Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **35** (3): 558-63 (2016)

Regular article
Received: August 13, 2015
Revised version: November 9, 2015
Accepted: November 10, 2015

Enhanced Intestinal Permeability of Quercetin Coated Felodipine Beads in Wistar Rats. Formulation and *Ex Vivo* Study

Amita BHANDARI [1], Zenab ATTARI [1], Prashant MUSMADE [2] & Shaila LEWIS [1] *

[1] *Department of Pharmaceutics &*
[2] *Department of Pharmaceutical Quality Assurance,*
Manipal College of Pharmaceutical Sciences, Manipal University, Manipal, India

***SUMMARY*. Felodipine exhibits limited oral bioavailability, one reason being cytochrome P 450 substrate. Quercetin is reported in literature as an inhibitor of P-glycoprotein and cytochrome P 450, thereby, improving bioavailability of P-glycoprotein and cytochrome P 450 substrates. A mixture of quercetin and felodipine showed increased permeability of felodipine in a pilot experiment of the present study. Based on these results, an attempt has been made to formulate a dosage form comprising of sugar beads loaded with felodipine along with quercetin coating. The cytochrome P450 3A4 inhibitory effect of quercetin on the permeation of felodipine from the formulation was investigated using Ussing chamber. The beads exhibited 100% felodipine release in dissolution study. The results from *ex vivo* permeability study suggested that the formulation improved the intestinal permeation of felodipine in presence of quercetin.**

RESUMEN. Felodipina exhibe una limitada biodisponibilidad oral y una de las razones es ser sustrato del citocromo P 450. La quercetina es reportada en la literatura como un inhibidor de la P-glicoproteína y el citocromo P 450, de ese modo mejora la biodisponibilidad de P-glicoproteína y de los sustratos del citocromo P 450. En este estudio ina mezcla de quercetina y felodipina mostró una mayor permeabilidad de felodipina en una experiencia piloto. Basándonos en estos resultados, se intentó elaborar una forma de dosificación que comprende perlas de azúcar cargadas con felodipina junto con la capa de quercetina. El efecto inhibidor del citocromo P450 3A4 de la quercetina en la permeación de felodipina a partir de la formulación se investigó usando la cámara de Ussing. Las perlas mostraron 100% de liberación de felodipina en el estudio de disolución. Los resultados del estudio de permeabilidad *ex vivo* sugieren que la formulación mejoró la permeabilidad intestinal de felodipina en presencia de quercetina.

KEY WORDS: beads, cytochrome P 450 3A4, intestinal metabolism, permeability, P-glycoprotein.

* Author to whom correspondence should be addressed. *E-mail:* s.lewis@manipal.edu

ISSN 0326 2383 (printed ed.)
ISSN 2362-3853 (on line ed.)