterial agents. Quinolones and their derivatives exhibit effectiveness in the treatment of prostatitis due to its excellent penetration into prostatic tissue, as a

secondline drug to treat tuberculosis. Quinolones are also used clinically in the treatment of respiratory tract infections (RTIs), sexually transmitted Infections (STIs), anthrax, Travellers' diarrhoea, diabetic foot infections, paratyphoid fever, corneal ulcers and superficial eye infections. The quinolones generally have greatest activity against Gram negative bacteria, with the most susceptible organisms including members of Enterobacteriaceae, Neisseria species and Haemophilus species.

Phenothiazine derivatives possess diverse biological activities like antiviral, anthelmintic, anthihistaminic, anticonvulsant, antiperkinsonian, antiparasitic, antiemetic, anticholinergic, antimalarial, insecticide and CNS depressant. It is a group of tranquilizing drug with antipsychotic actions. Phenothiazines are used to treat psychosis or schizophrenia. In the view of these observations, it was thought worthwhile to synthesize several compounds in which ethylacetoacetate, different derivatives of aniline and quinolones have been linked with each other to form a new Quinolones derivatives and biological evaluation of these synthesized compound.

Heterocyclic compounds occur vary widely in nature and essential to life. Nitrogen containing heterocyclic molecules constitutes the largest portion of chemical entities, which are part of many natural products, fine chemicals and biologically active pharmaceuticals vital for enhancing quality of life. A slight change in the substitution pattern of phenothiazine nucleus brings a marked difference in their biological activities. So it has been considered worthwhile to synthesize phenothiazine incorporated heterocyclic compounds as antimicrobial agents. Phenothiazine derivatives showed a wide range of different types of biological activity such as Antihelmatic activity1-9, Bactericidal activity 10-14, Antiseptic activity 15-17, Antitumor activity, Ant cholinergic activity, Anticonvulsant activity ,Antihistamine activity, Narcobiotic activity , Analgesic activity, Antiemeticactivity, Anti-inflammatory activity, Hypnotic activity, Antispherocytic activity, Ant psychotropic activity, Antispasmodics activity, Localanesthetic activit, Antitumor activity, Sedative activity , Antimalarial activity, Antituber activity.

II. EXPERIMENTATION

7-Substituted Imino-Phenothiazine-2-Methyl-4-Quinolones **(1)** were synthesised from N-(2-methyl -4-quinolone)Azomethine-4-aminophenyl. A mixture of substituted N-(2-methyl -4-quinolone) Azomethine-4-aminophenyl 3a (0.05 mole) in presence of sulphur and iodine was reacted. The resulting mixture was allowed to stand for 1 h keeping the internal temperature between 5-10^{0}C. The mixture was refluxed for 3 h. The solvent was removed under vacuum to obtain the crude product which was washed with water followed by ethanol (10 mL) and crystallized from appropriate solvents (70% aqueous ethanol), resulting in compound **1 (a-u)**. The reported melting point 206^{0}C and yield 71%. Similarly other 7-substituted imino Phenothiazine -2-methyl -4-Quinolones were prepared.

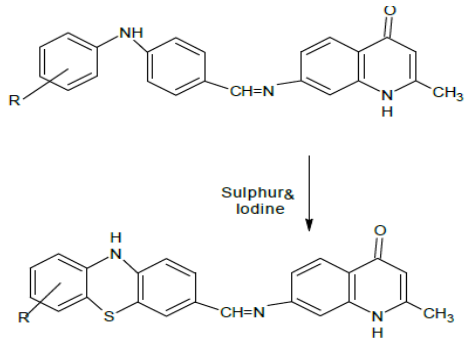


Figure 1

III. CONCLUSION

Characteristization of product 1

Solubility: The product is yellowish brown crystalline solid, soluble in benzene and in soluble in water. It gave positive test for nitrogen , sulphur. The product gave satisfactory C,H and N elemental analysis

