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Effects of microbubble size on ultrasound-induced transdermal delivery of high-molecular-weight drugs

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Transdermal drug delivery can be assisted and enhanced by sonophoresis with ultrasound (US)-aided microbubbles (MBs). The conventional transdermal delivery of a wide range of high-molecular-weight drugs is limited by the outermost layer of the epidermis, with the stratum corneum representing the main barrier to penetration across the skin. The present study determined the different effects of various sizes of MBs that underwent US exposure to enhance the transdermal delivery of high-molecular-weight drugs. The effects of US-mediated MBs of different sizes (1.4, 2.1, and 3.5 μm) and ascorbyl tetraisopalmitate (VC-IP, a cosmetic ingredient for skin lightening) on enhancing skin transdermal delivery were demonstrated both *in vitro* and *in vivo*. The results indicated that the US power intensities of a 3 W/cm2 penetration depth in the US group combined with 3.5-μm MBs and penetrating VC-IP (U+3.5) were 34% and 14% higher than those in the US group combined with 1.4-μm MBs and penetrating VC-IP (U+1.4) and US combined with 2.1-μm MBs and penetrating VC-IP (U+2.1), respectively, for the agarose phantoms; the corresponding increases for pigskin were 37% and 19%. In terms of the skin permeation of VC-IP, the VC-IP concentrations in the U+3.5 group were 23% and 10% higher than those in the U+1.4 and U+2.1 groups, respectively. The whitening effect (luminosity index) of mouse skin in the U+3.5 group significantly increased by 28% after 1 week and 34% after 2 weeks, while it tended to stabilize after 3 weeks (45%), in C57BL/6J mice over a 4-week experimental period. In conclusion, to the best of our knowledge, this is the first study to demonstrate that US combined with MBs of different sizes can produce different degrees of skin permeability to enhance the delivery of high-molecular-weight drugs like VC-IP for skin brightness without damaging the skin.

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