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SD Duo-Bright-C (Ethyl Ascorbic Acid)

Technical Data Sheet

I. INTRODUCTION

SD Duo-Bright-C is etherified Vitamin-C. It is an effective whitening agent and anti-oxidant that is metabolized by the human body in the same manner as regular vitamin C. It has also solved the structural instability of vitamin C and it is soluble in water as well as solvents, making it optimal for use in cosmetics.

Highlights of SD Duo-Bright-C

- Excellent whitening effect
- Excellent anti-oxidant effect
- Structurally stable Vitamin-C
- Water and polyol (solvents)

II. DESCRIPTION

1. Product Name

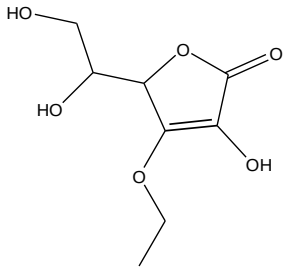
3-O-Ethyl ascorbyl ether, 3-O-Ethyl ascorbic acid, Ethyl ascorbic acid, Vitamin C ethyl

2. Characteristics

SD Duo-Bright-C is a white, odorless crystal or crystalline powder consisting of stabilized ethyl L-ascorbic acid. Etherification of ascorbic acid at position 3rd protects vitamin C from destruction as a result of oxidation. The L-ascorbate molar activity of the ethyl of vitamin C is equivalent to L-ascorbic acid.

3. Chemical Structure

- Molecular formula : $C_8H_{12}O_6$
- CAS No.: 86404-04-8
- Molecular weight : 204.18



4. Patents

Yes, patented.

5. Global Legislation:

USA, EU, Japan (Quasi Drug), Korea (KFDA), China

III. EFFECTIVENESS

1. Whitening Effect

Ascorbic acid is known for efficiently preventing the formation of melanin. When applied directly on skin, however, it shows no effect for brightening of the skin. Unlike ascorbic acid, clinical tests conducted on human skin have proved that a 2% cosmetic formulation of SD Duo-Bright-C is effective for bleaching and brightening skin. It brightens and tones sun-damaged and dull-looking skin with dark spots.

2. Anti-Oxidant Effect

Most cosmetics contain a high percentage of fat and therefore oxidize easily with exposure to ultraviolet rays, high temperatures and humidity. When used after expiration or stored poorly, these cosmetics can cause skin irritations. For this reason, cosmetic manufacturers generally add antioxidants to their products such as BHT, BHA and vitamin E. However, these antioxidants are fat-soluble and therefore cannot be metabolized easily into the body. SD Duo-Bright-C is an antioxidant with a powerful reduction capability that dissolves both in water and oil. It reduces fat peroxide generated within cosmetics, prevents deterioration and is metabolized inside the human body just like regular vitamin C.

3. Formation and Synthesis of Collagen

SD Duo-Bright-C reacts to the formation and synthesis of skin cells and collagen in proportion to their consumption. The level of activation is about the same as ascorbic acid and ester phosphate. SD Duo-

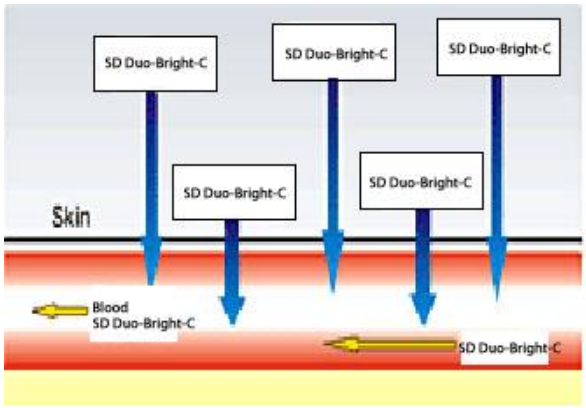
Bright-C restores the activities of collagen including its formation and synthesis. Also, it reduces the copper (II) ion of tyrosinase to diminish its activation and thus prevent the formation of dark spots.

