Photostability of Sunscreen Combinations Containing Avobenzone Exposed to Natural and Artificial UV Light

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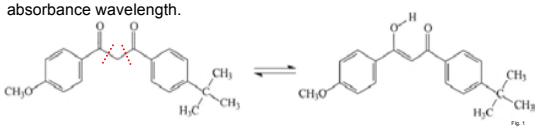
1. Abstract

The category I sunscreen Avobenzone is the predominant UVA absorber approved for use in the United States. The potential instability in the UVA absorptive capabilities of Avobenzone with increasing exposure to UV energy has raised concerns regarding the molecule's ability to provide adequate UVA protection during extended periods of sun exposure. Various scientific formulation approaches such as the selective use of solvents and weak chromophores can enable sunscreen products containing Avobenzone to maintain their UV absorptive properties when exposed to increasing doses of UV energy. It is theorized that these new formulation approaches allow for the transfer of triplet energy of the Avobenzone molecule to a receptor molecule thus allowing the maintenance of UVB sunburn protection as well as UVA absorptive properties of a given product.

Detailed analysis of the change in absorptive properties in both the UVA and UVB regions of various sunscreen/excipient combinations containing Avobenzone were performed. Results confirm that the incorporation of Octinoxate, a common UVB absorber, may have deleterious effects on the photostability of Avobenzone. In addition, the incorporation of Polyester-8 and a purified extract of Kaempferia Galanga further stabilize the UV absorptive properties of sunscreen combinations containing Avobenzone resulting in key synergistic sunscreen systems whose photostability is on par with newer UVA sunscreens not currently available to the US consumer.

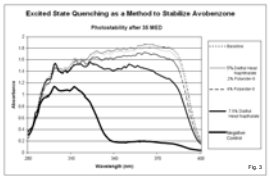
2. Introduction

In 1996, the FDA approved the use of Avobenzone as a category I sunscreen for UVA protection. While this active provides significant absorptive capabilities in the UVA region of the solar spectrum, it is also well known to undergo molecular configuration changes that result in shifts in its maximum absorbance wavelength.

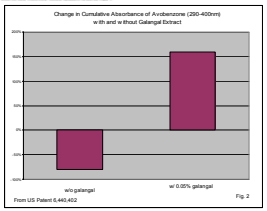


Avobenzone Keto-form
Maximum absorption at 260nm
Cleavage point when exposed to UV radiation

Avobenzone Enol-form
Maximum absorption at 355nm



The incorporation of molecules that quench the excited state of Avobenzone have been demonstrated to have a stabilizing effect on the absorptive properties of the molecule. It is theorized that the quenching of the excited state energy mitigates the molecular degradation that can take place on exposure to UV light. Several experiments were conducted to determine the effects of sunscreens and photostabilizing agents on a model sunscreen system containing Avobenzone



2.0µl/cm^2 films of solutions containing 3% Avobenzone in Diisopropyl Adipate with and without 0.05% Galangal Extract were irradiated with 76 kJ/m2 of UV. The cumulative absorbance from 290 – 400nm of Avobenzone alone was reduced by 80% as compared to baseline. In contrast, the addition of 0.05% Galangal Extract not only stabilize Avobenzone but increased cumulative absorbance by 159% post irradiation.

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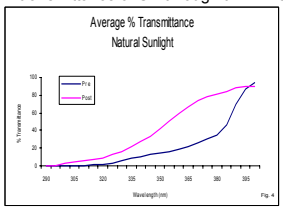
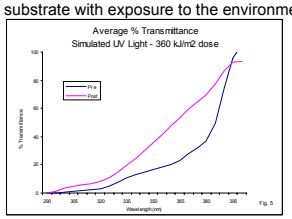
3. Correlation of changes in Absorption of a Sunscreen Formulation in Natural and Simulated UV Light

A sunscreen formulation containing the known photostabilizing sunscreen Octocrylene at 2.7%, 3% Avobenzone, 8% Homosalate, 7.5% Octinoxate, 5.0% Octisalate, 6% Oxybenzone and 3% of the film former Acrylates/Octylacrylamide Copolymer in C_{12-15} Alkyl Benzoate and ethanol was applied to Vitro Skin® supplied by IMS of Portland ME at a dosage of 0.4µl/cm^2. Samples were allowed to dry for 15 minutes then exposed to natural sunlight from 10am to 2pm at 41^0 N lat. and 74^0 W long. on a mid-summer day with a UV index of 8 as reported by the National Weather Service. The percent transmittance from 290 – 400nm was measured pre and post exposure using an Optometrics SPF 290S In Vitro SPF Analyzer running WinSPF software version 3.0. Changes in the proportion of UVA to UVB between the pre and post exposure samples indicate photo-instability of the sunscreen system. An increase in transmittance was observed across the measured UV spectrum. A portion of the increase in transmittance is attributed to changes in the film thickness while changes in relative transmittance spectra are recognized as changes in the absorptive properties of the sunscreen system. Phenomena such as migration across the substrate that occur during the extended time of exposure have been shown to lead to an overall decreases in transmittance of UV through thin films.



