IP 190: Cosmetic Product Development

Department of Industrial Pharmacy
College of Pharmacy
UP Manila

COSMETIC VEHICLES

Cosmetic Vehicles

- Functions and Classifications
- Functional Design, Composition & Resulting Effect
- Development Strategy and Rationale

Introduction

Reality #1: People want to look their best everyday.

Reality #2: Cosmetics may help by hiding small imperfections and/or allowing natural beauty to shine.

Reality #3: People may use the same old favorites or spend money in cosmetics that make them look several years younger.

Vehicle

- Description/Definition of Main Vehicles

- Matrix where the active ingredient/s is embedded
- Means of delivering the cosmetically active ingredient to the outermost layer of the body to achieve the desired effect
  - Caring
  - Preventing

Function and Classification

- Function of Vehicles
  - Direct Intrinsic Effect
  - Delivery of Actives
  - Targeting
- Classification Systems of Vehicles
  - Appearance
    - Physical state of matter
    - Optical discrimination
  - Use and Application Site
  - Physical-Chemical Properties

Cleansing

- Oldest function

- Clean body
  - Remove dirt
  - Eliminate odor
  - Remove make-up
    - Lotions, milks, and cream
      - remove makeup and clean the skin
      - dissolves dirt without damaging residue
    - toner
      - remove traces of dirt, makeup, or cleanser
      - astringent effect (alcohol)

Decoration

- Produce pleasing or acceptable appearance
  - Minimize defects of color or shape
  - Enhance and direct attention toward good features

Care

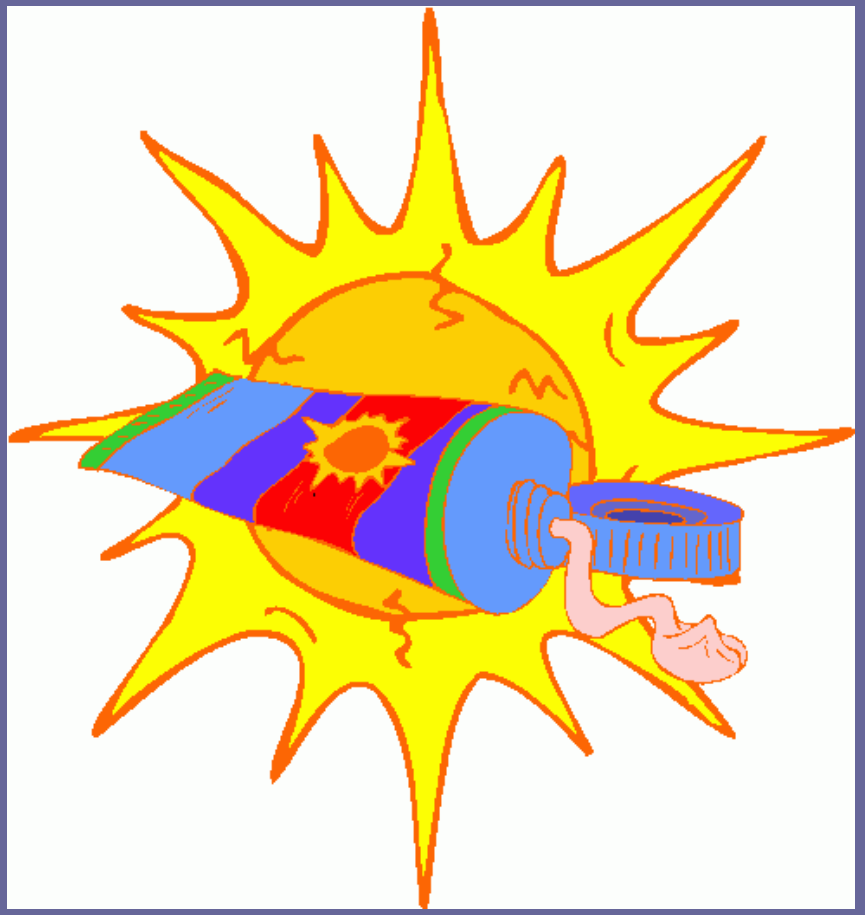
- Improvement of skin, hair, nails

Hydration

- Replace or prevent loss of skin moisture
  - Due to washing, pollution, cold, sun
  - Results to smoother skin

Protection

- Build up protective layer against external potentially damaging factors that could come in contact with the body
  - Air pollution
  - UV radiation
    - Sunscreen
    - UV filter



Delivery of Actives

- To the site of application
  - Constitute the vehicle structure
  - Positive effect on the skin status

"effective directly at the site of application"

