



## Biological Studies of Novel Aspirin-Chalcone Derivatives bearing Variable Substituents

Norsyafikah Asyilla Nordin<sup>a</sup>, Abdul Razak Ibrahim<sup>b</sup> and Zainab Ngaini<sup>c,\*</sup>

<sup>a</sup> Faculty of Pharmacy, Universiti Sultan Zainal Abidin, Besut Campus, 22200 Besut, Terengganu, Malaysia.

<sup>b</sup> School of Physics, Universiti Sains Malaysia, 11800 USM, Penang, Malaysia.

<sup>c</sup> Department of Chemistry, Faculty of Resource Science and Technology, Universiti Malaysia Sarawak, 94300 Kota Samarahan, Sarawak, Malaysia.

**\*Corresponding author: nzainab@unimas.my**

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### ABSTRACT

The evolution of drug resistant bacteria has now becoming a major concern in the search for new antibacterial agent. Ongoing interest has also developing to find a new class of compounds with antioxidant properties. Herein, a series of hydroxylated chalcones **1a-g** and aspirin-chalcone derivatives **2a-g** were successfully synthesised for antibacterial and antioxidant properties. Chalcones **1a-g** were prepared by Claisen-Schmidt condensation of 4-hydroxyacetophenone and benzaldehyde derivatives, while **2a-g** were synthesised *via* esterification of aspirin with **1a-g**. All the synthesised compounds were elucidated using CHNS elemental analysis, FTIR, <sup>1</sup>H and <sup>13</sup>C NMR spectroscopy, and X-ray crystallography. All compounds were evaluated for antibacterial assay via disc diffusion method and antioxidant assay using stable free radical 2,2-diphenyl-1-picrylhydrazyl (DPPH). Only **1a** showed moderate activity against *Escherichia coli*, while **1b-g** and **2a-g** showed no inhibition against *E. coli* and *Staphylococcus aureus* in comparison ampicillin as standard antibiotic. Compounds **1b-g** and **2a-g** having various substituents contributed to bulky molecular structures and caused difficult penetration into the cell membrane thus, unable to inhibit the bacterial growth. Compounds **1a-g** and **2a-g** also displayed poor antioxidant properties on DPPH in comparison to ascorbic acid due to low phenolic pharmacophore. The formation of bulky structures for **2a-g** have hindered the antioxidant properties compared to **1a-g**.

**Keywords:** Synthesis, chalcone, aspirin, antibacterial activity, antioxidant activity

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### INTRODUCTION

Aspirin is a well-known non-steroidal anti-inflammatory drug that has been used as medication to treat fever and inflammation for over the century (Vane & Botting, 2003). It has been chemically modified from salicylic acid, an active metabolite which is extracted from bark of Willow tree (Nordin *et al.*, 2018). Prolonged use of aspirin however, can cause adverse effects such as vomiting and stomach bleeding (Vane & Botting, 2003). Structural modification of aspirin has improved its efficacy with less gastrointestinal toxicity compared to