Research in Pharmaceutical Sciences, 2012;7(5)
School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Synthesis and antimicrobial activity of some new chalcones bearing n-substituted imidazolyl ring

G. Barghiyan Khiabani[1,*], J. Shahbazi Mojarrad[1], A. Monfared[2], D. Asgari[1], G. Zarrini[1], M. Samad Zadeh[3]

[1]Department of Pharmacology, School of Pharmacy, Tabrizb University of Medical Sciences, Tabriz, Iran
[2]Payame Noor University, Tehran, Iran
[3]School of Pharmacy, Tabrizb University of Medical Sciences, Tabriz, Iran

Background and Aims: Chalcones (1,3-diaryl-2-propen-1-ones) are natural or synthetic compounds displaying an impressive array of biological properties . Their antimicrobial activity and particularly the antifungal action have been largely attributed to the reactive enone moiety. Chalcones have hydroxy group in A ring or electron withdrawing groups in B ring, they show significant antifungal properties. In this study, synthesis of chalcones with imidazole ring instead of B ring and ortho-hydroxy group in A ring and their antimicrobial effects are investigated.
Methods: Chalcones were synthesized by Claisen-Schmidt-condensation between 2´-hydroxyacetophenone and imidazole-5-carboxaldehyde derivatives. For the synthesis of imidazole ring, substituted ammonium chloride salts were reacted with potassium thiocyanate and dihydroxyacetone to produce N-substituted-2-mercapto-5-hydroxymethyl-1H-imidazole. As the next step, the methylation reaction was achieved via methyl iodide and afforded corresponding substituted methylthioimidazoles. The oxidation of alcohol group was done by activated manganese dioxide. In final step N-substituted-2-methylthioimidazole-5-carboxaldehydes were reacted with 2´-hydroxyacetophenone in alkaline media to afford chalones bearing N-substituted imidazolyl ring.we used Disc diffusion method (for measurement of Zone diameter of growth inhibitory) and Agar dilution method (for measurement of MIC). The chalcones were tested for their growth inhibitory activity against E. coli, Bacillus subtilis, Staphylococcus aureus, Candida kefir, C. krusei, Fussarium sp., Penicillium sp., Aspergillus niger.
Results: Chalcones (4a-g) were synthesized as crystals with yield about 65-95%, as yellow colour. Chalcone 4a had antifungal effects similar to amphotericin B, it showed 22 mm diameter of growth inhibitory on C. kefir. Chalcones 4b-g had no effect on the fungi and bacteria (MIC> 2000 µg / ml).
Conclusions: Chemical structure of chalcones 4a-g, were characterized by FT-IR, 13CNMR and 1HNMR spectroscopy. Chalcone 4a showed good antifungal activity in comparison with chalcones 4b-g. a: R= CH3 b: R= 4-ClphCH2 c: R= phCH2 d: R= 4-MeOphCH2 e: R= phNH f: R= 2,4-(NO2)2phNH g: R= CH2CH2OH

Keywords: Chalcone; Claisen-Schmidt-condensation; Antifungal; Substituted