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Design, Synthesis and Anti-Inflammatory Activity of Some Coumarin and Flavone Derivatives Containing 1,4 Dioxane Ring System

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Abstract : Coumarins and flavones are oxygen containing heterocyclic compounds which are present in various biologically active compounds. Both the heterocyclic rings are associated with diverse biological actions, therefore considered as an important scaffold for the design of molecules of pharmaceutical interest. Aim: To synthesize and evaluate the in vivo anti-inflammatory activity of few coumrain and flavone derivatives containing 1,4 dioxane ring system. Materials and methods: Coumarin derivatives (3a-d) were synthesized by reacting 7,8 dihydroxy coumarin (2a) and its 4-methyl derivative (2b) with epichlorohydrin/ethylene dibromide. The flavone derivatives (10a-d) were prepared by using quercetin and 3,4 dihydroxy flavones. Compounds of both the series were also evaluated for their anti-inflammatory, analgesic activity and ulcerogenicity in animal models by reported methods. Results and Discussion: The structures of all newly synthesized compounds were confirmed with the help of IR, 1H NMR, 13C NMR and Mass spectral studies. Elemental analyses data for each element analyzed (C, H, N) was found to be within acceptable range of ±0.4 %. Flavone derivatives, but in particular quercetin containing 1,4 dioxane ring system (10d) showed better anti-inflammatory and analgesic activity along with reduced gastrointestinal toxicity as compared to other synthesized compounds. The results of anti-inflammatory and analgesic activities of both the series are comparable with the positive control, diclofenac. Conclusion: Compound 10d, a quercetin derivative, emerged as a lead molecule which exhibited potent anti-inflammatory and analgesic activity with significant reduced gastric toxicity.

Keywords : analgesic, anti-inflammatory, 1,4 dioxane, coumarin, flavone
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