SINTESIS TURUNAN KALKON DAN PIRAZOLINA DARI 4-AMINOASETOFENON SERTA UJI AKTIVITASNYA SEBAGAI SENYAWA ANTIMALARIA

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INTISARI

Telah dilakukan sintesis turunan kalkon dan pirazolina dari 4-aminoasetofenon serta uji aktivitasnya sebagai antimalaria. Penelitian dilakukan melalui tiga tahapan, yaitu: sintesis senyawa turunan kalkon, sintesis senyawa turunan pirazolina, dan uji aktivitasnya sebagai senyawa antimalaria. Sintesis kalkon **A-C** dilakukan dengan mereaksikan 4-aminoasetofenon dengan senyawa turunan benzaldehida, yaitu veratraldehida, *p*-anisaldehida, dan benzaldehida. Reaksi dilakukan dengan metode sonikasi dalam pelarut etanol dan KOH 40%. Sintesis N-formil pirazolina **A-C** dilakukan dengan mereaksikan kalkon hasil sintesis dengan hidrazin hidrat dan asam format. Reaksi dilakukan dengan katalis HCl 10% dan pelarut metanol dengan metode refluks. Kebenaran struktur senyawa hasil sintesis dibuktikan menggunakan spektrometer FTIR, GC-MS, dan ^{1}H-NMR. Produk hasil sintesis diuji aktivitasnya sebagai senyawa antimalaria secara *in vitro* terhadap *P. falciparum* FCR-3.

Hasil penelitian menunjukkan bahwa senyawa kalkon **A**, **B**, dan **C** memiliki karakteristik berupa padatan berwarna kuning dengan titik leleh sebesar 132-136, 109-115, dan 95-105 °C dan rendemen 83,23; 79,88 dan 74,76%. Senyawa N-formil pirazolina **A, B** dan **C** yang dihasilkan dari reaksi siklokondensasi memiliki karakteristik berwarna putih dengan rendemen sebesar 61,44; 47,45 dan 27,36%. Uji aktivitas antimalaria senyawa kalkon **A**, **B**, **C** dan N-formil pirazolina **B** menghasilkan nilai IC_{50} berturut-turut sebesar 21,32; 7,63; 6,53 dan 31,11 µM. Kalkon **A** dan N-formil pirazolina **B** termasuk kategori antimalaria sedang, kalkon **B** dan **C** termasuk kategori aktif sebagai antimalaria. Uji aktivitas antimalaria senyawa N-formil pirazolina **A** dan **C** tidak dilakukan karena kemurnian senyawa masih rendah.

Kata kunci: 4-aminoasetofenon, antimalaria, kalkon, pirazolina, *P. falciparum* FCR-3

SYNTHESIS OF CHALCONE AND PYRAZOLINE DERIVATIVES FROM 4-AMINOACETOPHENONE AND THEIR ACTIVITIES ASSAY AS ANTIMALARIAL AGENTS

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ABSTRACT

Synthesis of chalcone and pyrazoline derivatives from 4-aminoacetophenon and their activity assay as antimalarial agents have been carried out. The research was carried out in three stages, namely synthesis of chalcone derivatives, synthesis of pyrazoline derivatives, and activity test as antimalarial agents. Chalcones **A-C** were synthesized by reacting 4-aminoacetophenone with benzaldehyde derivatives, namely veratraldehyde, *p*-anisaldehyde, and benzaldehyde. The reaction was carried out by sonication method in ethanol and 40% KOH as a catalyst. The synthesis of N-formyl pyrazolines **A-C** were carried out by reacting chalcones **A-C** with hydrazine hydrate and formic acid. The reactions were carried out in methanol and HCl 10% as catalyst by the reflux method. The elucidation of the structure of the synthesized compounds were proven using FTIR, GC-MS, and ^{1}H-NMR spectrometers. The synthesized products were tested for their activity as antimalarial agents by *in vitro* assay against *P. falciparum* FCR-3.

The results showed that chalcones **A**, **B**, and **C** had the characteristics of yellow solid with melting points of 132-136, 109-115, and 95-105 °C, and the yields of 83.23, 79.88, and 74.76%, respectively. N-formyl pyrazolines **A**, **B**, and **C** produced from the cyclo-condensation reaction had the characteristics of white solid with the yields of 61.44, 47.45, and 27.36%. The antimalarial activity test of chalcones **A**, **B**, **C**, and N-formylpyrazoline **B** resulted in IC_{50} values of 21.32, 7.63, 6.53 and 31.11 µM. Chalcone **A** and N-formyl pyrazoline **B** were antimalarial agents with moderate activity, while chalcones **B** and **C** were active as antimalarial agents. The antimalarial activity test of N-formyl pyrazolines **A** and **C** were not carried out because the purity of the compounds were still low.

Keywords: 4-aminoacetophenone, antimalarial, chalcone, *P. falciparum* FCR-3, pyrazoline