Function and Classification

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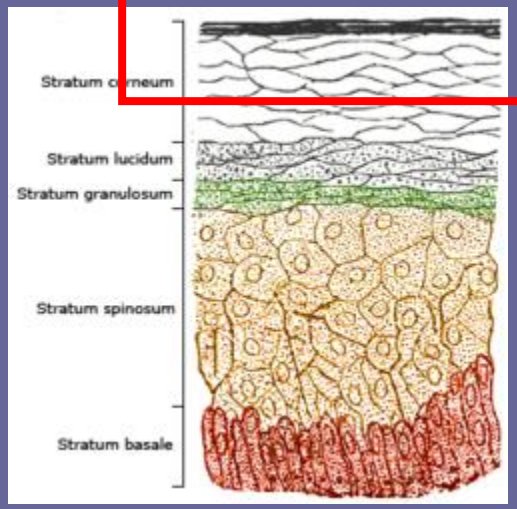
- Description/Definition of Main Vehicles

Targeting

- to legally allowed target sites in deeper regions of the skin (*stratum corneum*)
  - No systemic, physiologic or pharmacologic effect
  - Safe
  - niacinamide, dexpanthenol, tocopherol acetate, hydrolyzed wheat protein

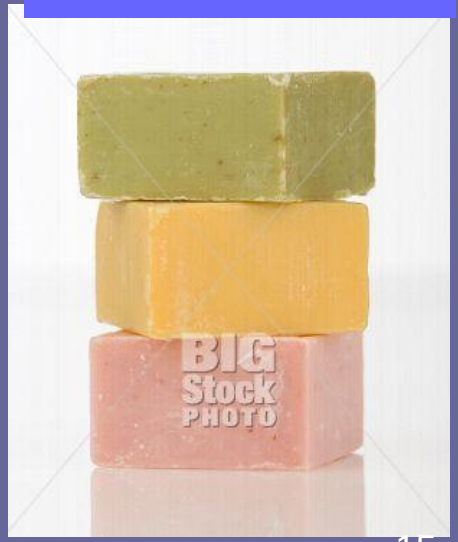


Based on Appearance (Physical State of Matter)

Liquid

Semisolid

Solid



Based on Appearance (Optical Discrimination)

Monophasic, isotropic

- Solutions
- Colloidal systems
  - micellar systems
  - microemulsions

Anisotropic, heterophasic

- Coarse dispersions
- Mixtures

Based on Use and Application Site

- Hairs
  - Shampoo, depilatory agents, hair colorant
- Nails
  - Polish, lacquer
- Mouth
  - Toothpaste, lipstick, lip-protection stick
- Skin
  - Moisturizer, body lotion, aftershave, deodorant, antiperspirant, sunscreen

Based on Physical-Chemical Properties

- Applications:
  - rational formulation design and development
  - production, use, and understanding of cosmetic vehicles

Based on Physical-Chemical Properties

- Criteria
  - Polarity: hydrophilicity, lipophilicity
  - State of matter: solid, semisolid, liquid, gaseous
  - Size/dimensions:
    - true solutions (particle size < 1 nm)
    - Colloidal dispersions (particle size 1-500 nm)
    - Coarse dispersions (particle size > 500 nm)
  - Solubility
  - Rheology, viscosity
  - Composition: water-free, oily, aqueous, hydrophilic, nonaqueous

Junginger's Physical-Chemical Classification System

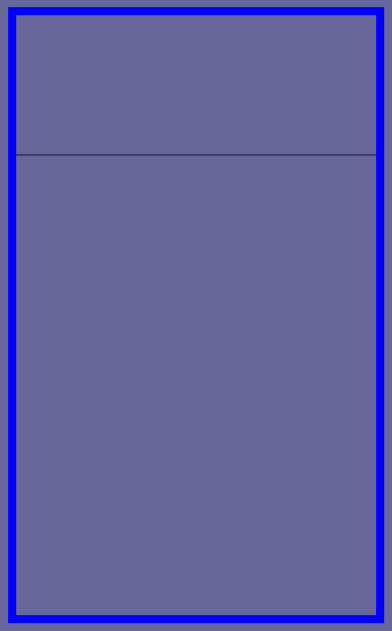
System	Brief Description/Examples
Liquids	Disperse systems, gels, emulsions, suspensions, aerosols
Semisolids	Ointment, lipogels, oleogels, hydrogels, creams
Ampiphilic crystalline gel matrix	
Ampiphilic liquid crystalline gel matrix	Liposomes, niosomes
Concentrated suspensions and pastes	
Powders	

Description/Definition of Main Vehicles

- Solution
- Emulsion
- Microemulsion
- Nanoemulsion
- Nanoparticle
- Liposome
- Gel
- Suspension
- Stick
- Aerosol
- Foam

Solution

- Mixture of two or more components that form a homogenous molecular dispersion
  - One-phase system
- Prerequisite: solubility
- Advantages:
  - Physically stable
  - Easily prepared
  - Clean appearance (transparent, clear)
  - Suitable for rinsing and cleaning body surfaces



