Sunscreening Agents

Its Role in Therapy and Challenges

Skin protection from solar damage is crucial not only to minimize the immediate effects such as sun burn, but also to reduce the chance of developing skin cancer especially in white skin. Application of a sunscreening agent along with preventive measures such as the use of protective clothing, avoidance of mid day sun, umbrella / canopy, are routinely followed practices. Sunscreening agents are available in various formulations including lotion, cream, wipes, lip balm and are incorporated into various other products such as moisturizers. In this article we discuss the pharmacological role of sunscreens in therapy and challenges.

Introduction

Apart from being a source of Vitamin D, prolonged exposure to natural light can cause harmful effects due to Ultraviolet A (UVA) radiation on skin. Prolonged exposure can result in skin irritation manifesting as erythema, increased pigmentation initially and later to the formation of wrinkles due to the damage of collagen and elastin fibers in the deeper layers of skin, leading to premature aging of skin. Publications indicate that overexposure to sunlight can result in skin cancers especially in the white population [1]. Of various measures available to prevent solar damage to skin, the use of sunscreen is of utmost importance.

Traditionally, sunscreens are grouped into physical, chemical and combination agents. Natural compounds such as curcumin, green tea, black tea, vitamin C, vitamin E, etc., are thought to protect the skin by their anti-oxidant action neutralizing the free radicals produced due to solar damage. Physical sunscreens (sun blocks) are formulations containing opaque particulate ingredients like zinc oxide, talc, magnesium oxide, kaoline and ferric chloride, which reflect and scatter UV rays. Chemical sunscreens contain organic and inorganic compounds, of which the former absorbs UV rays and neutralizes it and the latter acts as a physical barrier, scattering UV rays. They are also sub classified based on their ability to absorb UVA or ultraviolet B rays.
Apart from being available as lotions, creams, gels etc., suncreening agents are available as wipes, towelettes, powders, body wash and shampoo, moisturizers, lip balm etc.

Use of foam and powder formulations are not advised as the amount applied may not be sufficient to provide sun protection. Microspheres, microparticles, and nanoparticles are considered to be more efficacious than traditional formulations. Sun spheres and microencapsulations are the latest technologies devised to improvise the efficacy of suncreening agents [2].

Efficacy of a suncreening agent is determined by sun protection factor (SPF) which indicates the level of protection offered by the compound against the damaging effects of sunlight. Persistent Pigment Darkening (PPD) Protection Factor [3] and Colipa guidelines [4] are used to measure UVA protection offered by the suncreening agents as now UVA protection is considered equally important as UVB protection.

**Regulations**

To avoid confusion among the common man regarding efficacy, regulatory authorities across the globe are modifying regulations regarding the suncreening agents. In the United States, suncreening agents are available as over the counter products, in the EU as cosmetics and in Canada as prescription drugs. The US FDA has introduced changes which are effective from June 8, 2012, which makes it mandatory for a product to prove its efficacy in protection against both UVA and UVB radiation to claim itself as "broad spectrum". It also has suggested label changes in suncreening agents.

Though the EU guideline does not describe broad spectrum, it does mandate a minimum level of UVA protection in a formulation measured in terms of SPF. Products that meet the regulatory specifications shall have UVA seal. Similarly
countries like Canada, Australia, New Zealand, Japan and other countries have their own specifications.

In India, all cosmetic products that are imported for sale need to be registered with the licensing authority (Drugs Controller General, CDSCO) as defined under Rule 21 of Drugs & Cosmetics Rules, 1945 [5]. Sunscreening agents are classified under cosmetic agents, hence are not strictly regulated in India.

**Pharmacology & Therapeutic Efficacy**

Most of the formulations contain more than one active ingredient for better therapeutic effect. Safety of these sunscreening agents has been well established. Pharmacokinetic studies have shown that topical application of these agents is not associated with significant systemic absorption and hence is not associated with systemic toxicity. Formulations play a role in determining therapeutic efficacy, too. Emulsion form has shown to release molecules at a faster rate and also results in quick penetration to the layers of skin, but in a concentration dependent way [6]. Recently the focus is on nanoparticles with better photoprotection which have shown localized skin penetration, minimal systemic absorption with good skin retention.

Application of sunscreening agents minimizes the photodamage, skin changes and also reduces incidence of squamous cell carcinoma and basal cell carcinoma. Efficacy depends on the skin type, time and total period of exposure to sunlight during the day, reapplication, optimum amount applied and the amount of compound absorbed. 2 mg/cm$^2$ is the recommended optimum amount to achieve the desired effect. Dermatologists and various dermatological associations emphasize reapplication of sunscreening agents [7] as the SPF reduces gradually over a period.

Generally, these agents are considered to be safe as the amount absorbed is too small to result in toxicity, but not totally free from side effects which may vary from mild irritation, sensitivity, contact dermatitis to impairment of hormonal levels, deficiency of vitamin D and development of melanoma. Physical blockers can cause exacerbation of acne and rosacea.

