

### **The Spanish Society of Cosmetic Chemists (SEQC)**

BARCELONA WELCOMED THE APPOINTMENT OF THE MOST IMPORTANT GLOBAL COSMETICS INDUSTRY The Spanish Society of Cosmetic Chemists has been the host of the 25th Congress of the International Federation of Societies of Cosmetic Chemists (IFSCC), which was held at the Palau de Congressos de Catalunya in Barcelona, from 6 to 9 Oct., 2008, coinciding with the 50th Anniversary of the Spanish Society.

The International Congress of the IFSCC is a biennial event and is considered the most important scientific rendezvous for the cosmetic industry worldwide.

### **Posters Sessions**

#### **1. MOLECULAR COSMETICS**

##### **1.1. Progress in Actives Research**

MC-071. *The Development of Whitening Cosmetic Products Containing Taxifolin.*

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## The Development of Whitening Cosmetic Products Containing Taxifolin

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### *Introduction*

As the customer's recognition of cosmetics has changed and the interest in skincare has increased, an intensive development on cosmetic or cosmeceutic including whitening, wrinkle care and sun block substances is now in progress at each individual company. Especially, researches on natural materials are vigorously progressing. Undesired skin pigmentation triggered by pathophysiological or environmental factors has great cosmetic relevance and has prompted screening for effective natural and chemical agents that mitigate skin pigmentation [1]. Melanin synthesis can be adjusted by controlling expression or activation, etc. of tyrosinase, a main enzyme, in various steps. Also, in case of a tyrosinase inhibiting substance, pigmentation of the skin must be adjusted such that it is almost harmless to the human body [2-6]. Flavonoids are a group of polyphenolic compounds widely distributed in plants. Their potent bio-activities such as whitening and antioxidant have made them attractive for use in functional cosmetics as active ingredients [7-9]. Though it is known that various substances reduced tyrosinase activation within a cell by a respective different mechanism and, even in a cell, also reduces melanin synthesis, because flavonoid is abundant in nature, its chemical structure is diverse and has relatively low toxicity, compared with other compounds, it has many merits as melanin synthesis inhibitor. Taxifolin, one type of them, increases content or activation of protein of tyrosinase, but inhibits melanin synthesis by reducing enzyme reaction of already generated tyrosinase.

As a result of comparison study on whitening effects between purified flavonoid and arbutin, it was found Tyrosinase expression inhibiting action and melanin inhibiting action were superior than arbutin [10]. Like this, flavonoid series have a superior whitening effect, but when it is contained in cosmetic products, it forms a complex with a very small amount of metallic ion or substances containing a functional group having reactivity, so that it is easily browned, or browning phenomena are prompted owing to its weakness in heat or ultraviolet. Therefore, because of difficult in securing stability and of lack of knowledge of an exact whitening mechanism, scarcely is it used. Accordingly, this study is intended to evaluate whitening effects of the skin and examine a whitening mechanism by nano encapsulating and stabilizing purified flavonoids having high physiological activation and by developing whitening cream to which stabilized flavonoids applied.

The problem of flavonoids stabilization can, by the nature of the substance, be solved through a special product type, and as an alternative, a method using mesoporous silica powder manufactured by sol-gel method got to be designed. Mesoporous silica powder was manufactured using TEOS (tetraethyl orthosilicate) as a precursor and flavonoids (taxifolin) were primarily loaded in it. The flavonoids loaded like this is likely to be released due to Mesoporous silica powder, a basic condition. Accordingly, after loading, by modifying lipophilically the surface of a particle using dimethiconol, it was possible to manufacture much stabilized nano capsule powders.

## **Materials and Methods**

### *Materials*

Taxifolin (Dihydroquercetin, Flavocon®, purity>95%) were obtained from Bioflavon Ltd (Kaluga region, Russian Federation) and Wilshire Technologies, Inc. (Princeton, NJ, USA).

### *Sol-gel method*

For making mesoporous silica powders, CETAC (cetyl trimethyl ammonium chloride, 29%) is used which is cationic surfactant and a structure directing agent, and the composition of a framework, TEOS (Tetraethyl orthosilicate), source materials, was added and by the secondary combination of surfactant and source materials, organic-inorganic self-assembly was formed and manufactured. First, after ammonia water (30%) 2.0g, TEOS 21.6g being mixed with a solution which is composed of H<sub>2</sub>O 3.0 g and ethanol 213.4g and by hydrolyzing the solution for 18 hours at 25<sup>0</sup>C, 50rpm, seed colloid 240.0g was obtained. The seed colloid 15.0g, obtained like this, was added to the solution of H<sub>2</sub>O 210g and ethanol 100.0g, and stirred at 25<sup>0</sup>C, 120rpm. CETAC (29%) 17.0g, ammonia water (30%) 5.0g was added at the interval of 10 minutes, and lastly dropwise of TEOS 36.0g was carried out and stirred for 6 hours. The liquid obtained through this process was filtered and the resulting solid was calcined at the condition of 5<sup>0</sup>C /min, 600<sup>0</sup>C, 4h. Finally, mesoporous silica powder 13.0g was obtained. The surface area was measured by an automatic surface area measurement instrument (BELSORP-mini II, Bel Japan, Osaka, Japan) with nitrogen at 77K.

