Hindawi Publishing Corporation
Biochemistry Research International
Volume 2016, Article ID 8086762, 8 pages
http://dx.doi.org/10.1155/2016/8086762

Research Article

Novel 4-Thiazolidinone Derivatives as Anti-Infective Agents: Synthesis, Characterization, and Antimicrobial Evaluation

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Received 30 November 2015; Revised 7 January 2016; Accepted 12 January 2016

Academic Editor: Paul W. Huber

A series of new 4-thiazolidinone derivatives was synthesized, characterized by spectral techniques, and screened for antimicrobial activity. All the compounds were evaluated against five Gram-positive bacteria, two Gram-negative bacteria, and two fungi, at concentrations of 50, 100, 200, 400, 800, and 1600 μg/mL, respectively. Minimum inhibitory concentrations of all the compounds were also determined and were found to be in the range of 100–400 μg/mL. All the compounds showed moderate-to-good antimicrobial activity. Compounds **4a** [2-(4-fluoro-phenyl)-3-(4-methyl-5,6,7,8-tetrahydro-quinazolin-2-yl)-thiazolidin-4-one] and **4e** [3-(4,6-dimethyl-pyrimidin-2-yl)-2-(2-methoxy-phenyl)-thiazolidin-4-one] were the most potent compounds of the series, exhibiting marked antimicrobial activity against *Pseudomonas fluorescens*, *Staphylococcus aureus,* and the fungal strains. Thus, on the basis of results obtained, it may be concluded that synthesized compounds exhibit a broad spectrum of antimicrobial activity.

1. Introduction

Infections caused by microbes are among the leading causes of death worldwide. The availability of limited number of antibiotics for the treatment of infections, and continuous development of resistance to the recently used antimicrobial agents, pose a serious challenge [1]. Thus, the discovery of innovative and potent antimicrobial agents may be the only way to resolve the resistance problem and develop successful remedy for the treatment of infectious diseases. 4-Thiazolidinones have recently been reported to be novel inhibitors of the bacterial enzyme Mur B (a precursor during the biosynthesis of peptidoglycan) and also to block some pathogenic mechanisms of bacteria [2]. 4-Thiazolidinones are derivatives of thiazolidine with a carbonyl group at the fourth position. This is a core structure in various synthetic pharmaceuticals displaying a broad spectrum of biological activities such as antimycobacterial [3–5], antimicrobial [6–19], anticancer [20, 21], anticonvulsant [22–32], anti-inflammatory and analgesic [33–37], antiparasitic [38–43], antiviral and anti-HIV [44–49], antidiabetic [50–52], antihypertensive [53–55], antihyperlipidemic [56–58], and MAO inhibitors [59]. The substituted thiazolidine moiety has attracted considerable interest in the development of biologically active compounds. In the present study, novel arylidene substituted 4-thiazolidinones were synthesized and evaluated as antimicrobial agents from heterocyclic scaffold.

2. Materials and Methods

All the chemicals and solvents used in the study were procured from S. D. Fine-Chem. Ltd., Mumbai, and Sigma-Aldrich Chemie, Germany. Culture media for antimicrobial screening were procured from HiMedia Laboratories, Mumbai. The standard microbial strains were procured from Microbial Type Culture Collection (MTCC), Institute of Microbial Technology, Chandigarh, India. Spectral studies (IR, NMR, and mass spectrometry, Table 1) of the synthesized compounds were performed at Central Drug Research Institute, Lucknow.

2.1. Chemistry. 4-Thiazolidinones were synthesized in two steps. In the first step, 2-aminopyrimidine derivatives were synthesized by the reaction of 1,3-dicarbonyl compounds with guanidine. Final compounds (**4a**–**4f**) were synthesized