Average transmittance pre and post exposure to natural sunlight from 290 – 400nm resulting in a total dosage of 900 kJ/m^2. Note change in the relative transmittance spectra across the UVA region. This is indicative of moderate photo-instability of a combination of Octocrylene, Avobenzone, Homosalate, Octinoxate, Octisalate and Avobenzone.

The average transmittance curve post exposure to natural sunlight was used as a standard to determine the parameters required to mimic the effects of natural sun exposure using an artificial UV light source. The prototype formulation mentioned above was exposed to artificial UV light of varying dosages filtered through multiple combination of glass filters in an Atlas Suntest CPS+ weathering system supplied by Atlas Testing Solutions. The change in relative transmittance spectra was compared to those seen in natural sunlight. The configuration that most closely reproduced the changes observed in natural sunlight was identified as a combination of Coated Quartz Glass and Special Window Glass filters (filter combination C) utilizing a dosage of 360 kJ/m^2 as measured with a Gigahertz-Optik, Inc. X11 Optometer w/ RCH-006-4 UV Curing Detector. Minor differences observed in the changes in transmittance between natural sun and artificial UV exposure may be attributed to a multitude of conditions that are not able to be replicated by the Atlas Suntest CPS+ apparatus such as temperature, exposure to wavelengths outside of the UV spectrum and behavior of the substrate with exposure to the environment.

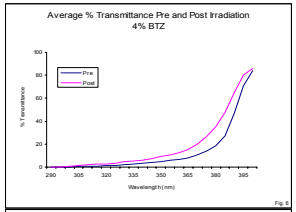


Exposure to 360 kJ/m^2 artificial UV light in the Atlas Suntest CPS+ using filter combination C closely mimics the effects of exposure to natural sunlight from 10am to 2pm at 41^0 N lat. and 74^0 W long.

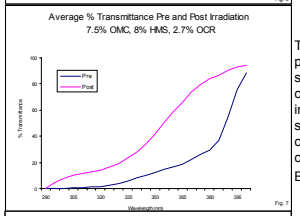
Findings indicate that the experimental conditions described above mimic the response to natural sunlight and can be used as a validated constant condition for further experiments comparing relative performance of sunscreen systems.

4. Changes in absorption of various sunscreen combinations and photostabilizing agents

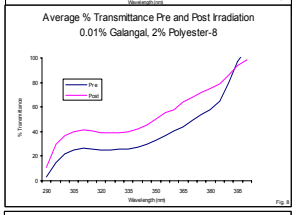
The effect of adding 1 or more sunscreens and photostabilizing agents to a model sunscreen formula was tested. The model sunscreen consisted of the low UVB, high UVA sunscreen combination of 3% Avobenzone, 5% Octisalate, 6% Oxybenzone and 3% of the film former Acrylates/Octylacrylamide Copolymer in ethanol. The model sunscreen system plus sunscreens and/or photostabilizing actives were screened for the ability to maintain their relative transmittance spectra after exposure to 360 kJ/m^2 of artificial UV light using filter combination C. No additional solvents were incorporated to allow for a more direct comparison of sunscreen interactions. Several UVB sunscreens commonly employed to increase SPF, Octinoxate (OMC), Homosalate (HMS), Octocrylene (OCR), the photostable broad spectrum sunscreen Bemotrizinol (BTZ) and a combination of Galangal Extract and Polyester-8 where evaluated.



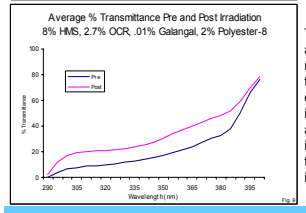
The photostable broad spectrum sunscreen Bemotrizinol is well documented as having photostabilizing effects on Avobenzone. The addition of Bemotrizinol to the model sunscreen formula confirms these findings. Note that the relative transmittance spectrum is maintained post irradiation.



The addition of Octinoxate, Homosalate and the photostabilizing sunscreen Octocrylene to the model sunscreen formula results in a composition that changes its relative transmittance spectrum post irradiation. Note differences in both the UVA and short wavelength UVB portions of transmittance curves are similar to those observed in figure 4 which contains the additional polar solvent C12-15 Alkyl Benzoate .



The incorporation of a combination of the cosmetic skin conditioning agents Galangal Extract and Polyester-8 to the model sunscreen formula results in a composition whose relative transmittance is maintained post irradiation.



The addition of Homosalate, Octocrylene, Galanagal and Polyester-8 to the model sunscreen formula results in a composition that maintains its relative transmittance spectrum while yielding an overall decrease in transmittance both pre and post irradiation as compared to the addition of Galangal and Polyester-8 alone. The exclusion of Octinoxate improves photostability while decreasing transmittance in the UVB region thus allowing for increased SPF protection.

5. Conclusion

The addition of Galangal Extract and Polyester-8 are able to preserve the photostability of a model sunscreen filter system containing Avobenzone and other category I FDA approved OTC sunscreen actives as effectively as Bemotrizinol.