Solution

- Selection of solvent
  - Sufficient solubility and stability of solute
  - Acceptable and safe for application to the body
- Improvement of Solubility
  - Adapt suitable polarity
  - Salt formation
  - pH adjustment
  - Cosolvency
    - more soluble in a mixture of solvents
  - Use of surfactants, solubilizing agents

Emulsion

- Liquid droplets and/or fluid crystals dispersed in a liquid
  - Dispersed phase or internal phase
  - Continuous phase or external phase
  - emulsifier

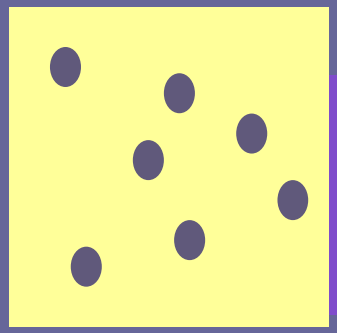
Emulsion

Oil-in-Water

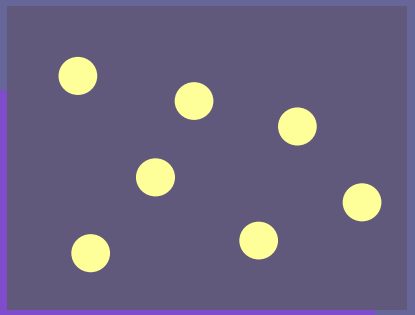
- Hydrophilic semi-liquid or semisolid
- Milky, white, spreadable
- For normal to dry skin
- Low lipid-replenishing effect
- Hydrating property
- Permeable for secretions
- Permit heat dissipation

Water-in-Oil

- Hydrophobic semi-liquid or semisolid
- White to off-white, spreadable
- For dry skin
- High lipid-replenishing effect
- Hydrating property



Emulsion



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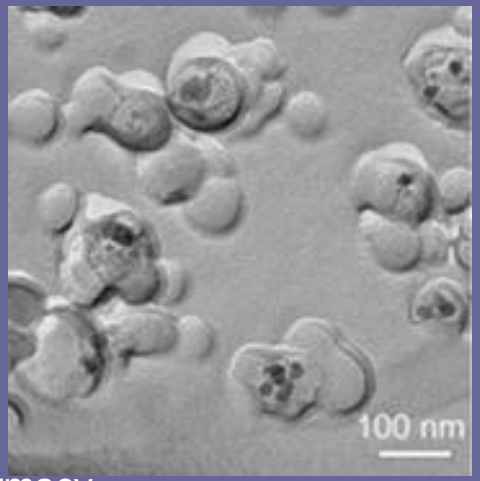
Emulsion

- Advantages:
  - Skin feel
  - Consumer appeal
  - Ease of application

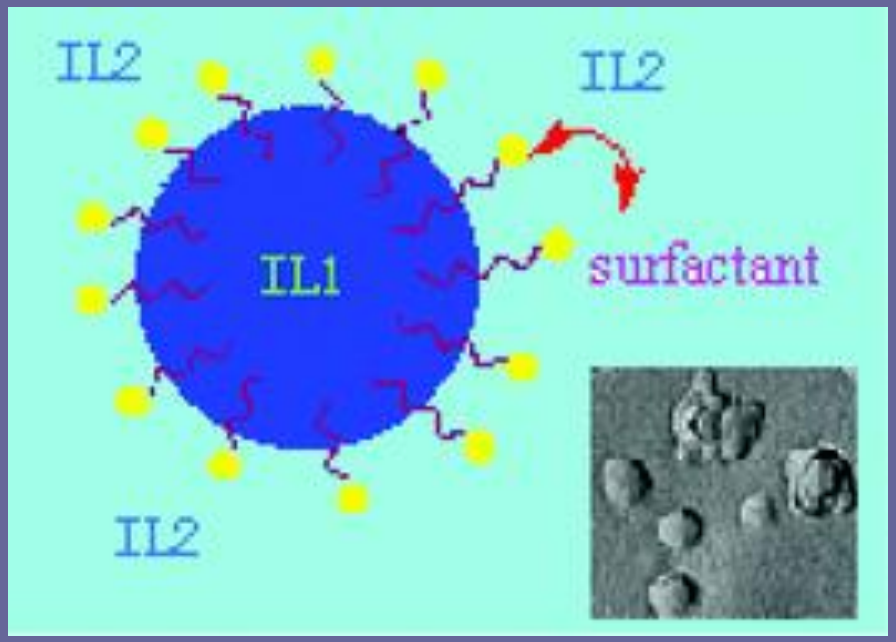
Microemulsion

- clear, stable, isotropic liquid mixtures of oil, water and surfactant, frequently with a cosurfactant
  - aqueous phase: salt(s) and/or other ingredients
  - oil phase: complex mixture of different hydrocarbons and olefins
- forms upon simple mixing of the components and do not require high shear conditions
  - Spontaneous emulsification



