SOLUBILIZATION SOLUBILIZED SYSTEMS

COMPOSITION AND FUNCTION

A solubilization is an emulsion or colloidal dispersion distinguished by the size of the molecule. The prime components of a solubilized perfume are water, a surfactant, and a perfume. Assuming the dispersed phase is composed of spherical droplets, their diameter can be no larger, theoretically, than one fourth the wavelength of light in the visible spectrum, or 1,400 A. In practice, the literature usually reports the particle size as 600-800A.*

Solvents such as ethanol may be used to help dissolve a perfume in water, but alcohol is drying on the skin, volatile, and flammable. Perfume in a water/alcohol solution is in true solution rather than a colloidal dispersion, as is the case when a surfactant is used as a solubilizer.

Whether a system is a true solution or a colloidal dispersion can readily be determined by passing a beam of light through the sample in a darkened room. If the beam is visible (the classical Tyndall Cone), a colloidal system exists. If not, it is a true solution.

The general requirements of the ingredients to solubilize a system are: (a) the surfactant itself

must disperse in water to form a "solution" clear to the eye; (b) the oil to be solubilized must be soluble in the surfactant; (c) a high ratio of surfactant to oil is usually required.

The resulting product should be clear immediately. If it is not, the choice of surfactant has been faulty, the oil has not been completely dissolved in the surfactant, the water and oil-surfactant mixtures have been blended incorrectly (too rapidly, wrong temperature, etc.), or insufficient surfactant has been used.

In some cases, solubilized products tend to be cloudy at elevated temperatures because some nonionic surfactants cloud in hot aqueous dispersions. Generally such mixtures will become clear again upon cooling. Stability to freezing temperatures is generally good for solubilized products. Unlike hydroalcoholic solutions, solubilized products may be diluted infinitely with water without clouding or loss of stability. Their viscosity is usually water-thin unless gums or other thickeners are used. Crystalline materials are often more difficult to solubilize—as the initial clear emulsion goes through temperature cycles, the crystals grow to visible size.

^{*}Reference: Whittam, James H., Ph.D., Gerbacia, William E., Ph.D., Hennic, L., Ph.D.; Microemulsions: A New Technology for the Cosmetic Industry: <u>Cosmetic Technology</u>, October, 1979, pp. 35–42.



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FORMULATION

Table 0

One part of the oil is completely dissolved in several parts of emulsifier (the ratio determined by trial), with gentle heating if necessary. Water is then added gradually to the oil-surfactant concentrate until a clear, low-viscosity solution is formed. At this stage, the remainder of the water can be added more rapidly. Some formulators prefer to use hot water for their solubilizations but this is not essential. Heat does improve the efficiency of some surfactants and the resulting solubilizations are more stable.

Table 9 shows the weight of ICI surfactants required to solubilize 1.0 gram of a representative sampling of essential oils, perfumes and other common lipophiles. The ratios for maxi-

mum efficiency of these surfactants are often quite critical (± 0.1 part by weight), but the values in this table are rounded off to the next whole number.

Such data illustrates the great differences in solubilizer efficiency. However, results may vary significantly if different grades or lots of oils are used. These solubilizations remain clear at ambient temperatures indefinitely, but slightly higher levels of surfactant may sometimes be required to guarantee high or low temperature stability. In spite of all the possible variations in technique or sample, the table will serve as a valuable starting point for formulation work.

Additional data on perfume solubilization are available upon request.

l inambile	ICI Specialty Chemicals Surfactant (weight, grams)					
Lipophile	TWEEN® 20 Polysorbate 20	TWEEN 60 Polysorbate 60	TWEEN 80 Polysorbate 80	BRIJ® 99 Oleth-20	ARLASOLVE® 200 Isoceteth-20	
Methyl salicylate	9	5	5	4	5	
Peppermint oil	5	8	7		3	
Spearmint oil	6	4	5	3	4	
Clove oil	3	5	6		4	
Eucalyptus oil	4	7	6	2	2	
Lemon oil	10	12	8	2	4	
Pine oil	5	5	6	2	2	
Menthol	7	4	4	4	5	
Vitamin E acetate	13	10	11		5	
Pikaki Fragrance #40-R-15845 (Fritzsche—D&O)	4	3	3	2	2	
Arpa Fla 800272M (PFW, Inc.)	7	6	6		3	
Dermodor Dulchena 2025 (P. Robertet, Inc.)	6	7	6	4	3	
Fragrance 40081H (Haarmann & Reimer Corp.)	7	6	8		3	
Blue Mist W-2597 (Givaudan Corp.)	7	6	6	2	3	

In many cases, blends of surfactants are more effective as solubilizers than a single surfactant. In the following examples, relatively high levels of these surfactants are required for complete solubilization. When the two emulsifiers are blended judiciously, significantly lower levels can be used to produce the same solubilization. In Formulas S-1 and S-2, minor changes in the HLB of the resulting surfactant blends make the solubilizers more efficient. In some cases such as Formula S-1, different types of surfactants can also be blended to reduce surfactant-to-oil ratios.

