

Marine molluscan invertebrates as a potential source for bioactive compounds having antiangiogenic, anti-inflammatory and anticataract activities

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Purpose: A screening program was undertaken to evaluate the antiangiogenic, anti-inflammatory and anticataract potential of the methanolic extracts of 22 marine invertebrates of phylum mollusca (MIV₁₋₂₂) from southeast coast of India.

Methods: The anti-inflammatory activity of methanolic extracts was evaluated via their ability to reduce the formation of leukotriene and prostaglandins by interfering with LOX and COX pathways. In vitro activity to prevent the formation of leukotriene and prostaglandins were accomplished by calcium ionophore induced inflammatory mediators production from arachidonic acid using isolated human WBCs (from buffy coats) and further quantification of LTB₄, PGE₂ and PGD₂ using LC-MS/MS. Antiangiogenic screening was done using chick chorio-allantoic membrane (CAM) assay, cautery induced corneal neovascularization and oxygen induced retinopathy assays. The anticataract potential was evaluated using steroid induced cataract model. Results: Among all extracts, MIV_{2,14,15,16,20,21,22} showed noticeable inhibition of leukotriene and prostaglandins levels in human WBCs and among these MIV₂₁ conferred most noticeable inhibition. In CAM assay, MIV_{3,4,17,18} showed significant (p≤0.001) antiangiogenic activity as they profoundly inhibited VEGF induced proliferation of new blood vessels and among these, MIV₁₇ showed significant (p≤0.001) inhibition of cautery induced corneal neovascularization in rats and oxygen induced retinal neovascularization in rat pups. The methanolic extracts of MIV_{11,15} conferred noticeable anticataract activity.

Conclusions: Among all the extracts, the methanolic extract of MIV₂₁ conferred significant anti-inflammatory activity whereas MIV₁₇ showed significant antiangiogenic activity whereas MIV_{11,15} treated lenses showed noticeable delay in the progression of cataract. Activity guided isolation of compounds is in process for obtaining newer biomolecules of marine origin.

Biography

Pankaj Gupta has recently completed his Ph.D. from All India Institute of Medical Sciences, New Delhi, India and is presently working as assistant Professor of Pharmacognosy at K. R. Mangalam University, Gurgaon. He has published over 11 papers in reputed national/international journals and was the former vice-chairman of the Society of Young Scientists at AIIMS. He has been serving as the reviewer for various journals such as Pharmaceutical Biology (formerly known as the International Journal of Pharmacognosy). He has co-coordinated several symposia, conferences, bioanalytical hands on workshop, QIP and is recipient of several national/international travel fellowships.

Design, synthesis, conformation of triazole based heterocyclic scaffold and peptidomimetics via azide-alkyne/alkene cyclo addition

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Based on “amide-triazole bioequivalence” principle, 1,2,3-triazole-fused chiral medium ring benzo-heterocycles capable of mimicking benzolactams were designed. Their syntheses were accomplished by cycloaddition of different sugar derived azido-alkynes and azido-alkenes. In another approach, peptidomimetic macrocycles, regioisomeric in terms of the position of triazole/amide bond have been synthesized. Both undergo self assembly in different direction depending on the solvent polarity.