Silat 欣浪生化

化腐朽为神奇

——包合技术在化妆品中的应用





配方工程师的困惑

性能优良的活性物经常伴随各种缺陷：

不稳定、有刺激、难溶解、有气味等，性能越优良，缺陷越明显。





固有的遗憾

活性物	突出性能/作用	原有缺陷
α-硫辛酸	抗自由基、美白	容易被氧化、气味大
水杨酸	角质更新/清理毛孔等多种功能	刺激性大、不水溶
苯乙基间苯二酚	美白祛斑性能非常优良	变色、不水溶
VA醇	最经典的促进促进胶原蛋白合成的原来	不稳定，过敏
甘醇酸	祛黑头、松脱角质	刺激性很大



固有的遗憾

活性物	突出性能/作用	原有缺陷
三氯生	非常安全而广谱杀菌	非常难溶解
壬二酸	控油性佳，祛痘	刺激性大、不水溶
光甘草啶	美白祛斑、抗自由基	变色、不水溶
薄荷	清凉剂	难水溶、气味大
精油/香精		难水溶、增溶泡沫多

缺陷的解决方案1

——变成衍生物



- 维生素C（变色）—VC磷酸酯钠、VC磷酸酯镁、VC葡萄糖甙、VC四棕榈酸酯、VC乙基醚等。

- 其中一些稳定性提高了，但有效VC含量降低很多（VC四棕榈酸酯VC含量15.6%，VC葡萄糖甙VC含量52%）。

衍生物需要变成原生物（VC）才发挥作用（需要增长很长时间)

