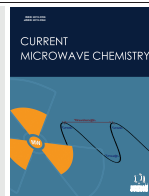


RESEARCH ARTICLE

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SCIENCE

Microwave-assisted Synthesis of Novel Triazolyl Pyrazolyl Pyrazoline Substituted Coumarins and Their Antimicrobial Activity

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ARTICLE HISTORY

Received: July 07, 2021

Revised: October 10, 2021

Accepted: November 30, 2021

DOI:

10.2174/2213335609666220118102344



CrossMark

Abstract: Background: The 1,2,3-triazole, pyrazole, and coumarin-based derivatives have received much attention due to their wide coverage of biological properties. The present work describes the microwave synthesis of novel triazolyl pyrazolyl pyrazoline substituted coumarins. The structures of all the newly synthesized compounds are characterized by spectral analysis and screened for their *in vitro* antimicrobial activity by the Broth dilution method.

Methods: Using the synthetic method, the targets were prepared by reacting various 3-{3-[3-(5-methyl-1-aryl-1*H*-1,2,3-triazol-4-yl)-1-phenyl-1*H*-pyrazol-4-yl]acryloyl} coumarins (coumarin chalcones) (**3a-d**) with hydrazine hydrate or aryl hydrazine (**5a-c**) in the presence of acetic/propionic acid under microwave irradiation.

Results: The structures of all the synthesized compounds were established by IR, ¹H-NMR, ¹³C-APT, and selected mass spectral data. The target compounds were also screened for their *in vitro* antimicrobial efficiency against a representative panel of pathogenic strains, specifically Gram-positive bacteria (*Staphylococcus aureus*, *Bacillus subtilis*), Gram-negative bacteria (*Escherichia coli*, *Salmonella typhi*), and Fungi (*Candida albicans*, *Aspergillus niger*).

Conclusion: In conclusion, the target compounds were obtained by Microwave Irradiation (MWI) technique in good yield with a short reaction time. Among all the synthesized compounds, **4c**, **4h**, **6a**, **6h**, and **6l** were found to have significant activity against bacterial and fungal strains.

Keywords: Coumarins, pyrazoline, triazole, pyrazole, chalcones, microwave irradiation, antimicrobial screening, Broth dilution method.

1. INTRODUCTION

At present, the role of heterocyclic compounds has become increasingly important in designing a new class of structural entities of medicinal importance. Among the pharmacologically important heterocyclic compounds, coumarin and its derivatives have a wide spectrum of biological activities and they are also present in naturally occurring compounds [1-3]. Coumarin is endowed with various activities, such as antituberculosis [4], anti-inflammatory [5], anticancer [6], anti-HIV [7], anti-tumor [8], and anti-coagulant [9]. The incorporation of a heterocyclic ring in the coumarin nucleus can bring about an extensive modification in the biological activities of the parent compound. During our literature survey, we came across pyrazoline coumarins depicting a variety of physiological responses, such as antibacterial [10], antifungal [11], antimalarial [12], anti-inflammatory [13], anticancer [14], antioxidant [15], etc.

Similarly, 1,2,3-triazole based derivatives have received much attention due to their wide coverage of biological properties. Several 1,2,3-triazole derivatives act as antiviral [16], agonist [17], antibacterial [18], antimicrobial [18], anti-HIV [19, 20], anticonvulsants [21], anti-allergic agents [22]. Many 1,2,3-triazole derivatives have been reported to possess potent anti-inflammatory [23], anticancer [24], and anti-tuberculosis [25] activities as well. 1,2,3-Triazole derivatives have been reported to inhibit tumor proliferation, invasion, and metastasis [26, 27]. In addition, compounds having 1,2,3-triazole groups have found industrial applications as dyes, corrosion inhibitors, sensors, and photo-stabilizers [28]. Our literature survey revealed that a large number of compounds having pyrazole nucleus in their structure are reported to have a wide range of biological activities, viz., antioxidant [29], anti-invasive [30], antiviral [31], anti-inflammatory [32], and anticancer [33] and are also used as agrochemicals [34] and dyes [35]. Celecoxib [36] was the first compound of this class of derivative that was introduced in the market and has been used as an anti-inflammatory and analgesic agent. Phenylbutazone [36] has been used in the treatment of severe arthritis. The pyrazole derivative, like tartrazine, is used as the food colourant [36]. However, to date,

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Ecotourism Can Promote Sustainable Development in Sacred Grove of Parnera Hills

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(Received 2, October, 2021; Accepted 9 November, 2021)

ABSTRACT

Tourism as sustainable development and conservation of environment are mirror image of each other. It can positively or negatively affect social, economic and environmental development of the region. A case study in sacred groves of Parnera hills, Valsad district, Gujarat, India was conducted through informal discussions and interviews with stake holders and local people of that area. Points of discussion in study included: Impact on environment, environmental sustainability policies, community involvement and interaction in the development of the area, environmental conservational activities, and economic sustainability. Findings demonstrate that tourism in sacred groves of Parnera hills affected a socio-economic status in the aspect of monetary gain to local people. In targeting the development of the area, environmental policies were overlooked and loss of biodiversity in flora and fauna reiterated the negative impact. Development of infrastructural facilities at the cost of biodiversity generated numerous debates. Seeing to the challenges of conservation for the ecofriendly sustainable development; awareness programs for local population and stake holders is an essential step which would balance the goals of development of ecotourism and conserving the diversity.

