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Design and Development of Small Peptides as Anti-inflammatory Agents

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Abstract : Beyond the conventional mode of working with anti-inflammatory agents through enzyme inhibition, herein, an alternate substrate of cyclooxygenase-2 was developed. Proline centered pentapeptide iso-conformational to arachidonic acid exhibited appreciable selectivity for COX-2 overcoming acetic acid and formalin induced pain in rats to almost 80% and was treated as a substrate by the enzyme. Remarkably, COX-2 metabolized the pentapeptide into small fragments consisting mainly of di- and tri-peptides that ensured the safe breakdown of the peptide under in-vivo conditions. The kinetic parameter Kcat/Km for COX-2 mediated metabolism of peptide 6.3 x 105 M-1 s-1 was quite similar to 9.5 x 105 M-1 s-1 for arachidonic acid. Evidenced by the dynamic molecular studies and the use of Y385F COX-2, it was observed that the breakage of the pentapeptide has probably taken place through H-bond activation of the peptide bond by the side chains of Y385 and S530.

Keywords : small peptides, anti-inflammatory agents, cyclooxygenase-2, unnatural substrates
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