- 曲酸（变色）—曲酸二棕榈树酯，有效含量降低（22.9%）。

- 壬二酸—壬二酸甘氨酸钾盐（30%），刺激性降低了，但功能大幅度柔化，有效含量16.5%。

缺陷的解决方案2

—— 活性物包裹



1 环糊精包合

2 脂质体

3 微胶囊

环糊精

最基本三种形式：

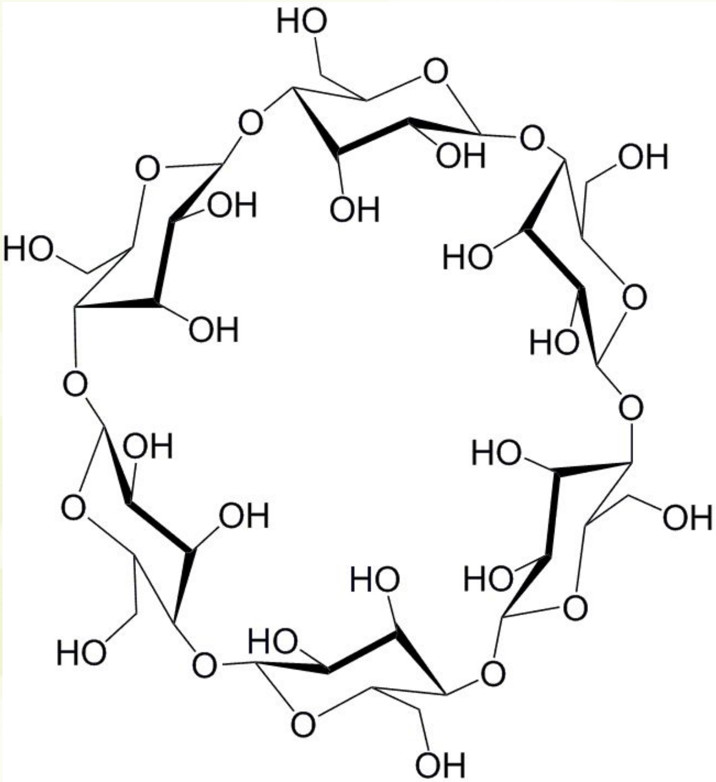
1 α- 环糊精（六环，分子量973）

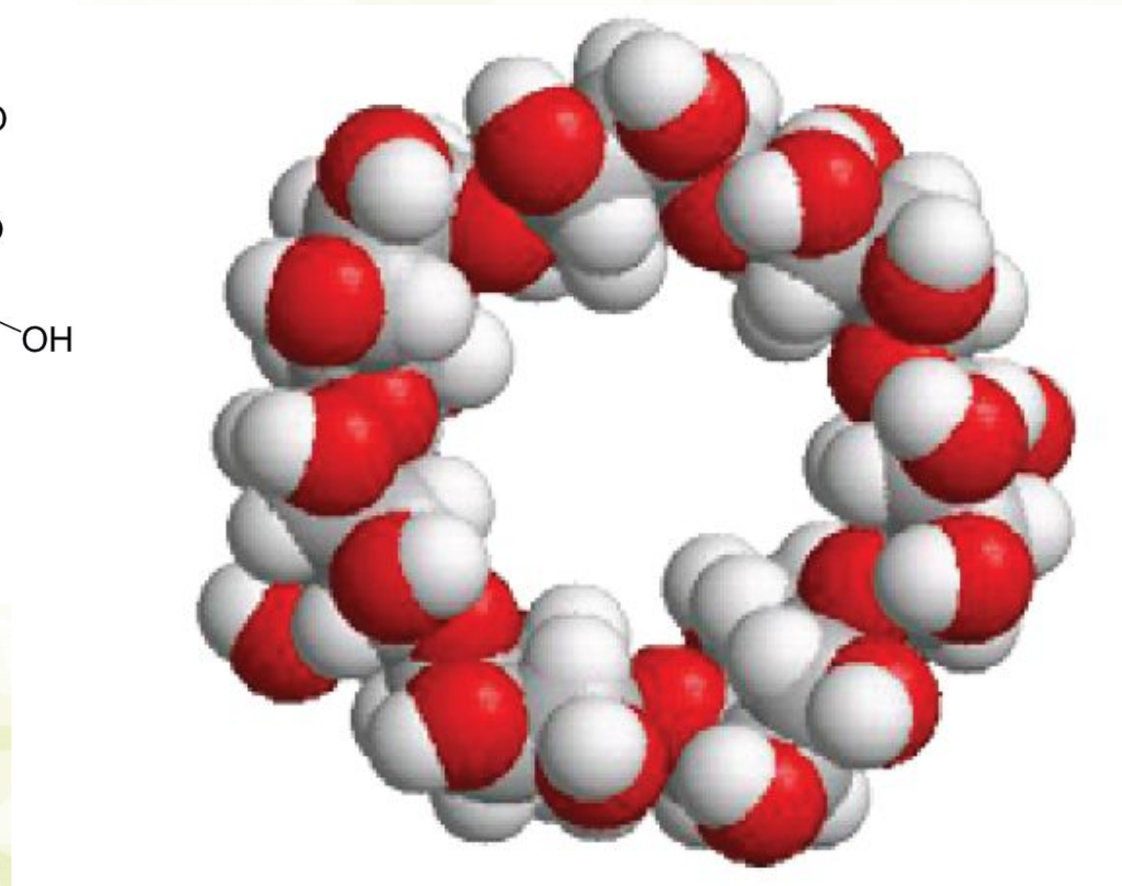
2 β- 环糊精（七环，分子量1135）

3 γ- 环糊精（八环，分子量1297）



立体结构示意图


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多种环糊精

WACKER FINE CHEMICALS

CAVAMAX®

CAVAMAX® W8 I-MENTHOL Complex

Cyclodextrin Inclusion Complex

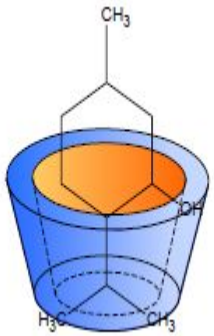
Characteristics

CAVAMAX® W8 *I*-Menthol is an inclusion complex of γ-cyclodextrin and *I*-Menthol from Wacker Chemie AG

Special characteristics

- Chemical Name: γ-cyclodextrin, cyclooctaamylose, cyclomaltooctaose, *I*-Menthol, (1α, 2β, 5α)-5-methyl-2-(1-methylethyl)-cyclohexanol
- CAS-No.: 17465-86-0, 2216-51-5
- Appearance: White powder

