

Glycospheres

Delivery System Protection and Stability of Actives

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.

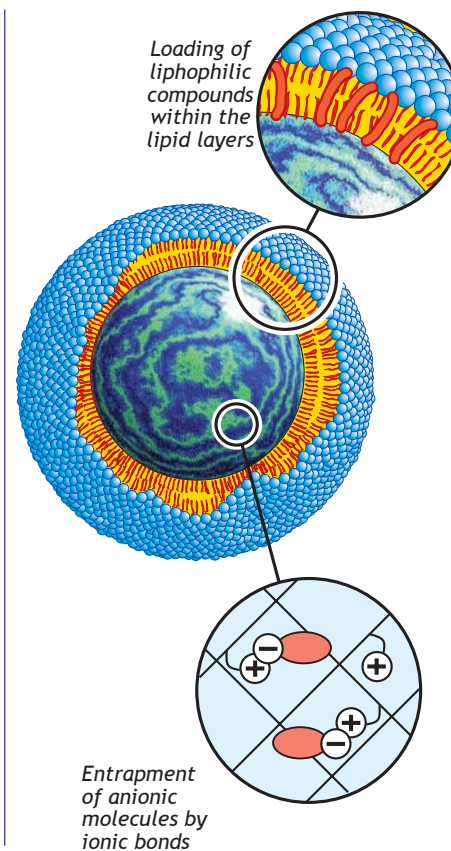
Hydrophilic active ingredients

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

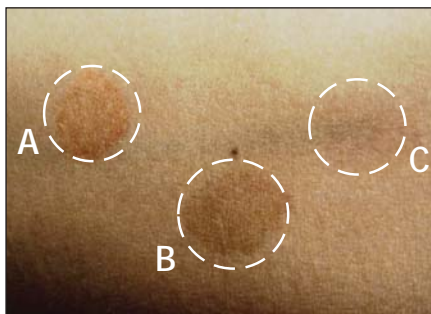
The potent cohesion existing between the lipid layer and polar lipids arranged at the periphery enables loading with lipophilic compounds.



Activity of entrapped molecules

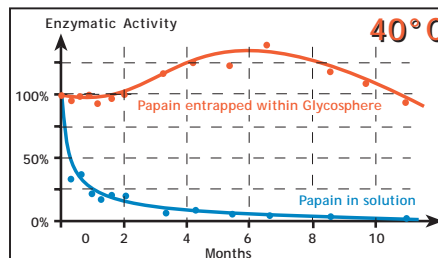
Several free-radicals scavengers were compared for their activity as aqueous solution and entrapped within Glycospheres. We showed no significant difference between entrapped and non-entrapped forms for green tea extract, grape seed (OPC) extract, ascorbic acid or alpha-tocopherol. Similarly, an *in 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 ...



KOBO

Kobo Products, Inc.
3474 So. Clinton Ave.
So. Plainfield, NJ 07080
USA
tel +1 / (908) 757-0033
fax +1 / (908) 757-0905

Kobo Products, SAS
Rue Buissonniere, BP 67660
31676 Labège Cedex
France
tel +33 / (0)5.62.88.77.40
fax +33 / (0)5.62.88.77.49

