Pharmaceutical and Cosmetic Preparations

3rd Stage

College of Pharmacy

Lecture: 3

- Toners and Astringents
- Antiperspirants

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Toners and Astringents

❖ Introduction

- Toners are **leave-on liquid products**, meaning they are applied to the skin and not washed off.
- They are usually the **second step in a skin-care regimen**, used after cleansing.
- Their main purpose is to:
  - Refresh the skin
  - Restore skin balance
  - Prepare the skin for moisturizers or other treatments

❖ How are toners used?

- After cleansing, toner is typically applied by saturating a cotton ball or pad and wiping it across the face
- Some men use toners as a **splash-on product after shaving**.





Toners and Astringents

❖ Benefits of toners

- Toners can:
  1. Remove remaining traces of Makeup, Dirt and Oil
  2. Help oily skin patients by reducing **excess sebaceous secretions**.
  3. Provide Mild exfoliation , Cooling or stimulating sensation
  4. Act as a **delivery vehicle** for active cosmeceutical important ingredients such as:
     - Anti-acne agents
     - Anti-aging compounds
     - Whitening/lightening agents
- Although mainly designed for facial use, toners may also be used on the **upper chest and back**, especially in acne treatment.



❖ **Product Nomenclature (Terminology)**

- An important issue in pharmacy practice is understanding that **product names may vary widely**.
- **Different names for toners**: Astringents, Skin fresheners, Skin lotions, Softeners, Tonics, Balancers, Cleansing waters
- The choice of nomenclature can vary by manufacturer and even within product lines.

◆ **Important considerations**

- The **product name does NOT always indicate its strength or ingredients**.
- Therefore, pharmacists must evaluate the **formulation and active ingredients**, not just the label.

❖ **Cosmetic vs Drug Classification**

- Toners can be classified (categorized) as:
  - **Cosmetics**
  - Or **Over-the-Counter (OTC) drug products**
- This classification depends on:
  - The claims made
  - The active ingredients included
- For example:
  - If the product only claims to cleanse or refresh → it is usually a **cosmetic**.
  - If it claims to treat acne or protect the skin → it may be regulated as an **OTC drug**

Astringents (Regulatory Perspective)

- There is a specific **astringent category** under the FDA Skin Protectant Drug Products for OTC use.
- **Astringents** are Products applied to the skin or mucous membranes that produce a **local and limited protein coagulating effect**.
- They cause **protein precipitation** in superficial tissues.
  - This leads to:
    - Tightening of the skin
    - Reduction of secretions
    - Temporary pore contraction
  - **Examples of Astringent Ingredients:**
    - Aluminum acetate
    - Aluminum sulfate
    - Witch hazel
      - **Witch hazel** Natural plant extract Contains **tannins** (polyphenols) Used for: Hemorrhoids, Minor cuts, Acne, Skin soothing products



General Formulation considerations - Product forms and ingredients

- Toners are usually:
  - **Clear to translucent**
  - **Aqueous or hydroalcoholic solutions**

Main components:

1. **Water** is typically the major component and main vehicle or delivery system for active or other cosmetically important ingredients.
2. **Ethanol**
   - **Ethanol** may be added (Functions):
   - as part of the vehicle as desired for skin type and/or ingredient solubility.
   - Ethanol also serves as a preservative when used at levels *of 20% or higher*.
     - Various types of **denatured ethanol** are used in toners, depending on the country regulations on the denaturant.
3. **Humectants** are added (Functions) to :
   - attract moisture to the skin
   - mitigate (reduce) the drying effects of alcohol
   - lower the freeze point to ensure stability in cold temperatures
   - solubilize other ingredients, and adjust the aesthetics.

   Common humectants:
   - Glycerin
   - Sorbitol

General Formulation considerations - Product forms and ingredients

Main components:

4. Emollients

- Emollients improve:
  - Skin lubrication
  - Soothing effect
  - Smooth after-feel
- Examples:
  - Dimethicone copolyols
  - Small amounts of natural oils
- Emollients require **cosolubilizers** to assure ingredient solubility to keep the toner clear.
  - Cosolubilizers include ethoxylates and propoxylates, such as *PEG-40 hydrogenated castor oil, polysorbate 20.*
  - They are added at concentrations of 0.10– 0.50%, *depending on the oil-soluble ingredient and level used*.