Chemical structure



甲基-β-环糊精、羟丙基-α-环糊精、羟丙基-β-环糊精羟丙基-γ-环糊精等几十种。

瓦克公司就有近30种糊精。

WACKER FINE CHEMICALS

Complexation with **CAVASOL®** Cyclodextrin Derivatives

瓦克公司的包合物

- γ-亚麻酸（维生素F）、VA醇、α-硫辛酸、薄荷等



意大利IRA公司


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I.R.A. Istituto Ricerche Applicate Srl, founded in 1983 by university professors and scientific researchers, thanks to high competence skills, dynamism and innovative ability, was able, in a short period of time, to join successfully in the overview of cosmetic and pharmaceutical research. The use of vanguard technologies enables I.R.A. Istituto Ricerche Applicate Srl to provide its customers with a service of high qualitative level, as well as being specialized in the production of medical devices and cosmetics.
Company Profile
Research & Development
Medical devices
Private Label
Products
I.R.A. Istituto Ricerche Applicate S.r.l.
Via Del Lavoro, 4a/6 - 20040 Usmate Velate (MB) Italy
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IRA公司近100种包合物



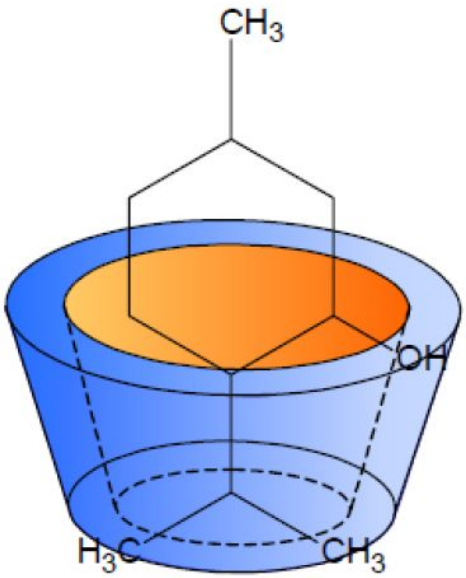
Cyclosystem Complex®

Cod.	Product	INCI	Activity	Data sheet	Security sheet
9001	B-CYCLODEXTRIN POWDER	Cyclodextrin>	Moisturizing properties		
9015	50% ARBUTIN CYCLOSYSTEM COMPLEX®	Arbutin, Cyclodextrin	Antiseptic and depigmenting action		
9018	ARBUTIN CYCLOSYSTEM COMPLEX® IN HP-B-CYCLODEXTRINS	Arbutin, Hydroxypropyl Cyclodextrin	Antiseptic and depigmenting action		
9020	50% CAFFEINE CYCLOSYSTEM COMPLEX®	Caffeine, Cyclodextrin	Stimulating cell metabolism and promotes the hydrolysis of triglycerides into free fatty acids and glycerol		
9026	50% MENTHOL CYCLOSYSTEM COMPLEX®	Menthol, Cyclodextrin	The essence is gradually released in time and resists better to high temperatures and sun rays		
9030	15% BORAGE OIL CYCLOSYSTEM COMPLEX®	Cyclodextrin, Borago Officinalis Seed Oil	High content of essentials fatty acids, humectant.		
9130	15% TEA TREE OIL CYCLOSYSTEM COMPLEX®	Cyclodextrin, Melaleuca Alternifolia oil	Emollient, nourishing, insect repellent action and acts as cutaneous disinfectant		
9140	15% VITAMIN A ACETATE CYCLOSYSTEM COMPLEX®	Cyclodextrin, Retinyl Acetate	Active against free radicals		

