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Studies on Pharmacokinetics and Tissue Distribution of Bexarotene Nanocrystals with Surface Modification by Folate-Chitosan Conjugates

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***SUMMARY*. The objectives of this current study were to investigate the tissue biodistribution and pharmacokinetic properties of bexarotene nanocrystals with surface modification by folate-chitosan conjugates (FC-NC-Bex) with that of bexarotene solution (Sol-Bex) after intravenously administration to mice. The results of pharmacokinetic research demonstrated that the $AUC_{0\sim\infty}$ and MRT of FC-NC-Bex were significant increased compared to Sol-Bex. It could clearly be seen that the nanocrystals reservoir was formed in circulation, released slowly drug and prolong circulation time *in vivo*. The tissue distribution studies revealed that FC-NC-Bex markedly improved the concentrations of bexarotene in lung and reduced the concentrations in heart and kidney and had more significant lung targeting characteristics compared with Sol-Bex, which made FC-NC-Bex a promising candidate for the treatment of lung diseases.**

RESUMEN. Los objetivos de este estudio fueron investigar la biodistribución tisular y las propiedades farmacocinéticas de nanocristales de bexaroteno con modificación superficial de conjugados de folato-quitosano (FC-NC-Bex), comparados con solución de bexaroteno (Sol-Bex) después de la administración intravenosa a ratones. Los resultados de la investigación que la farmacocinética demostraron que $AUC_{0\sim\infty}$ y MRT de FC-NC-Bex fueron significativas mayores en comparación con Sol-Bex. Se pudo apreciarse claramente que el depósito de nanocristales se formó en la circulación, liberando lentamente las drogas y prolongando el tiempo de circulación *in vivo*. Los estudios de distribución tisular revelaron que FC-NC-Bex mejora notablemente las concentraciones de bexaroteno en el pulmón y reduce la concentración en corazón y riñones y mostró características de focalización pulmonar más significativas que Sol-Bex, lo que convierte a FC-NC-Bex en un candidato prometedor para el tratamiento de enfermedades pulmonares.

KEY WORDS: Bexarotene, Nanocrystals, Surface modified, Folate-chitosan conjugates, Pharmacokinetics, Tissue distribution

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