



In Vitro Dissolution and Local Irritation Test of Trimethoprim-hydroxypropyl- β -cyclodextrin Inclusion Complex

Chaocheng LU, Hualin FU*, Yuzhu ZOU, Wei ZHANG, Tao ZOU, Chao JIN & Jun HU

*Department of Pharmacy, College of Veterinary Medicine,
Sichuan Agriculture University, Ya'an, Sichuan, 625014, China*

SUMMARY. The complexation of trimethoprim (TMP) with hydroxypropyl- β -cyclodextrin (HP- β -CD) was studied. A solution-stirring method was selected to prepare the trimethoprim- hydroxypropyl- β -cyclodextrin inclusion complex (TMP-HP- β -CD). Complex formation was evaluated by differential scanning calorimetry (DSC) and thin layer chromatography (TLC). UV-spectrophotometry and phase-solubility techniques were employed to investigate the complexation behaviour in liquid medium. Moreover, a histopathology study was performed to determine whether muscle tissue damage was caused by repeated doses. The results showed solubility of TMP was increased up to 26 times by complexation with HP- β -CD compared with the unprocessed TMP. Dissolution rate of TMP was notably improved from complex with more than 90% released within 60 min. There was no visible sign of inflammation or hyperemia in muscle tissues after repetitive injection. It is evident from the results herein that complexation with HP- β -CD is a feasible way to prepare a rapidly dissolved and better absorbed TMP oral product.

KEY WORDS: Dissolution properties, Hydroxypropyl- β -cyclodextrin, Inclusion complex, Local irritation test, Trimethoprim.

* Author to whom correspondence should be addressed. *E-mail:* fuhl2005@sohu.com