Data indicates the excretion of a few compounds namely 4-methylbenzylidene camphor and octocrylene, in the sun screening compounds are being excreted in the breast milk, exposing the fetus to these chemicals [8-9]. However, sufficient data on immediate and delayed effects of these compounds on the developing fetus is not available, necessitating the need of research in this area.
Routine, sun-screening formulations with SPF 50+ are used by the public for sun protection with the wrong notion that those with higher SPF offer better sun protection; however, researchers are of the opinion that products with higher SPFs may not be as beneficial as they claim, but in reality, the extra protection offered is minimal compared those with better SPF ≤ 50. This false belief of better protection with products with higher SPFs may result in prolonged sun exposure resulting in sun burns especially in sunbathers. Those with SPF 50+ contain chemicals having high skin penetration, which can cause tissue damage and may have the potential to cause hormonal imbalance namely estrogenic or anti androgenic.

**Role in Therapy**

Those who intend to get sun exposure should adopt sun protection measures such as protective clothing, staying in shade, avoiding mid day sun, in order to minimize the solar effects and the use of approved sun-screening agent.

For infants, preventive measures and for toddlers and young children, the use of an approved sun-screening agent along with preventive measures should be followed during sun exposure. Teenagers should be educated on the proper selection of a sun-screening agent, the need of application of the proper amount and reapplication should be emphasized.

Inorganic compounds (physical filters) are prescribed for infants above the age of 6 months and young children keeping in mind the immaturity of the liver and kidney, if systemically absorbed. There is less requirement of reapplication with these compounds; however, patient acceptance is comparatively less as these products are greasy. Organic compounds are frequently used for adults and are incorporated in almost all available formulations in the market. While prescribing, the physician should educate the patient regarding SPF, the importance of protection from both UVA and UVB rays.

Sunscreens themselves can induce melanomas, especially those with SPF 50+; hence, it is advised to use these formulations with caution. Dermatologists advise against the use of sun-screening agents containing Vitamin A, which may enhance the growth rate of melanoma. Long term effects with sun screening agents include Vitamin D deficiency which needs to be attended.

**Challenges**

The greatest challenge is treatment compliance. As exposure to sun is throughout the year, it is advisable to follow a routine of application and re-application of the optimum amount of sun protective agents as recommended. This will not only protect the individual from the immediate effects of photodamage, but also reduces
the chance of the development of dermal carcinomas. As increased patient awareness improves compliance, physicians have to work towards this, especially in preteens and teenagers. Sensitive skin is more prone to show photodamaging effects, especially in teenagers and preteens, but at the same time, may also show sensitivity to the formulation used. Prescribing a suitable agent for sensitive skin is another challenge faced by physicians. Manufacturing products containing natural products which do not cause sensitization of the skin should be considered. Selecting an ideal sun screening agent with required SPF is another challenge. Many manufacturer's claim that the product as adequate SPF to provide sun protection, but in reality the amount delivered is also important as mismatch between the labeled SPF and delivered is one cause for not achieving the desired effect.

Manufacturing a formulation with a suitable vehicle which is efficacious in terms of minimal or no systemic absorption, high local concentration, long lasting effects along with patient acceptability at an affordable cost is a challenge to be addressed. In many countries sun-screening agents are classified as cosmetics and hence do not have regulatory obligation of mentioning the components, strength, SPF.

Regulatory agencies should make it mandatory to mention details of composition, SPF and UVA protection. Many countries such as USA, Australia and EU have introduced new guidelines to standardize the sun-screening formulations. Environmental working group (EWG) in Washington has mentioned in this year's report that only 25% of 1,400 sun-screening formulations examined met the regulatory recommendations and were found to be safe and effective. This report also suggests the use of preventive measures such as protective clothing, avoiding over exposure, staying in shade and regular dermatological examination [10].

There has been debate over the use of sun-screening agents in Asian skin (type III - V). Few physicians are of the opinion that the use of sun screening agents is not required in these skin types, but some advise the use to prevent or minimize signs of premature skin aging. This needs to be studied, and the question needs to be answered. Moreover, as some of these agents themselves cause cancer, risk benefit ratio in this population needs to be determined. Hence, long term studies to determine the relationship of skin cancer and sun-screening agents is required in this population.

**Conclusion**

Preventive measures such as avoiding prolonged exposure to sun, using hats and/or umbrellas, using full-length clothes to minimize the area of exposure are as important as using sun-screening agents. Hence, while educating the patient on the
importance of SPF, application of optimum amount and reapplication along with preventive measures should be emphasized. Physicians should aim, along with preventive measures, at the long term goal of preventing or minimizing the occurrence of skin cancer. Due to an increase in awareness, the use of sunscreens is also increasing, hence, regulatory agencies should take measures to standardize the rules and ask manufacturers to conduct clinical trials in local population as even if they are considered cosmetics.

References

Authors:
Dr. M S Latha MD, Manager, Global Medical Affairs, Dr. Reddy’s laboratories, Hyderabad, India
Dr Jacintha Martis MD, Additional Professor, Dept of Dermatology, Fr Muller Medical College, Mangalore, India
Dr Sudhakar Bangera, MD, MMedSc, Program Director, Clinical Development Services Agency, Gurgaon, India

Contact
Dr. M. S. Latha
Dr. Reddy’s Laboratories  
Hyderabad, India  
lathasu@gmail.com  
lathams@drreddys.com