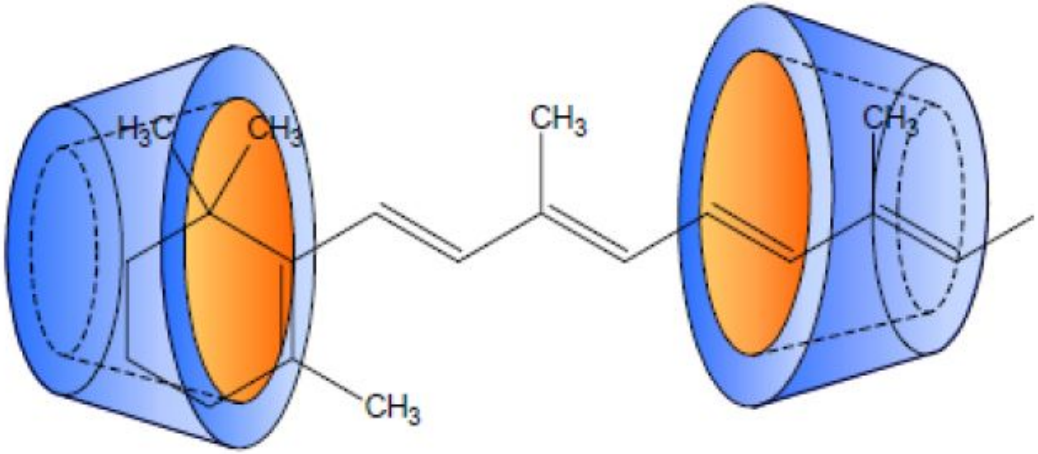
包合示意

环糊精包合称为“分子囊”包合

示意 1



示意 2



环糊精包合物的特点

- 环糊精包合是一种分子级包裹，粒径远小于脂质体等；
- 包裹活性物量一般较大，而脂质体包裹量在百分之几；
- 环糊精包合物配方中可以高速分散。





环糊精包合物的应用

1 提高稳定性。如：维生素类（A, E, B12）、茶多酚、SOD 、α-硫辛酸等；

2 降低刺激性、副作用等。如水杨酸、壬二酸、甘醇酸等；

3 增加溶解度、水溶性。如三氯生、精油；

4 减少气味。如薄荷、茶树油；

5 挥发性液体、油状液体的粉末化。如香精；

6 提高生物利用度。

实例1—α-硫辛酸

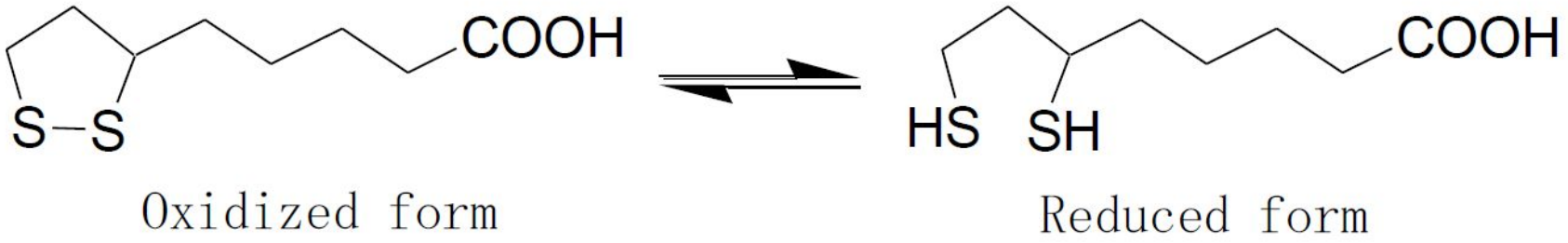
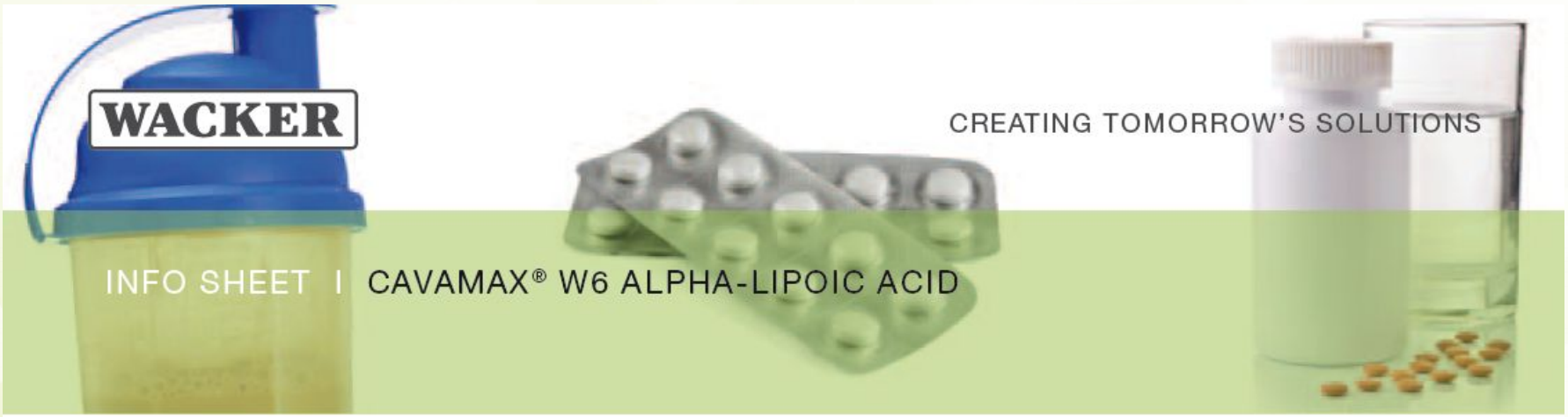


