A Semisynthetic 5-*n*-Alkylresorcinol Derivative and its Effect upon Biomembrane Properties

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MSAR (1-sulfate-3-myristoyl-5-pentadecylbenzene) is a semisynthetic derivative of 5-*n*-pentadecylresorcinol (C15:0). MSAR exhibits hemolytic activity against sheep erythrocytes with a EH_{50} value of (35 ± 1.7) μM. At low concentrations MSAR also exhibits the ability to protect cells against their hypoosmotic lysis. This protective effect is significant as, at 0.1 μM of MSAR, the extent of osmotically induced cell lysis is reduced by approx. 20%. It was demonstrated that the 9-anthroyloxystearic acid signal was most intensively quenched by MSAR molecules, suggesting a relatively deep location of these molecules within the lipid bilayer. MSAR causes an increase of the fluorescence of the membrane potential sensitive probe. This indicates an alteration of the surface charge and a decrease of the local pH value at the membrane surface. At low bilayer content (1–4 mol%) this compound causes a significant increase of the phospholipid bilayer fluidity (both under and above the main phase transition temperature) of dipalmitoylphosphatidylcholine (DPPC) liposomes. At this low content MSAR slightly decreases the main phase transition temperature (T_c) value. The effects induced in the phospholipid bilayer by higher contents of MSAR molecules (5–10 mol%) make it impossible to determine the T_c value and to evaluate changes of the membrane fluidity by using pyrene-labeled lipid. MSAR also causes a decrease of the activity of membrane-bound enzymes – red blood cell acetylcholinesterase (AChE) and phospholipase A_2 (PLA_2). MSAR decreases the AChE activity by 40% at 100 μM. The presence of MSAR in the liposomal membrane induces a complete abolishment of the lag time of the PLA_2 activity, indicating that these molecules induce the formation of packing defects in the bilayer which may result from imperfect mixing of phospholipids.

Key words: Phenolic Lipids, Hemolytic Activity, Phospholipase A_2, Acetylcholinesterase