

〈シンポジウム〉

(これからの Cosmeceutical Field に向けて)

## 適切な効能素材の分子修飾と作用機構

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### Chemical Modification of Active Substances Applicable to Skin Care

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#### Abstract

The well-known susceptibility of vitamin C to thermal and oxidative degradation has led to interest in derivatives with increased *in vitro* stability, while maintaining the inherent *in vivo* vitamin C activity. Among a variety of vitamin C derivatives developed in recent years, 2-O- $\alpha$ -D-glucopyranosyl-L-ascorbic acid (AA-2 G) and 6-Acyl-AA-2 G are the most promising ones, because these are markedly stable *in vitro* and exhibit vitamin C activity *in vivo* after enzymatic degradation to ascorbic acid by tissue  $\alpha$ -glucosidase and esterase. AA-2 G was originally synthesized in our laboratory from maltose and ascorbic acid with  $\alpha$ -glucosidase of rat digestive organs and has been produced with CGTase on a large scale. It is available as agents for basic research and as a medical additive in commercial cosmetics. A series of 6-Acyl-AA 2 G, stable lipophilic derivatives, have been also synthesized in our laboratory and being developed for medical use. The interactions of ascorbate with cytokines in physiological actions such as immune response and neurite outgrowth, which were demonstrated by use of these ascorbate derivatives, are also described in this paper.

**Key words:** ascorbate, AA-2 G, 6-Acyl-AA-2 G, stable, lipophilic,  $\alpha$ -glucosidase, whitening, immune-neurological cross-talk, medical additive.