



## Food Effects on the Pharmacokinetics of Rosuvastatin Calcium Tablet After Administration of a Single Oral Dose in Healthy Chinese Subjects

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**SUMMARY.** Rosuvastatin is a selective and competitive inhibitor of HMG-CoA reductase. This study evaluated the food effects on pharmacokinetics of rosuvastatin calcium tablet (Crestor®) in healthy Chinese subjects. This was a single-center, randomized, single-dose, open-label, two-period, and cross-over study. Thirty healthy subjects were randomly assigned to receive single oral dose of 10 mg Crestor® tablet in fasted state or fed state on 2 separate visits. Plasma samples were analyzed with LC-MS/MS. Pharmacokinetic parameters were calculated with WinNonlin software. In fasted and fed state, the main pharmacokinetic parameters of Crestor® tablet were as follows:  $C_{max}$  were (10.93 ± 7.32), (5.095 ± 3.444) ng/mL,  $t_{1/2}$  were (17.6 ± 12.8), (17.7 ± 21.4) h,  $t_{max}$  were (3.37 ± 1.19), (3.90 ± 1.71) h,  $AUC_{0-72 h}$  were (104.5 ± 57.0), (62.65 ± 37.03) ng/mL·h,  $AUC_{0-\infty}$  were (107.0 ± 57.0), (65.70 ± 37.23) ng/mL·h. The absorption rate of Crestor® was not obviously delayed by food intake but the absorption degree was significantly decreased.

**RESUMEN.** La rosuvastatina es un inhibidor selectivo y competitivo de la HMG-CoA reductasa. Este estudio evaluó los efectos de los alimentos sobre la farmacocinética del comprimido de rosuvastatina cálcica (Crestor®) en sujetos sanos chinos. Se trató de un estudio unicéntrico, aleatorizado, de una sola dosis, abierto, de dos períodos y cruzado. Treinta sujetos sanos fueron asignados aleatoriamente para recibir una dosis oral única de 10 mg de comprimido Crestor® en estado de ayuno o estado alimentado en 2 visitas separadas. Las muestras de plasma se analizaron con LC-MS/MS. Los parámetros farmacocinéticos se calcularon con el software WinNonlin. Los parámetros farmacocinéticos principales de la tableta Crestor® fueron:  $C_{max}$  10,93 ± 7,32), (5,095 ± 3,444) ng/mL,  $t_{1/2}$  (17,6 ± 12,8), (17,7 ± 21,4) h,  $t_{max}$  (3,37 ± 1,19), (3,90 ± 1,71) h,  $AUC_{0-72 h}$  (104,5 ± 57,0), (62,65 ± 37,03) ng/mL·h,  $AUC_{0-\infty}$  (107,0 ± 57,0) 65,70 ± 37,23) ng/mL·h. La velocidad de absorción de Crestor® no se retrasó obviamente por la ingesta de alimentos, pero el grado de absorción disminuyó significativamente.

**KEY WORDS:** high fat and calories diet, HPLC-MS/MS, pharmacokinetics, rosuvastatin calcium tablet.

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