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Synthesis and analgesic activity of 2-(p-substituted phenyl)-3-[1-(substituted aminomethyl)-2-oxoindolin-3-ylideneamino] quinazolin-4-(3H)-one derivatives

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teterocyclic chemistry forms the basis of many pharmaceutical, agrochemical and veterinary products. Quinazoline-4(3H)one ring system has been consistently regarded as promising privileged structural icon owing to its pharmacodynamic versatility in many of its synthetic derivatives as well as in several naturally occurring alkaloids. The literature reveals that substitution at the 2nd & 3rd positions of quinazolin-4(3H)-ones showed a wide range of biological spectrum and isatin moiety displayed valuable biological activities. It was therefore considered worthwhile to incorporate these features in an appropriate molecule for better pharmacological actions. A series of 2,3-disubstituted-4(3H)-quinazolin-4-one derivatives were synthesized by reacting anthranilic acid with benzoyl chloride followed by condensing with hydrazine hydrate. The 3-amino -2-substituted quinazolinone was further subjected to Schiff base with isatin followed by mannich reaction with secondary amine. The chemical structures of the newly synthesized compounds were established by FT-IR, 1H NMR & Mass spectra. The synthesized 2-(4-substituted phenyl)-3-[1-(substituted amino methyl)-2-oxoindolin-3- ylideneamino] quinazolin-4(3H)-one derivatives were evaluated for their analgesic properties by acetic acid induced writhing method at different doses 25, 50 & 100 mg/kg of body weight (bw) and diclofenac (25 mg/kg bw) was used as reference drugs. From the results of the study it has been observed that parent compounds, 2-(4'-substituted phenyl)-3-[(N-2-oxoindolin-3-ylidene amino)-quinazolin-4(3H)-one derivatives exhibited moderate degree of analgesia and among the final mannich bases, compound IVd, i.e., 2-(4'-methoxy phenyl)-3-[1-(piperazinyl methyl)-2- oxoindolin-3-ylideneamino] quinazolin-4(3H)-one exhibited the highest analgesic activity while the remaining compounds exhibited moderate activity. None of the synthesized compounds showed ulcer index whereas the standard drug, diclofenac [25 mg/kg (bw)] showed significantly higher gross ulcer index values.

Biography

Gopal Natesan has completed his Doctoral degree (PhD) in Pharmaceutical Chemistry from Hamdard University (Jamia Hamdard) New Delhi, India in 2000 and currently serving as Professor of Medicinal Chemistry & Deputy Dean of Research & Innovation and Students Affairs in Faculty of Pharmacy, MAHSA University, Kuala Lumpur, Malaysia. His research focuses on the synthesis of newer small chemical entities, quinazolinones heterocyclic pharmacophore and their preliminary screening in both *in-vivo* and *in-vitro* models mainly focusing on pain & inflammation and also for newer microbial agents. He has published > 40 articles in indexed journals and presented > 80 papers at conferences and invited speaker at international scientific meetings and conferences and serves as reviewer for several scientific international journals and also as Editorial/Advisory board of various journals.

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