

Unit 4: Design of cosmeceutical products: Sun protection, Sunscreens

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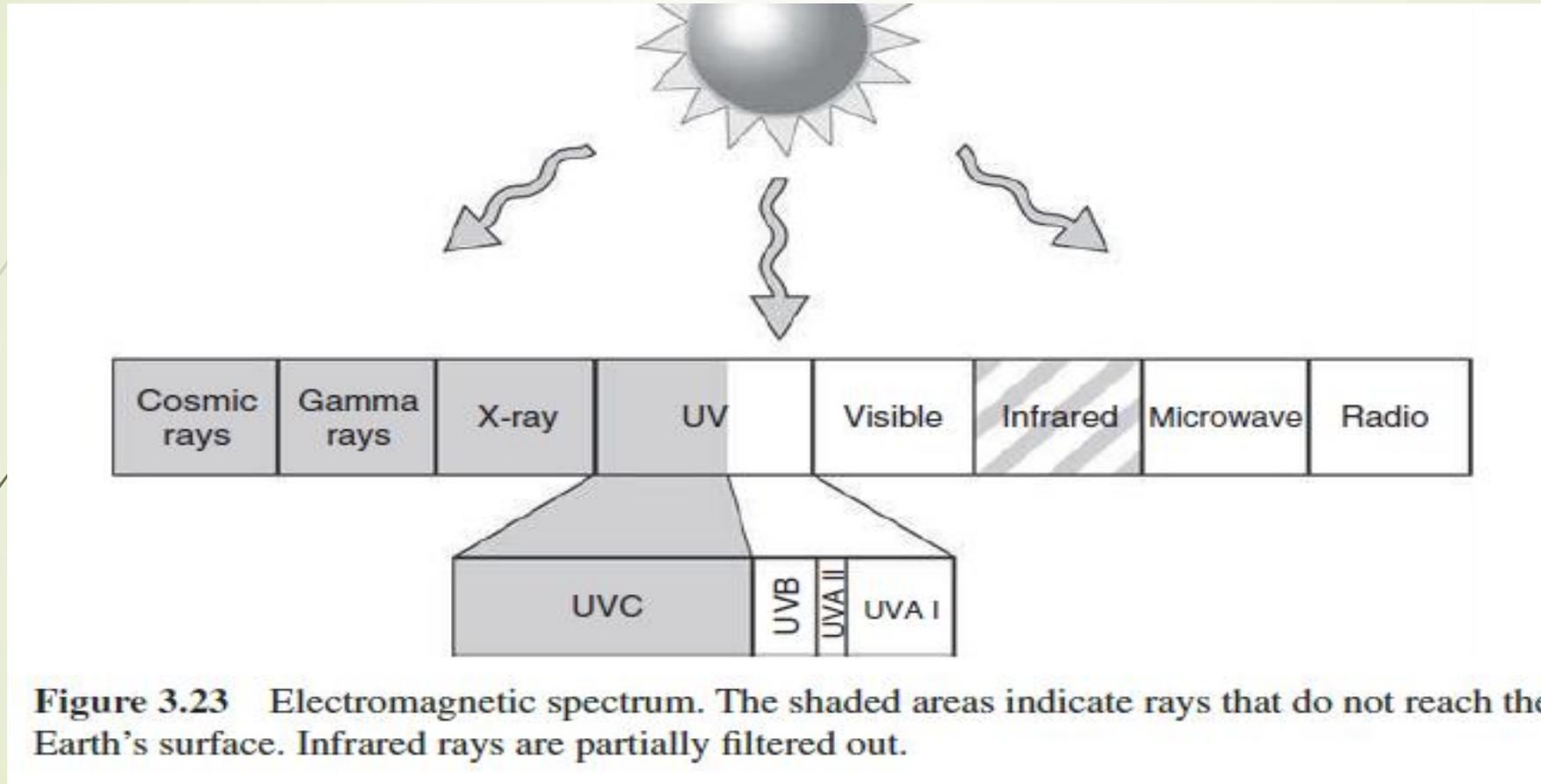


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INTRODUCTION



- the UV radiation reaching the Earth's surface is largely composed of UVA (approximately 95%) with a small UVB component (approximately 5%)
- all UVC and approximately 90% of UVB radiation are absorbed by ozone, water vapor, oxygen, and carbon dioxide



