Dibucaine-Induced Modification of Sodium Transport in Toad Skin and of Model Membrane Structures

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The interaction of the local anesthetic dibucaine with the isolated toad skin and membrane models is described. The latter consisted of human erythrocytes, isolated unsealed human erythrocyte membranes (IUM), large unilamellar vesicles (LUV) of dimyristoylphosphatidylcholine (DMPC) and phospholipid multilayers built-up of DMPC and dimyristoylphosphatidylethanolamine (DMPE), representative of phospholipid classes located in the outer and inner monolayers of the human erythrocyte membrane, respectively. Results indicate a significant decrease in the potential difference (PD) and in the short-circuit current (Isc) after the application of dibucaine in toad skin, which may be interpreted as reflecting inhibition of the active transport of ions. This finding might be explained on the basis of the results obtained from fluorescence spectroscopy and X-ray diffraction studies on membrane models. In fact, dibucaine induced structural perturbations in IUM, DMPC LUV and phospholipid multilayers. Scanning electron microscopy revealed that dibucaine induced erythrocyte stomatocytosis. According to the bilayer couple hypothesis an echinocytic type of shape change would have been expected given the preferential interaction of dibucaine with DMPC. Although it is still premature to define the molecular mechanism of action of dibucaine, the experimental results confirm the important role played by the phospholipid bilayers in the association of the anesthetic with cell membranes.