Srí Lanka Assocíatíon for the Advancement of Scíence



Proceedings of the 76th Annual Sessions 13 – 18 December, 2020

Part I: Abstracts



Microwave assisted synthesis and investigation of antifungal activity of 3substituted indolin-2-ones

H.P.S.K. Wijekoon¹, N.P.L.N. Palliyaguru¹, T.D.C.P. Gunasekara^{2,3}, S.S.N Fernando², P.P.M. Jayaweera¹ and K.G.U.R. Kumarasinghe^{1*}

¹ Department of Chemistry, Faculty of Applied Sciences, University of Sri Jayewardenepura, Gangodawila, Nugegoda, ²Department of Microbiology, Faculty of Medical Sciences, University of Sri Jayewardenepura, Gangodawila, Nugegoda, ³Center for Plant Materials and Herbal Products Research, University of Sri Jayewardenepura, Gangodawila, Nugegoda

Microwave Assisted Drug Synthesis (MADS) is considered as promising green synthesis method of drug discovery which can use to complete an organic reaction within short period of time. The dielectric heating procedure found in microwave radiation has improved the atomeconomy, E-factor and product purity. Oxindole scaffold is a ubiquitous pharmacopore found in variety of pharmaceutical and biological active compounds. 3-substituted indolin-2-ones containing oxindole nucleus are credited to have extensive range of biological applications. Here we report microwave-assisted green synthesis of 3-substituted indolin-2-ones from oxindole and naturally occurring aldehydes in the presence of piperidine as a catalyst. A mixture of oxindole (0.1 mmol), aldehyde (0.1 mmol) and piperidine in 5 ml ethanol was irradiated inside the microwave oven at high power (900 W) for 15 minutes to obtain targeted 3-substituted indolin-2-ones (A, B, C) in scheme 1. The products were obtained in high yield and the completion of the reaction was confirmed by thin layer chromatography (TLC). It is reported that conventional method takes 3-16 hours to complete the same reaction with sufficient yield. Nuclear Magnetic Resonance (¹H NMR) and Fourier-Transform Infrared (FTIR) spectroscopic data confirmed the formation of products A, B and C. The compounds were tested for in vitro antifungal activity against Candida albicans (ATCC 10231) and Candida glabrata (ATCC 90030) using well diffusion assay. The mean zone of inhibition (ZOI) of compounds A, B and C (250 µg/ml concentration) against Candida albicans and Candida glabrata were 20.0 mm, 20.0 mm, 19.3 mm and 14.6 mm, 14.6 mm, 11.6 mm respectively. The mean (ZOI) of positive control



miconazole against *Candida albicans* and *Candida aglabrata* was 11.3 mm and 24.6 mm respectively. The results suggest that all synthesized 3-substituted indolin-2-ones possess significant antifungal effect against *Candida albicans* and *Candida glabrata*. Microwave assisted synthesis is a rapid efficient and environmentally safe green synthetic method in the synthesis of biomedical significant oxindole derivatives.

Scheme 1: Synthetic pathway for 3-substituted indolin-2-ones

Keywords: Microwave assisted, oxindole, 3-substituted indolin-2-ones, anti-fungal **Acknowledgement**: Financial assistance by Research Grant No: ASP/01RE/SCI/2017 **E-mail:** upulk@sjp.ac.lk