INTRODUCTION

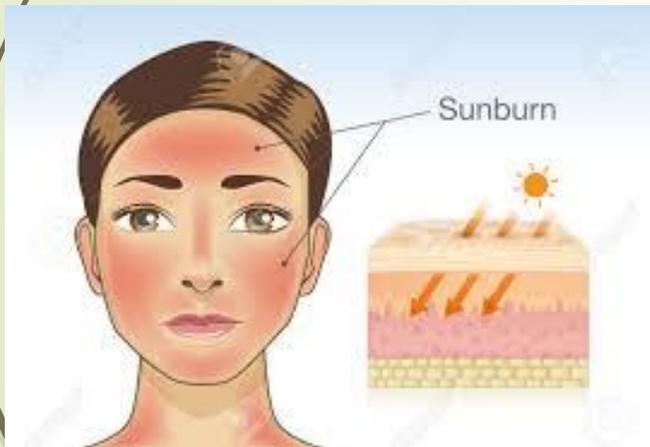
Sunlight reaching the surface of the earth contains :

- Ultra-violet rays
- Visible rays
- Infra-red rays

UV Rays (particularly wave-length below $320\text{m}\mu$) are responsible for most of the therapeutic as well as noxious effects that we attribute to sun-light.

Sun exposure & SKIN - DAMAGE

- ▶ Sun exposure can lead to reddening, irritation, and eventually tanning
- ▶ possible cause of premature wrinkling and various types of skin cancer
- ▶ sun protection has become a very important issue today.



SKIN - DAMAGE

The UV Spectrum is broken into three parts:

- Very High Energy (UVC)
- High Energy (UVB)
- Low Energy (UVA)
- Visible and IR radiation don't harm the skin.

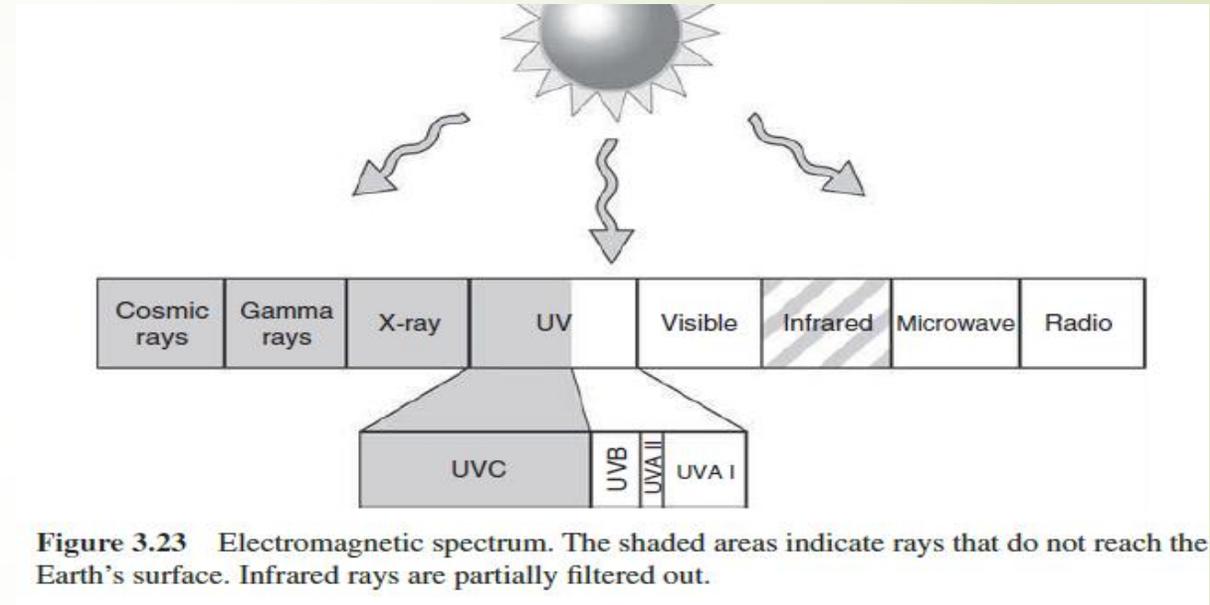


Figure 3.23 Electromagnetic spectrum. The shaded areas indicate rays that do not reach the Earth's surface. Infrared rays are partially filtered out.

SKIN - DAMAGE

- ▶ **Very High Energy (UVC)** ranges from 100 to 280 nm and is blocked out by the ozone layer
- ▶ **High Energy (UVB)** ranges from 280 to 320 nm **mainly penetrate the superficial skin layers, i.e., epidermis causes** sunburn, redness and also contributes to photoaging, tanning and immunosuppressive effects.
- ▶ Advantageous effect of the UVB radiation is that it is responsible for the synthesis of vitamin D in the skin
- ▶ **Low Energy (UVA)** ranges from 320 to 400 nm can **penetrate deeper into the skin, down to the dermis** (Figure 3.24) further subdivided into
 - ▶ UVA II (320–340 nm) and
 - ▶ UVA I (340–400 nm).
 - ▶ radiation leads to skin tanning

