

Glycospheres

Delivery System Protection and Stability of Actives



Europe and China Program

Delivery systems are now widely used as cosmetic ingredient carriers, under many different forms, including liposomes, nano or microspheres. However, if the transport of the active molecule within the epidermis is the first objective of the use of such systems, stabilization of the encapsulated or entrapped molecule is also one

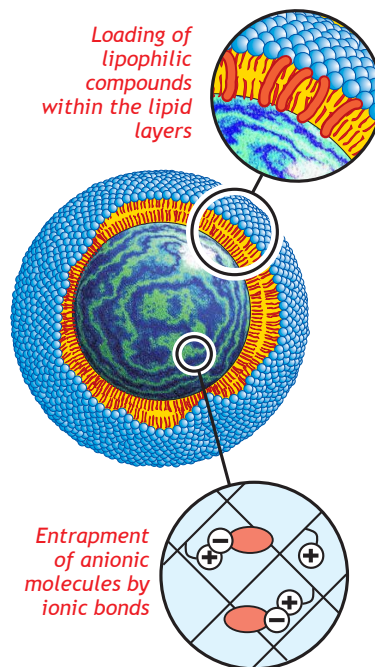
of the major goals to be achieved. This stabilization can only be obtained if the active molecule stays inside the carrier during formulation, and if the carrier is physicochemically stable. Kobo Products has thus developed the Glycosphere, a stable and protective delivery system.

Structure

Glycospheres are supramolecular configurations, organized around a solid inner core. The latter, consisting of modified starch, is powerfully hydrophilic and endows the particle with its chemical and physicochemical stability as well as its biocompatibility. A single layer of fatty acids is covalently grafted at the periphery of this central core, endowing the particle with a peripheral lipophilic nature, without modifying its internal hydrophilic nature. The Glycosphere can thus organize polar lipids and hence retain and deliver lipophilic active agents.

Entrapment

Both hydrophilic and lipophilic active agents can be incorporated into Glycospheres. Two different types of chemical interactions play a role in their capacity to retain molecules within the Glycosphere: ionic bonds and hydrophobic interactions.



Hydrophilic Active Ingredients

The central core of Glycospheres contains strongly cationic groups. Anionic hydrophilic actives are thus retained by high energy ionic bonds. Entrapment stability and performance are thus incomparably greater than with any other delivery system.

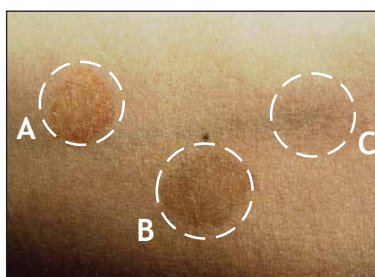
Macromolecules such as enzymes can be entrapped within the inner core, up to 200,000 daltons.

Lipophilic Active Ingredients

The potent cohesion existing between the lipid layer and polar lipids arranged at the periphery enables loading with lipophilic compounds.

Activity of Entrapped Molecules

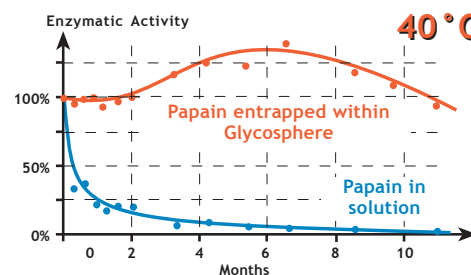
Several free-radicals scavengers were compared for their activity as aqueous solution and entrapped within Glycospheres. We showed no significant difference between entrapped and non-entrapped forms for green tea extract, grape seed (OPC) extract, ascorbic acid or alpha-tocopherol. Similarly, an in vivo test was performed with procyanidolic oligomers extracted from grape seeds.



Application of dithranol to the forearm skin causes erythema, which is reduced by antioxidant protection. Zone A on the picture shows erythema caused by application of dithranol, zone B the erythema reduced by an aqueous solution of PCO (0.05%) and zone C the erythema virtually eliminated by protection using Glycospheres-entrapped PCO (same amount). This antioxidant is active even after entrapment.

Stabilization of Papain

Similarly to vitamins, enzymes are unlikely to maintain their activity when formulated. We measured the enzymatic activity of papain, a strong proteolytic enzyme, in solution and entrapped within Glycospheres, at high temperature (40°C). Non-entrapped enzyme lost its activity in less than 3 months when the entrapped form remains unaffected after 1 year.



Other ingredients can see their stability improving when entrapped in Glycospheres: other enzymes, vitamins, extracts ...