FT-IR: The Infrared spectrum showed characteristics absorption band at Vmax cm-1: 3477.0(NH), 3348(NH), 2362.4(C-S-C), 1804.9 (CH=N), 1622.8 (C=O), 2980.4 (CH3) and 1507.6 (Ar). (Figure 1)

1**H NMR** : The NMR Spectrum displayed signals at 10.67 (1H, s, OH), 7.88 (1H, s, NH), 7.83 (1H, s, NH), 7.60 (3H, s, 3x CH), 7.42 (2H, s, CH2), 6.35 (4H, s, Ar)

C13 NMR: The C13 NMR spectrum of the product showed signal at δc 150.09, 149.06, 146.33, 145.85, 144.60, 143.20, 119.38, 116.26, 112.56, 112.14, 78.96, 78.54, 78.10 and 40.434 and 40.05

FAB MS: Mass fragmentation is shown on 383 [M+], 226(C13H10N2S), 198(C12H8NS), 78(C6H6), 125(C6H7NS), 159(C10H9ON), 85(C4H7ON), 78(C6H6).The molecular ion peak was found at 383, which confirmed the molecular formula C23H17ON3S. (Figure 3) On the basis of the above solubility, elemental, functional group and spectral analysis the product was assigned to be **7-Substituted Imino-Phenothiazine-2-Methyl-4-Quinolones** having molecular formula C23H17ON3S

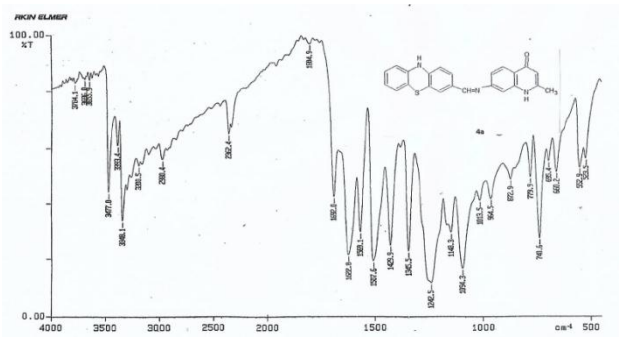


Figure 2. FT-IR of compound 1

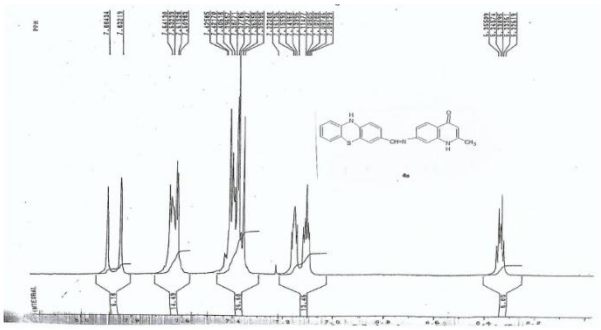


Figure 3. 1H NMR compound 1

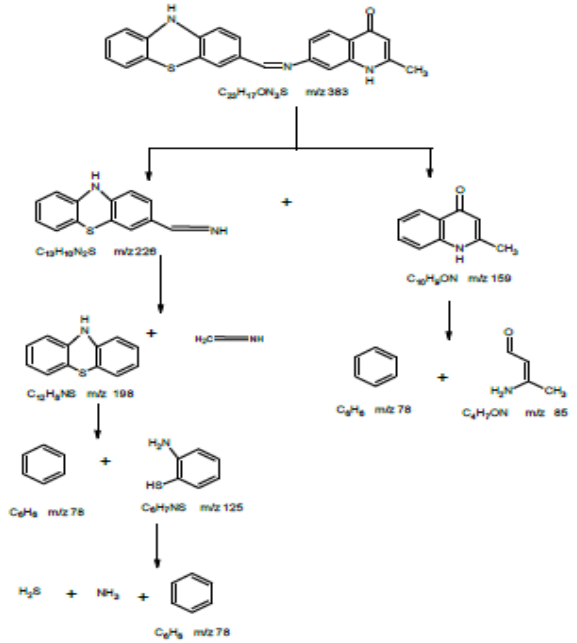


Figure 3. Mass fragmentation

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