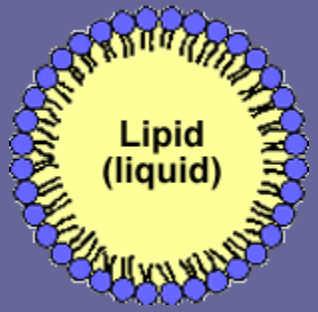
Microemulsion

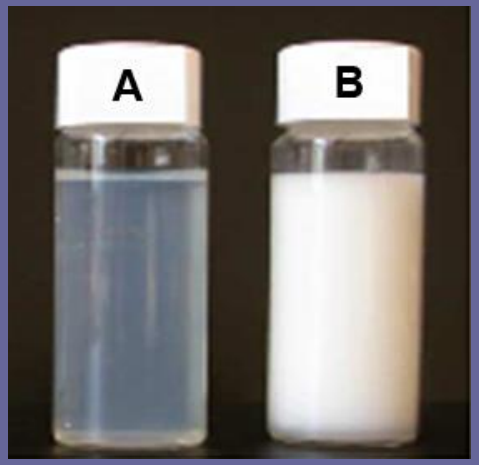
- two basic types:
  - direct (oil dispersed in water, o/w)
  - reversed (water dispersed in oil, w/o).
- Surfactant molecules may form a monolayer at the interface between the oil and water
  - hydrophobic tails in the oil phase
  - hydrophilic heads in the aqueous phase



Nanoemulsion

- Colloidal system consisting of vesicle-forming phospholipids, surfactants and lipids dispersed in water
- Vesicle is a monolayer entrapping a hydrophobic core
- very small droplet size (< 300 nm)
- vitamins, Coenzyme Q 10, vegetable oils



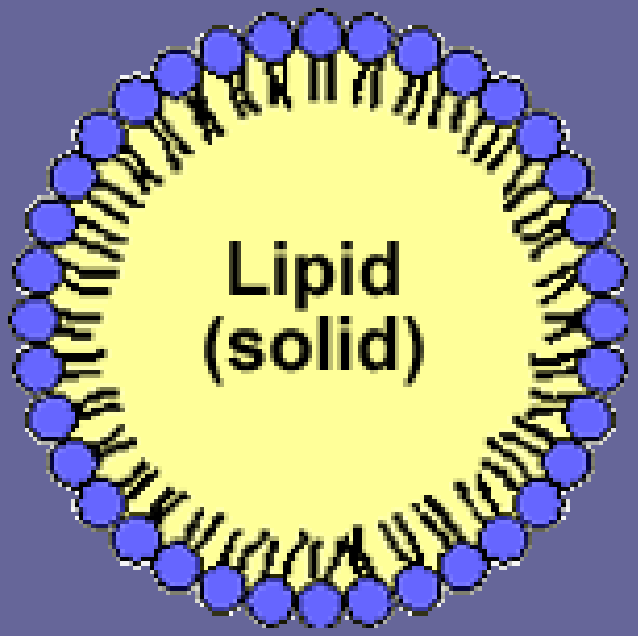


A – nanoemulsion

B – emulsion

Lipid Nanoparticles

- Modification of nanoemulsions
- Size: 50-1000 nm
- lipid core is in the solid state (solid lipids or mixtures of lipids) where the active ingredient/s are dissolved or finely dispersed
- surfactants or polymers are added to stabilize solid lipid particles against aggregation,
  - natural lecithins are preferred



Lipid Nanoparticles

- Advantages for cosmetic use:
  - Improved stability of active ingredients
  - Controlled release of active ingredients
  - Pigment effect
  - Improved skin hydration and protection (film formation)
  - can be added to existing formulations
- prepared by high-pressure homogenization (hot or cold technique)

High-pressure homogenization

Hot homogenization technique

Melt lipid; dissolve or solubilize active ingredient in the lipid

↓

Disperse melted lipid in hot aqueous surfactant solution

↓

Preparation of a pre-emulsion by means of a rotor-stator homogenizer

↓

High-pressure homogenization above the melting point of the lipid

↓

Cooling and recrystallization

Cold homogenization technique

Melt lipid; dissolve or solubilize active ingredient in the lipid

↓

Cooling and recrystallization of active lipid mixture using liquid nitrogen or dry ice