Fig. 1. α-lipoic acid

α-硫辛酸特点

“万能抗氧剂”	能抑制和清除人体内多种自由基
“高效抗氧化剂”	是已知天然抗氧剂中效果最强的一种
“代谢性抗氧化剂”	存在于体内的天然型的代谢物质
“抗氧化剂的抗氧化剂”	能再生还原细胞中一些主要抗氧化剂

瓦克包合α-硫辛酸



STABILIZED ALPHA-LIPOIC ACID (ALA)

Alpha-lipoic acid (ALA) is a powerful, vitamin-like antioxidant that is found in every cell, where it helps to convert glucose into energy. Unlike other antoxidants, which only work in water (i.e. vitamin C) or fatty tissues (i.e. vitamin E, coenzyme Q10), ALA is both fat- and water-soluble. The amount of ALA taken up with the daily diet and available for the body is often not adequate to obtain optimal benefits. Increasing the amount

ALA has been the subject of numerous research studies and clinical trials. One of the most studied clinical uses of ALA is the treatment of diabetes and diabetic neuro-pathy. It was also shown to be hepatoprotective. Since it is also involved in (muscle) recovery from strenous exercice, ALA is often included in dietary sports products. However, many products available on the market do not provide adequately stabilized ALA.

The stabilization studies demonstrate a significantly enhanced stabilization of ALA when encapsulated with alpha-cylcodextrin as compared to the unprotected ALA (see graph 1).

