GS1-5 Skin permeation and transdermal delivery systems of drugs: History to overcome barrier function in the stratum corneum

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Transdermal Drug Delivery Systems (TDDS), where active drugs must be absorbed into the systemic circulation after penetration into the skin barrier, were launched firstly in 1979, and about 10 TDDS containing different kinds of drugs were developed during the initial decade. Interestingly, development rush has come again in the present century. Various penetration-enhancing approaches have been tried to improve the skin (stratum corneum) permeation of drugs. These approaches can be divided into chemical and physical approaches. Use of chemical enhancers such as alcohols, monoterpenes and fatty acid esters, and chemical modification to prodrugs are examples for chemical approach. In constant, physical approaches use electrical-, thermal- and mechanical-energy, as well as microneedles, needle-free injectors or electroporation to completely or partially evade the barrier function in the stratum corneum. These chemical approaches are mainly effective to increase the skin permeation of low-molecular chemicals, whereas physical means is effective not only for low-molecular chemicals but high-molecules like peptide, protein and nucleotide (DNA or RNA). Marked development was observed in these physical means in this decade. In addition, recent development in the tissue engineering technologies enables to use the cultured skin containing keratinocyte and fibroblast as the TDDS. “Cell delivery system” may come true in the near future.

I will look back the 30-year history about TDDS and talk on the feasibility of new generation of TDDS.