↓

Milling of the active lipid mixture by means of a ball or a jet mill

↓

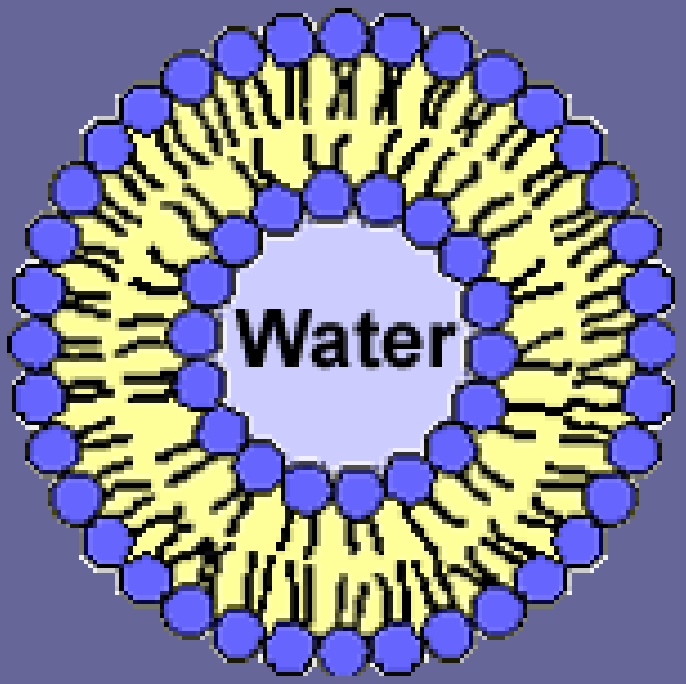
Disperse lipid microparticles in cold aqueous surfactant solution

↓

High-pressure homogenization at or below room temperature

Liposome

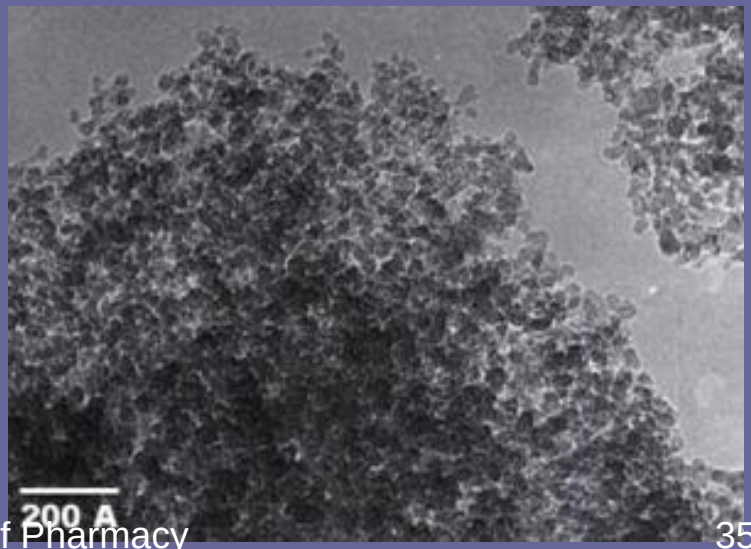
- small, spherical vesicle consisting of amphiphilic lipids, enclosing an aqueous core
  - lipids are predominantly phospholipids which form bilayers similar to those found in biomembranes
  - major component is phosphatidyl choline
- formed with one or several concentric bilayers depending on processing conditions and chemical composition



Liposome

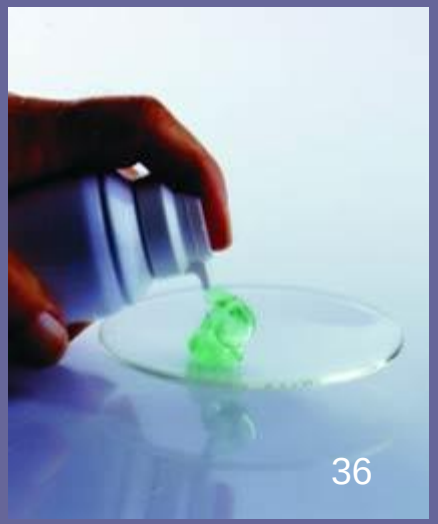
- 20 nm to several microns with a 5-6 nm phospholipid bilayer
- moisturizers, α-hydroxy acids, plant extracts, amino acids
- encapsulate ingredients and facilitate their transfer across the skin barrier
  - interactions with biological systems are relatively unknown

- Niosomes
  - Liposomes formed using cholesterol
  - Nonionic surfactant vesicles



Gel

- Solid or semisolid system of at least two constituents, a solid which forms a three-dimensional network (matrix, texture) and a liquid which as a coherent medium is immobilized within the solid matrix
- "colloidal systems with a finite, usually rather small, yield stress“
- Viscosity, optical clarity, non-greasy properties
- Also as viscosity modifiers
- Product classes:
  - Clear or translucent hydrogels
  - Creamy hydro-dispersion gels
  - Water-free oleo gels



Hydrogel

- either suspensions of small inorganic particles (2 phases) or large organic molecules (1 phase) interpenetrated by water
- Transparent to opaque, non-greasy, cooling effect, permeable to secretions, permits heat dissipation

