SYNTHESIS OF SOME NOVEL BENZAZOLE DERIVATIVES AS COX INHIBITORS

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Cyclooxygenases (COX) are the rate-limiting enzyme of prostanoid synthesis. There are two isoforms of COX: the constitutive isoform, COX-1, and the inducible isoform, COX-2. COX-1 is denoted constitutively in many organs to mediate physiological response. In contrast, COX-2 is undetectable in most tissues and is induced by inflammation [1]. The current anti-inflammatory drugs are encumbered with NSAIDs associated with adverse effects like ulceration and gastric haemorrhage [2]. An extended consumption of these drugs may give rise to gastric injuries [3]. The pro-inflammatory mediators such as TNF-α, IL-1β, NO, COX-1 and COX-2 play an important role in the inflammatory reactions like tissue destruction, shock and organ failure [4]. The aim of this work is to develop new molecules that possess potency to inhibit COX enzymes. Thus, we have synthesized some novel benzazole derivatives which carry aryl propionic acid side chain. Structures of the target compounds were elucidated by spectroscopic methods. COX-1 and COX-2 inhibitory effects of the compounds were investigated by in vitro colorimetric method [5]. The compound 2f was the most active derivative in the series.

References