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SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL ACTIVITY OF SOME NEW 2-AZETIDINONES

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ABSTRACT

A series of 1 - [4'- (6"-methoxy - naphthalen-2"-yl) - 6'- (2"- hydroxy - 4" - methoxy phenyl) pyrimidin - 2' - yl - ureido] - 4 -(phenyl / substituted phenyl / 2'-furyl) - 3 - chloro - 2 -azetidinone **(4a-j)** were prepared. The structures of compounds were confirmed on the bases of elemental analysis, IR and ^{1}H NMR. The compounds were screen for antibacterial activity.

KEYWORDS: 2-azetidinones, schiff base, antibacterial activity, heterocyclic synthesis.

INTRODUCTION

The β-lactam antibiotics are used to treat a wide range of bacterial infection in both the community and hospital environment. There is also considerable market in veterinary field. The cephalosporins are among the most frequently employed β-lactam[1]. Cephalosporins have withstood the onslaught of microorganisms and have come to physician's arsenal in combating a wide range of microbial infections.

2-Azetidinone derivatives have been reported to possess anti-flammatory[2-3], anticonvulsant[4], fungicidal[5] and antibiotic activity[6]. 2-Azetidinones is also associated with pharmacological activity namely, hypnotic, antiviral, anesthetic, analegasic etc.[7-8]

In this study 6-methoxy-2-acetyl naphthalene on condensation with 2- hydroxy 4-methoxy benzaldehyde according to claisen-schemidt condensation[9-11] gave chalcone, which was converted to 2-amino-4-(6'-methoxy-naphthalen -2'-yl) - 6 - (4'-methoxty-2'-hydroxy pheynyl) – pyrimidine **(1)**, by the treatment of guanidine nitrate and 25% KOH solution. This compound **(1)** on reaction with methyl chloroformate followed by treatment with hydrazine hydrate (80%) to give 2-(n-amido-ureido)-4-(6'-methoxy- naphthalen-2'-yl) - 3 - (4'-methoxty-2'-hydroxy phenyl) – pyrimidine **(2)**. The resulting compound **(2)** on condensation with different aromatic aldehydes yielded corresponding 1-phenyl / substituted phenyl / 2'-furyl-4-[4'- (6"-methoxy –naphthalen-2"-yl) - 6' - (2"- hydroxy - 4" - methoxyphenyl) pyrimidin - 2'- yl] semicarbazide **(3a-j)** (Schiff Bases). This different Schiff bases on cyclo condensation with chloroacetylchloride gave substituted 2-azetidinones**(4a-j)**.

RESULT AND DISCUSSION

The structures of all compounds were confirmed on the basis of their elemental analysis, IR spectra and ^{1}H NMR spectra. The IR spectrum of **4a-j** exhibited a band due to =CH str. (3100-3000cm^{-1}), C=C str. (1635-1495 cm^{-1}), C-H bending [1,2,4,5-substituted (900-860 cm^{-1})], C-H bending [1,4-substituted (840-800 cm^{-1})], C-Cl str. (750-700 cm^{-1}), C-F str. (1100-1000 cm^{-1}). C=N (ring) (1650-1580 cm^{-1}) stretching vibration which indicates the presence of pyrimidine ring and β-lactam ring C=O (1742 cm^{-1}).

Appearance of a signal at ^{1}H NMR ($CDCl_3$); δ: 2.7 {6H, s, -N(CH_3)$_2$}, 4.12(1H, s, -CHCl), 6.9(1H, s, -CH, pyrimidine ring), δ: 4.0 (s, 1H, >CHCl), 8.43(s, 1H, -CO-NH-), confirms the presence of 2-azetidinone ring.