Hydro-dispersion Gel

- has a hydrophilic continuous phase and a lipophilic dispersed phase
- oil-in-water emulsions with a content of liquid lipid phase between 2 and 20 %
- stabilized by the addition of suitable macromolecules
- no interfacial activity
  - "emulsifier-free“ sun care products
  - "quasi"-emulsions or "Balm"

Emulsifier-free Emulsions

- Two-phase semi-solid hydrophilic system consisting of various lipids, a coherent water phase, and polymers/co-polymers
- mean droplet diameter between 20 and 50 μm
- Regular emulsifiers (low molecular weight, amphiphiles) are known to cause skin irritations
  - able to penetrate the stratum corneum
- Alternative to regular emulsifiers
  - Polymeric emulsifiers
  - Solid particles

Polymer-stabilization of emulsions

- increase the stability of an emulsion by thickening and adding yield value to the continuous phase
- hydrolipid dispersion or hydro-dispersion gel
- sun care products
- surface-active polymers, e.g. carbomer 1342 or hydroxypropyl methylcellulose (HPMC), as primary emulsifiers
  - form structured interfacial films, which effectively prevent the coalescence of oil drops
  - increase of the viscosity plays only a minor role for the stabilizing action

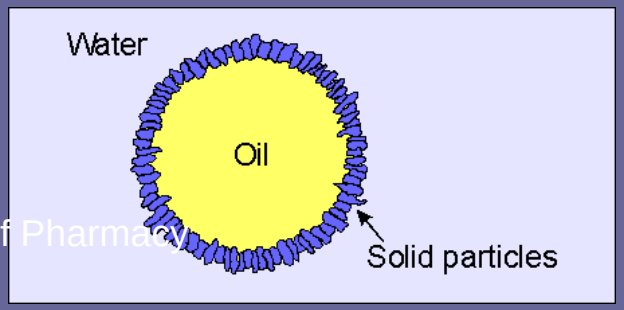
Surface-active Polymers

- Carbomer 1342
  - swells 1000-fold after neutralization with an appropriate base but does not dissolve
  - Sensitive to electrolytes
    - In low electrolyte concentration, it forms thick protective gel layers around each oil droplet
    - Upon contact with the skin, it becomes unstable and the protective gel layer deswells instantly; oil phase is released and deposits on the skin
- HPMC
  - Less sensitive to electrolytes
    - Stable o/w emulsions
    - When applied on the skin, emulsion breaks and a thin oil film spreads on the skin
      - reduces skin wettability
    - After water evaporates, remains partially on the skin and forms a flexible film where oil droplets are embedded into a polymer matrix
  - mean droplet size between 2 and 5 µm
  - autoclavable
    - thermally reversible sol-gel transition
    - preservative-free o/w emulsion

Solid Particle Stabilization of Emulsions

- Pickering emulsions
- stable interfacial film with good protection against coalescence can be achieved by densely packed solid particles in the o/w interface
  - key factoing by the two phases
  - affinity to each of the two phases should be different
- solid particles usually are at least 10-fold smaller than the dispersed droplets

- Capillary forces support the particulate network in the interface
  - serves as a mechanical barrier to prevent the coalescence of the droplets
  - protection against coalescence is based on the energy to expel the particles from the interface into the dispersed droplets
    - energy depends on the contact angle (ideally 90°)



Oleo gel

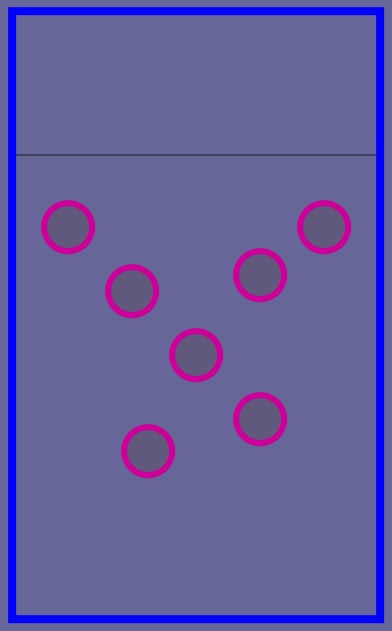
- Polar one-phase semisolid system consisting of triglyceride derivatives and/or hydrocarbon oils and/or silicon oils and an inorganic matrix builder
- Network forming excipients:
  - organo-modified bentonite
    - with skin feel but brownish opaque
  - colloidal silica
    - not cosmetically acceptable
  - Ethylene/Propylene/Styrene Copolymer (and) Butylene/Ethylene/Styrene Copolymer
    - extraordinarily good clarity
    - Easy and uniform application

Potential Applications of Oleo Gels

- After-Sun Care Gels
- Gel Lotions and Creams
- Baby Care Products
- Lip Balm
- Baby Care
- Oily Gels
- Lip Gloss
- Body Care Lotions
- Shower Gel Products
- Face Care Products
- Tanning Gels

