SYNTHESIS OF CHALCONE DERIVATIVES BASED ON 2-ACETYLFURAN AND METHOXYBENZALDEHYDES AND ITS ACTIVITY ASSAYS AS ANTIMALARIAL AGENT

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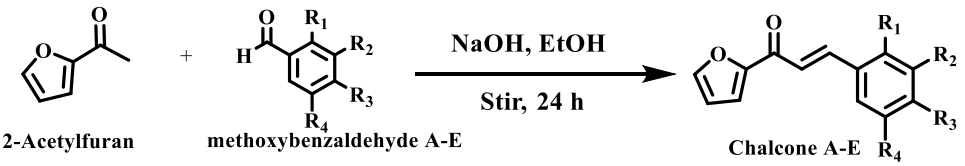
ABSTRACT

Chalcone derivatives were synthesized via Claisen-Schmidt condensation reaction from 2-acetylfuran and substituted methoxybenzaldehyde as antimalarial agents and underwent antimalarial activity assays. Synthesis of chalcone derivatives was carried out using 2-acetylfuran and substituted methoxybenzaldehyde, *i.e.,* 4-methoxybenzaldehyde, 2,5-dimethoxybenzaldehyde, 2,4-dimethoxybenzaldehyde, 3,4-dimethoxybenzaldehyde and 3,4,5-trimethoxy-benzaldehyde as starting materials. Each substituted methoxybenzaldehyde was reacted in methanol: distilled water 1:1 and 20% NaOH catalyst under stirring to give **chalcone A, B, C, D,** and **E**. Then, structure elucidation of the synthesized product was done using FTIR, GC-MS, ^{1}H- and ^{13}C-NMR spectrometers. The product's activities as antimalarial agents were tested by *in vitro* assay method against *Plasmodium falciparum* FCR-3.

Chalcone A, B, C, D, and **E** were successfully synthesized from 2-acetylfuran and substituted methoxybenzaldehyde to give yellow solids in 67.52, 80.62, 73.42, 81.51 and 78.69% yields, respectively, with melting points of 92-95, 120-123, 108-111, 100-103, and 154-157 °C. Antimalarial activity assay of **chalcone A**, **B**, **C**, **D,** and **E** gave the IC_{50} values of 1.01; 1.04; 1.51; 2.17; and 1.39 µM, respectively. From *in vitro* antimalarial activity assay against *Plasmodium falciparum* FCR-3, it can be concluded that **chalcone A**, **B**, **C**, **D**, and **E** were categorized as good antimalarial agents.



Compound	R_1	R_2	R_3	R_4
A	-H	-H	$-OCH_3$	-H
B	$-OCH_3$	-H	-H	$-OCH_3$
C	$-OCH_3$	-H	$-OCH_3$	-H
D	-H	$-OCH_3$	$-OCH_3$	-H
E	-H	$-OCH_3$	$-OCH_3$	$-OCH_3$

Keywords: 2-Acetylfuran, antimalaria, chalcone, *Plasmodium falciparum* FCR-3

SINTESIS DAN UJI AKTIVITAS SENYAWA TURUNAN KALKON BERBAHAN DASAR 2-ASETILFURAN DAN METOKSIBENZALDEHIDA TERSUBTITUSI SEBAGAI AGEN ANTIMALARIA

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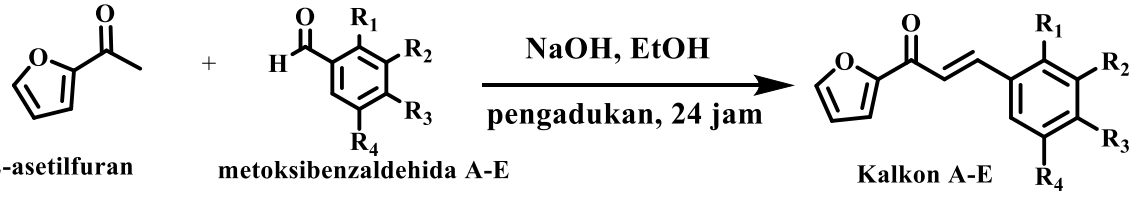
INTISARI

Senyawa turunan kalkon disintesis melalui reaksi kondensasi *Claisen-schimdt* dari 2 -asetilfuran dan metoksibenzaldehida tersubstitusi sebagai agen antimalaria dan diuji aktifitas antimalaria. Sintesis turunan kalkon dilakukan menggunakan bahan dasar senyawa 2-asetilfuran dan metoksibenzaldehida tersubstitusi, yaitu 4-metoksi benzaldehida, 2,5-dimetoksibenzaldehida, 2,4-dimetoksibenzaldehida, 3,4-dimetoksibenzaldehida, 3,4,5 trimetoksibenzaldehida. Setiap metoksibenzaldehida tersubtitusi direaksikan dalam pelarut methanol:air 1:1 menggunakan katalis NaOH 20% dengan metode pengadukan. Elusidasi struktur terhadap produk hasil sintesis dilakukan dengan spectrometer FTIR, GC-MS, ^{1}H- dan ^{13}C-NMR. Produk hasil sintesis diuji aktivitasnya sebagai senyawa antimalaria secara *in vitro* terhadap *Plasmodium falciparum* FCR-3.

Berdasarkan hasil penelitian diperoleh **kalkon A, B, C, D** dan **E** berupa padatan berwarna padatan kuning dengan rendemen berturut-turut 67.52, 80.62, 73.42, 81.51 and 78.69%, dengan titik leleh berturut-turut 92-95, 120-123, 108-111, 100-103, and 154-157 °C. Uji aktivitas malaria terhadap senyawa kalkon **A-E** menghasilkan nilai IC_{50} berturut turut 1.01; 1.04; 1.51; 2.17; dan 1.39 μM sehingga **kalkon A-E** tergolong senyawa yang aktif sebagai antimalaria.



2-asetilfuran + metoksibenzaldehida A-E → Kalkon A-E

Senyawa	R_1	R_2	R_3	R_4
A	-H	-H	$-OCH_3$	-H
B	$-OCH_3$	-H	-H	$-OCH_3$
C	$-OCH_3$	-H	$-OCH_3$	-H
D	-H	$-OCH_3$	$-OCH_3$	-H
E	-H	$-OCH_3$	$-OCH_3$	$-OCH_3$

Kata kunci: 2-Acetylfuran, antimalaria, kalkon, *Plasmodium falciparum* FCR-3