In vitro Biological Activities of Azulene, Guaiazulene, and Sodium Guaiazulene Sulfonate and Its Application to Formulations through PEG-PCL Micelles.

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- **Abstract:** Atopic dermatitis (AD) is developed by complex interplay of various factors such as skin barrier dysfunction, immunological derangement, and oxidative stress, so multipronged approaches are needed to treat AD. Azulene (AZ), guaiazulene (GA), and sodium guaiazulene sulfonate (GAS-Na) are known to have biological activities. This study aimed to evaluate the bioactivities of AZ, GA, GAS-Na from the perspective of AD treatment. Anti-inflammatory properties were estimated by measuring inhibitory effect on IL-6 and IL-8 production of TNF-α treated HaCaT cells via enzyme-linked immunosorbent assay (ELISA). Antioxidant properties were estimated by measuring DPPH and ABTS+ radical scavenging activity. Based on the experimental results that GA showed a wider range of anti-inflammatory and antioxidant effects than AZ and GAS-Na, we concluded that GA is the most suitable for treating both immunological derangement and oxidative stress. Furthermore, to overcome poor water solubility of GA hindering its application to various cosmetic formulations, we developed 1% of GA loaded PEG-PCL micelles and confirmed its stable characteristics via particle size, PDI, and zeta potential values. Through this study, we suggest the widespread use of GA for the treatment of AD.

- **Keywords:** Azulene, Guaiazulene, Sodium Guaiazulene Sulfonate, Anti-inflammation, Anti-oxidation, PEG-PCL micelles