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RESEARCH ARTICLE

SYNTHESIS, CHARACTERIZATION AND *IN VITRO* ANTIMICROBIAL ACTIVITY OF NOVEL 4,4′-BIS[3-CHLORO-4-ARYL-AZETIDIN-2-ONE-1-YL]DIPHENYL SULPHONES

Parul D. Mehta* and Anupam K. Pathak

Department of Pharmacy, Barkatullah University, Bhopal-462 026, Madhya Pradesh, India

**E-mail*: parulmehta1@rediffmail.com, parulsengar@gmail.com
Tel.: +91-9301145642, +91-755-2491846

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A novel series of 4,4′-bis[3-chloro-4-aryl-azetidin-2-one-1-yl]diphenyl sulphones 3(a-t) have been synthesized by appropriate synthetic route. Cyclocondensation of 4,4′-diaminodiphenylsulphone with various aromatic or heterocyclic aldehyde yield the schiff bases 2(a-t). These schiff's bases on condensation with chloroacetyl chloride in presence of triethylamine gave substituted 2-azetidinones 3(a-t). The structure of the newly synthesized compounds were confirmed by analytical and spectral (IR, ^{1}H-NMR and Mass) data. The entire test compounds (3a-t) were assayed *in vitro* for their antibacterial activity against two different strains of Gram-negative (*E. coli* and *P. aeruginosa*) and Gram-positive (*S. aureus* and *B. subtilis*) bacteria. The minimum inhibitory concentration (MIC) was determined for test compounds and for reference standards. The test compounds showed significant antibacterial activity against the microbial strains used, when tested *in vitro*.

Key words: 2-Azetidinone, Schiff base, Dapsone, Antibacterial activity.

INTRODUCTION

The synthesis of natural and heterocyclic compounds has always drawn the attention of chemist over the years mainly because of their important biological properties (Dahiya and Gautam, 2011). Particularly, the role of *β*-lactam which are endowed with unique structure and potent antibacterial activity. The 2-azetidinone (*β*-lactam) ring system is the common structural feature of a number of broad spectrum *β*-lactam antibiotics, including penicillins, cephalosporins, carbapenems, nocardicins, monobactams, clavulanic acid, sulbactams and tazobactams, which have been widely used as chemotherapeutic agents to treat bacterial infections and microbial diseases (Morin and Gorman, 1982; George, 1993; Delpiccolo *et al* 2003; Gootz, 1990; Maiti *et al* 2006; Singh, 2004; Risi *et al* 2001; Durckheimer *et al* 1985).

Most of the researches up to early 90s focused on synthesis of 2-azetidinones and study of their antibacterial property. In recent years, renewed interest has been focused on the synthesis and modification of *β*-lactam ring to obtain compounds with diverse pharmacological activities like cholesterol absorption inhibitory activity (Burnett *et al* 1994), human tryptase (Slusarchyk *et al* 2002), thrombin (Han *et al* 1995) and chymase inhibitory activity (Aoyama *et al* 2001), vasopressin V1a antagonist activity (Guillon *et al* 2007), antidiabetic (Goel *et al* 2004), anti-inflammatory (Kumar and Rajput, 2009), antiparkinsonian (Srivastava *et al* 1999) and anti-HIV activity (Sperka *et al* 2005). They are also found to be a potent inhibitor of serine protease, human leukocyte elastase and human cytomegalovirus protease enzyme (Vergely *et al* 1996; Knight *et al* 1992; Firestone *et al* 1990; Singh and Micetich, 2000) and are effective on central nervous system. These derivatives are also found to be moderately active against several types of cancer (Veinberg *et al* 1998). Recently, reports have been received which focus on the diverse pharmacological properties