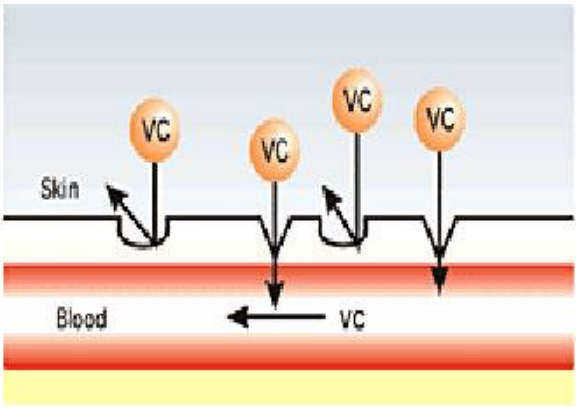
4. Anti-Inflammatory Effect

SD Duo-Bright-C works as a powerful anti-inflammatory when applied to the surface of skin. It suppresses the development of serotonin edema.

5. Delivery of Vitamin C to the dermis

Because of its structural instability and limited solubility, Vitamin C cannot be effectively absorbed by the skin. SD Duo-Bright C, on the other hand, is not only stable but it is also soluble in water as well as oil, enabling it to be absorbed by the skin into the dermis.

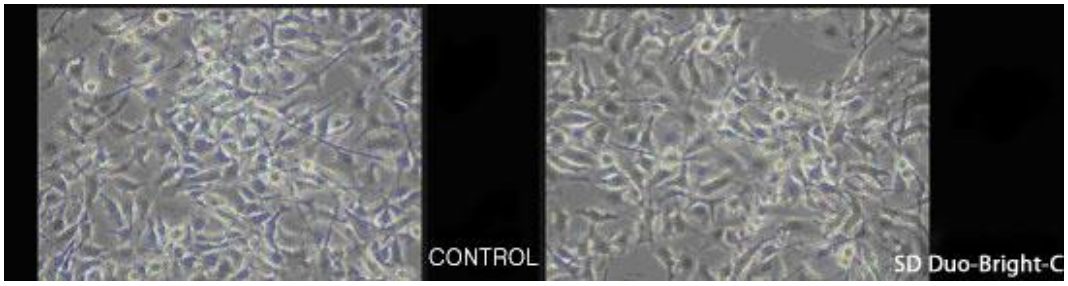




III. SAFETY TESTS

1. Toxicity

We compared the toxicity of a cell proceed with SD Duo-Bright-C against a control cell in-vitro. Results (photo) showed no toxicity in the SD Duo-Bright-C processed cell.



2. Human Patch Test

Human patch tests were conducted in accordance to the standards of the Japanese Human Patch Study Convention on 30 healthy male subjects. In closed patch tests, a patch was applied to the back for 48 hours, and skin evaluation was done 2 hours and 72 hours after removal. To evaluate light stimulus reaction, a photopatch was applied to the back for 24 hours, and 2 hours after the removal of the patch, the skin was exposed to Dermaray UV-A rays (BLB light) at the

distance of 20cm for 7 minutes. For rash reaction, a patch was applied to the back for 2 hours, and skin was evaluated 10 minutes after its removal. Results showed no adverse reactions from the use of SD Duo-Bright-C as a cosmetic.

IV. STABILITY TESTS

Vitamin C is predisposed to oxidize due to heat, air, light and metal and thus be easily destroyed, especially when used in cosmetics. SD Duo-Bright-C is a product that has solved the structural instability of Vitamin C and is metabolized by the human body in the same manner as Vitamin C. It is safe and stable on weak acid skin surface. In a test conducted with SD Duo-Bright-C proved to be stable in a buffer solution and aqueous solution at 40℃ for 90 days. This result was made possible as the en-diol structure of ascorbic acid became stable and was protected from the metal ions by the action of the ethoxy of the 3rd position. Thus, a cream or suspension mixed with this product is not affected by discoloration or gas.

4.1. Stability in a water solution

Since ascorbic acid is automatically oxidated, we examined the stability of SD Duo-Bright-C in aqueous solution. The result showed SD Duo-Bright-C had very high stability.