General Formulation considerations - Product forms and ingredients

Main components:

5. Botanical Extracts

- The concentration is dependent on many factors, including the *type of extraction and the percent solids of the extract*.
- Added for avariety of reasons
  - Soothing
  - Anti-inflammatory
  - Marketing appeal
- Examples:
  - Aloe extract
  - Witch hazel
- Often **multiple botanicals** are combined in one formula of a toner

General Formulation considerations - Product forms and ingredients

Main components:

6. Other Functional Ingredients

- **Soothing agents:** allantoin, panthenol
- free radical scavenging **antioxidants:**
  - Alpha-lipoic acid
  - Vitamins A, C, E
  - Superoxide dismutase
- **Vitamin E (tocopheryl acetate):**
  - Protects both **skin and product** from oxidation

7. Thickeners

- Used when a **slightly viscous** and/or film forming property is desired.
- Functions:
  - ➢ Better spreadability
  - ➢ They also provide a more lubricious application and after-feel than a solution
- Examples:
  - Xanthan gum
  - polyacrylic acids such as Carbomer
  - Cellulose derivatives (methylcellulose, hydroxypropylcellulose, and hydroxyethylcellulose)

General Formulation considerations - Product forms and ingredients

Main components:

8. Fragrance and Color

- **Fragrance oils** or naturally derived extracts and oils may be added to
  - impart a pleasant scent to the formula or
  - cover off-odors that develop when the product is exposed to excessive heat, light, or other parameters associated with shelf life.
  - They can be used to support a toner's marketing position and Provide sensory signals that the toner is soothing or refreshing or, in the case of anti-acne toners,is medicinal.
  - **Rose and lavender extracts** can be used for soothing dry skin formulas.
  - **Rosemary, peppermint, and citrus extracts** may be added to toners designed for oily and combination skins or when a refreshing, stimulating signal or scent is desired.
  - **Menthol, peppermint, and eucalyptus odors** are associated with a *medicinal benefit*.
- Fragrance may cause **irritation or allergy** in sensitive individuals
- **color** is included to
  - deliver a sensorial signal, such as soothing, refreshing, or therapeutic, or
  - to enhance the product's appearance, or
  - to cover product color.

Table 1: Skin Toner — Base Formula with Typical Concentration Ranges

Ingredients	%
Water	QS to 100.00%
Ethanol	0.00–65.00
Humectants	1.00–5.00
Key ingredients	0.10–10.00
Emollients	0.10–3.00
Cosolubilizers	0.10–0.50
Thickeners / film formers	0.05–0.20
Preservatives	As needed
Color, fragrance	QS

Formulation Challenges: Skin type

- Toners have two key formulating challenges: formulating for specific skin types and vehicle/ingredient stability and compatibility.
- Varying skin types, including dry, normal, oily, combination, sensitive, and acne-prone, require different skin-type-specific ingredients and vehicles.
- Free radical scavenging **antioxidants** are used *regardless of skin type*.
- Alcohol-free formulas with **humectants, emollients, and soothing** agents are most suitable for the **dry and sensitive skin patient** (Table 2).
- This, in conjunction with the use of a **moisturizer**, allays the concern of a toner being drying.
- The addition of **humectants** and **emollient** agents will help maintain moisture balance.
- **Soothing ingredients** are beneficial to alleviate the redness and irritation often experienced with these skin types.

Formulation Challenges: Skin type

- **Oily skin toners**, such as the formula in Table 3, are designed to provide a **high degree of astringency** and to control and/or **remove excess sebum**.
- This is achieved by using **higher levels of ethanol** **and** **highly astringent and oil-absorbing ingredients**. Levels of 20–50% ethanol may be used.
- **The sebum-removing** and **cooling effects** of **ethanol** are highly desirable to the oily skin patient.
- **High tannin-containing ingredients** provide **astringency**.

