

SINTESIS TURUNAN KALKON DAN PIRAZOLINA BERBASIS FURAN DAN METOKSIASETOFENON SERTA UJI AKTIVITASNYA SEBAGAI SENYAWA ANTIMALARIA

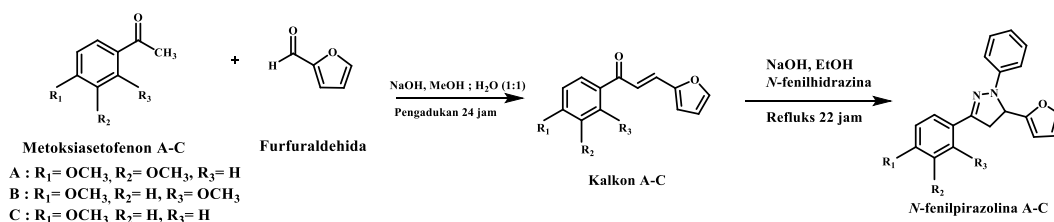
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INTISARI

Turunan kalkon dan *N*-fenilpirazolina berbasis furan dan metoksiasetofenon telah berhasil disintesis dan diuji aktivitas antimalariannya terhadap *P. falciparum* FCR-3. Turunan kalkon disintesis melalui reaksi kondensasi *Claisen-Schmidt*. Sintesis kalkon **A**, **B** dan **C** dilakukan dengan mereaksikan 3,4-dimetoksiasetofenon, 2,4-dimetoksiasetofenon atau 4-metoksiasetofenon dengan furfuraldehida dalam pelarut metanol:air 1:1 menggunakan katalis NaOH 20% (b/v) dan pengadukan selama 24 jam pada suhu ruang. Sintesis *N*-fenilpirazolina **A**, **B** dan **C** dilakukan dengan mereaksikan kalkon **A**, **B** atau **C** dengan fenilhidrazina dalam pelarut etanol dengan katalis NaOH 20% dan direfluks selama 22 jam. Elusidasi struktur terhadap produk hasil sintesis dilakukan dengan spektrometer FTIR, GC-MS, ¹H- dan ¹³C-NMR. Produk hasil sintesis diuji aktivitasnya sebagai senyawa antimalaria secara *in vitro* terhadap *Plasmodium falciparum* FCR-3.

Berdasarkan hasil penelitian diperoleh kalkon **A** dan **C** berupa padatan berwarna kuning pucat dengan rendemen berturut-turut 75,19 dan 83,64% dan titik leleh 80-81 dan 76-77 °C, sedangkan kalkon **B** merupakan padatan berwarna oranye dengan rendemen sebesar 76,64% dan titik leleh 62 °C. Reaksi siklokondensasi menghasilkan *N*-fenilpirazolina **A** dan **B** berupa padatan berwarna coklat muda dengan rendemen 93,39 dan 96,26% dan titik leleh sebesar 147-148 dan 131-132 °C, sedangkan *N*-fenilpirazolina **C** berupa padatan berwarna kecokelatan dengan rendemen 99,06% dan titik leleh 152-153 °C. Uji aktivitas antimalaria terhadap senyawa kalkon **A-C** serta senyawa *N*-fenilpirazolina **A-C** menghasilkan nilai IC₅₀ berturut-turut 4,52; 6,28; 2,34; 20,00; 18,78; 16,17 μM sehingga senyawa kalkon **A-C** dan pirazolin **A-C** tergolong senyawa yang aktif sebagai senyawa antimalaria.

Kata kunci: 2,4-dimetoksiasetofenon, antimalaria, kalkon, *N*-fenilpirazolina, *Plasmodium falciparum* FCR-3.



SYNTHESIS OF FURAN AND METHOXYACETOPHENONE-BASED CHALCONE AND PYRAZOLINES DERIVATIVES AND THEIR ACTIVITIES TEST AS ANTIMALARIAL AGENTS

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ABSTRACT

Furan and methoxyacetophenone-based chalcones and pyrazolines had been successfully synthesized and the antimalarial activity of those compounds had been tested against *P. falciparum* FCR-3. Furan-based chalcone derivatives were synthesized via *Claisen-Schmidt* condensation reaction. The synthesis of chalcone **A**, **B**, and **C** was conducted by reacting furfuraldehyde with 3,4-dimethoxyacetophenone, 2,4-dimethoxyacetophenone, or 4-methoxyacetophenone in methanol:water 1:1 with the presence of NaOH 20% as the catalyst and stirred for 24 hours 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 with the presence of NaOH 20% (b/v) as the catalyst under refluxed conditions for 22 hours. Structure elucidation of all products was performed using FTIR, GC-MS, ¹H- and ¹³C-NMR spectrometers. The synthesized products were tested for their activity as antimalarial compounds by *in vitro* assay against *P. falciparum* FCR-3.

The result showed that chalcone **A** and **C** were obtained as pale-yellow solid having m.p of 80-81 and 76-77 °C with 75.19 and 83.77% yield respectively, while chalcone **B** was obtained as bright yellow solid having m.p of 62 °C with 76.64% yield. The cyclocondensation reaction produced *N*-phenylpyrazoline **A** and **B** as light brown solid having m.p of 147-148 and 130-132 °C with 93.39 and 96.26% yield respectively, while *N*-phenylpyrazoline was obtained as white brownish solid with m.p of 153 °C in 99.06% yield. The antimalarial activity test of chalcone **A-C**, *N*-phenylpyrazoline **A-C** gave IC₅₀ values of 4,52; 6,28; 2,34; 20,00; 18,78; 16,17 μM, therefore chalcone **A-C** and *N*-phenylpyrazoline **A-C** are considered as active antimalarial compounds.

Keywords: 2,4-dimethoxyacetophenone, antimalarial, chalcone, *N*-phenylpyrazoline, *P. falciparum* FCR-3.