IV. SPECIFICATIONS

Vitamin C is a water-soluble antioxidant but cannot be dissolved in any other organic solvents. Because it is structurally unstable, Vitamin C has limited applications. SD Duo-Bright-C dissolves in a variety of solvents including water, oil and alcohol and therefore can be mixed with any prescribed solvents. It can be applied to suspension, cream, lotion, serum, water / oil compound lotion, lotion with solid materials, masks, puffs and sheets. Also, it can be used as a material for brightening and anti-aging skin care products and the medical products that prevent and relieve the fatigue and inflammation of muscles and joints.

Measure	**Standard**	**SD Duo-Bright-C Results**
Appearance	White crystals/crystalline powder	White crystalline powder
Assay (by HPLC area)	> 95%	> 99.4%
Identification (H-NMR)	Test method of KFDA Notice No. 2001-15	Conforms
Identification (IR)	Test method of KFDA Notice No. 2001-15	Conforms
pH	3.0 – 4.5	3.8
Melting Point	111 – 116℃	114℃
Heavy Metals	20 ppm max	Passed
Arsenic	2 ppm max	Passed
Loss on drying	< 2%	0.3%

1. Crystal Structure

Melting point, NMR, IR, MS and element analysis of SD Duo-Bright-C's have shown that it has high purity and its structure is equivalent to ascorbic acid with a 3rd hydroxyl group substituted by an ethoxy group.

2. Material property and physicochemical property

2.1. Reduction of α, α –diphenyl-β-picrylhydrazyl (DPPH).

We evaluated reduction of DPPH by using SD Duo-Bright-C, ascorbic acid phosphate magnesium salt and BHT as Table 1 shows. The result indicated that in 9 minutes after adding SD Duo-Bright-C, 30% of DPPH was reduced. Meanwhile, BHT made 10.6% reduction, lower than SD Duo-Bright C, and ascorbic acid phosphate magnesium could not reduce the DPPH.

Table 1. Degree of reduction of SD Duo-Bright-C and BHT for DPPH

Item	**Degree of Reduction (%)**
SD Duo-Bright-C	30%
AAPMg	0.0
BHT	10.6%

2.2. Reactivity to iron ion

As Table 2 shows, we examined the complex formation with iron by measuring the degree of reduction of iron ion. Results showed that both ascorbic acid and α-tocopherol reduce the iron ion immediately, but SD Duo-Bright-C reduces only a small portion. Thus, SD Duo-Bright-C is thought to have lower reactivity than ascorbic acid. Therefore, we conclude that the addition of SD Duo-Bright-C would reduce the dis-colorization of products

Table 2. Reactivity to Iron ion of various antioxidants

Item	**Degree of Reduction (%)**
SD Duo-Bright-C	20
Ascorbic Acid (Vitamin C)	99
α-Tocopherol	87

2.3. Peroxidation of linoleic acid micelle(Table 3)

We examined the function of SD Duo-Bright-C on peroxidation of linoleic acid micelle that accompanies the formation of active oxygen. Results showed that with 0.05Mm of SD Duo-Bright-C, equivalent to 1/100 moles of linoleic acid (5.0Mm), the peroxidation of linoleic acid micelle was almost completely prevented. In comparison, α-tocopherol prevented the peroxidation of linoleic acid with 0.01Mm, which is equivalent to 1/500 moles of linoleic acid.

From this result, SD Duo-Bright-C is thought to have the same antioxidant effect as α-Tocopherol that is five times more concentrated.

Table 3. Inhibition of linoleic acid per-oxidation reaction.

	Concentration (mM)	Absorbance	Change volume	Inhibition Ratio(%)
Control		0.029	0.02	-
SD Duo-Bright-C	0.05 0.01	< 0.002 0.022	 0.003	>93 24
α-tocopherol	0.05 0.01 0.001	< 0.002 < 0.002 0.011	 0.003	>93 >93 62
Sulfur-oxidethesmdase	10 μg/ml	<0.002		>93
catalase	10 μg/ml	0.017	0.005	41

2.4. Anti-oxidation effect on oils

To compare the anti-oxidation effect of SD Duo-Bright-C on oils, we added SD Duo-Bright-C, BHT and α-Tocopherol to cotton seed oil and soybean oil and measured the deterioration of the peroxide value. The result was that SD DUO-Bright-C showed a better anti-oxidation effect on cotton seed and soybean oil vs. α-Tocopherol.

