

***Confidential***

Technical Information  
on  
ASCOMATE-C™

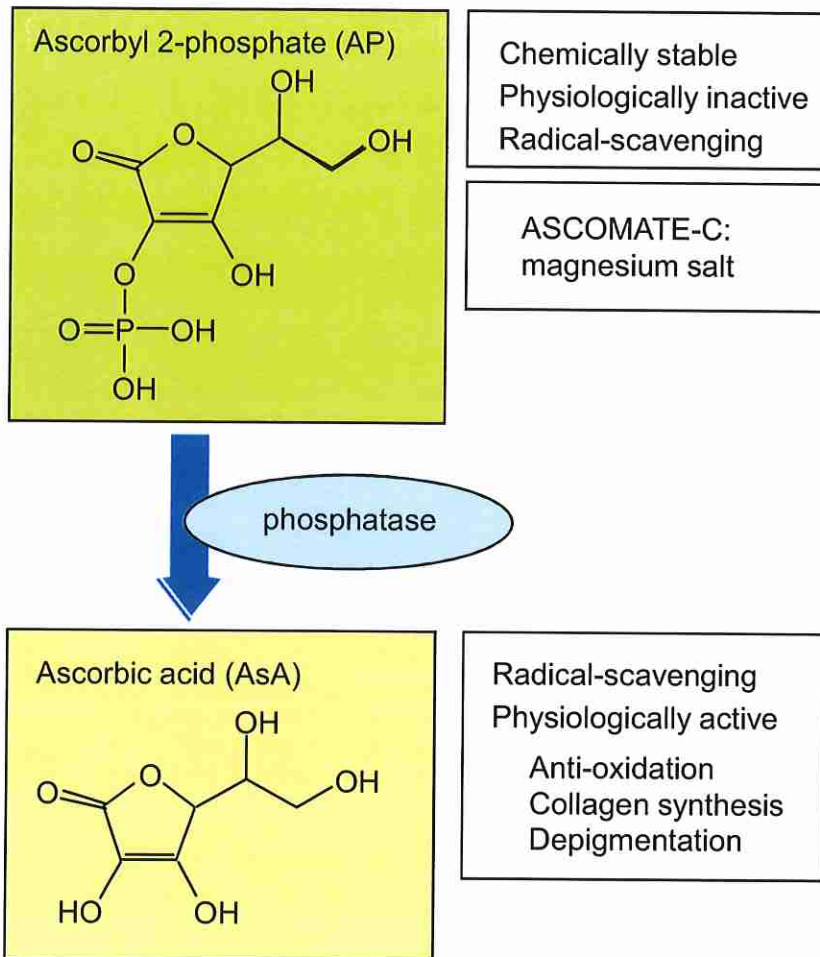


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# Ascorbyl 2-phosphate (AP)