Table 2: Skin Toner for Dry Skin

Ingredients	%	Function
Water	QS to 100%	Vehicle
Sodium PCA	5.0	Humectant
Green tea extract	3.0	Botanical extract with mild astringency, free radical scavenger benefits
Soy extract	2.0	Botanical extract with moisturizing benefits
Xanthan gum	0.2	Film former, thickener
Fragrance, color, preservative	As needed	—

Table 3: Skin Toner for Oily Skin

Ingredients	%	Function
Water	QS to 100%	Vehicle
Ethanol	20.0	Vehicle, astringent, preservative, oil removal
Witch hazel distillate	20.0	Astringent, cooling and soothing effect
Glycerin	5.0	Humectant
Fragrance, color	As needed	—

Formulation Challenges: Ingredient and Vehicle Stability & Compatibility

- When formulating **skin toners**, selecting the correct **vehicle system and excipients** is just as important as choosing the active ingredients.
- The stability, compatibility, and safety of the product depend on several physicochemical factors.

❖ **Influence of pH on Formulation**

- **pH** affects:
  - Drug/ingredient **solubility**
  - **Stability** of the formulation
  - **Skin compatibility**
  - Choice of excipients (buffers, thickeners, preservatives)
- **Hydroxy Acids**
  - Require **low pH** to be effective as exfoliants.
  - Their activity depends on the **non-ionized form**, which is favoured at low pH.
- Low pH restricts the selection of:
  - Film formers
  - Thickeners
- Some **polymers** *degrade* or *lose viscosity* at acidic pH.
- **pH optimization** is always a balance between efficacy and formulation stability.

Formulation Challenges: Ingredient and Vehicle Stability & Compatibility

❖ Solubility and Use of Cosolubilizers

- Many cosmetic ingredients are **oil-soluble**, including Emollients, Fragrance oils and Vitamins A and E
- Since toners are primarily **water-based systems**, oil-soluble ingredients must be incorporated using:

❑ **Cosolubilizers**

- Improve solubility of hydrophobic ingredients
- Maintain clarity and stability of the product
- Prevent phase separation

- However, excessive cosolubilizers may cause **Foaming Problems** During manufacturing, filling, and consumer use Due to reduced surface tension

✓ **Solution to Foaming**

- Add antifoaming agents such as **simethicone**
  - ➢ Reduces surface tension and minimizes foam formation
- **Example: Tea Tree Oil in Toners**
  - Tea tree oil is commonly used in Anti-acne toners and in oily skin formulations for its Antibacterial activity
    - **Challenges:**
      - Hydrophobic → requires solubilizing agents for a clear solution
      - Strong distinctive odor
      - Terpene components are **highly susceptible to oxidation**

Formulation Challenges: Ingredient and Vehicle Stability & Compatibility

❖ Oxidation and Product Safety

- Oxidation can:
  - Reduce product effectiveness
  - Change odor and color
  - Produce degradation products
  - **The oxidation potential impacts the safety of the product**
- Because of this, regulatory and professional organizations (European Cosmetic Toiletry and Perfumery Association (COLIPA)) report recommended that manufacturers add **antioxidants** and/or **packaging that minimizes the product's exposure to light.**

Uses of Toners and Astringents in Dermatology

- Traditionally, **astringents and toners** were mainly used in dermatology for their:
  1. **Anti-acne effect** and **Astringent action**
  2. **Mild antiseptic agents**, suitable for **minor or superficial bacterial infections** of the skin.
- **Modern Use in Dermatology**
  - Today, the role of toners has expanded.
  - Dermatologists and aestheticians now use them for:
    - **Anti-inflammatory effects** → reducing redness and swelling
    - **Anti-irritant benefits** → soothing sensitive or treated skin
  - They are especially useful **after cosmetic procedures**, such as:
    - Laser therapy
    - Chemical peeling
    - Light-based or light-modulated procedures
  - In these situations, toners help calm the skin and support recovery.



