Case by Case Physicochemical and In Vitro/In Vivo Biopharmaceutical Characterization of Alkyl Polyglucoside-Based Vehicles: Ketoprofen as a Model Drug

I. JAKSIC 1, M. LUKIC 1, R. DANIELS 2, S. REICHEL 3, J. MILIC 1, S. SAVIC 1

1 Department of Pharmaceutical Technology and Cosmetology, University of Belgrade, Belgrade, Serbia
2 Department of Pharmaceutical Technology, Eberhard-Karls Universität Tübingen, Tübingen, Germany
3 Department of Pharmaceutical Technology, Technische Universität Braunschweig, Braunschweig, Germany

E-mail: ijaksic@pharmacy.bg.ac.rs (I. Jaksic)


Both the active pharmaceutical ingredient and the vehicle physicochemical characteristics are retained to be the main features responsible for favorable topical bioavailability. Emulsion systems based on natural-origin alkyl polyglucoside (APG) emulsifier (cetearyl glucoside & cetearyl alcohol) present promising vehicles in contemporary pharmaceutical compounding [1], but require further characterization using various model drugs. To this aim, APG test vehicles (with and without isopropyl alcohol addition) were loaded with ketoprofen as a model NSAID known for its non-optimal skin delivery characteristics [2], and compared to corresponding reference vehicles (Non-ionic hydrophilic cream, DAB 2006). Physicochemical characterization included polarization microscopy, rheology and thermal analysis (DSC, TGA). In vitro release screening, in vitro skin permeation (Franz-type diffusion cells) and in vivo tape stripping were performed in order to evaluate ketoprofen biopharmaceutical profile. Additionally, safety considerations were assessed by in vitro skin irritation test (citotoxicity assay), alongside in vivo skin bioengineering measurements. Results obtained by tape stripping technique, showed significantly higher ketoprofen penetration profiles for test samples in comparison to reference ones. Although IPA addition tends to enhance ketoprofen penetration (probably through solubility enhancement – assessed by polarization microscopy) its influence cannot be considered significant (ANOVA, post hoc Tukey test). Ketoprofen demonstrated satisfactory but similar permeation and release profiles in investigated samples. Results of biopharmaceutical characterization comply with conducted physicochemical investigation, emphasizing overall difference in test and reference vehicles’ microstructure. APG vehicles showed satisfactory safety profile and skin performance, as well as suitable characteristics when loaded with ketoprofen.


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