Phytologix™: A Technology Platform for Discovering Novel Tyrosinase Inhibitors from Natural Products

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Abstract

PhytoLogix™ is a proprietary platform for the development of novel cosmetic agents from documented medicinal plants. Using multi-parallel extraction and purification systems, a library that contains 8,000 plant extracts and 200,000 fractions has been generated. The library has been screened in high-throughput format against targets related to skin pigmentation, inflammation, oxygen free-radical clearance capacity and anti-microbial properties.

Novel, bioactive, natural products have been isolated and identified by following assay results and comparing taxonomic, MS, NMR and HPLC data. The safety and efficacy of the standardized natural bioactive ingredients were assessed both *in vitro* and in human clinical trials.

Among the commercially available ingredients discovered using PhytoLogix™ technology are: UP302, a non hydroquinone, novel tyrosinase inhibitor; AloeWhite™ , a water soluble ingredient derived from aloe with skin brightening effects; Soliprin™, a potent anti-oxidation and anti-inflammatory agent; and Immuno-10, a polysaccharide-based immune regulator. With vertically integrated resources at our company, novel, bioactive, and high quality natural cosmetic ingredients are produced to meet the needs of the industry.

Introduction

Natural products have played an important role in the discovery of pharmaceutical, nutraceutical and cosmetic bioactive ingredients. Over 50% of the most-prescribed drugs in the US, 78% of the antibacterial, 61% of anticancer, and 32.5% of the anti-inflammatory drugs have a natural origin.[1,2] There are over 200,000 known natural products[3] that are not only functional structural leads, but also have very similar architecture and pharmacological properties as therapeutic agents. The average calculated molecular weight of natural products is almost identical to that of trade drugs (356 vs. 360), and the average log p values are around 2.9 for natural products.[4,5] From the historical aspect, natural fragrances[6] and sunscreens[7] are very well known ingredients in the cosmetic industry. In the last few years, bioactive cosmetic ingredients that are derived from plants and other natural sources have been widely introduced in new products to meet the needs of an aging population.[8] Natural bioactive ingredients for cosmeceuticals present a great opportunity to the industry with functional constituents for maintaining youthful skin, preventing aging and treating skin ailments. They also present concerns regarding efficacy,[9] safety[10], bioavailability[11], stability[12] and regulatory requirement[13] for the new therapeutic cosmetics. Only scientific research and clinical data can help the industry to distinguish truly safe and efficacious cosmeceuticals from hype.

Phytologix™

The development of high throughput biochemical screening technology began in the mid 1980s. Robotic operation coupled with laboratory information management systems in combination with miniaturized signal reading systems enable the screening of literally millions of samples per assay per annum[14,15]. The novel, mechanism based, automatic, and miniaturized high throughput screening (HTS) technology presents both an opportunity and a challenge for natural product research.

Recently, a technology platform, referred to as Phytologix™, has been utilized for the discovery and development of novel bioactive cosmetic ingredients.[16] Phytologix™ focuses on documented medicinal plants and other biomaterials. Due to thousands of years of historic use, these medicinal plants and other biomaterials have already been pre-selected and clinically utilized for human consumption. Thus, they are most likely to yield safe and efficacious cosmetic products in contrast to