Adverse Reactions

- Although generally safe, toners may cause some adverse effects:
  - **Transient contact irritation**: Mild redness, burning, or stinging
  - **Contact allergy** : Immune-mediated reaction which Presents with itching, redness, and dermatitis
  - **Sensitization**: Repeated exposure may increase skin sensitivity over time.
- **Causes of Contact Allergy**
  - Allergic reactions are more common with:
    - Products containing **multiple botanical (plant) extracts**
    - Products with **penetration enhancers** (these increase drug absorption but may also increase irritation risk)
  - Pharmacologically complex formulations have a higher probability of causing hypersensitivity reactions.

Antiperspirants

Definition

- **Antiperspirant**, as defined by the Department of Health and Human Services in the final antiperspirant monograph published in 2003, reads as follows: "A drug product applied topically that reduces the production of perspiration (sweat) at that site.
- There has always been some confusion in the industry that consumers do not always relate to the basic difference between antiperspirant and deodorant products.
- **Antiperspirants**, because of *their ability to reduce perspiration and thus diminish the medium that is a factor in the development of axillary odor, can also* ***claim to be a deodorant***.
- However, because a **deodorant** product *only reduces body odor and does not reduce perspiration, it can only be labelled as a deodorant*.



Function of Antiperspirants

- Antiperspirants are somewhat unique among drug products because their **mechanism of action is physical rather than pharmacological**.

❖ **Mechanism of Action (Physical Effect)**

- Antiperspirant products contain **aluminum or aluminum–zirconium salts**.
- When applied to the axilla, these salts dissolve in sweat.
- They form a **shallow gel-like plug** near the opening of the eccrine sweat duct.
- This plug **mechanically blocks sweat from reaching the skin surface**.

- **Important point:** This action occurs **locally in the sweat duct**, without producing a significant systemic effect on the body's thermoregulatory system.

❖ **Duration of Action**

- The ductal plugs can remain in place for **up to 7–14 days**.
- Their persistence depends on:
  - Skin desquamation rate
  - Hygiene habits
  - Activity level
  - Product formulation quality
- Eventually, normal shedding of skin cells removes the plug.

❖ **Clinical Outcome :** Antiperspirants provide:

- Reduction of **axillary wetness**
- Reduction of **malodor** (indirectly, because less sweat means less bacterial growth)