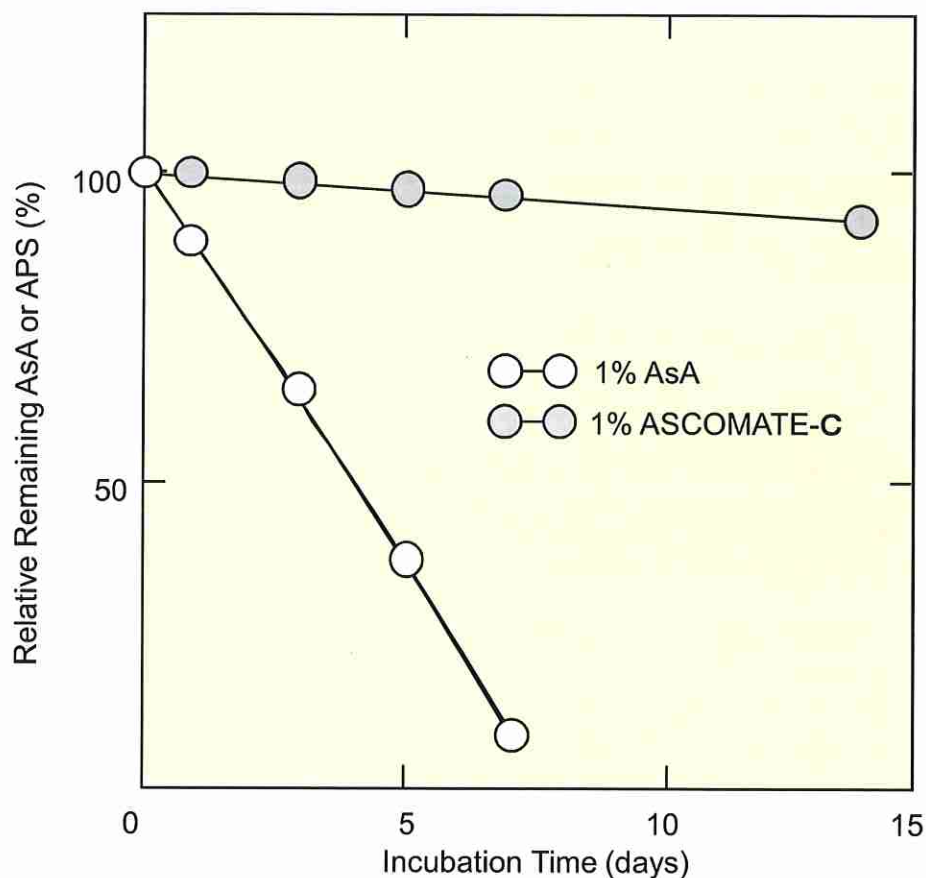


## A provitamin C: ASCOMATE-C.

Ascorbyl phosphate (AP) is a stable, aqua-soluble provitamin C. Its magnesium salt (ASCOMATE-C) are currently commercially available. With ascorbate's sensitive hydroxyl group modified by phosphoric ester, AP is resistant to atmospheric oxygen. AP, being a modified form, still has antioxidant activities such as radical-scavenging and dechlorination. However it does not have any physiological effects: They appear after AP is converted to ascorbate via hydrolysis catalyzed by phosphatase. Those effects include enhanced collagen synthesis and inhibition of skin pigmentation. With the chemical stability, AP enables not only an easy formulation of vitamin C in cosmetics, but also its effective delivery into the skin.

