PHARMACOLOGICAL CHARACTERIZATION OF ADENOSINE RECEPTORS IN BOVINE CHONDROCYTES

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Chronic inflammation is a significant factor in the pathophysiology of joint diseases leading to articular cartilage destruction. The discovery of the detailed processes of inflammation has revealed a close relationship between the presence of adenosine receptors and inflammatory diseases. Adenosine, interacting with specific receptors on the surface of the cells, named as A_1, A_{2A}, A_{2B} and A_3, has been recognized as an endogenous anti-inflammatory agent. The first aim of this study was to investigate the presence of adenosine receptor subtypes by radioligand binding assays in chondrocytes isolated and cultured from bovine joints. Saturation binding experiments on A_1, A_{2A}, A_{2B} and A_3 adenosine receptors in bovine chondrocyte membranes showed an affinity (K_D) in the nanomolar range and the receptor density (Bmax) from 40 to 80 fmol/mg protein, respectively. Thermodynamic parameters indicated that the binding to adenosine receptors is enthalpy- and entropy-driven. The affinity (Ki) and potency (EC_{50}) of typical adenosine agonists on cAMP assays in bovine chondrocytes revealed a good concordance between binding and functional data. This study show, for the first time, the presence of adenosine receptors in bovine chondrocytes suggesting that their modulation could be used for pharmacological intervention in inflammatory joint diseases.