Suspension

- Coarse dispersion in which insoluble solid particles are dispersed in a liquid medium
- internal phase (solid) is dispersed throughout the external phase (fluid) through agitation, with the use of suspending agents
- Suspended particles are sufficiently large (> 1 micron) for sedimentation
- Sunscreens, pearlescent nail lacquers



Stick

- Solid delivery vehicle cast in an elongated form
- Suitable for delivery of insoluble substances
- Basic vehicle types:
  - Mixture of waxes and oils
  - Hydrophilic or aqueous sticks
  - Matrix of high-boiling volatile silicone gelled by fatty alcohol

Aerosol

- Dispersion of fine solid or liquid droplets in gas
- Hairsprays, deodorants, colognes,

Foam

- Dispersion of gas in liquid phase
- Air pockets enclosed within thin films of liquid
- Stabilized by foaming agents
- Shaving/depilatory cream in can

Scope

I. Functional Design, Composition and Resulting Effect
   A. Target Profile
   B. Selection of Vehicle Type
   C. Metamorphosis of Vehicles
   D. Rheology
   E. Skin Feel Agents
   F. Preservation
II. Development Strategies and Rationale
III. Preparation Methods
IV. Characterization

Consider:

- Skin care formulations are often emulsions
- emulsifiers define type of emulsion
- emollients define the skin feel
- ingredients defines the rheology of an emulsion
  - Spreadability on skin
  - Light/substantive skin feel

- trend: low emulsifier levels
  - Traditional emulsifiers: negative impact on skin
  - Polymeric emulsifiers: low concentration, stable or flexible formulations
  - Liquid dispersion polymers
    - consists of a polymer, a carrier phase and an activator (emulsifier)
    - emulsifier content 0.1% -1%
    - processed without heating
      - heat sensitive actives
    - Spreadable, light skin feel
    - compatibility with polar to non-polar emollients

Target Profile

- Site of application
  - Skin, hair, nails
- Area of application
  - Face, whole body
- Target site
  - Stratum corneum, viable epidermis
- Sensory properties
  - Foaming, light, smooth, low viscosity
- Optical aspect
  - Clear, transparent, milky
- State of matter
  - Liquid, semisolid, solid
- Basic type of form
  - Solution, emulsion
- Active substances
  - Vegetable oils, vitamins, UV sunscreens
- Storage stability and conditions
- Packaging
- Comparable, competitor products

Selection of Vehicle Type

- Determined by product target profile
- Major criteria:
  - Function or desired effect of the vehicle on the skin
  - Ease of formulation feasibility
  - Physical and chemical stability
- Additional criteria:
  - Solubility, polarity, saturation solubility, vehicle interactions, formation of mesophases

Function or Desired Effect on the Skin

- Direct Intrinsic Effect
  - Cleansing
  - Decoration
  - Care
  - Hydration
  - Protection
- Delivery of Actives
- Targeting

Ease of Formulation Feasibility

- Convenience
  - Simple ingredient, simple process, simple/existing equipment
  - Single stage or continuous production
    - Avoid lag between stages
  - Fast analytical control between bulk product and filling/packaging
- Safety
  - Possible hazards to personnel

Stability

- Capability to remain within its physical, chemical, microbiological, and toxicological specifications
- Measured from the date of manufacture and packaging until use
  - physical, microbiological, and toxicological characteristics have not yet changed appreciably or deleteriously

Factors Affecting Stability

- Active ingredient
- Excipients
- Impurities
- Trace metals
- Moisture
- Solvent
- pH
- temperature
- Radiation
- Air
- Humidity
- Container-closure
- Packaging materials
- Agitation
- Gravity
- Method of manufacture

Solubility

- Prerequisite for delivery of a substance to the target
  - Dissolved in the vehicle
  - Able to dissolve after application
- Primary goal: dissolution of active substance in the vehicle
- Alternative goal: active substance in particulate form must be as fine as possible (< 1 micron)

Polarity

- Depends on the chemical, electrical, and structural effects that lead to interactions between the active ingredient and the vehicle
- Polar and ionic actives dissolve in polar vehicles
- Nonpolar and lipophilic actives dissolve in nonpolar solvents
- Monophasic system: mutual solubility/miscibility
- Multiphasic system: mutual insolubility/ immiscibility

Saturation and Supersaturation

- Saturation:
  - point at which a solution of a substance can dissolve no more of that substance and additional amounts of it will appear as a precipitate
- Supersaturation:
  - state of a solution that has a higher concentration of solute than would normally be obtained in a saturated solution
  - unstable situation; introduction of a small solid particle will encourage the release of excess solute
- Improved release and delivery of active
  - Maximal penetration rate at saturation concentration