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## Chemical Stability of AP

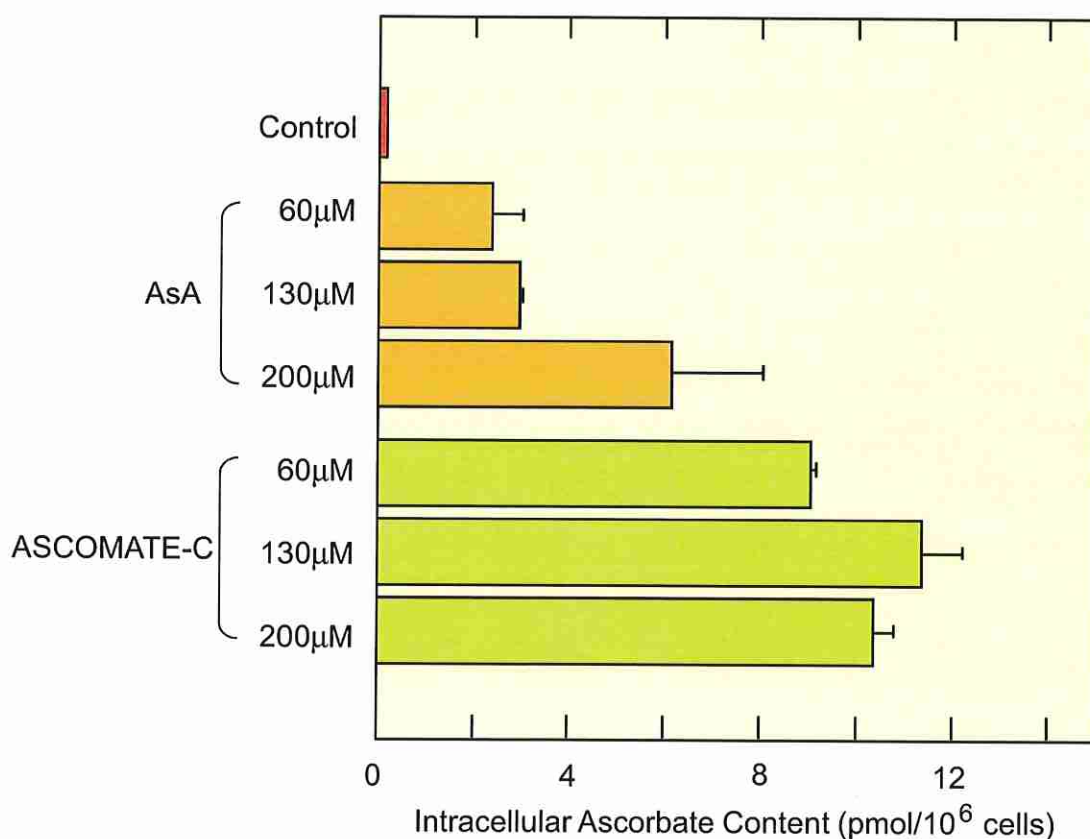


### **ASCOMATE-C is highly stable in aqueous solutions.**

The chemical stabilities of ASCOMATE-C was compared with ascorbate in aqueous solutions. Each substance was dissolved in distilled water at a concentration of 10 mg/ml (1%) and incubated at 60°C in a test tube with a screw cap tightly fitted. Ascorbate (AsA) was very unstable; it almost disappeared within a week. On the contrary, more than ninety percent of ASCOMATE-C remained unoxidized even after the two-week incubation. ASCOMATE-C showed a slightly higher stability than Ascorbate (AsA).

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# Intracellular Enrichment of Ascorbate



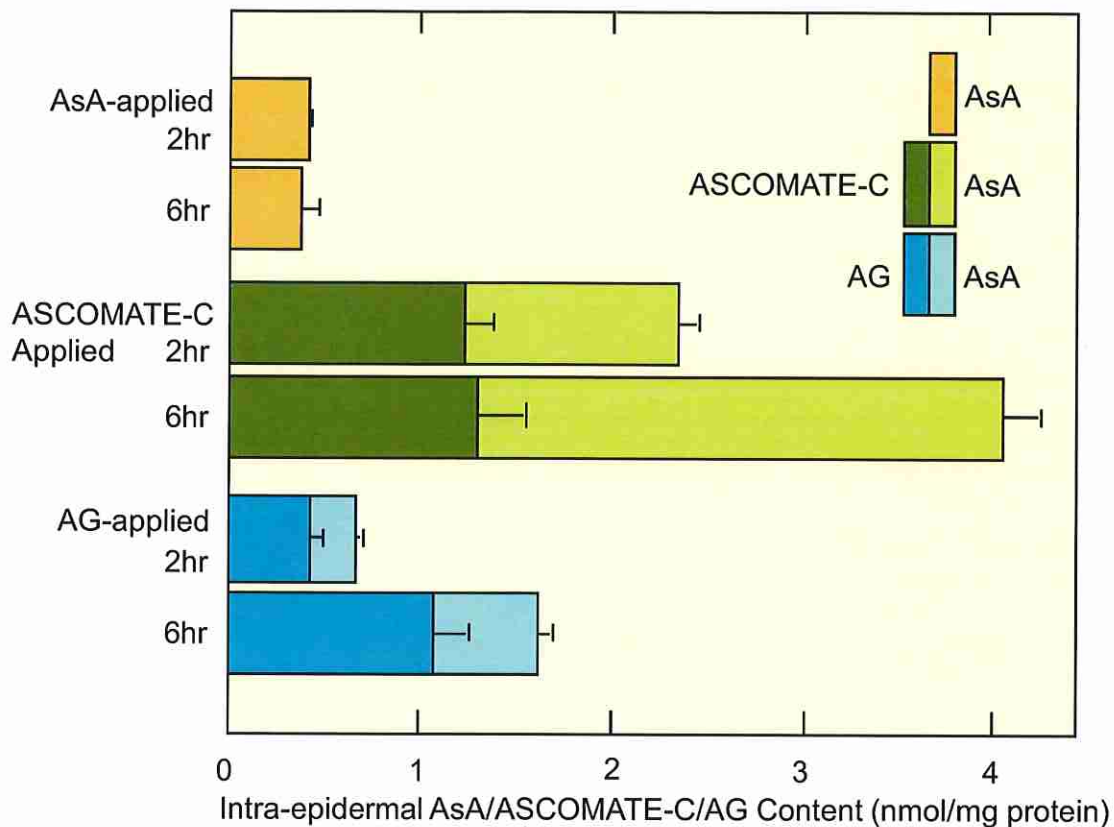
## ASCOMATE-C enriches intracellular ascorbate content.

