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イブプロフェン皮膚適用後の皮膚透過性に及ぼす適用製剤の残存容積の影響

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Ibuprofen (IBU), a non-steroidal anti-inflammatory drug is commonly applied topically for the short-term management of musculoskeletal conditions, such as rheumatoid arthritis. Potential vehicles were screened using a rational formulation design approach and the most promising formulation was used to study the effects of the residual phase volume on the permeation of IBU after application of a finite dose of formulation.

Liquid spray formulations containing IBU (1, 5, 10% w/w), dipropylene glycol (DPG) and isopropanol (IPA) were tested in vitro and in vivo. To change the residual phase volume the ratio between DPG and IBU was kept constant and the amount of IPA varied based on the assumption that all of the IPA evaporates and is not part of the residual phase. Finite doses of formulation were applied for both in vitro and in vivo experiments to simulate clinical doses. In vitro permeation experiments were conducted with Franz cells and human skin to determine the efficacy of formulations over a 48 h period. All spray formulations were also evaluated for their performance using human volunteers with appropriate institutional ethical approval and informed consent. In vivo confocal Raman spectroscopy (CRS) was used IBU levels in skin as a non-invasive technique.

Franz cell permeation studies show that the per cent of the dose delivered is consistent when the residual phase volume is increased. As the residual phase for each formulation contains the same concentration of IBU, under finite dose condition the volume of formulation could affect the amount of IBU permeated proportionately. The depth profiles of IBU and DPG were measured with CRS. Results show that a similar relationship is observed between IBU and DPG when compared with the in vitro study.

Overall the findings underline the critical importance of the vehicle in dermatological formulations.