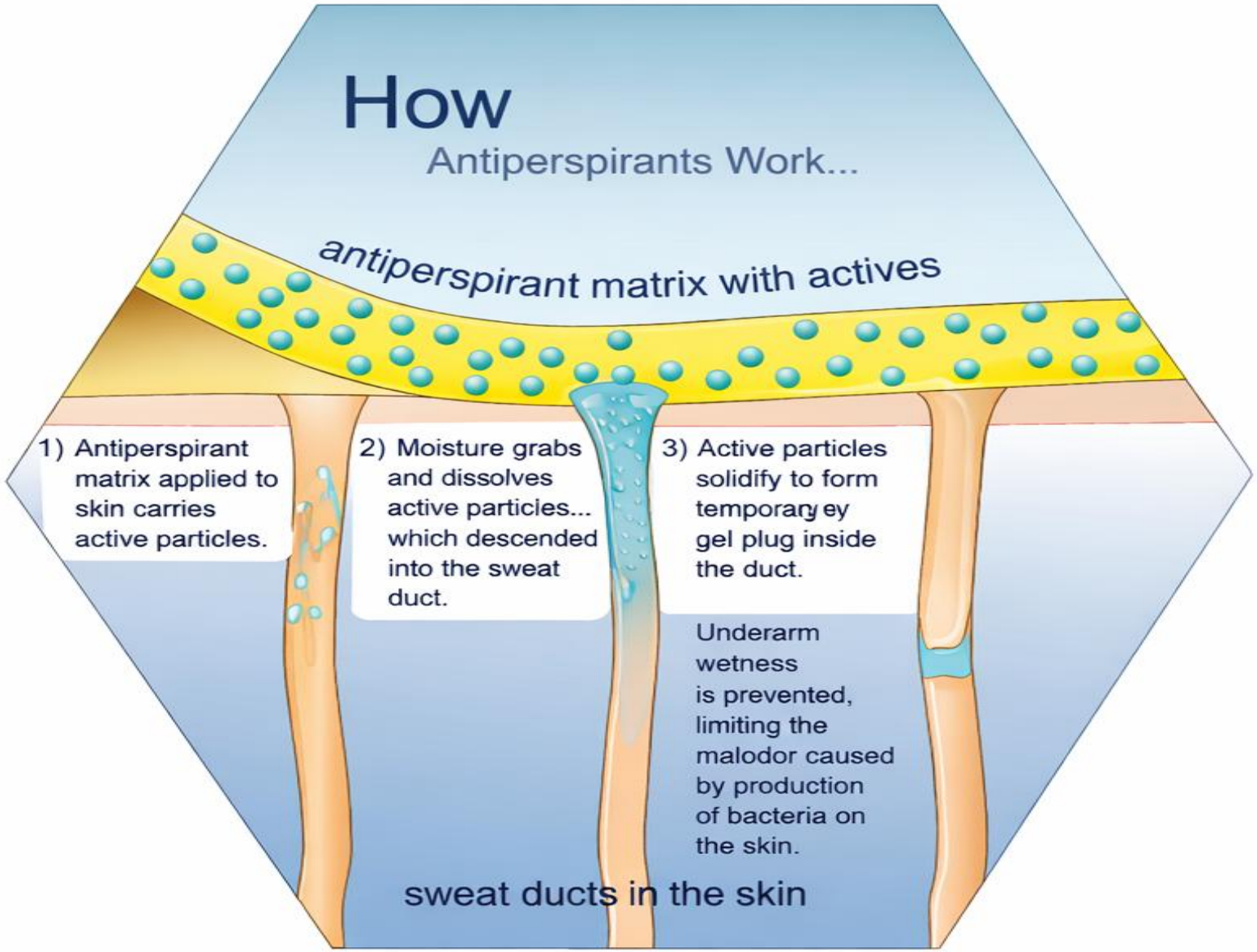

Figure 1 Graphic showing the formation of the shallow plug in the sweat duct demonstrating the mechanism of action for current antiperspirant products.

Function of Deodorants

- There is often confusion between deodorants and antiperspirants, but they are fundamentally different.

❖ **Primary Purpose**

- **Antiperspirants** → Reduce both **sweating and odor**
- **Deodorants** → Provide **odor control only**
- Deodorants **do NOT reduce sweating**.

❖ **Mechanism of Action**

- Most deodorants are:
  - **Glycol-based formulations**
  - Contain **fragrances** to mask odor
- Their effects include:
  - Masking unpleasant odor
  - Providing some microbial control in the axilla
  - Reducing growth of odor-causing bacteria
- However, they **do not block sweat glands** and therefore do not reduce wetness.



Why Antiperspirants Reduce Odor Better

- **OTC** Antiperspirants **products** reduce malodor through multiple mechanisms:

1. **Microbial inhibition** by aluminum and zirconium salts
    - Sweat itself is **mostly odorless**.
    - The bad smell happens when **skin bacteria break down sweat components** into volatile, smelly compounds.
    - **Aluminum and zirconium salts** (the active ingredients in antiperspirants) have **antimicrobial activity**.
2. Creation of a **drier environment**, which is less favorable for bacterial growth
    - Dry skin → hostile environment for odor-causing bacteria
3. Extended residence time of masking fragrances active ingredients (Many antiperspirants are **skin-substantive)**

- In clinical comparisons, a fragrance-free aluminum zirconium tetrachlorohydrex glycine-containing **antiperspirant** was shown to be **more effective than** a fragrance-free glycol-based **deodorant** at reducing axillary malodour **because** it reduces sweating, inhibits odor-causing bacteria, and disrupts the moist environment required for malodor formation.
    - Both products were **fragrance-free**, so, Results were **not influenced by odor masking**

Feature	Antiperspirant	Deodorant
Reduces sweating	✓ Yes	✗ No
Controls odor	✓ Yes	✓ Yes
Mechanism	Physical duct blockage	Fragrance + mild antimicrobial
Active ingredients	Aluminum/Al-Zr salts	Glycol base + fragrance



Formulation

Approved active ingredients

- The final OTC antiperspirant monograph itemized the **18 active ingredients** that are approved for use in antiperspirant products in the U.S., as shown in the following table.
- In which ingredients, concentration limits, and dosage forms/types in which the approved active can be formulated.
- There are no specific active ingredient lists specified by the EU or Japan.