Vehicle Interactions

- Absorption of UV radiation
- Penetration into the stratum corneum
- Water resistance
- Substantivity
  - Adherence to keratinous substrates in the upper skin layers
  - Deposition and retention capacity when in contact with water

Formation of Mesophases

- Mesophase:
  - Liquid crystal structure
  - Phase between liquid and crystalline
  - a phase of matter similar to a liquid, in which the molecules are arranged regularly in one or two dimensions
  - fluids which, due to partial orientational ordering of the constituent molecules, have material properties such as permittivity, refractive index, elasticity and viscosity which are anisotropic (magnitude will differ from one direction to another)

Formation of Mesophases

- Applicable in building gel networks that stabilize and control the viscosity of creams and lotions
- Advantages in emulsions:
  - Increased stability
  - Prolonged hydration properties
  - Controlled release of active ingredient
  - Easy to formulate
  - Well-liked skin feel

Metamorphosis of Vehicles

- Changes during and after application to the skin
- Due to mechanical stress (spreading) and skin temperature
  - Change in viscosity
  - Evaporation of volatile ingredients
    - Saturation/supersaturation of active
    - Precipitation and deposition of active
  - Phase inversion in emulsions
    - o/w sun screens transform to w/o type with improved water resistance

Preserving cosmetic preparation

- Efficacy against many species of microorganisms
- Water solubility or easy dissolution in commonly used cosmetic ingredients
- High safety, no irritation
- Neutral with no effect on product pH
- No reduction of product ingredient effectiveness
- No adverse effect on product appearance (discoloration, etc)
- Stability over wide temperature and pH range
- Readily available and stable supply
- Low price and economical to use

PACKAGING COSMETIC PRODUCTS

Packaging

- means of ensuring the safe delivery of a product to the ultimate consumer, in sound condition at the minimum over-all cost
- art or science of, and the operations involved in, the preparations of articles or commodities for carriage, storage and delivery to the customers

Principles of Packaging

- Packaging must:
  - Contain the product
  - Restrain the product
  - Protect the product
  - Identify the product
  - Sell the product
  - Give information about the product
- within a cost related to the marketing, profit margin , selling price, and image of the product.

Cosmetic Packaging Dilemma

"advertising a product's aesthetic attributes, practical applicability, and market-regulating functions through a packaging that becomes useless after the product has been used up"

Requirements for Cosmetic Packaging

- Strong emphasis on

  Image of luxury and aesthetics
- Protection
- Preservation
- Attraction: appeal and interest
- Satisfaction: consumer, retailer, manufacturer, government

Consumer Requirement

Quality	Stability
	Protection
Applicability	Ease of use
	Seal and reseal
Presentation	Informative
	Disposability

Retail Requirement

Presentation	Advertising
	Informative
Transport	Protection
	Durability
Storage	Theft-proof
	Palletability

Manufacturer Requirement

Material	Availability
Production	Optimal Batch Size
	Ease of production
	Machinability
	Durability

Government Requirement

Laws	Compliance

Packaging Materials

Glass	Bottles, flacons, jars, ampules
Metal	Aerosol cans, tubes, lids, foils
plastics	Bottles, lids, stick jars, tubes
	Bags, blisters
Paper, cellulose	Labels, boxes, bags
Wood	Displays, cases, pallets

Compatibility Test Between Formulation and Packaging Material

- Analyze several alternative container materials to determine which is most suitable for the product.
- Identify possible interactions between the product and the container material which comes into direct contact with it
- Will be able to observe phenomena such as: absorption, migration, corrosion and others that may impair its integrity

Product Counterfeiting

- Product counterfeiting is an Intellectual Property (IP) crime, which is a deliberate attempt to deceive consumers by copying and marketing goods bearing well-known trade marks. Product counterfeits look like those made by a reputable manufacturer when they are, in fact, inferior illegal copies that can have a serious impact on the health and safety of the consumer.

Preventing Counterfeiting - Methods

- Hologram
- -Ultra-violet fluorescent ink
- -Thermal reactive ink
- -colour shifting ink
- -RFID

Environment Friendly Packaging

- Growing awareness about environmental crisis and eco-friendly options are fueling innovation in design, manufacture and material used for Packaging.
- -Bamboo packaging is eco friendly and bio-degradable.
- -Paper from Stone: Limestone or calcium carbonate is the material being used by some companies to create TREE-FREE paper. TerraSkin, a paper made from limestone starts to degrade six to nine months after direct exposure to sunlight.
- -Bio-based Jars are made with a hybrid resin comprising of tapioca and potato blended with traditional petroleum based PP.
- -Cellulose acetate film is made of wood pulp from managed forest. The film is used for laminations, carton window, labels etc. has clarity, gloss and scuff resistant finish.

References

- Umbach, W, 1991. **Cosmetics & Toiletries: Development, Production and Use**. England: Ellis Horwood, Ltd
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