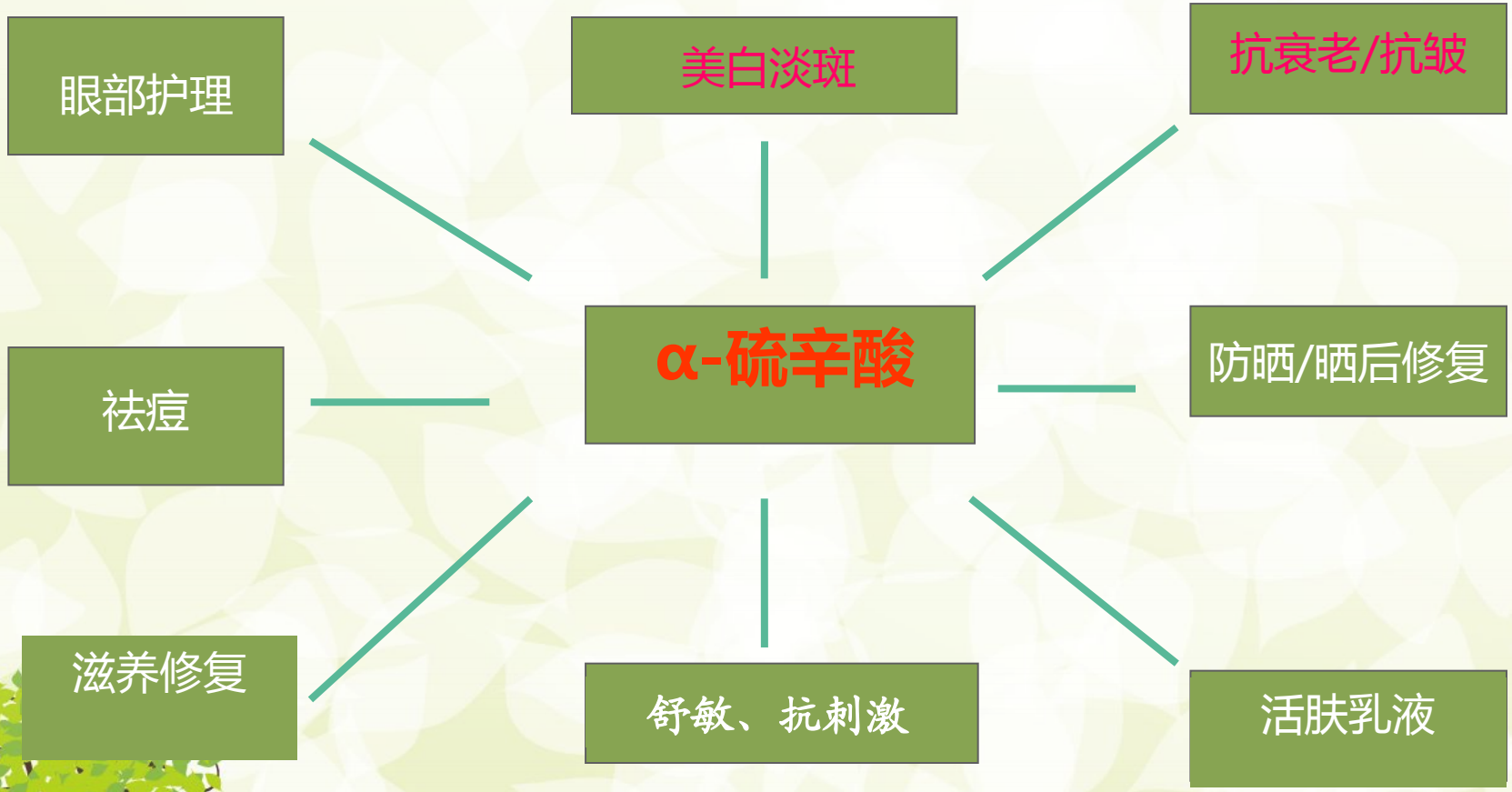
α-硫辛酸

- 硫辛酸和二氢硫辛酸二者相互配合，几乎清除一切自由基，包括羟基自由基、过氧化氢、一氧化氮自由基等。抗自由基能力是VE的400-500倍。

- 硫辛酸可还原再生其他抗氧剂如维生素C、 维生素E、谷胱甘肽、辅酶Q等物质是体内非常重要的抗氧成份，硫辛酸再生这几种抗氧成份，意义重大。



化妆品应用



解决原来缺陷



1. 稳定性
2. 有气味
3. 难水溶



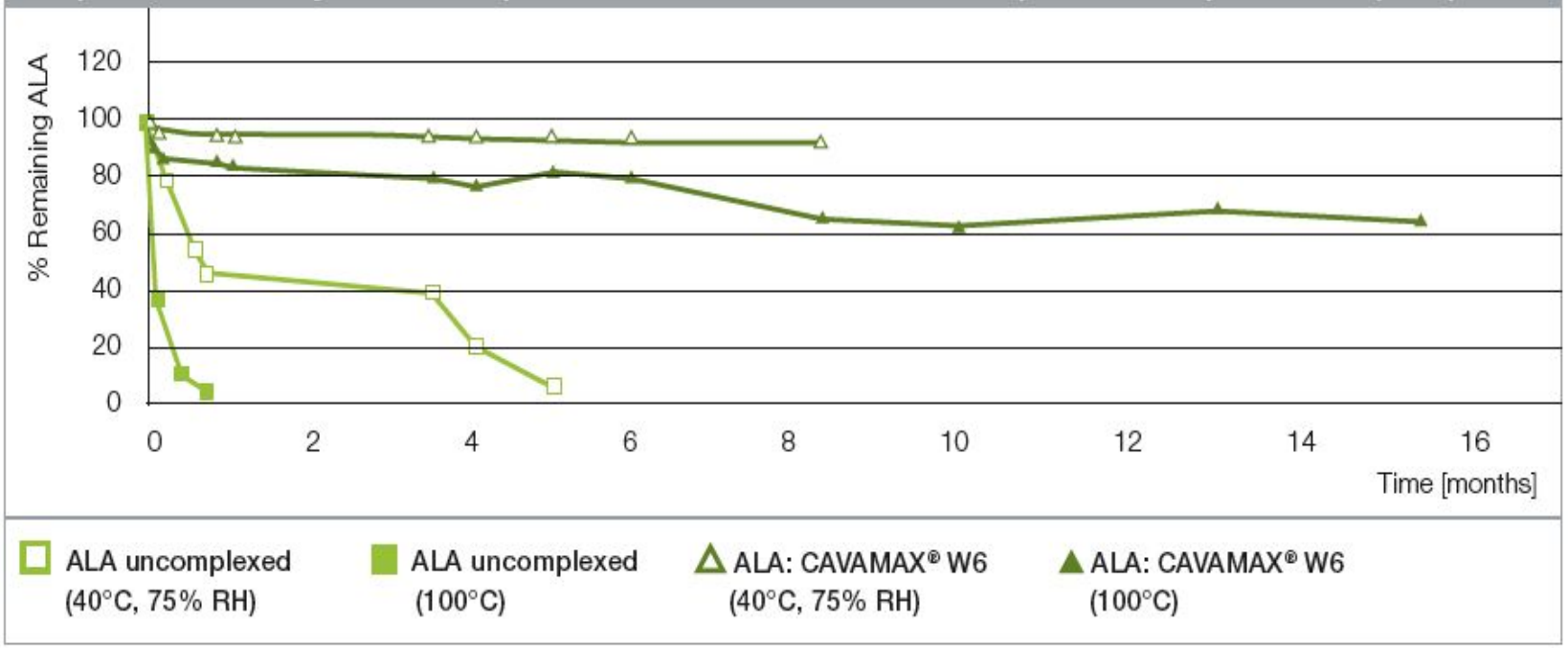
两种包合剂型

A 粉剂：含量12%

B 液态：含量5%

稳定性比较

Graphic 3: Stability of Uncomplexed vs. CAVAMAX® W6 Complexed α-Lipoic Acid (ALA)



Storage of α-lipoic acid (ALA) and CAVAMAX® W6 complexed ALA at 40°C, 75% rel. humidity (open symbols) or at 100°C (closed symbols) for several months. The results show an enhanced stability of CAVAMAX® W6 complexed α-lipoic acid (ALA) in comparison to non-complexed ALA.