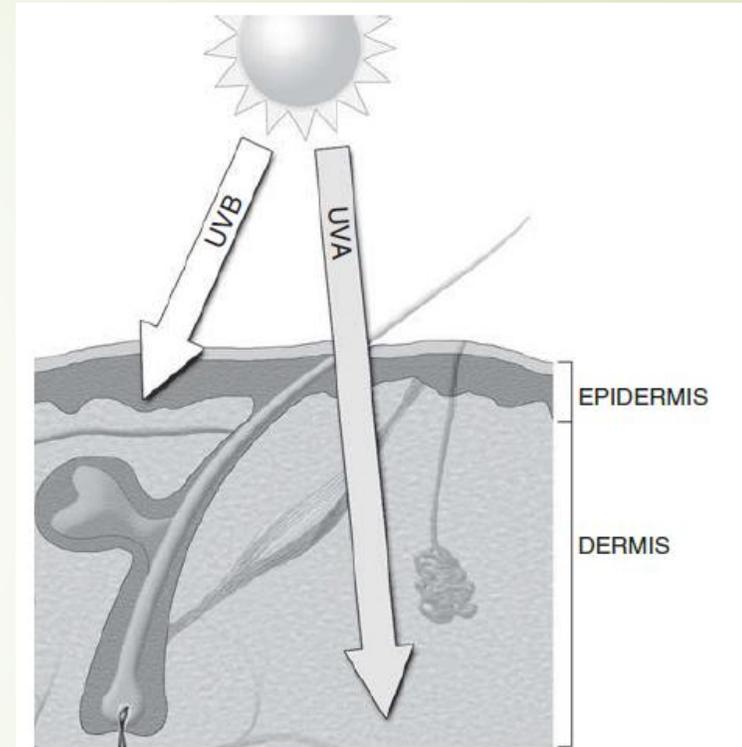


Figure 3.24 Penetration depth of ultraviolet A and B light.



Difference between UVA and UVB

- ▶ “A” (UVA) as standing for aging and “B” (UVB) as standing for burning. Both UV radiations can cause skin cancer.
- ▶ UVA has the same damaging effect in the morning or in late afternoon and during winter or summers
- ▶ UVA can penetrate glass and UVB can not.
- ▶ UVC radiation is recognized as the most carcinogenic. Fortunately, almost all UVC radiation approaching the Earth is filtered out by the protective ozone layer.
- ▶ The only source of UVC on the surface of Earth is germicidal lamps, which are used to kill germs on surfaces or in the air.

WHY USE SUN-SCREEN?

Too much unprotected sun exposure leads to:

- Premature skin ageing
- Sun-burn
- Skin-Cancer



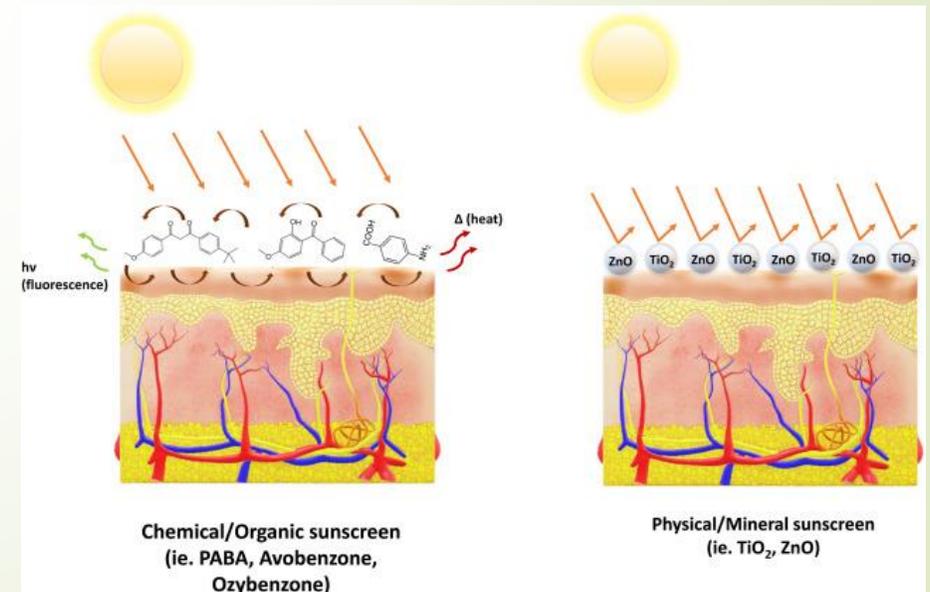
Sunscreen: Definition

- ▶ Sunscreen also known as sunblock or suntan lotion is a lotion, spray, gel or other topical product that absorbs or reflects the sun's ultraviolet (UV) radiation and protects the skin.