Key words : Sustainable development, Ecotourism, Sacred groves, Socio-economic development, Environmental conservation

Introduction

Tourism is one of the world's largest industries and one of the fastest growing economic sectors. Ecotourism is sub-component of the tourism field. Most of researchers point out that tourism should be consolidated with ecotourism (Degang and Xiaoting, 2006). It is potentially effective tool for sustainable development. Ecotourism was defined by international ecotourism society in 1990, according to which it is responsible travel to natural areas, which protect the environment and improves the welfare of the local people (Martha honey, 2008). It is resilience of economy that is executed without reduction in natural wealth and with protection of

cultural tradition and sustenance of the quality of life of rural people. There are different forms of ecotourism- Rural tourism, Cultural tourism, Nature tourism, Ecosystem tourism and Community tourism (Anup, 2016). Ecotourism generates the economic stability and leads to sustainable development. According to UN, sustainable development restores friendly relations, which is the demand of the present without reducing the capability of forthcoming generations to meet their demands. There are three main pillars of sustainable development: social equity, economic efficiency, environmental responsibility. These three pillars are referred as people, profit and planet



Disrupting the quorum sensing mediated virulence in soft rot causing *Pectobacterium carotovorum* by marine sponge associated *Bacillus* sp. OA10

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Received: 12 July 2020 / Accepted: 14 December 2020 / Published online: 4 January 2021
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Abstract

Strains of genus *Pectobacterium* are major cause of soft rot diseases in fruits and vegetables worldwide. Traditional control methods have not been very successful in combating the pathogenesis. As a result there has been an emerging need for developing an alternative ecofriendly and economical strategy. The pathogenesis of *Pectobacterium* sp. is mediated by quorum sensing (QS) and approaches based on inhibition of QS system to shut down the virulence genes without affecting growth of the pathogen may serve the purpose. *Bacillus* sp. OA10 associated with purple sponge *Haliclona* sp. was found to possess extracellular quorum quenching activity. The OA10 extract inhibited QS dependent virulence of *Pectobacterium carotovorum* subsp. *carotovorum* BR1 (PccBR1) at low concentrations (0.2 mg) as evident from $77.56 \pm 6.17\%$ reduction in potato maceration with complete inhibition by 0.8 mg. Inhibition of plant cell wall degrading enzymes (PCWDE) and carbapenem production by PccBR1 in presence of OA10 extract indicated disruption of the two QS pathways ExpI/ExpR and CarI/CarR in PccBR1. *Bacillus* sp. OA10 was not found to degrade acyl homoserine lactone (AHL), instead exhibited QSI activity by probably inhibiting AHL synthesis in PccBR1. Absence of enzymatic principle in quorum sensing inhibitor (QSI) is beneficial as enzymes may get inhibited by various factors during their application. OA10 extract did not affect growth of PccBR1, thereby reducing the chance of developing resistance against the QSI. Thus, *Bacillus* sp. OA10 can prove to be a good prospective candidate for QSI based novel biocontrol formulations.

Keywords *Bacillus* · Biocontrol · *Pectobacterium* · Soft rot · Quorum sensing · Quorum quenching

Introduction

Quorum sensing (QS) is a cell density dependent communication system that regulates gene expression in bacteria. Most of the pathogenic bacteria including plant pathogens depend on quorum sensing for their virulence and pathogenicity. The signaling molecules involved in this communication system are small diffusible molecules called

autoinducers as they up-regulate their own expression and are responsible for switching on the QS regulated pathways in pathogenic bacteria (LaSarre and Federle 2013). Majority of phytopathogenic bacteria studied so far are Gram negative, producing acyl homoserine lactones (AHLs) as signaling molecules that incorporate QS mechanisms into complex regulatory cascades to control pathogenesis related genes and colonization of host surfaces. Several plant pathogens such as *Pectobacterium carotovorum*, *Agrobacterium tumefaciens*, *Pantoea stewartii*, *Ralstonia solanacearum*, *Pseudomonas syringae*, *Pseudomonas aeruginosa*, and *Xanthomonas campestris* have been studied for their QS mediated pathogenesis. The QS regulated traits in plant pathogens include extracellular polysaccharides, degradative enzymes, antibiotics, siderophores, pigments, Ti plasmid transfer, motility, biofilm formation and epiphytic fitness (Ansari and Ahmed 2018; von Bodman et al. 2003).

Quorum sensing is widespread among the soft rot causing bacteria. One of the most important soft rot plant

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