### *Loading of flavonoid (Taxifolin)*

Taxifolin 18.0g was completely dissolved into ethanol 300.0g and mesoporous silica powder 30.0g was added. Then, it was stirred at 200rpm for 10 minutes. After enough agitation and complete concentration, silica powder 45.5g containing taxifolin was obtained. The loaded silica powder was yellow, and by comparing the values of surface area of pores, it was possible to confirm whether it was loaded.

### *Surface modification*

Silica powder 5.0g containing taxifolin and 1.0g were added to dichloromethane 100.0g and stirred for 30 minutes. After enough agitation and complete concentration, nano capsule powder 5.0g whose surface was modified was obtained.

### *Application of cream formulation*

Taxifolin capsule powder developed by utilizing liquid crystal emulsification was applied in the capsule powder formulation by evenly distributing it to liquid crystal cream base. After manufacturing taxifolin-containing whitening cream by evenly distributing Taxifolin capsule powder to cream base, the cream was filled in 20ml plastic transparent container up to 95%. Then it was stored for 8 weeks at 4<sup>0</sup>C, 37<sup>0</sup>C, room temperature and 45<sup>0</sup>C in order to test discoloration, changes in odor and stability of taxifolin. For ascertaining the stability of taxifolin, highperformance chromatograph (Agilent 1100 series) was used for 8 weeks per each temperature to confirm titer.

### Results and Discussion

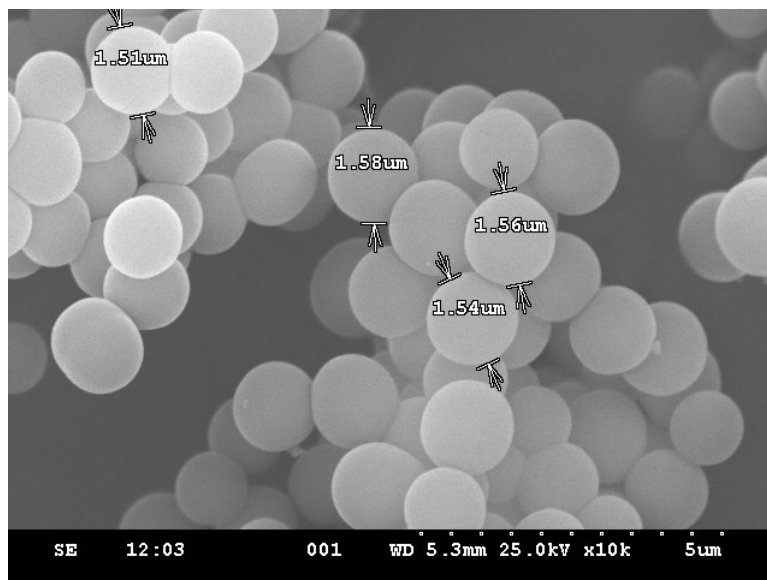


Fig.1. Scanning Electron Microscope (Hitachi, S-3500N) images of mesoporous silica powders.

As showed in Fig. 1, the mesoporous silica powder obtained through Sol-Gel method is a spherical shape and about 1.5µm in size. The specific surface area is 800~1000 m<sup>2</sup>/g, and oil absorption is 1.0~4.0 ml/g.