PRINCIPLE OF EFFECTIVENESS OF SUN-SCREENS

- A protective layer can be provided to the skin that prevents the UV-rays to reach the skin either by absorbing or by reflecting them.
- Zinc oxide and titanium dioxide both have such tendency.
- Preparations reflecting UV-rays are very effective and used widely.



IDEAL SUN-SCREEN CHARACTERS

Provide protection against both UVB and UVA radiation

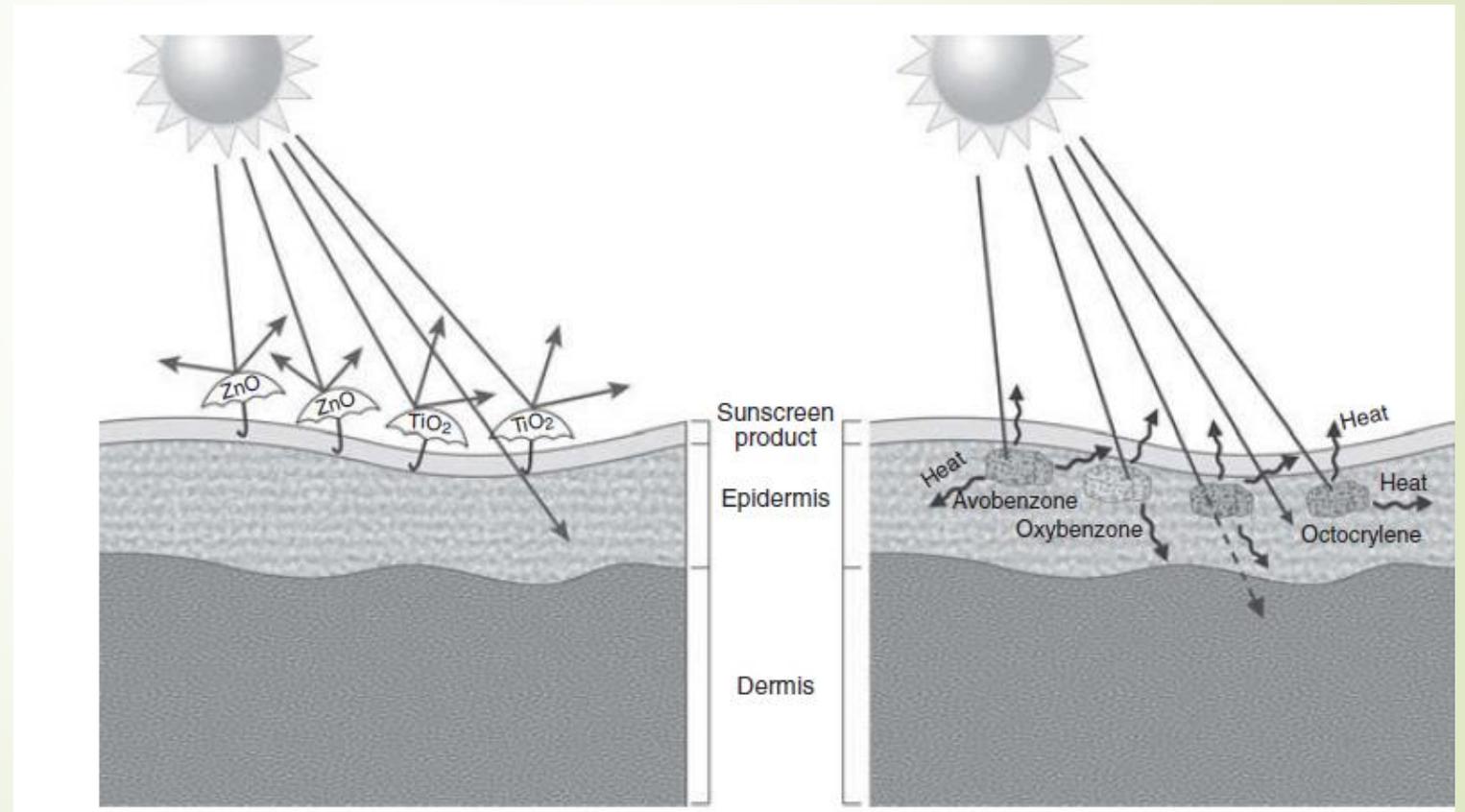
- ▶ Absorb light preferentially over the range of 280 - 320nm.
- ▶ Resistance to water
- ▶ Be stable to heat, light and perspiration.
- ▶ Preferably odorless, if mild odor: accepted by user.
- ▶ Be non-toxic, non-irritant, non-sensitizing
- ▶ Capable of retaining sunscreensing property for several hours.
- ▶ Stable under condition of use.
- ▶ Non-stain
- ▶ Not be rapidly absorbed.
- ▶ Be neutral.
- ▶ Be rapidly soluble in suitable vehicles.



