Research Paper

Facile Synthesis and Characterization of Some New 5-ethylidene-Thiazolidine-2,4-diones and their Antimicrobial Evaluation

PAYAL C. JOSHI, D. R. CHEJARA and D. H. MAHAJAN*[1]

Department of Chemistry, Uka Tarsadia University, Surat 394350, Gujarat, [1]Department of Chemistry, Government Science College Vankal, Surat 394430, Gujarat, India

A series of novel 5-ethylidene-thiazolidine-2,4-diones derivatives were synthesized by the knoevenagel condensation of aromatic ketone and N-substituted thiazolidinedione-2,4-diones. Use of $KAl(SO_4)_2 \cdot 12(H_2O)$ i.e. Alum for the reaction makes this synthesis facile because of the some advantageous impacts viz. non-toxicity, efficient catalytic ability and lower cost of alum. Substitution of arylidene moiety at the position 5 on the thiazolidine-2,4-diones nucleus was carried out in this facile approach. Synthesized derivatives were characterized using various analytical tools and antimicrobial evaluation thereof was performed against Gram-positive, Gram negative bacteria and a fungal strain. All synthesized compounds showed moderate to very good activity against the microorganisms that they were tested for.

Key words: ***Alum, antimicrobial evaluation, 5-ethylidene-thiazolidine-2,4-diones, knovenagel condensation***

Thiazolidine is a privileged class of compounds having many biological activities. Thiazolidine-2,4-dione derivatives found as basic pharmacophore for variety of biological activities such as antibacterial and antifungal[1,2], anticancer, analgesic, aldose reductase inhibitors[3] antiinflammatory, antituberculosis, antitumor[4], cardiotonic[5] and antidiabetic activity[6]. Now a days the group of heterocyclic compounds such as thiazolidine-2,4-dione, 2-imino-4-thiazolidinone, 4-thioxo-thiazolidine-2,4-dione and 2-thioxo-1,3-thiazolidine- 4-one (rhodanine) have been found as an interesting candidate to work on because of their pharmacological properties[7-12].

In the recent years, bacterial infections have been increased considerably. In human civilization, bacteria are the main source of most deadly diseases and widespread epidemics. Some of the bacterial diseases such as tuberculosis, typhus, plague, diphtheria, typhoid fever, cholera, dysentery, and pneumonia have taken a high toll on humanity[13]. Improper and repeated use of certain antibiotics is the main cause of bacterial resistance. Bacteria become resistant to chemotherapeutic agents by destruction or inactivation of the drug, prevention of the penetration of the target site within the microbe and alteration of drug target sites[14,15]. Furthermore, antimicrobial therapy for infection control has been focused due to increasing bacterial resistance to antibiotics[16]. Antibiotics are formed synthetically by bacteria and fungi which suppressing the growth of microorganisms[17]. At present, new antibiotics are to be discovered which are active against multidrug-resistant.

Several literature reports are there on thiazolidine conjugates wherein they showed number of biological activities on getting various substituents on different positions of thiazolidine ring[18]. Medicinal chemistry is an important field of research for the discovery of new active molecules using heterocyclic moieties that increases biological activity[19]. Introducing arylidene groups at the position 5 of the thiazolidine ring by using alum has also been reported where in alum was used as catalyst and was found as an effective promoter for the synthesis of 5-arylidine-2,4-thiazolidinediones[20,21]. With this in view strategy has been made to synthesize

***Address for correspondence**
E-mail: mahajandh@gmail.com

Accepted 29 September 2020
Revised 22 September 2020
Received 08 April 2020
Indian J Pharm Sci 2020;82(5):871-880

Received: 12 April 2020 | Revised: 7 June 2020 | Accepted: 9 June 2020

DOI: 10.1002/jobm.202000231

RESEARCH PAPER

Journal of Basic Microbiology

Bacteria associated with marine macroorganisms as potential source of quorum-sensing antagonists

Aparna A. Singh[1,2] | **Anil K. Singh**[3] | **Anuradha Nerurkar**[1]

[1]Department of Microbiology, Faculty of Science, The Maharaja Sayajirao University of Baroda, Vadodara, Gujarat, India

[2]Department of Microbiology, School of Sciences, P. P. Savani University, Surat, Gujarat, India

[3]Department of Microbiology, Government Science College, Vankal, Surat, Gujarat, India

Correspondence
Aparna A. Singh, School of Sciences, P. P. Savani University, Village Dhamdod, Kosamba, Surat, Gujarat 394430, India.
Email: aparnaakolkar@gmail.com, aparna.singh@ppsu.ac.in

Funding information
Department of Science & Technology, Government of India,
Grant/Award Number: Women Scientist Scheme (WOS-A): SR/WOS-A/LS-1359/2014

Abstract

Samples were collected from different undisturbed areas along the coast of Gujarat like Okha, Diu, Veraval, and Somnath. A total of 68 marine isolates were obtained out of which 53 were associated with various marine macroorganisms like sponges, gastropods, and algae, whereas 15 were free living. Quorum-quenching ability of all the isolates was tested against *Chromobacterium violaceum* MK by co-culture technique as a way to simultaneously detect signal-degrading as well as nondegrading quorum-sensing inhibitors. Nineteen macroorganism-associated bacteria and eight free-living bacteria were found to possess quorum-sensing inhibitory activity against *C. violaceum* MK without affecting its growth. Isolate OA22 from grape alga and OA10 from purple sponge (*Haliclona* sp.) were found to possess the highest C6-HSL degradation activity and extracellular non-*N*-acyl-homoserine lactone degrading QSI activity, respectively. OA22 was also found to degrade 3-oxo-C12 homoserine lactone. Acid recovery of both the C6- and C12-HSL after degradation by OA22 indicated the presence of lactonase enzyme in the isolate. Cell-free supernatant of OA10 was extracted with ethyl acetate to obtain the quorum-quenching compound. Pigment inhibition in *C. violaceum* MK treated with OA10 extract was demonstrated in various ways and was indicative of QSI activity of the extract without degradation of the quorum-sensing signaling molecule. The isolates OA22 and OA10 were identified as *Desemzia incerta* and *Bacillus* sp., respectively, by 16S ribosomal DNA sequence analysis.

KEYWORDS

alga, associated bacteria, *Bacillus* sp., *Chromobacterium violaceum*, *Desemzia incerta*, marine bacteria, quorum quenching, quorum sensing, sponges

1 | INTRODUCTION

Cell–cell communication, also known as quorum sensing (QS) is a widespread phenomenon used by bacteria to coordinate gene expression among the local population. QS was first discovered in marine luminescent bacterium *Vibrio fischeri* in which it regulates the luminescence activity of luciferase in the light organ of its symbiotic partner Hawaiian squid (*Euprymna scolopes*). QS is known to regulate a number of physiological processes in bacteria including virulence, biofilm formation, secondary metabolite production, sporulation, and so forth [1].