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Influence of benzocaine, propranolol and cholesterol on phospholipid bilayers

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Cell membranes play a fundamental role in protecting the cell from its surroundings, in addition to hosting many proteins with fundamental biological tasks. Drugs are able to perturb the structure of cell membranes, which can ultimately give rise to undesirable effects. Thus, a study of drug/lipid interactions is a necessary and important step in fully clarifying the role and action mechanism of active ingredients, and shedding light on possible complications caused by drug overdosage. Here we present the results obtained in our research focused on the understanding of the influence of benzocaine and propranolol active principles on the structure of L-⊠-phosphatidylcholine-based membranes. The investigation has been performed by means of neutron reflectivity, grazing incidence small angle neutron scattering, and small/ultra-small angle neutron scattering. Investigations allowed discovering a stiffening of the membranes and the formation of stalks, caused by the presence of benzocaine: the addition of cholesterol increases the amount of stalks formed, if it is present up to a certain percentage (around 10% in mol). On the other hand, disordered bilayers (lamellar powders) and highly curved structures were found in the presence of propranolol. The results obtained may be rationalized in terms of the molecular structures of drugs and may serve as a starting point for explaining the toxic behavior in long-term and overdosage scenarios.

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