Chemical Modifications of the Head and Tail of some Amphiphiles

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The terms head and tail has been used for the description of structural and functional phenomena concerning asymmetrical molecules either chiral or achiral. These terms proved to be extremely fruitful in case of all glycolipids: glycosphingolipids, glycoglycerolipids, sterylglycolipids. In this paper, a series of chemical modifications are described, alternatively undertaken both on polar moiety, i.e., head, and hydrophobic fragment – tail. D-Galactofuranose has been linked to cholesterol by Koenigs-Knorr synthesis, both in alpha[1] and beta[2] configuration. Moreover, a series of other sterols[3] have been linked to beta-D-galactofuranose: estrone, androstanolone, 11α-hydroxyprogesterone and prednisolone. Cholesteryl-ß-D-glucopyranoside, the stress molecule, was synthesized by a new method and its polar head modified by sulfation[4]. Lyso-cerebroside (psihosine) and lyso-sulfatide have been prepared by selectively removing the fatty acids. The parental molecules were regenerated with a well defined fatty acid and selectively galactofuranosylated to ß-D-galactofuranosyl-3-ß-D-galactopyranosyl-1’ceramide and ß-D-galactofuranosyl-6-ß-D-galactopyranosyl-l’ceramide[5,6] (Fig. 1).

Figure 1. Structure of ß-D-Galactofuranosyl-3-ß-D-galactopyranosyl-l’ceramide and ß-D-Galactofuranosyl-6-ß-D-galactopyranosyl-l’ceramide.

Hydrophobic head of seminolipid was modified by removing of fatty acid, lyso-seminolipid being produced[7]. A series of galactosides and mannosides of vitamins, some of them brand-new compounds, have been synthesized[8-11]. Such chemical transformations could constitute new drug delivery systems for biologically active molecules[12] or new metabolites[13]. Transmembrane delivery of these glycosides by pulsatory liposomes is also under investigation[14].

REFERENCES