SYNTHESIS AND ACTIVITY EVALUATION OF CHALCONE AND PYRAZOLINE DERIVATIVES FROM FURFURALDEHYDE AS ANTIMALARIAL AGENTS

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ABSTRACT

The synthesis of chalcone and pyrazoline derivatives has been carried out from furfuraldehyde and acetophenone derivatives, including 4-chloro-acetophenone, 4-aminoacetophenone, and 4-hydroxyacetophenones, as the starting materials. Chalcone **A**, **B**, and **C** were synthesized via *Claisen-Schmidt* condensation reaction using NaOH 20% as a catalyst. The synthesis was done by reacting the acetophenone derivatives, NaOH 20%, and furfuraldehyde in methanol:water (1:1) solvent for chalcone A, B, and ethanol solvent for chalcone C, under stirring at room temperature. The synthesis of *N*-phenylpyrazoline **A**, **B**, and **C** was carried out by reacting chalcone **A**, **B**, or **C** with phenylhydrazine in ethanol using NaOH 20% as a catalyst under reflux or stirring method. All the products were characterized by FTIR, GC-MS, ^{1}H, and ^{13}C-NMR spectrometers. The antimalarial activities of the synthesized compounds were evaluated through *in vitro* assay against *Plasmodium falciparum* FCR-3.

The results showed that Chalcone **A**, **B**, and **C** were obtained as solids ranging in color from light brown, dark yellow, to yellowish-orange, with the yield of 90.26; 93.79; and 82.16%, respectively. *N*-phenylpyrazoline **A** was obtained as a whitish-brown solid in 67.50% yield, while *N*-phenylpyrazoline **B** was obtained as a reddish-brown solid in 88.17% yield. The antimalarial activity evaluation of chalcone **A**, **B**, **C,** and *N*-phenylpyrazoline **A** resulted in the IC_{50} values of 24.44; 20.42; 21.92; and 498.29 µM, respectively. From this research can be concluded that chalcone **A**, **B**, and **C** exhibited moderate antimalarial activity, while *N*-phenylpyrazoline **A** was inactive as an antimalarial agent.

Keywords: 4-aminoacetophenone, antimalarial, chalcone, *N*-phenylpyrazoline, *Plasmodium falciparum* FCR-3

SINTESIS DAN UJI AKTIVITAS SENYAWA TURUNAN KALKON DAN PIRAZOLINA BERBASIS FURAN DARI FURFURALDEHIDA SEBAGAI SENYAWA ANTIMALARIA

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INTISARI

Sintesis senyawa turunan kalkon dan pirazolina dari furfuraldehida dan turunan asetofenon, yaitu 4-kloroasetofenon, 4-aminoasetofenon, dan 4-hidroksiasetofenon, telah dilakukan. Kalkon **A**, **B**, dan **C** disintesis melalui reaksi kondensasi *Claisen-Schmidt.* Sintesis kalkon **A**, **B**, dan **C** dilakukan dengan mereaksikan furfuraldehida dan turunan asetofenon dalam pelarut metanol:akuades (1:1) untuk kalkon **A**, **B** dan pelarut etanol untuk kalkon **C** dengan katalis NaOH 20% melalui pengadukan selama 24 jam pada suhu ruang. Sintesis *N*-fenilpirazolina **A**, **B**, dan **C** dilakukan dengan mereaksikan kalkon **A**, **B**, dan **C** dengan fenilhidrazina dalam pelarut etanol menggunakan katalis NaOH 20% melalui metode refluks dan metode pengadukan. Semua produk hasil sintesis dikarakterisasi menggunakan spektrometer FTIR, GC-MS, ^{1}H and ^{13}C-NMR. Aktivitas antimalaria dari produk hasil sintesis diuji secara *in vitro* terhadap *Plasmodium falciparum* FCR-3.

Hasil penelitian menunjukkan bahwa kalkon **A**, **B**, dan **C** menghasilkan padatan dengan warna beragam dari coklat muda hingga kuning tua dengan rendemen berturut-turut yaitu 90,26; 93,79; dan 82,16%. *N*-fenilpirazolina **A** didapatkan sebagai padatan berwarna putih kecoklatan dengan rendemen sebesar 67.50%, sedangkan *N*-fenilpirazolina **B** didapatkan sebagai padatan berwarna merah kecoklatan dengan rendemen 88.17%. Uji antimalaria terhadap kalkon **A**, **B**, **C**, and *N*-fenilpirazolina **A** menghasilkan nilai IC_{50} masing-masing sebesar 24,44; 20,42; 21,92; dan 498,29 µM. Dari penelitian ini disimpulkan bahwa kalkon **A**, **B**, dan **C** merupakan agen antimalaria dengan aktivitas sedang, sedangkan *N*-fenilpirazolina **A** dikategorikan sebagai senyawa yang tidak aktif sebagai antimalaria.

Kata kunci: 4-aminoasetofenon, antimalaria, kalkon, *N*-fenilpirazolina, *Plasmodium falciparum FCR-3*