Biological studies on cyclodextrins

Ferenc Fenyvesi

Department of Pharmaceutical Technology, University of Debrecen, Debrecen, Hungary;
fenyvesi.ferenc@pharm.unideb.hu
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Abstract: In recent years, our knowledge of the biological effects of cyclodextrins has grown significantly. Cellular actions of cyclodextrins originate in their ability to form complexes with lipophilic biomolecules. Cyclodextrins can target different types of molecules according to their size, for instance, alpha-cyclodextrins form complexes with phospholipids, while beta-cyclodextrins can bind cholesterol or prostaglandin E2. Due to their interactions with the main membrane constituents, cyclodextrins can affect the barrier function of biological barriers or influence the function of membrane proteins. Nevertheless, cyclodextrins can enter the cells by endocytosis and affect the intracellular cholesterol storage. Based on these findings, 2-hydroxypropyl-beta cyclodextrin (HPBCD) received the orphan designation for the treatment of Niemann-Pick disease, type C. The endocytosis of cyclodextrins works in different cell types and can be applied in the delivery of drugs into the cells. Tissue distribution and pharmacokinetics of cyclodextrins could be further characterized by imaging techniques. Radiolabeled HPBCD and randomly methylated beta-cyclodextrin (RAMEB) were used to study their in vivo behavior by positron emission tomography recently. Interestingly RAMEB accumulation was detected in prostaglandin E2 (PGE2) positive tumors. These findings can promote further research and application of cyclodextrins in inflammation and tumor diagnosis or targeting. The presentation aims to give an overview of the main biological effects of cyclodextrins and the recent results of this research field.

Keywords: cyclodextrins; cellular effects; biological barriers; endocytosis; cholesterol