Sunscreen ingredients

- UV filters
- Additional ingredients in sunscreen products are listed as inactive ingredients

UV filters



Physical sunscreens

Chemical sunscreens



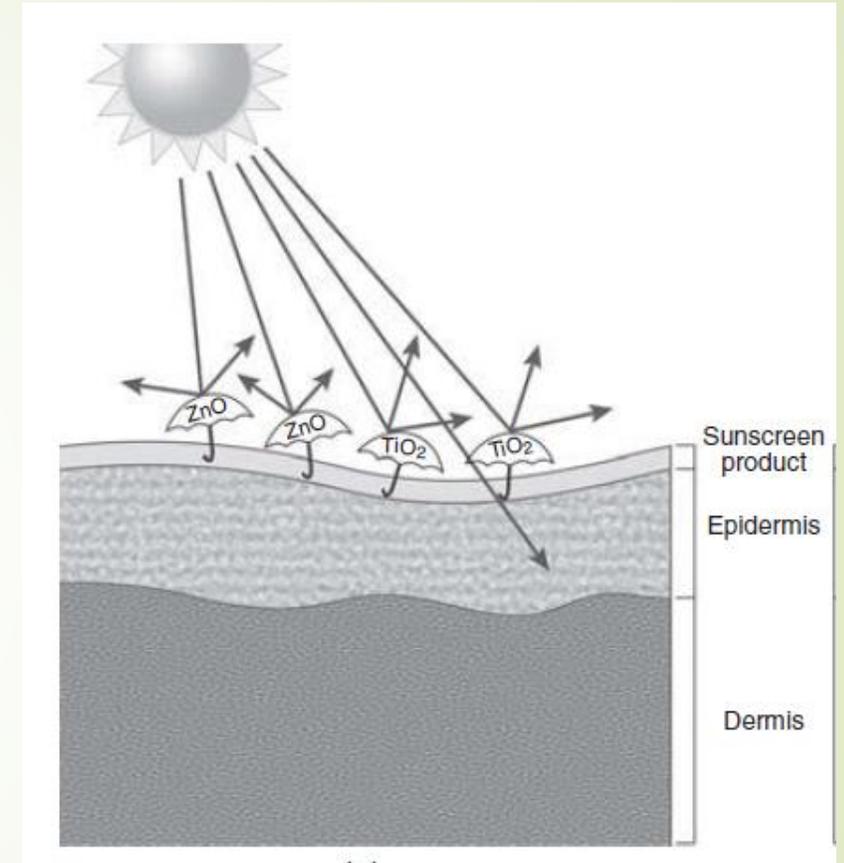
UV Filters : Classification

Classified into two groups based on their mechanism of action:

- Physical Sunscreens
- Chemical Sunscreens
- A single product usually contains more than one active ingredient, both physical and chemical filters, to achieve the desired SPF value and broad-spectrum protection.

Physical sunscreens/ Inorganic UV Filters

- ▶ also known as inorganic UV filters,
- ▶ reflect and scatter UV radiation
- ▶ The two approved physical filters are titanium dioxide (TiO_2) and zinc oxide (ZnO).
- ▶ Both of these ingredients are white powders that are insoluble in the sunscreen product base therefore are suspended in such products.





SUNSCREEN CLASSIFICATIONS

- Physical
 - Opaque formulations containing:
 - titanium dioxide
 - talc, kaolin
 - zinc oxide
 - ferric chloride
 - ichthyol, red petrolatum
 - Mechanism: scatters or reflects UV radiation due to large particle size

Physical sunscreens/ Inorganic UV Filters

- ▶ They can only penetrate the outer layer of the skin therefore, they have an excellent safety
- ▶ They are photostable, independent of the sunscreen base and other ingredients
- ▶ provide a broad-spectrum protection since they reflect and scatter both UVA and UVB radiations
- ▶ TiO₂ offers UVB and UVA II protection, while
- ▶ ZnO provides protection against UVB, UVA II, and UVA I radiations

