

Novel α -glucosidase inhibitors from lichen *Cladonia sp.*

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Lichens are small perennial plants; consisting of a symbiotic association of a fungus and an alga. Lichen extracts have been used in various traditional medicine, homeopathic and naturopathic medicines world wide, and screening tests with lichens have indicated the frequent occurrence of metabolites with antibiotic, antimycobacterial, antiviral, analgesic, and antipyretic properties.¹ Other literature reports of biological activities of these compound being scarce, thus the therapeutic potential of lichens remains largely unexplored. Undoubtedly, studies of bioactivities of lichen compounds are important because from over 800 secondary metabolites known, up to 80% occur almost exclusively in these symbiotic organisms. Furthermore, these distinct classes of lichen metabolites have not been fully tested for their enzyme inhibitory activity.

This paper describes the isolation and characterization of compounds from lichen *Cladonia sp.* and their α -glucosidase inhibition studies.

α -Glucosidase inhibitors are currently of interest owing to their promising therapeutic potential in the treatment of disorders such as diabetes, human immunodeficiency virus (HIV) infection and metastatic cancer.² In addition α -glucosidase inhibitors have also been used as antiobesity drugs, fungistatic compounds insect antifeedants, and immune modulators. Amongst the various types of glucosidase inhibitors, disaccharides, iminosugars, carbasugars, thiosugars and also non-sugar derivatives have received great attention. However prior to this study there were no reports on α -glucosidase inhibitory activities of lichen compounds.

Sequential extraction of *Cladonia sp.* into CH_2Cl_2 and MeOH, and fractionation *via* silica gel MPLC and gravity chromatography using combinations of hexane-dichloromethane or hexane-ethyl acetate or dichloromethane-methanol in stepwise gradients, led to the isolation of five compounds namely zeorin (1) (0.52%), methyl- β -orcinolcarboxylate (2) (0.08%) methylorsellinate (3) (0.02%) atranorin (4) (0.29%), and lobaric acid (5) (0.37%) (Figure 1).

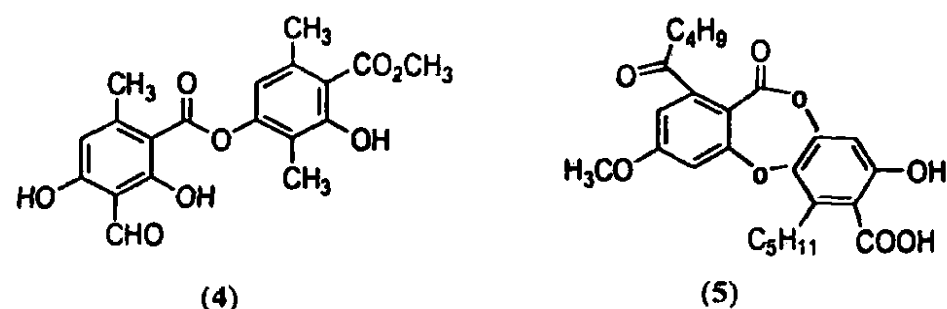
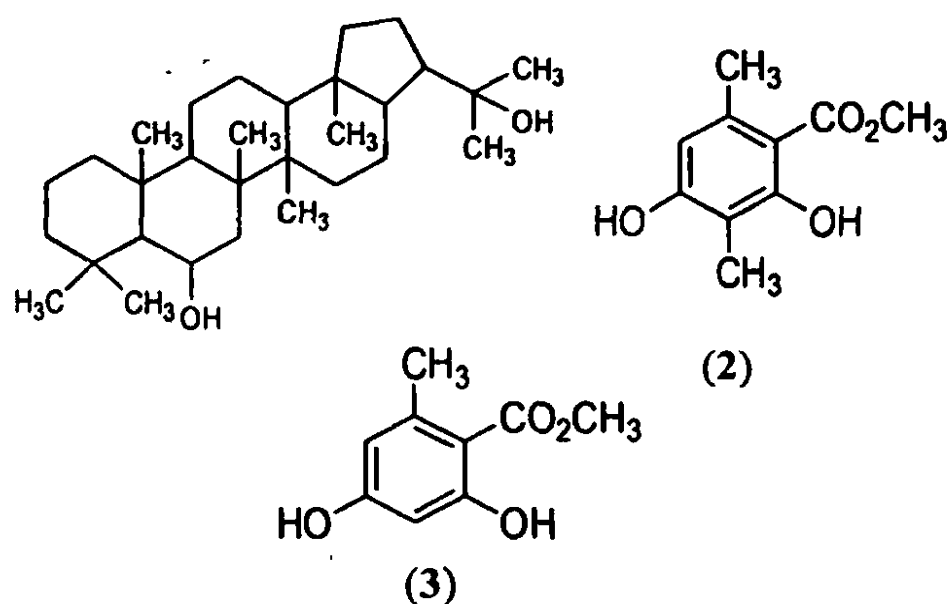


