SINTESIS TURUNAN KALKON DAN N-FENIL PIRAZOLINA BERBAHAN DASAR p-ANISALDEHIDA DAN UJI AKTIVITAS ANTIMALARIANYA
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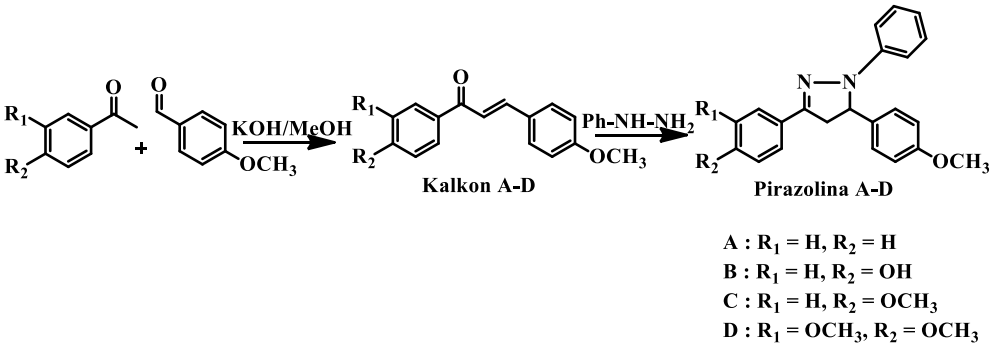
ABSTRAK

Sintesis turunan kalkon dan *N*-fenilpirazolina berbahan dasar *p*-anisaldehida dan turunan asetofenon berupa asetofenon, 4-hidroksiasetofenon, 4-metoksiasetofenon, 3,4-dimetoksiasetofenon serta uji aktivitasnya sebagai antimalaria telah dilakukan. Penelitian diawali dengan sintesis kalkon sebagai prekursor dari *p*-anisaldehida, turunan asetofenon dan KOH dalam pelarut metanol dengan metode sonokimia selama 1,5 jam. Sintesis senyawa turunan *N*-fenilpirazolina dilakukan dengan cara siklisasi senyawa kalkon hasil sintesis dengan fenilhidrazina menggunakan metode refluks selama 5. Katalis asam asetat glasial ditambahkan untuk kalkon tanpa subtituen gugus hidroksi, sedangkan katalis NaOH digunakan untuk kalkon tersubtitusi gugus hidroksi. Kebenaran struktur senyawa hasil sintesis, dilakukan elusidasi struktur dengan spektrometer FTIR, GC-MS, ^{1}H dan ^{13}C-NMR. Senyawa kalkon dan *N*-fenilpirazolina hasil sintesis diuji aktivitasnya sebagai agen antimalaria dengan metode penghambatan polimerisasi heme.

Berdasarkan hasil penelitian diperoleh senyawa turunan kalkon berupa padatan berwarna kuning dengan rendemen kalkon **A**-**D** sebesar 80,67; 72,38; 80,60 dan 85,62%. Senyawa *N*- fenilpirazolina yang diperoleh berupa padatan kuning dengan rendemen pirazolina **A**-**D** sebesar 72,48; 81,41; 67,67 dan 90,82%. Uji penghambatan polimerisasi heme menghasilkan nilai IC_{50} kalkon **A** lebih tinggi yaitu 5,38 mM dibandingkan klorokuin difosfat sebagai kontrol positif yaitu 6,73 mM. Senyawa pirazolina **A**-**D** juga telah terbukti aktif pada penghambatan polimerisasi heme dengan nilai IC_{50} kurang dari 37,5 mM.



Skema 1 Jalur sintesis turunan kalon dan N-fenilpirazolina

Kata kunci: antimalaria, *N*-fenilpirazolina, Kalkon, *p*-anisaldehida

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SYNTHESIS OF CHALCONE AND N-PHENYL PYRAZOLINE DERIVATIVES BASED ON *p*-ANISALDEHYDE AND THEIR ANTIMALARIAL ACTIVITY ASSAYS

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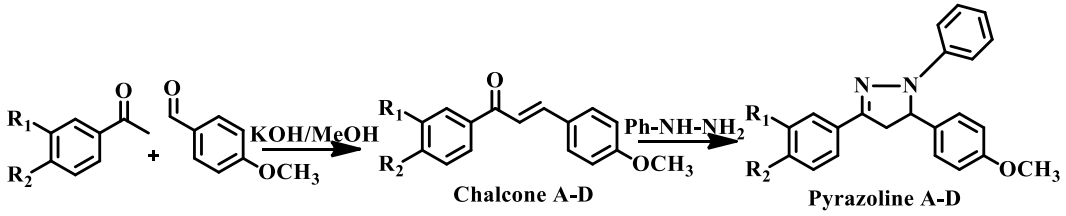
ABSTRACT

Synthesis of chalcone and *N*-phenylpyrazoline derivatives from *p*-anisaldehyde and acetophenone derivative such as acetophenone, 4-hydroxyacetophenone, 4-methoxyacetophenone, and 3,4-dimethoxyacetophenone and the activity assay as an antimalaria have been carried out. First, chalcone was synthesized from *p*-anisaldehyde and acetophenone derivatives, using KOH as a catalyst in methanol using sonochemical method for 1.5 h. Synthesis of *N*-phenylpyrazoline derivative was carried out by cyclization of chalcone with phenylhydrazine by reflux method for 5 h. Glacial acetic acid was added as catalyst for the Chalcone which does not contain hydroxide substituent, while NaOH was utilized as catalyst for the chalcone that contains hydroxide substituent. The structure elucidations of products were confirmed by FTIR spectrophotometer, GC-MS, ^{1}H- and ^{13}C-NMR spectrometer. Synthesis of chalcone and *N*-phenylpyrazoline derivatives were evaluated *in vitro* for the activity assay as an antimalarial by heme polymerization inhibitory assay.

Based on the results, the chalcone derivatives (chalcone **A-D**) were obtained as yellow solid in 80.67, 72.38, 80.60 dan 85.62%, respectively. *N*-phenylpyrazoline derivatives (pyrazoline **A-D**) were obtained as yellow solid in 72.48, 81.41, 67.67 dan 90.82%. The heme polymerization inhibitory assay produced IC_{50} value of chalcone **A** at 5.38 mM showed better activity than chloroquine as a positive control at 6.73 mM. It was also proven that the pyrazoline **A-D** compounds were active in inhibition of heme polymerization with IC_{50} value less than 37,5 mM.



Scheme 1 Synthetic pathway for chalcone and *N*-phenylpyrazoline derivatives

Keywords: antimalarial, *N*-phenylpyrazoline, chalcone, *p*-anisaldehyde