Adendum

Quasi-Drugs Developed in Japan for the Prevention or Treatment of Hyperpigmentary Disorders. *Int. J. Mol. Sci.* 2010, 11, 2566–2575

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One additional skin lightening or whitening quasi-drug (QD) has been developed and officially approved by the Ministry of Health, Labor and Welfare of Japan. The active ingredient niacinamide should be included in this review [1]. Its mechanism of skin lightening is based on the inhibition of melanosome transfer from melanocytes to keratinocytes. Niacinamide is listed in Table 1, which classifies compounds according to the mechanism of skin lightening QDs registered in Japan.

2.15. Niacinamide (Obtained by Procter & Gamble Company in 2007)

Niacinamide (also termed nicotinamide), a derivative of vitamin B3, has been shown to act as an anti-inflammatory agent in acne [2]. Niacinamide had no effect on the tyrosinase activity and melanin synthesis of cultured normal human melanocytes, however, it was found that niacinamide significantly decreased hyperpigmentation, such as melasma and solar lentigines, via inhibition of melanosome transfer from melanocytes to keratinocytes [3,4].
Table 1. Mechanistic classification of skin lightening QDs approved by the MHLW of Japan.

| Target                          | Mechanism                      | Detail                              | Skin Lightening QD                      |
|---------------------------------|--------------------------------|-------------------------------------|----------------------------------------|
| Melanocyte                      | Inhibition of tyrosinase activity| Anti-oxidation                      | Ascorbic acid/derivatives               |
|                                 |                                | Chelating copper atoms              | Kojic acid                             |
|                                 |                                | Competitive inhibition              | Ellagic acid                           |
|                                 |                                | Acceleration of Tyr degradation     | Arbutin                                |
|                                 |                                | Inhibition of Tyr maturation         | Rucinol®                               |
|                                 | Decrease of tyrosinase protein level |                                    | 4MSK                                    |
|                                 |                                |                                     | 4-HPB                                   |
| Keratinocyte                    | Inhibition of KC-MC signaling  | Inhibition of UV inflammation       | Chamomilla extract                      |
|                                 |                                |                                     | Tranexamic acid/derivative              |
| Melanocyte and Keratinocyte     | Inhibition of melanosome transfer | Inhibition of melanin dispersion    | Niacinamide                             |
| Epidermis                       | Acceleration of epidermal turnover | Desquamation of melanin              | Placental extract                       |
|                                 |                                |                                     | Adenosine mono-phosphate                |

KC: keratinocyte; MC: melanocyte; Tyr: tyrosinase; UV: ultraviolet light.

References

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