-Synthesis, Characterization, and Screening for Anti inflammatory and Antimicrobial Activity of Novel Indolyl Chalcone Derivatives

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Abstract:

Because of the great biological importance of substituted indole derivatives, in the present study, a series of pyrazolylindole, thiazolylindole, and pyrimidinylindole derivatives have been synthesized with good yield. The precursor indolyl chalcone 2a–d was prepared by reaction of 3-chloro-1H-indole-2-carbaldehyde 1 with different ketones. Then, compounds 3b–d, 4, and 5a–d have been synthesized by the reaction of chalcones 2a–d with hydrazine, phenylhydrazine, and thiosemicarbazide. When the chalcone derivative 2b subjected to react with hydroxylamine hydrochloride gave isoxazolylindole derivative 6b. N-thiazolidine pyrazolyl indole 7 was obtained by reacting compound 5a with ethyl chloroacetate. On the other hand, when chalcone derivative 2b allowed to react with urea and thiourea gave the corresponding pyrimidinylindole derivatives 8 and 9. Finally, when chalcone derivative 2b reacted with ethyl cyanoacetate or malononitrile gave pyridinylindole derivatives 10 and 11. The structures of the all synthesized compounds were elucidated on the basis of spectral analysis infrared, NMR, and mass spectroscopy. Some of the synthesized compounds were screened for their antimicrobial and anti-inflammatory activity. Compound 4b was the highest antibacterial activity against all strains of bacteria with values higher than those of the corresponding reference antibiotics (ciprofloxacin and levofoxacin, respectively) and almost the same as (gemifloxacin, moxifloxacin, clindamycin, gentamycin, and streptomycin). Compounds 4, 5, 6, and 7 showed high anti-inflammatory activity compared with the standard drug indomethacin

Keywords:

Indole, Chalcones, Pyrazole, Biological Activity, Synthesis

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