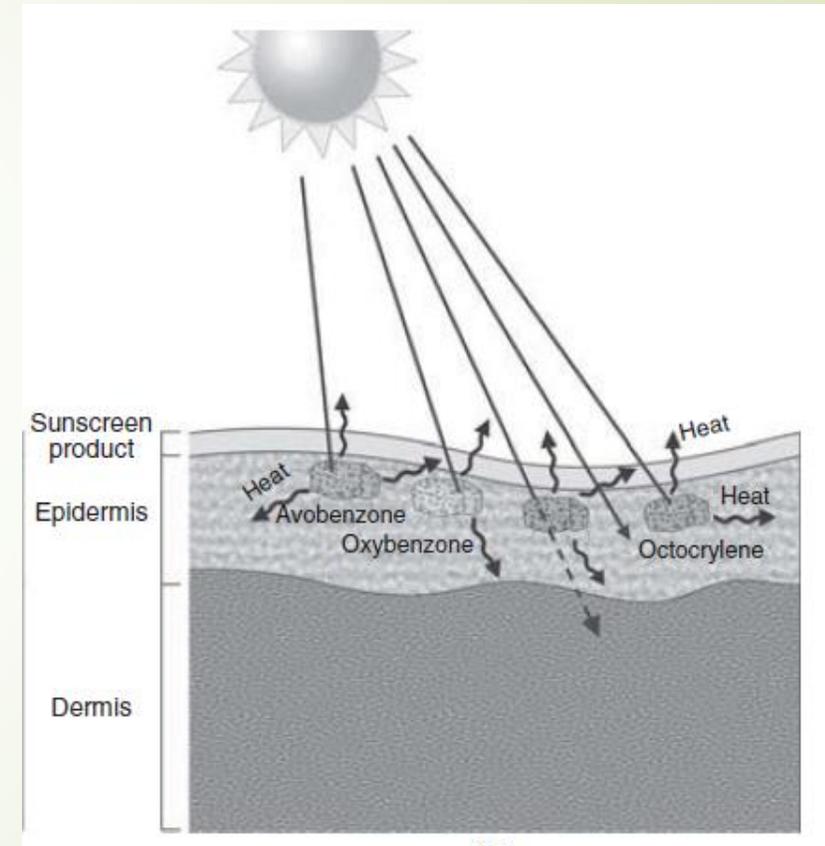


Physical sunscreens/ Inorganic UV Filters: Disadvantage

- ▶ They reflect and scatter UV radiation into the visible spectrum (>400 nm), which **provide a white appearance on the skin** after application and therefore cosmetically less appealing
- ▶ Today, TiO_2 and ZnO are commonly used in micronized (1–100 μm) and nanonized (1–100 nm) forms for an aesthetically more appealing cosmetic look.
- ▶ Sunscreen Products contain nanoparticles no longer reflect visible light and, therefore, do not appear white but **transparent on the skin**.

Chemical sunscreens/ Organic UV filters

- Also known as organic UV filters that are aromatic compounds.
- Their molecular structure is responsible for absorbing UV energy.
- They absorb UV rays, which produce excitation of the sunscreen chemical to a higher energy state. Then, they return to the ground state and convert the absorbed energy into longer, lower energy wavelengths (heat)



SUNSCREEN CLASSIFICATIONS

- Chemical
 - Formulations containing one or more:
 - PABA, PABA esters
 - benzophenones
 - cinnamates
 - salicylates
 - digalloyl trioleate
 - anthranilates
 - Mechanism: absorbs UV radiation

Chemical sunscreens/ Organic UV filters

- ▶ 15 organic sunscreen ingredients approved in the US.
- ▶ Examples for **organic UVB** absorbing filters include octinoxate, octisalate, and padimate O.
- ▶ Examples for **organic UVA filters** include avobenzone, oxybenzone & meradimate.
- ▶ Organic filters are often combined with one another to achieve the desired broad-spectrum protection.



Chemical sunscreens/ Organic UV filters Disadvantage

- ▶ Organic sunscreens can penetrate the skin due to their lipophilic nature, which may cause safety issues
- ▶ issues with photostability. Upon exposure to UV radiation, the structure of UV filters may be negatively affected and/or destroyed. They lose their absorption capacity.
- ▶ Therefore, most formulations contain photostabilizers

Additional Ingredients of Sunscreens

Inactive ingredients generally found in sunscreen products depend on the dosage form.

- **Waterproofing agents**
- **Photostabilizers**
- **Emollients**
- **Water**
- **Emulsifiers**
- **Thickeners**
- **Film-forming ingredients**
- **Antioxidants**
- **Preservatives**
- **Humectants**
- **Chelating agents**
- **Propellants**

Sunscreen Products

- O/W or W/O emulsions
- anhydrous systems, such as ointments, sticks, oils, and
- silicone-based aerosols;
- wipes; and gels.

