

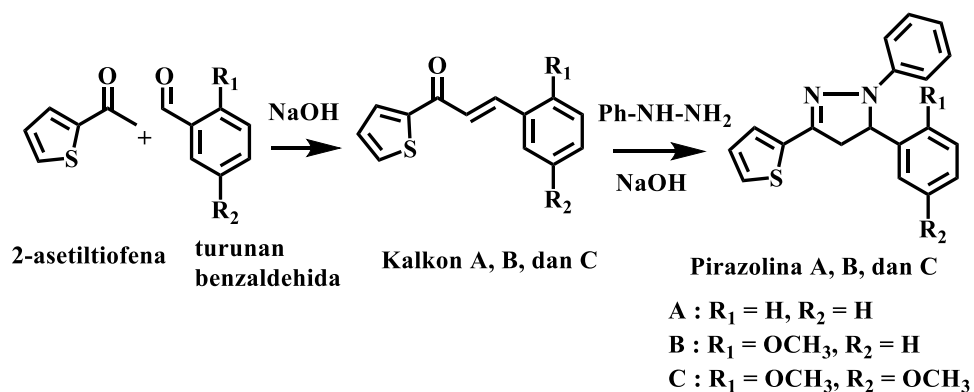
**SINTESIS TURUNAN KALKON DAN N-FENILPIRAZOLINA
 BERBASIS TIOFENA DAN AKTIVITAS SITOTOKSIK TERHADAP
 CELL LINES KANKER**

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INTISARI

Sintesis senyawa turunan kalkon dan N-fenilpirazolina berbasis tiofena serta uji sitotoksisitas terhadap *cell lines* kanker telah dilakukan. Penelitian diawali dengan sintesis kalkon melalui reaksi kondensasi *Claisen-Schmidt* antara 2-asetiltiofena dan variasi turunan benzaldehida yaitu benzaldehida, 2-metoksibenzaldehida dan 2,5-dimetoksibenzaldehida dengan katalis NaOH menggunakan metode pengadukan selama 24 jam. Tahap selanjutnya, sintesis senyawa N-fenilpirazolina dilakukan dengan mereaksikan kalkon dan fenilhidrazin dengan katalis NaOH menggunakan metode refluks selama 24 jam. Elusidasi struktur senyawa hasil sintesis dilakukan menggunakan spektrometer FTIR, GC-MS, ¹H- dan ¹³C-NMR. Senyawa kalkon dan N-fenilpirazolina hasil sintesis diuji sitotoksisitasnya terhadap *cell lines* kanker secara *in vitro* terhadap sel kanker payudara (T47D dan 4T1), sel kanker serviks (HeLa), sel kanker kolon (WiDr) dan sel normal (Vero) menggunakan metode MTT.

Berdasarkan hasil penelitian, diperoleh produk senyawa kalkon **A**, **B**, dan **C** berupa padatan dengan *yield* sebesar 93,07; 94,78 dan 78,15%. Senyawa pirazolina **A**, **B**, dan **C** yang dihasilkan sebagai padatan dengan *yield* sebesar 65,51; 80,84 dan 65,93%. Hasil uji sitotoksisitas terhadap *cell lines* kanker menunjukkan bahwa kalkon **B** memiliki potensi sebagai agen antikanker terbaik dengan nilai IC₅₀ 0,24 µg/mL terhadap sel kanker WiDr dan selektivitas tinggi terhadap sel normal, sedangkan senyawa pirazolina dengan aktivitas antikanker terbaik adalah pirazolina **B** dengan nilai IC₅₀ sebesar 0,25 µg/mL terhadap sel kanker WiDr dan selektivitas tinggi terhadap sel normal.



Skema 1 Jalur sintesis turunan kalkon dan N-fenilpirazolina

Kata kunci: kalkon, N-fenilpirazolina, antikanker, 2-asetiltiofena

SYNTHESIS THIOPHENE-BASED OF CHALCONE AND N-PHENYLPYRAZOLINE DERIVATIVES AND CYTOTOXIC ACTIVITY AGAINST CANCER CELL LINES

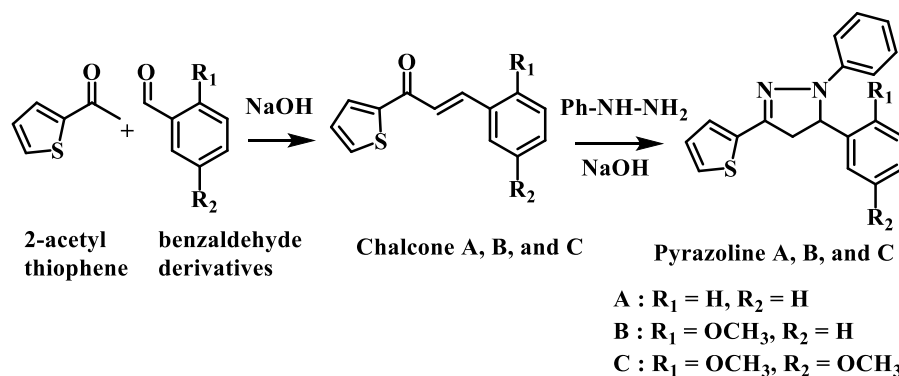
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ABSTRACT

Synthesis thiophene-based of chalcone and N-phenylpyrazoline derivatives and cytotoxic activity against cancer cell lines have been carried out. First, chalcone derivatives were synthesized by Claisen-Schmidt condensation reaction between 2-acetylthiophene and benzaldehyde derivatives such as benzaldehyde, 2-methoxybenzaldehyde and 2,5-dimethoxybenzaldehyde using NaOH as a catalyst by stirring method for 24 h. Second, the syntheses of N-phenylpyrazoline derivatives were carried out by cyclocondensation reaction of chalcone and phenylhydrazine using NaOH as a catalyst by reflux method for 24 h. The structure elucidation of products was confirmed by FTIR, GC-MS, ¹H- and ¹³C-NMR spectrometers. *In vitro* cytotoxicity evaluation of chalcone and N-phenylpyrazoline derivatives was evaluated against breast cancer cell lines (T47D and 4T1), cervical cancer cell line (HeLa), colorectal cancer cell line (WiDr), and normal cell line (Vero) by MTT assay.

The products of chalcone derivatives (chalcone **A**, **B**, and **C**) were obtained as solid in 93.07; 94.78 dan 78.15%, respectively. N-phenylpyrazoline derivatives (pyrazoline **A**, **B**, and **C**) were yielded as solid in 65.51; 80.84 dan 65.93%, respectively. *In vitro* cytotoxicity evaluation of chalcone **A**, **B**, and **C** and pyrazoline **A**, **B**, and **C** showed that chalcone **B** was found to be the most potent anticancer agent with an IC₅₀ value of 0.24 µg/mL against WiDr cancer cell line and possesses high selectivity toward normal cell. While, pyrazoline **B** becomes the most potent anticancer with an IC₅₀ value of 0.25 µg/mL against WiDr cancer cell line and high selectivity toward normal cell.



Scheme 1 Synthetic pathway for chalcone and N-phenylpyrazoline derivatives

Keywords: chalcone, N-phenylpyrazoline, anticancer, 2-acetylthiophene