

In vitro Evaluation of Capsaicin Patches for Transdermal Drug Delivery

Authors : Alija Uzunovic, Sasa Pilipovic, Aida Sapcanin, Zahida Ademovic, Berina Pilipović

Abstract : Capsaicin is a naturally occurring alkaloid extracted from capsicum fruit extracts of different of Capsicum species. It has been employed topically to treat many diseases such as rheumatoid arthritis, osteoarthritis, cancer pain and nerve pain in diabetes. The high degree of pre-systemic metabolism of intragastrical capsaicin and the short half-life of capsaicin by intravenous administration made topical application of capsaicin advantageous. In this study, we have evaluated differences in the dissolution characteristics of capsaicin patch 11 mg (purchased from market) at different dissolution rotation speed. The proposed patch area is 308 cm² (22 cm x 14 cm; it contains 36 µg of capsaicin per square centimeter of adhesive). USP Apparatus 5 (Paddle Over Disc) is used for transdermal patch testing. The dissolution study was conducted using USP apparatus 5 (n=6), ERWEKA DT800 dissolution tester (paddle-type) with addition of a disc. The fabricated patch of 308 cm² is to be cut into 9 cm² was placed against a disc (delivery side up) retained with the stainless-steel screen and exposed to 500 mL of phosphate buffer solution pH 7.4. All dissolution studies were carried out at 32 ± 0.5 °C and different rotation speed (50 ± 5; 100 ± 5 and 150 ± 5 rpm). 5 ml aliquots of samples were withdrawn at various time intervals (1, 4, 8 and 12 hours) and replaced with 5 ml of dissolution medium. Withdrawn were appropriately diluted and analyzed by reversed-phase liquid chromatography (RP-LC). A Reversed Phase Liquid Chromatography (RP-LC) method has been developed, optimized and validated for the separation and quantitation of capsaicin in a transdermal patch. The method uses a ProntoSIL 120-3-C18 AQ 125 x 4,0 mm (3 µm) column maintained at 60°C. The mobile phase consisted of acetonitrile: water (50:50 v/v), the flow rate of 0.9 mL/min, the injection volume 10 µL and the detection wavelength 222 nm. The used RP-LC method is simple, sensitive and accurate and can be applied for fast (total chromatographic run time was 4.0 minutes) and simultaneous analysis of capsaicin and dihydrocapsaicin in a transdermal patch. According to the results obtained in this study, we can conclude that the relative difference of dissolution rate of capsaicin after 12 hours was elevated by increase of dissolution rotation speed (100 rpm vs 50 rpm: 84.9 ± 11.3% and 150 rpm vs 100 rpm: 39.8 ± 8.3%). Although several apparatus and procedures (USP apparatus 5, 6, 7 and a paddle over extraction cell method) have been used to study in vitro release characteristics of transdermal patches, USP Apparatus 5 (Paddle Over Disc) could be considered as a discriminatory test. would be able to point out the differences in the dissolution rate of capsaicin at different rotation speed.

Keywords : capsaicin, in vitro, patch, RP-LC, transdermal

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