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Research Paper :

Synthesis and biological studies of trihydro pyrido [2, 3-d] pyrimidines 6 -carbonitrile

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ABSTRACT

The synthesis of 5-amino-4-aryl-7-oxo-2-mercato-4,8,4a-trihydro pyrido [2,3-d]pyrimidines-6-carbonitrile (VIIIa-m) have been undertaken by the condensation of 4-amino -5-cyano-6-aryl-2-mercato-5,6-dihydro pyrimidines with ethylcyanoacetate. The constitution of the products has been delineated by elemental analyses IR, PMR and mass spectral data.

KEY WORDS : Trihydro pyrido [2, 3-d] pyrimidines-6-carbonitrile,Multicomponent reaction, Cyclocondensation, Antibacterial activity, Antifungal activity, Therapeutic agents

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Trihydro pyrido [2, 3-d] pyrimidines-6-carbonitrile derivatives form a class of fused heterocyclic compound which have interesting pharmacological and biological activities, particularly the oxo and amino derivatives of pyrido [2, 3-d] pyrimidines standout for their antitumor [1] and antiviral [2] activities. The extensive use of pyrido[2,3-d] pyrimidines in medicine is due to its vast biological activities, antimycobacterial [3-7], anticancer[8-9] , diuretics [10-12],anticonvulsant[13-14], antitumor [15-16],antiallergic agent [17],antiphlogistic[18], CNS depressant[19], antitussive[20], coronary vasodilator[21], antihypertensive[22], antiarrythmic agent[23], immunosuppressing agent[24], antispasmodic[25], cardiovascular[26], antiepileptic [27], anxiolytic agent[28], antiaththaminitics[29], antitubercular[30],anti HIV[31] activities.

Due to various biodynamic activities of pyrido [2, 3-d] pyrimidines The products (VIIIa-m) were assayed for their in *vitro* biological assay like antibacterial activity towards positive and Gram negative bacterial strain and antifungal activity towards *Aspergillus niger* and *Candida albicans* at different concentration for their Minimum Inhibitory Concentration (MIC) values. The biological activities of the synthesized compounds were compared with standard drugs.

Antimicrobial activity:

Antimicrobial was carried out by using cup-plate method [90].which has been described as under.

Antibacterial activity:

Gram positive bacteria were grown in nutrient broth and Gram negative bacteria in Peptone water (PW, 1 per cent bacteriological peptone and 0.5 per cent NaCl) for 24 hours; this gave an optimum growth of the test bacteria. Each purified compound was dissolved in DMF sterilized by filtration by using sintered glass filter and stored at 4^0C. Each agent was then added to molten nutrient agar in the following concentration(µg/ml): 0 (control), 25, 50, 100, 200, 500, 800 and poured into sterile Petri dished. The pH of the media was maintained at 7.2-7.4. The inoculums consisted of an overnight growth broth culture of a bacterium diluted in such a manner that a 2mm (internal diameter) loopful of the culture contain 10^0 colony-forming units (CFU). These were then spot inoculated on nutrient agar plates containing increasing amount of a compound, incubated at 37^0C up to 24 hrs. for determination of the minimum inhibitory concentration (MIC) [91-92].The antibacterial activity of the compounds (VIII a-n) was compared with known standard reference drugs like Ampicillin, Ciprofloxacin, Chloramphenical, Griseofulvin, at same concentration. The moderate and comparable antibacterial activities of compound are recorded.