SHORT COMMUNICATION

Synthesis and Antibacterial Study of Aspirin-Chalcone Derivatives

ZAINAB NGAINI^{1*}, DORIS HO AI HUI¹, HASNAIN HUSSAIN², WAN SHARIFATUN HANDAYANI <u>WAN ZULIKIPLEE</u>¹, <u>MENG GUAN TAY</u>¹, NORHASNAN SAHARI¹ & NORSYAFIKAH ASYILLA NORDIN¹

¹Department of Chemistry; ²Department of Molecular Biology, Faculty of Resource Science and Technology, Universiti Malaysia Sarawak, 94300 Kota Samarahan, Sarawak, Malaysia ³Universiti Teknologi MARA Sarawak, Jalan Meranek, 94300 Kota Samarahan, Sarawak, Malaysia

ABSTRACT

The chemistry of aspirin and chalcone derivatives has been extensively studied and developed as one of the pharmaceutically important molecules. In this study, new aspirin-chalcone derivatives have been successfully synthesized and characterized *via* FTIR, ¹H and ¹³C NMR spectroscopy. The antibacterial activities of synthesized compounds were investigated towards *Escherichia coli* ATCC 8739 *via* turbidimetric kinetic method. The newly synthesized aspirin-chalcone derivatives, however showed poor antibacterial activity against *E. coli* ATCC 8739 at the concentration of 50, 80 and 100 ppm. The effect of the molecular structure of the synthesized compounds on the antibacterial activity is discussed.

Keywords: Aspirin, chalcone, antimicrobial, E. coli

Aspirin is a well-known salicylate drug, which has been used as an analgesic and antiinflammatory medication. Modifications of aspirin have been carried out widely and many aspirin derivatives were reported to show various biological activities such (Al-Bakri antibacterial et al.2009). antithrombic and antiplatelet (Lechi et al., 1996) and also anticancer properties (Lechi et al., 1996; Zheng et al., 2007).

Our group has recently reported on the incorporation of aspirin with thiourea moiety with excellent antibacterial property against *E. coli* (Ngaini *et al.*, 2012). Besides thiourea, chalcones which belong to the flavonoid family has also been identified as an interesting compound to display a diverse array of pharmacological activities. Chalcones show many biological properties including anticancer, antimalaria, antimicrobial, anti-inflammatory and antibacterial (Hsieh *et al.*, 1998; Ram *et al.*, 2000). Novel 2,4,2'-trihydroxy-5-methylchalcone, for instance, was reported to inhibit the growth of different Gram-positive bacteria (Sato *et al.*, 1996)

This finding has stimulated our interest in the synthesis of a series of chalcone compounds containing aspirin moiety. In this paper, we report on the synthesis of aspirin-chalcone compounds **2a-b** *via* incorporation of hydroxychalcone onto aspirin moiety. The hydroxychalcones **1a-b** were earlier prepared *via* Claisen-Schmidt condensation prior to incorporation onto aspirin derivatives. The antibacterial property of the synthesized aspirin-chalcone derivatives were also studied against wild-typed *E. coli* ATCC8739.

Aspirin, oxalyl chloride, 4-hydroxybenzaldehyde, acetophenone, benzaldehyde and 4-hydroxyacetophenone were obtained from Merck and used without further purification. All the other reagents and solvents were used as received.

Measurements: Melting points were determined by the open tube capillary method and are uncorrected. Infrared (IR) spectra (v/cm^{-1}) were recorded as KBr pellets on a Perkin Elmer 1605 FTIR spectrophotometer. ¹H and ¹³C NMR spectra were recorded on a JEOL ECA 500 spectrometer at 300 MHz (¹H)

^{*}Corresponding author: nzainab@frst.unimas.my