实例2——包合水杨酸



水杨酸的多种功能

- 杀菌
- 止痒
- 控油
- 清理毛孔
- 角质更新、松脱

包合后（含量50%）

1 原来性能不变（仍然为酸性，化学性质未变）

2 但刺激性大幅降低，水溶性提高了。

不同于：

立体水杨酸



水溶水杨酸（韩国）



其它实例

1 包合三氯生(9%)—完全水溶，安全广谱杀菌，湿纸巾、液洗类

2 壬二酸(13%)—完全水溶、无刺激。控油、祛痘

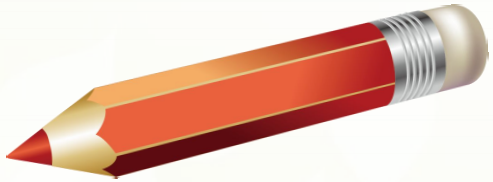
3 甘醇酸(50%)—刺激性降低80%

4 VA醇(7%)—稳定性大幅提高，无过敏

5 精油、香精(10%左右)—水溶无泡、缓释无刺激



包合效果比较总结



1 提高水溶性 5星



2 降低刺激性 5星



3 缓释气味 4星



4 提高稳定性 约4星

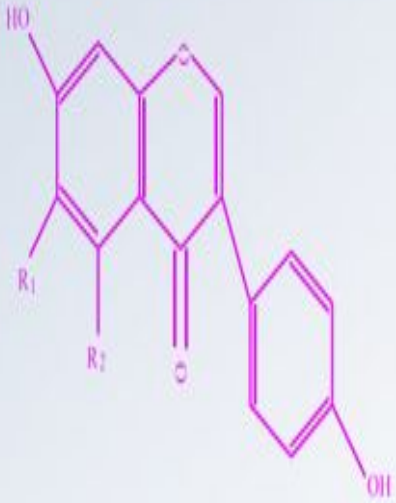


包合含量不高，稳定性提高明显；
包合含量高，稳定性提高不很明显。



Silat

谢谢



携手欣浪-携手健康与美丽

You will step into health and beauty by parting with SILAT