Normal human umbilical vein endothelial cells (HUVEC) were kept subconfluent by transferring cells into fresh medium (2500 cells/ml) every 48-72 hours. At each transfer, 60-200 μM ascorbic acid (AsA) or ASCOMATE-C were added to the fresh medium. Intracellular concentrations of ascorbate were determined by HPLC: Constant amount of the cells were harvested, homogenized and centrifuged under ice-cold condition.

It was shown that ascorbate was enriched in the cells significantly by addition of ASCOMATE-C. Fed at the optimal concentration of 130 μM, intracellular content was 3.9-fold more than that of the cells fed by ascorbate.

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# AsA Enrichment in Human Epidermis

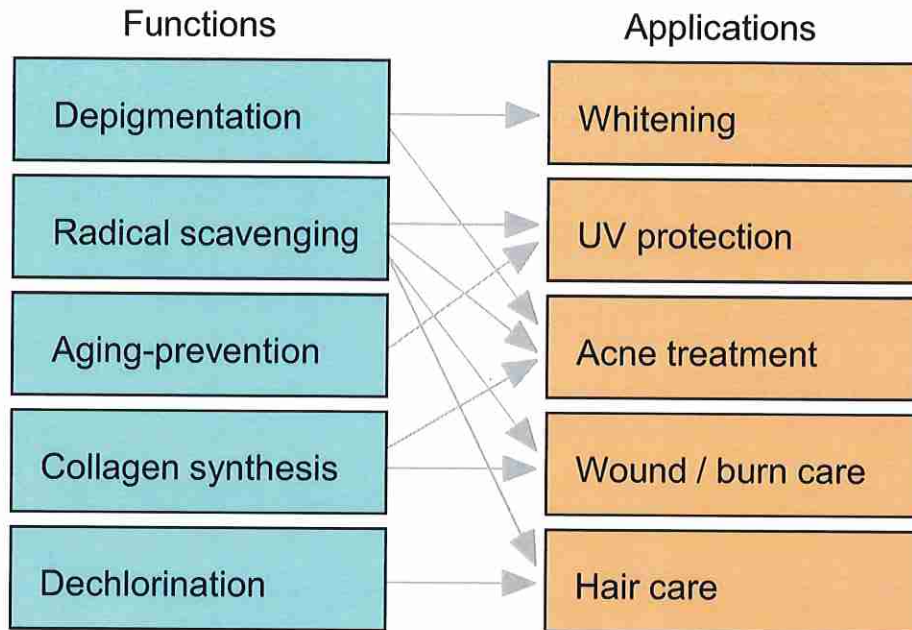


## Ascorbate enrichment by ASCOMATE-C is significant in human skin.

Tiny skin biopsy samples were prepared from volunteers. The samples were divided into three equal parts and used for the comparison of the permeation and conversion of ascorbate, ASCOMATE-C and AG. Hydrophilic ointment containing 20 mg/g of each substance was placed onto epidermis side of skin sample. After the incubation for two to six hours, the skin was homogenized under a strictly anaerobic condition. The content of ascorbate and ASCOMATE-C/AG was determined by HPLC. The ascorbate enrichment by ASCOMATE-C was outstanding: At 6hr the 'free' ascorbate content in ASCOMATE-C-fed skin was eight times higher than that of ascorbate-fed and five times higher than that of AG-fed.

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# Proposed Applications of ASCOMATE-C™



## Proposed applications of ASCOMATE-C, based on the fundamental studies.

ASCOMATE-C was mainly utilized as an active ingredient of whitening cosmetics. Recent investigations suggest various possibilities to utilize the multi-functioning, stable provitamin C, ASCOMATE-C. Intradermal and extradermal protective effects against UV-generated radicals suggest the use for UV-care products. Acne, being re-identified as a radical disease, is another candidate that we should apply ASCOMATE-C for. Clinical studies strongly support this idea. Enhancement of collagen synthesis helps the recovery of wound and burn, in which also reduction of active oxygen species have an important role.

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