Glycospheres

Product Name	INCI Name
Cn-HAHWS	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	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Phenoxyethanol (And) Hydrogenated Lecithin (And) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben
Cn-UR1000S	Water (and) Urea (and) Pentylene Glycol (and) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (and) 1,2-Hexanediol (and) Caprylyl Glycol (and) Hydrogenated Lecithin (And) Propylparaben
Gs-GT	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Camellia Sinensis Extract (And) Hydrogenated Lecithin (And) Phenoxyethanol (And) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben
Gs-PCOG	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Grape (Vitis Vinifera) Seed Extract (And) Hydrogenated Lecithin (And) Phenoxyethanol (And) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben
Gs-PPY	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Papain (And) Hydrogenated Lecithin (And) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben (And) Phenoxyethanol
Gs-TCB	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Triclosan (And) Butylparaben (And) Phenoxyethanol (And) Propylparaben (And) Ethylparaben (And) Methylparaben (And) Hydrogenated Lecithin
Gs-VA100C	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Polysorbate 20 (And) Retinol (And) Phenoxyethanol (And) Methylparaben (And) Hydrogenated Lecithin (And) BHT (And) Butylparaben (And) Ethylparaben (And) Propylparaben (And) BHA
Gs-VACE	Butylene Glycol (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Butylparaben (And) Phenoxyethanol (And) Propylparaben (And) Ethylparaben (And) Methylparaben (And) Ascorbic Acid (And) Hydrogenated Lecithin (And) Retinol (And) Polysorbate 20 (And) BHT (And) BHA (And) Tocopherol
Gs-VC2500GDC	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) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben
Gs-VC5000G	Ascorbic Acid (And) Glycerin (And) Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Butylparaben (And) Phenoxyethanol (And) Propylparaben (And) Ethylparaben (And) Methylparaben (And) Hydrogenated Lecithin
Gs-VC40	Water (And) Butylene Glycol (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Phenoxyethanol (And) Ascorbic Acid (And) Hydrogenated Lecithin (And) Methylparaben (And) Propylparaben (And) Butylparaben (And) Ethylparaben
Gs-VE	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Butylparaben (And) Phenoxyethanol (And) Propylparaben (And) Ethylparaben (And) Methylparaben (And) Tocopherol (And) Hydrogenated Lecithin
Gs-BC	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Ethylparaben (And) Imidazolidinyl Urea (And) Propylparaben (And) Methylparaben (And) Butylparaben (And) Phenoxyethanol (And) Corn Starch (And) Tocopherol (And) Gelatin (And) Sucrose (And) Corn Oil (And) Ascorbyl Palmitate (And) Beta-Carotene (And) Hydrogenated Lecithin
Gs-AHP	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Propylparaben (And) Butylparaben (And) Ethylparaben (And) Methylparaben (And) Phenoxyethanol (And) Hydrogenated Lecithin (And) Acetyl Hexapeptide-3
Gs-SA2B	Butylene Glycol (And) Water (And) Salicylic Acid (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Propylparaben (And) Methylparaben (And) Butylparaben (And) Phenoxyethanol (And) Ethylparaben (And) Hydrogenated Lecithin
Gs-RES	Water (And) Palmitoyl Hydroxypropyltrimonium Amylopectin / Glycerin Crosspolymer (And) Vitis Vinifera (Grape) Vine Extract (And) Phenoxyethanol (And) Methylparaben (And) Hydrogenated Lecithin (And) Ethylparaben (And) Butylparaben (And) Propylparaben

Shower Gel with Glycospheres

Formula KCL-002A

Part 1

- Deionized Water 38.40%
- DUB Diol - Stearinerie Dubois: Methyl Propanediol 2.00%

Part 2

- Disodium EDTA - American Int.: Disodium EDTA 0.10%
- Standapol ES-1 - Cognis Corp.: Sodium Laureth Sulfate 30.00%
- Standapol T - Cognis Corp.: TEA-lauryl sulfate 20.00%
- Velvetex BK-35 - Cognis Corp.: Cocamidopropyl Betaine 3.00%

Part 3

- Glucamate DOE-120 - Amerchol: PEG-120 Methyl Glucose Dioleate 0.25%
- Glydant - Lonza: DMDM hydantoin 0.25%
- Standamid KDO - Cognis, Corp.: Cocamide DEA 4.00%
- Floral - American Flavors & Fragrance: Fragrance 0.50%

Part 4

- Gs-PPY - Kobo Products: Water (and) Palmitoyl Hydroxypropyltrimonium Amylopectin/Glycerin Crosspolymer (And) Papain (And) Hydrogenated Lecithin (And) Methylparaben (And) Butylparaben (And) Ethylparaben (And) Propylparaben (And) Phenoxyethanol 0.50%

Part 5

- Citric acid 25% solution - Roche: Citric Acid 1.00%

Manufacturing Procedure

1. Heat Part 1 to 75°C.
2. Add Part 2.
3. Cool to 40°C and add Part 3.
4. Stir for 10 minutes and then add Part 4.
5. Stir 5 minutes.
6. Adjust pH to 6.5 with Part 5.

Description

An emollient shower gel with a suspension of Kobo's Glycospheres, Gs-PPY. The Glycospheres release Papain onto the skin, both protecting and nourishing it. Papain, a natural compound in papaya, has been shown to reduce signs of premature aging. In the Glycosphere from, it is enhanced for stability. This makes it a good ingredient for a body wash or shower gel.

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