Figure 1: Compounds isolated from *Cladonia sp.*

The compounds isolated were subjected to the α -glucosidase inhibition assay according to the method of Oki *et al.*, (2000).³ The lichen specific triterpenoid zeorin (1) showed an IC_{50} value of 100.0 ± 0.3 , which is seven times less than the standard acarbose and four fold less than the standard 1-deoxynojirimycin. Two simple aromatic compounds namely methyl orsellinate (3), and methyl- β -orcinol carboxylate (2) also showed IC_{50} values several fold less than even the standards 1-deoxynojirimycin and acarbose (Table 1).

To the best of our knowledge this is the first report of α -glucosidase inhibitory activities of lichen compounds. The study provided impetus for identifying novel lead compounds with therapeutic potential and poses new challenges for medicinal chemistry.⁴

Table 1. IC_{50} values of lichen compounds against α -glucosidase with respect to standards

Sample	$\text{IC}_{50} \pm \text{SEM} [\mu\text{M}]$
Zeorin (1)	100.0 ± 0.3
Methyl- β -orcinol carboxylate (2)	140.0 ± 0.6
Methyl orsellinate (3)	165.0 ± 1.2
Atranorin (4)	NA
Lobaric acid (5)	NA
1-Deoxynojirimycin	425.0 ± 8.9
Acarbose	700.0 ± 10.4

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References

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First Research Session of the College of Chemical Sciences held on 16th February 2008 at Adamantane House



Chief Guest Prof. G M K B Gunaherath of the Department of Chemistry, the Open University of Sri Lanka, delivering the Keynote Address



Mr. Stanley Langer, Manager, International Relations, Royal Society of Chemistry UK, was the Guest of Honour

Presentation of Awards of the Inter-Level Debate Competition



Prof. G M K B Gunaherath distributing awards to the winners of the Inter-Level Debate Competition



First Runners up of the Inter-Level Debate Competition with Mr. Stanley Langer

Students who enrolled for the Graduateship Programme in Chemistry in March 2008 (30th Batch)



Training Seminar on Alternative Energy Sources and Energy Management held on 7th February 2008 at Adamantane House



Dr. Sisira Weliwegamage,
one of the coordinators of the
seminar lighting the oil lamp



Participants and Resource persons at the seminar

Workshop for Advanced Level Chemistry Teachers held on 13th & 14th March 2008 at Adamantane House



Presentation by Dr. Sudantha Liyanage



Chemistry teachers from many areas of the island
participating at the workshop

Australian National Chemistry Quiz 2007 Eleventh Award Ceremony held on 25th April 2008



**Chief Guest Mr. T Kandasamy, former
Government Analyst**



**The best student (Senior Division) Ms. Sameera
Jayaratna of Devi Balika Vidyalaya, Colombo receiving
her award from Prof. J N O Fernando, Dean/CCS.**

Winners of Senior Division



Seated (left to right): U Kakuluwila, S Jayarathne, Prof. J N O Fernando (Hony. Dean), Mr. T Kandasamy (Chief Guest), Dr. (Ms.) N Ediriweera (President), Mr. N I N S Nadarasa (Registrar), H de Silva, U Samarasiri
Standing (Left to right): D Loganatha, S Gunarathne, S Ranasinghe, M Bandara, P Aluthwala, T E Premasiri, D Ranasinghe, H O N Gamage, S Ariyaratne

Winners of Junior Division



Seated (left to right):
C Rathnayake, D Bandara, H K Abeyssekera, A Sooriyarachchi, J Bandara, Prof. J N O Fernando (Hony. Dean), Mr. T Kandasamy (Chief Guest), Dr. (Ms.) N Ediriweera (President), Mr. N I N S Nadarasa (Registrar), H Rajapaksha, Jiwon Yun, P Anusha, M Jayakody, L Senanayake
Standing (left to right)
1st Row: B Bandara, L Dissanayake, B Senevirathne, O Wilfred, L Senanayake, D Pathirana, S Walgama, S Seneviratne, D Samaliarachchi, S Abeyratne, H Malavipathirana, W Ranaweera, P Banjitha, K Ekanayake
2nd Row: R Gunnhewage, S Jayasinghe, A Rajarathnam, H Wickramasinghe, N Bandaranayake, C Rambukwella, S R Bandara, C Perera