INHIBITION OF ENZYMATIC ACTIVITY OF PLA_2 FROM C. ADAMANTEUS BY THE USE OF DIPYRONE AND PMP

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Inflammation is a physiopathology associated to the degradation of arachidonic acid (AA). The phospholipases A2 (PLA_2) hydrolisate the glycerophospholipid and liberate the AA that will serve as substrate to other enzymes (COX-1, COX-2, COX-3 and 5-LO) to product pro-inflammatory eicosanoids (prostaglandins and leukotrienes). Non-steroidal anti-inflammatory drugs (NSAIDs) reduce the inflammation by inhibition of COX1 and COX2, lowering production of prostaglandins. However there are not studies of dipyrone (DIP) action, a powerful NSAIDs analgesic and antipyretic, over PLA_2 activity. In this work was studied the enzymatic kinetics of PLA_2 from *C. adamanteus* venon in the presence of DIP (1-phenyl-2,3-dimethyl-5-pyrazolone-4-methyl sulfonate) and PMP (1-phenyl-3-methyl-5-pyrazolone) using the 4-nitro-3-octanoyloxy-benzoic acid as substrate. The reaction produces nitrobenzoic acid proportionally to the enzymatic activity. Was utilized Hill's regression on analyzing the results, due this PLA_2 to be homodimeric and allosteric. The essays have shown that DIP and PMP inhibit the enzymatic activity of PLA_2. Was observed that the Vmax keep constant for both inhibitors, however the K_H increased according to the raise of concentration of DIP and PMP indicating that, possibly, the inhibitors are binding in same regions of the enzyme's active site (competitive inhibition).FAPEAM/CNPq