Sun Protection Factor (SPF)

- ▶ was adopted by the FDA to describe the effectiveness of sunscreens.
- ▶ **SPF is a measure that indicates how long it takes for UV rays to redden protected skin** (i.e., skin with a sunscreen) **compared to unprotected skin** (i.e., skin without a sunscreen).
- ▶ The concentration and combination of UV filters determine the efficacy of sunscreens as measured by Sun Protection Factor (SPF).

$$\text{sun protection factor (SPF)} = \frac{\text{minimal erythema dose (MED) with sunscreen}}{\text{minimal erythema dose (MED) without sunscreen}}$$



Sun Protection Factor (SPF)

- ▶ As the SPF value increases, sunburn protection increases.
- ▶ The effectiveness of a given SPF is measured in terms of redness (medically termed “erythema”) that appears on the skin after sun exposure.
- ▶ The amount of UV energy required to produce the first visible redness on the skin is referred to as the minimal erythema dose (referred to as “MED”).
- ▶ As SPF values are determined from the test that measures protection against sunburn caused by UVB radiation, SPF values only indicate a sunscreen’s UVB protection

SUNSCREENS

- ▶ Sun Protection Factor (SPF) =
$$\frac{\text{MED of Photoprotected Skin}}{\text{MED of Unprotected Skin}}$$
- ▶ MED is minimum dose of radiation which produces erythema
- ▶ SPF's are determined indoors using xenon lamps which approximate the spectral quality of UV radiation



How to determine SPF

- In a controlled indoor laboratory eliminating any effects of environmental change, e.g., wind, heat and cold, untanned test subjects are put through a two day test.
- On the first day, the lower back is protected except for the test site and exposed to UVB light until mildly red.
- On the second day, a sunscreen is applied to a new test site and exposed to UVB light until the same mild redness occurs.
- The amount of time to achieve redness with the applied sunscreen determines the *SPF*. As people vary so will the sun protection of products...results vary with individuals.

Table 1. SPF classification table.

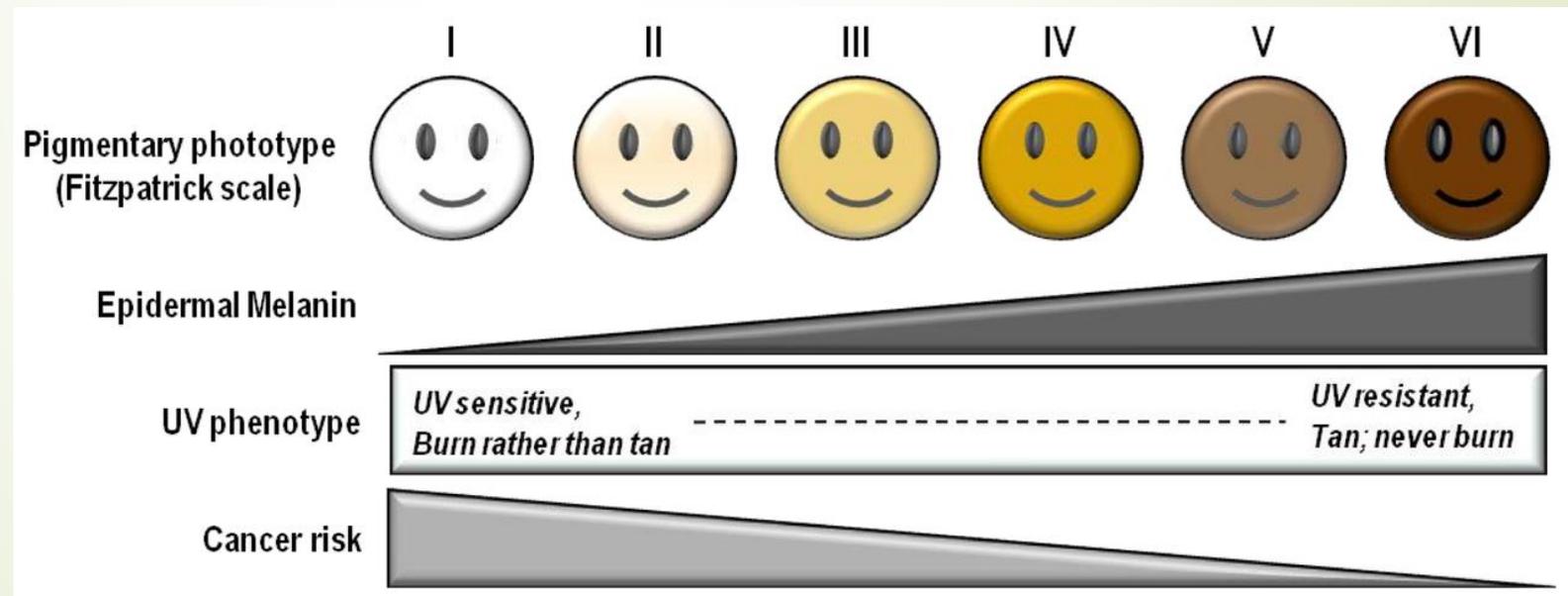
Protection Level	SPF Value
Low protection	6, 10
Medium protection	15, 20, 25
High protection	30, 40
Very high protection	50+

