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Effect of membrane active drugs on the structure of lipid bilayers.

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phospholipid-based bilayers are widely used as model systems for studying the more complicated biological cell membranes, providing information about their structure and interactions. In particular, we are interested in understanding the effect of drugs on phospholipid-based membranes, i.e. the action mechanism, and the eventual toxicity when administered at high concentrations. This knowledge can in principle support a chemical design of more efficient variants having lower side effects.In the present study, we have investigated the effect of some active principles, namely benzocaine and propranolol on bilayers composed of L-α-phosphatidylcholine (SoyPC) by means of Neutron Reflectivity (NR) and Small Angle Neutron Scattering (SANS). Benzocaine is a commercial drug that serves as topical pain reliever, used for instance in cough drops. It is also found as main component in many anesthetic ointments such as products for oral ulcers. Propranolol is a beta-blocker, affecting the heart and blood circulation: it is used for treating tremors, angina, hypertension and other heart or circulatory conditions. We generally found a variation of the structural parameters of the membranes with incorporated drug molecules, with a destabilization found at high drug concentrations, through the formation of ruptures inside the double layers, randomly distributed over the space. Propranolol has a bigger perturbative effect on the membranes, due to the structure of his hydrophobic part.

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