

***SYNTHESIS AND ANTIMALARIAL ASSAY OF CHALCONE, FLAVONE
AND FLAVANONE FROM 2,4-DIHYDROXYACETOPHENONE
AND 4-METHOXYBENZALDEHYDE***

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ABSTRACT

Synthesis of 2',4'-dihydroxy-4-methoxychalcone (**1**), 7-hydroxy-4'-methoxyflavone (**2**) and 7-hydroxy-4'-methoxyflavanone (**3**) have been conducted using starting material of 2,4-dihydroxyacetophenone and 4-methoxybenzaldehyde. Antimalarial assay of compound **1**, **2** and **3** by Heme Polymerization Inhibitory Activity (HPIA).

Synthesis of compound **1** by Claisen-Schmidt reaction between compound 2,4-dihydroxyacetophenone and 4-methoxybenzaldehyde in ethanol. Synthesis of compound **1** has been carried out by conventional and sonochemical methods. The conventional method was conducted by stirring at room temperature for 48 hours and sonochemical method by sonication at room temperature for 7 hours. The variation % of base KOH were 30, 40, 50, 60 and 70%. The compound **2** formed by cyclization compound **1** and Iodine solids (I₂) with reflux for 1 hour in DMSO. The compound **3** formed by cyclization compound **1** and sodium acetate solids with reflux for 12 hours in ethanol. All of compound **1**, **2** and **3** were analyzed by FTIR, GC/EI-MS, ¹H-NMR and TLC scanner. The activity of compound **1**, **2** and **3** as antimalarial agents were tested by HPIA assay.

The result of compound **1** showed as orange crystal with melting points 179-181 °C and % yield each of conventional and sonochemical methods were 45.03% and 66.38% with optimum base KOH 60%; compound **2** showed as yellow solids with melting points 260-270 °C and % yield 81.99% and compound **3** showed as yellow crystal with melting points 176-179 °C and % yield 87.77%. The HPIA assay showed that IC₅₀ value for compound **1**, **2** and **3** were 0.25; 0.62 and 1.23 mM. Based on the IC₅₀ value, compound **1**, **2** and **3** were potential as antimalarial compounds.

Keyword: Synthesis, chalcone, flavone, flavanone, antimalarial, HPIA.

SINTESIS DAN UJI ANTIMALARIA SENYAWA KHALKON, FLAVON DAN FLAVANON DARI 2,4-DIHIDROKSIASETOFENON DAN 4-METOKSIBENZALDEHIDA

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INTISARI

Telah dilakukan sintesis senyawa 2',4'-dihidroksi-4-metoksikhalkon (**1**), 7-hidroksi-4'-metoksiflavin (**2**) dan 7-hidroksi-4'-metoksiflavanon (**3**) menggunakan bahan awal 2,4-dihidroksiasetofenon dan 4-metoksibenzaldehida. Uji aktivitas antimalaria senyawa **1**, **2** dan **3** menggunakan metode penghambatan polimerisasi hem.

Senyawa **1** telah disintesis melalui reaksi kondensasi *Claisen-Schmidt* antara senyawa 2,4-dihidroksiasetofenon dan 4-metoksibenzaldehida dalam pelarut metanol menggunakan metode konvensional dan sonokimia. Metode konvensional dilakukan dengan pengadukan pada temperatur kamar selama 48 jam sedangkan sonokimia dilakukan dengan sonikasi pada temperatur kamar selama 7 jam. Variasi katalis basa yang digunakan antara lain 30, 40, 50, 60 dan 70%. Sintesis senyawa **2** menggunakan refluks melalui reaksi siklisasi oksidatif antara senyawa **1** dan iodin (I_2) dalam pelarut dimetil sulfoksida (DMSO) selama 1 jam. Sedangkan sintesis senyawa **3** menggunakan refluks melalui siklisasi antara senyawa **1** dan katalis basa natrium asetat selama 12 jam. Senyawa hasil sintesis **1**, **2** dan **3** dianalisis menggunakan spektrometer FTIR, GC/EI-MS, dan 1H -NMR serta TLC scanner. Uji aktivitas antimalaria senyawa **1**, **2** dan **3** menggunakan metode penghambatan polimerisasi hem.

Hasil sintesis senyawa **1** berupa kristal berwarna oranye, titik leleh 179-181 °C dengan rendemen optimum pada metode konvensional dan sonokimia masing-masing sebesar 45,03% dan 66,38% pada penggunaan basa KOH 60%; senyawa **2** berupa padatan kuning, titik leleh 265-270 °C dengan rendemen sebesar 81,99% dan senyawa **3** berupa kristal berwarna kuning, titik leleh 176-179 °C dengan rendemen sebesar 87,77%. Hasil aktivitas antimalaria melalui penghambatan polimerisasi hem senyawa **1**, **2** dan **3** diperoleh nilai IC_{50} berturut-turut sebesar 0,25; 0,62 dan 1,23 mM. Hal ini menunjukkan bahwa ketiga senyawa ini memiliki potensi aktif sebagai antimalaria.

Kata kunci: Sintesis, khalkon, flavon, flavanon, antimalaria, polimerisasi hem.