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Product Name	Active Ingredient(s)	INCI Name
Cn-HAHWS	Sodium Hyaluronate	Water (And) Pentylene Glycol (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) 1,2-Hexanediol (And) Caprylyl Glycol (And) Sodium Hyaluronate (And) Hydrogenated Lecithin
Cn-PS2	No Active	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Cn-UR1000S	Urea	Water (And) Urea (And) Pentylene Glycol (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) 1,2-Hexanediol (And) Caprylyl Glycol (And) Hydrogenated Lecithin
Gs-AHP	Acetyl Hexapeptide-8	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin (And) Acetyl Hexapeptide-8
Gs-BC	Beta-Carotene	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Imidazolidinyl Urea (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin (And) Sucrose (And) Corn Starch (And) Gelatin (And) Beta Carotene (And) Corn Oil (And) Ascorbyl Palmitate (And) Tocopherol
Gs-GT	Green Tea Polyphenols	Water (And) Camellia Sinensis Leaf Extract (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Gs-PCOg	Grape PCOs	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Vitis Vinifera (Grape) Seed Extract (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Gs-PPY	Papain	Water (And) Papain (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Hydrogenated Lecithin (And) Parabens
Gs-RES	Resveratrol	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Vitis Vinifera (Grape) Vine Extract (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Gs-SA2B	Salicylic Acid	Butylene Glycol (And) Water (And) Salicylic Acid (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Gs-VA100C	Retinol	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Polysorbate 20 (And) Retinol (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin (And) BHT (And) BHA
Gs-VACE	Ascorbic Acid, Retinol, Tocopherol	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Ascorbic Acid (And) Parabens (And) Hydrogenated Lecithin (And) Tocopherol (And) Polysorbate 20 (And) Retinol (And) BHT (And) BHA
Gs-VC2500GDC	Ascorbic Acid	Ascorbic Acid (And) Glycerin (And) Cyclopentasiloxane (And) Cyclohexasiloxane (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Lauryl PEG/PPG-18/18 Methicone (And) Phenoxyethanol (And) Hydrogenated Lecithin (And) Parabens
Gs-VC40	Ascorbic Acid	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Ascorbic Acid (And) Phenoxyethanol (And) Parabens (And) Hydrogenated Lecithin
Gs-VC5000G	Ascorbic Acid	Ascorbic Acid (And) Glycerin (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Hydrogenated Lecithin (And) Phenoxyethanol (And) Methylparaben
Gs-VE	Tocopherol	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Tocopherol (And) Parabens (And) Hydrogenated Lecithin



KFL-079A

Anti-Aging Mask

Part 1

- **KOBOGUARD® 50AMP** - Kobo Products: Acrylates/Ethylhexyl Acrylate Copolymer (And) Water (And) Aminomethyl Propanol 50.00%
- Deionized Water - Water 25.60%
- Denatured Ethanol - Brenntag Specialties: Alcohol 5.00%
- Butylene Glycol - Ruger Chemical Co., Inc.: Butylene Glycol 3.00%
- Glycerin U.S.P. Natural 96% - Ruger Chemical Co., Inc.: Glycerin 3.00%
- **Cn-HAHWS** - Kobo Products: Water (And) Pentylene Glycol (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) 1,2-Hexanediol (And) Caprylyl Glycol (And) Sodium Hyaluronate (And) Hydrogenated Lecithin 2.00%
- **Gs-VACE** - Kobo Products: Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Phenoxyethanol (And) Ascorbic Acid (And) Parabens (And) Hydrogenated Lecithin (And) Tocopherol (And) Polysorbate 20 (And) Retinol (And) BHT (And) BHA 2.00%

Part 2

- Dermol IPIS - Alzo International Inc.: Isopropyl Isostearate 5.00%
- Simulgel™ NS - Seppic Inc: Hydroxyethyl Acrylate/Sodium Acryloyldimethyl Taurate Copolymer (and) Squalane (and) Polysorbate 60 4.00%
- Douceur Naturelle 18 - Givaudan/Brenntag: Fragrance 0.40%

Manufacturing Procedure

1. Add Part 1 in main tank and mix with propeller until uniform.
2. Pre-mix Part 2 and mix until uniform.
3. Add Part 2 to Part 1 and mix until uniform using propeller mixing.

Description

Apply a thick layer of Anti-Aging Gel-cream Mask for 10 min, then rins off. It features KOBOGUARD® 50AMP, water dispersible polymer that helps with skin adhesion of the formula and peel-off removal. **Cn-HAHWS** contains Sodium Hyaluronate to help provide hydration to the skin, and **Gs-VACE** Glycosphere contains Ascorbic Acid, Retinol and Tocopherol to help provide anti-aging properties.

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