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Synthesis, evaluation and docking studies of some novel 1,2,4-triazine derivatives bearing five member heterocyclic moiety as potential anti-inflammatory and analgesic agents

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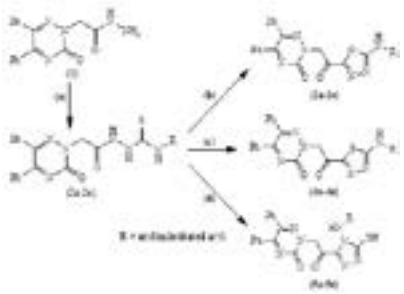
A group of 5-substituted 1,3,4-oxadiazole/thiadiazole and 1,2,4-triazole tethered to a 5,6-diphenyl-1,2,4-triazin-3(2H)-ones were synthesized following a "hybrid-pharmacophore" approach and evaluated for anti-inflammatory and analgesic activity. The structure of the novel compounds were supported by FT-IR, 1H-NMR, 13C-NMR and elemental analysis. The derivatives (3a-3e, 4a-4e and 5a-5e) were initially screened for *in vitro* anti-inflammatory potential by albumin denaturation assay. Compounds exhibiting comparable activity to standard drugs indomethacin and celecoxib were further assessed *in vivo* for anti-inflammatory, analgesic, ulcerogenic and lipid peroxidation activities.



Of the fifteen synthesized derivatives, six compounds namely 3c, 3d, 3e, 4c, 4d and 4e exhibited superior anti-inflammatory activity in the acute, sub-chronic and chronic models of inflammation along with significant analgesic activity together with negligible ulcerogenic potential. These derivatives also exhibited reduced Malondialdehyde (MDA) content suggesting their protective effects to the inhibition of lipid peroxidation in the gastric mucosa. Derivatives 3c, 3d, 3e, 4c, 4d and 4e were found to be potent competitive COX-2 inhibitors with IC_{50} range of 2.28-3.17 μM. The binding modes of these active compounds into the COX-2 binding site through docking studies exemplified their consensual interaction and subsequent inhibition of enzyme thus corroborating the outcomes of *in vitro* and *in vivo* biological evaluation. Outcome of the following study involving "hybrids" embedded with two biologically active scaffolds may be further utilized for designing novel derivatives with potential anti-inflammatory and analgesic properties with superior safety profile than the current available therapeutic medication.

Biography

Anupam G Banerjee is currently pursuing PhD in Pharmaceutical Chemistry at the Department Pharmaceutics, Indian Institute of Technology (Banaras Hindu University), Varanasi (India). His research involves the Computer Aided Drug Design based synthesis of novel heterocyclic motifs as potential anti-inflammmatory and analgesic agents. His primary research interest lies in the development of NCE's (New Chemical Entities) possessing anti-inflammatory potential. Apart from this, he also pursues his research interest in neuropharmacology by exploring new strategies for the synthesis of NCE's as anti-convulsants. He has published 10 research papers in various journals of international repute.

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