Formula S-1 LEMON OIL SOLUBILIZATION

	Surfactant Requirements %, Weight			
	a	b	С	
Lemon oil	1.0	1.0	1.0	
TWEEN 20	10.0	- ,	5.0	
TWEEN 60	and the same	12.0	3.0	
Water	89.0	87.0	91.0	
HLB	16.7	14.9	16.0	

Formula S-2 CORN OIL SOLUBILIZATION

	Surfactant Requirements %, Weight		
	а	b	C
Corn oil	1.0	1.0	1.0
TWEEN 80 Polysorbate 80	>15.0		6.3
TWEEN 85 Polysorbate 85	_	15.0	3.7
Water	84.0	84.0	89.0
HLB	15.0	11.0	13.5

Solubilizers are used in a wide range of cosmetic and pharmaceutical formulas. Examples of a few of these are shown below.

A simple form of clear sunscreen lotion is given in Formula S-3. ARLASOLVE 200 Liquid is used as a solubilizer for Octyl Dimethyl PABA, making high levels of water in the vehicle possible.

Formula S-3 CLEAR SUNSCREEN LOTION %, Weight

A	Octyl Dimethyl PABA		
	ARLASOLVE 200 Liquid Isoceteth-20	9.0	
В	Ethanol, SDA-40		
	Water	41.0	

100.0

PREPARATION:

Mix (A). Mix (B). Add (B) to (A) gradually with agitation.

Formula S-4 is a typical mouthwash based on SORBO® Sorbitol Solution, USP. Cetylpyridinium chloride is the active ingredient, acting as a bactericide and deodorant; TWEEN 60 surfactant is used as an aid in solubilizing the flavor oils.

Formula S-4 CETYLPYRIDINIUM CHLORIDE MOUTHWASH

Cetylpyridinium Chloride, NF	1.00 g
Citric Acid, USP	1.00 g
Peppermint Oil, USP	0.62 g
Eucalyptus Oil, NF	0.22 g
Clove Oil, USP	0.47 g
TWEEN 60 Polysorbate 60	3.00 g
ARLASOLVE DMI Dimethyl	
isosorbide	10.00 g
Saccharin, USP	1.00 g
Alcohol, USP	83.00 g
Color	q.s.
SORBO Sorbitol Solution, USP	200.00 g
Water, a sufficient quantity to make	1000.00 g

PREPARATION:

- Dissolve the cetylpyridinium chloride and citric acid in a sufficient quantity of water.
- 2. Add the peppermint oil, eucalyptus oil, and clove oil to the TWEEN 60. Add the alcohol slowly with agitation.
- 3. Add (2) to (1), then add sorbitol solution and the desired coloring agent with continued stirring. Add sufficient water to make the desired volume.

The aftershave formula shown below would not be clear without a solubilizer like ARLASOLVE 200. Menthol contributes to the cooling effect of the preparation, while sorbitol acts as a humectant. Since soap or shave cream leaves the skin slightly alkaline, boric acid is added to neutralize this alkalinity and restore the weakly acid skin condition.

	rmula S-5 FTERSHAVE LOTION	∕₀,	Weight
A	Perfume		0.5
	Menthol		0.1
	ARLASOLVE 200 Liquid		2.8
	Denatured alcohol SDA Formula No. 40		25.0
В	Water		66.6
	SORBO Sorbitol Solution, USP		3.0
	Boric Acid		2.0
			100.0

PREPARATION:

Mix (A) with stirring until clear. Dissolve boric acid in water and sorbitol. Add (B) to (A) gradually with stirring.

Pikaki Fragrance #40R-15845 is a product of Fritzsche Dodge & Olcott Inc. Arpa Fla 800272M is a product of PFW, Inc. Dermodor Dulchena 2025 is a product of P. Robertet, Inc. Fragrance 40081H is a product of Haarmann & Reimer Corp. Blue Mist W-2597 is a product of Givaudan Corp.

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