Table 4. Change of the per-oxidation Seed oil to 100℃ Oven (mq/kg)

	Addition of Volume (%)	After addition time(hr)			
		9	12	15	18
control		34.2	48.5	71.6	100.3
SD Duo-Bright-C	0.005 0.02	26.5 25.0	41.0 37.5	58.5 53.8	85.5 77.3
BHT	0.02	23.0	32.7	44.7	61.3
α-tocopherol	0.02	29.5	55.4	79.2	116.1

Table 5. Soybean oil and measured the deterioration of the peroxide value after 23 time to 100℃ Oven (mq/kg)

	Addition of Volume (%)	After addition time (hr)				Absorbance
		6	9	12	15	
Control		24.8	43.7	73.0	113.9	0.109
SD Duo-Bright-C	0.02 0.06	18.9 18.8	31.1 31.7	49.5 48.6	77.1 75.7	0.260 0.093
BHT	0.02	12.2	22.5	36.5	56.7	0.423
α-tocopherol	0.02	25.6	48.9	77.4	91.2	0.092

2.5. Anti-oxidation Effect for Two Phase System (water/oil)

After mixing of 2% of SD Duo-Bright-C in water and soybean oil, we blew air onto the oil phase at 100℃to oxidize and measured the changes in peroxide deterioration value. Results showed that SD Duo-Bright-C inhibited the increase of peroxide value of the oil layer in a two phase system better than control.

Table 6. Antioxidation Effect for Two Phase System (Water/oil) (mq/kg)

	Addition of Volume (Water)-(%)	After addition time (hr)			
		3	6	9	12
Control		16.4	40.9	79.1	135.6
SD Duo-Bright-C	2.0	11.7	25.9	40.0	73.6

2.6. Anti-oxidation effect in mixtures of linoleic acid ethyl ester

We mixed the cream of 15% of linoleic acid ethyl ester with SD Duo-Bright-C and its concentration was 0, 0.1, 0.5, 1.0, 3.0 and 5.0% respectively. We then forcefully oxidized the formulas at 60℃to measure the peroxide value in accordance to the TBA method. Results showed that SD Duo-Bright-C at concentrations greater than 1.0% had an antioxidant effect.

3. Standard of Material and Measurement Data

SD Duo-Bright-C was tested three times against respective 3 Lot each and conformed to the specification

IV. OTHER INFORMATION

- ***The Origin of Ethyl Ascorbyl Ether and Its Uses***

Ethyl ascorbyl ether (hereinafter referred to as SD Duo-Bright-C) is a compound that is prepared by substituting hydroxyl group in 3rd position of L-ascorbic acid with $–OC_2H_5$ (ethoxy group). Until now antioxidants in cosmetic products have been from butylated hydroxyanisole (BHA), butylated hydroxytoluene (BHT) or tocopherol. Since most of these antioxidants are oil-soluble, their application in water based cosmetic products was limited. For the most part, water-soluble products used ascorbic acid, but it became easily oxidized and led to discoloration of products.

In order to stabilize the ascorbic acid, several conductors like palmitic acid ester, sulfuric acid, and phosphate ester have been used, but its low water solubility and change of smell and color over time made it difficult to use with ascorbic acid. Recently phosphate ester is commonly used but it is also less than optimal Duo to its low antioxidant effect and low stability. Thus, a strong antioxidant with good stability in an aqueous medium needed to be developed.

Oxidization of ascorbic acid starts from its precipitation in water and results from the dissociation of the hydroxyl group. Therefore, a selective substitution of the hydroxyl group with an ethoxy group could prevent dissociation and provide stability. This results in a remarkable increase in the stability of the ingredient and the preservation of ascorbic acid's antioxidant effect in an aqueous solution. In addition, the ingredient becomes water soluble, as well as solvents soluble, enhancing its uses and applications.

Generally a lot of cosmetics are composed of various aqueous and oily ingredients. Therefore, SD Duo-Bright-C, which is both water and solvents-soluble, is an ideal antioxidant for cosmetics.

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