SUNSCREENS

- ▶ Factors which influence effectiveness of SPFs
 - ▶ Difference in skin types.
 - ▶ Thickness of the applied sunscreen.
 - ▶ Time of day.
 - ▶ Altitude: each 1,000 ft increase adds 4% to the intensity of erythema producing UV radiation; thus intensity is about 20% greater in Pocatello than at sea level.
 - ▶ Environment: snow/white surfaces reflect 70-90%, and when directly overhead water reflects nearly 100% of UVR.
 - ▶ Vehicle: determines skin penetration of sunscreen

Skin Types Based on Sensitivity to Ultraviolet Light (skin phototype)

- ▶ Fitzpatrick classified skin (1975) based on how the skin behaves to UV radiation exposure.
- ▶ It correlates the skin color (i.e., its melanin content) with its ability to tan or burn with UV light exposure. It has six categories
- ▶ **Type I**
- ▶ **Type II**
- ▶ **Type III**
- ▶ **Type IV**
- ▶ **Type V**
- ▶ **Type VI**



SUNSCREENS

Category	Skin Type	SPF
I	Always burns, never tans	15 >
II	Burns easily	15
III	Burns moderately, (avg caucasian)	10-15
IV	Burns minimally, tans well (olive skin")	6-10
V	Rarely burns, tans profusely (brown skin)	4-6
VI	Never burns (black skin)	none

SUNSCREEN AGENTS

PABA (Para-aminobenzoic acid)

- ▶ Very effective in the **UVB range** (200-320 nm).
- ▶ Most effective in conc of 5% in 70% ethanol.
- ▶ Maximum benefit when applied **60 min prior** to exposure (to ensure penetration and binding to stratum corneum).
- ▶ Does **NOT prevent** drug/chemical-induced photosensitivity rxn.
- ▶ **Contact dermatitis can develop.**
- ▶ May produce transient drying/stinging from alcohol content (may be alleviated by adding 10-20% glycerol).
- ▶ May stain clothing.

SUNSCREEN AGENTS

PABA Esters (Padimate A, Padimate O, Glyceryl PABA)

- Also very effective in **UVB range** (280-320)
- Most effective in conc. 2.5-8% in 65% alcohol
- May penetrate less effectively than PABA
- Similar application and adverse effect
- Less staining

SUNCREEN AGENTS

Benzophenones (oxybenzone, dioxybenzone, sulisobenzone)

- Slightly less effective than PABA.
- Absorbs from 250-400 nm spectrum (ie, UVA & UVB).
- Combined with PABA or PABA ester improves penetration and is superior to either agent used alone (200-400 nm wavelength coverage).
- Beneficial in preventing photosensitivity rxns.
- Contact dermatitis is rare.



SUNSCREEN AGENTS

Cinnamates and Salicylates

- ▶ Minimally effective, absorb UVB spectrum.
- ▶ Generally used in combination with one of the above.



SUNSCREEN AGENTS

Anthranilates

- Minimally effective, absorbs UVA spectrum 250-322 nm.
- Usually combined with UVB agent to broaden spectrum.



regulatory aspects

- *Sunscreen chemicals and products are regulated as cosmetic or drug depending upon the regulation of the country in which it is manufactured.*
- *Adverse effects due to sunscreen chemicals are of concern all over the world.*
- *Variation in the regulation affects the safetyassessment of UV filters and its products*

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- ▶ The **list of substances** classified as UV filters and **the maximum allowable concentration** are established by each country,
 - ▶ for example, European Union (EU), United States of America (USA), Australia, Canada, ASEAN and India. UV filters approved in India and other nations are
 - ▶ summarised as Table I.



In the **EU**, sunscreen chemicals (UV filters) are classified as **cosmetics**.

- ▶ In the **USA**, sun products are classified as **over-the-counter drugs**, a class for which it is necessary to indicate active ingredients demonstrated to be effective and safe. Production and marketing of these products is regulated by US FDA monographs published in the Federal Register.
- ▶ In **Canada**, Sunscreen products are classified **as prescription drugs** and must meet the requirements set out in Canada's *Food and Drugs Act* before they may be imported, advertised, or sold in Canada. Sunscreens are classified as natural health products (NHPs) if they contain ingredients like titanium oxide, zinc oxide and para amino benzoic acid.. Sunscreens are classified as drugs if they contain at least one ingredient from Avobenzon.....
- ▶ In India, Bureau of Indian Standards (BIS) has listed permitted UV filters which cosmetic products may contain