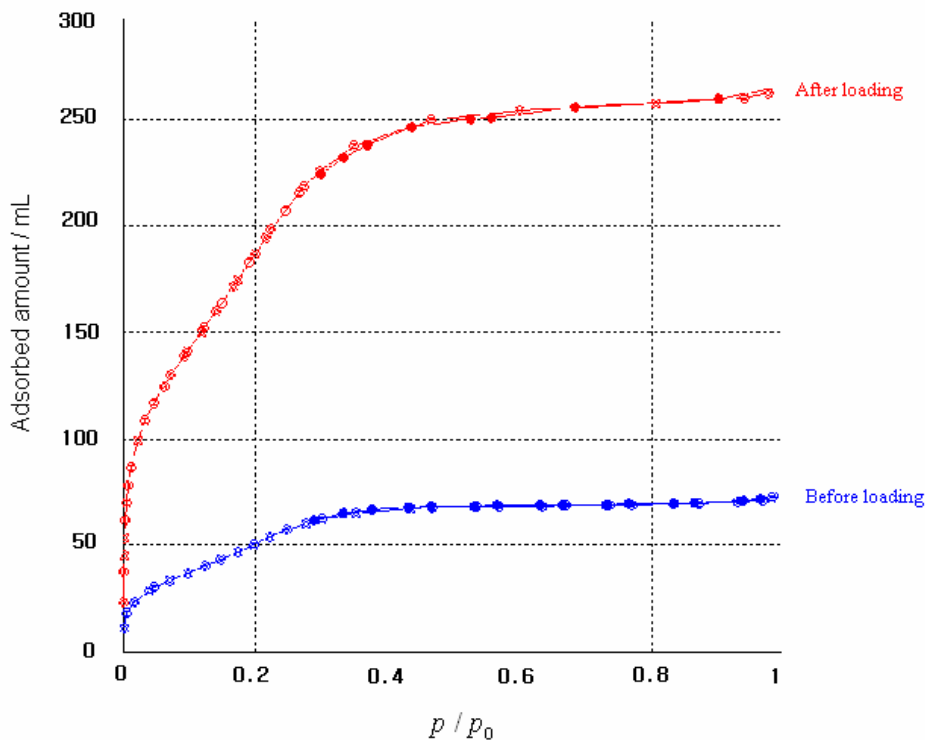


Fig. 2. Adsorption isotherms of mesoporous silica powders before and after loading the taxifolin. (adsorbate: N<sub>2</sub>, adsorption temperature: 77K)

When taxifolin was loaded in the synthesized mesoporous silica powder, like this, it could be found that the existing specific surface area of 800~1000 m<sup>2</sup>/g was reduced to about 50~150 m<sup>2</sup>/g. This is evidence that taxifolin was filled in a pore and it could be confirmed that the loading ratio was also superior. In case the loaded silica powder is applied, as it is, to cream formulation without coating, taxifolin is flowed out and oxidized in combination with water. Accordingly, problems such as browning and changes in odor, etc. occur titer is also reduced. Therefore, the loaded silica surface was coated lipophilically by using dimethiconol and applied to cream formulation. Encapsulated nano particles, when added to water-in-oil type or oil-in-water type of emulsion, were able to finish cosmetics whose stability was very excellent. As a result of observing the sample stored respectively at 4<sup>0</sup>C, room temperature, 37<sup>0</sup>C and 45<sup>0</sup>C for 8 weeks, it could be confirmed that the stability of a taxifolin component within cream formulation was maintained up to more than 90%.

### **Conclusion**

On the basis of the result of this study, a technology enabling development of nano capsule power which is able to stabilize flavonoid series, functional whitening materials difficult to stabilize, was secured. It is considered that application of the secured nano encapsulation technology is able to stabilize not only the already completed taxifolin, but also various useful flavonoid series, and if a product is commercialized by using this technology, functional cosmetics having excellent effects and stability would appear, which will meet the consumer's expectation and demand.

### **Reference**

- [1] Briganti S, Camera E, Picardo M.. *Pigment Cell Res.* 2003. 16: 101-110.
- [2] Kim KS, Kim JA, Eom SY, Lee SH, Min KR, Kim Y.. *Pigment Cell Res.* 2006. 19: 90-98.
- [3] Nakamura K, Yoshida M, Uchiwa H, Kawa Y, Mizoguchi M.. *Pigment Cell Res.* 2003. 16: 494-500.
- [4] Curto EV, Kwong C, Hermersdörfer H, Glatt H, Santis C, Virador V, Hearing VJ Jr, Dooley TP.. *Biochem Pharmacol.* 1999. 57: 663-672.
- [5] Funayama M, Arakawa H, Yamamoto R, Nishino T, Shin T, Murao S.. *Biosci Biotechnol Biochem.* 1995. 59: 143-144.
- [6] Boissy RE, Visscher M, DeLong MA. *Exp Dermatol.* 2005. 14: 601-608.
- [7] Winkel-Shirley B.. *Curr Opin Plant Biol.* 2002. 5: 218-223.
- [8] Kootstra A.. *Plant Mol Biol.* 1994. 26: 771-774.
- [9] Sin BY, Kim HP.. *Arch Pharm Res.* 2005. 28: 1152-1155.
- [10] Slominski A, Jastreboff P, Pawelek J.. *Biosci Rep.* 1989. 9: 579-586.