Active ingredient	Concentration	Dosage form
Aluminum chloride	Up to 15% (calculated on hexahydrate form)	Only aqueous solution (must be nonaerosol)
Aluminum chlorohydrate	Up to 25%	Aerosol or nonaerosol
Aluminum chlorohydrex PG	Up to 25%	Aerosol or nonaerosol
Aluminum chlorohydrex propylene glycol	Up to 25%	Aerosol or nonaerosol
Aluminum dichlorohydrate	Up to 25%	Aerosol or nonaerosol
Aluminum dichlorohydrex PG	Up to 25%	Aerosol or nonaerosol
Aluminum sesquichlorohydrate	Up to 25%	Aerosol or nonaerosol
Aluminum sesquichlorohydrex PG	Up to 25%	Aerosol or nonaerosol
Aluminum zirconium octachlorohydrate	Up to 20%	Nonaerosol
Aluminum zirconium octachlorohydrex gly	Up to 20%	Nonaerosol
Aluminum zirconium pentachlorohydrate	Up to 20%	Nonaerosol
Aluminum zirconium pentachlorohydrex gly	Up to 20%	Nonaerosol
Aluminum zirconium tetrachlorohydrate	Up to 20%	Nonaerosol
Aluminum zirconium tetrachlorohydrex gly	Up to 20%	Nonaerosol
Aluminum zirconium trichlorohydrate	Up to 20%	Nonaerosol
Aluminum zirconium trichlorohydrex gly	Up to 20%	Nonaerosol

Formulation variations:

- As with all treatment programs, the key **to achieving maximum benefit** is **compliance**.
- **Antiperspirant** products can require up to 10 consecutive days to reach maximum efficacy, and benefits can be completely eliminated within 14 days of treatment termination.
- **Barriers** to compliance are typically associated with **products' aesthetics** rather than skin irritation.
- Refer to Table 3 for details on formulation and compliance.
- Erythema and stinging can still be common for products containing Aluminum Chloride and/or high levels of glycol or fragrance, but are not common on most commercial antiperspirants.
- **Unscented products** based on the emollients cyclopentasiloxane and dimethicone have **very good skin compatibility**.
- **Most common barriers to compliance** are associated with the skin feel of product, product appearance on the skin, product transfer to clothes, and fragrance.

Formulation variations:

- Typically, consumers view **antiperspirant application** as part of their total grooming process and often *create application behaviors that reduce product efficacy*.
- **Behaviors** such as removing the product shortly after application, not applying the dose of product recommended by the manufacturer, or only applying it to a portion of the axilla will **reduce efficacy**.
- Encouraging consumers to identify a product form that allows them to *comply with a daily application routine* will maximize their observed benefit.
- **Efficacy can further be improved by placing the user on a nighttime application** to remove issues with the user's morning grooming routine.
- **Night-time application** allows the *active to enter the duct during a time of low sweating rates and allows for more efficient plug formation.*

Formulating for the consumer

- The approach taken by manufacturers to encourage compliance has been to offer a wide choice in product form and aesthetics.
- Today's consumers are accustomed to a variety of choices in their antiperspirant selection.
- It is clear, from even a cursory glance at the antiperspirant/deodorant shelves in any supermarket or pharmacy, that there is a wide range of aesthetic experiences acceptable to people.
- The desired experiences are delivered through a **multitude** of packaging presentations, both in size variation and product type.
- These include **aerosol sprays, silicone/wax sticks, aqueous clear gels, and lotion-like creams**. In addition, most antiperspirant brands provide a variety of fragrance options for their consumers.
- All of these variations cater to the consumer's demands for both gender and ethnic preferences.
- Manufacturers long ago discovered that people tend to gravitate to the form that they are most comfortable with, which in turn ensures compliance, leading to effective auxiliary wetness control.
- Importantly, the drive to meet the consumer's demand for aesthetically appealing products applies globally to the antiperspirant marketplace.