Pharmacokinetics and Anti-Hypertensive Effect of Metoprolol Tartrate Rectal Delivery System

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Abstract:

The main aim of this work was to develop rectal suppositories for better delivery of metoprolol tartrate (MT). The various bases used were fatty, water soluble and emulsion bases. The physical properties of the prepared suppositories were characterized such as weight variation, hardness, disintegration time, melting range and the drug content uniformity. The in vitro release of MT from the prepared suppositories was carried out. The evaluation of the pharmacological effects of MT on the blood pressure and heart rate of the healthy rabbits after the rectal administration compared to the oral tablets was studied. Moreover, the formulation with the highest in vitro release and the highest pharmacological effects would be selected for a further pharmacokinetics study compared to the oral tablets. The results revealed that the emulsion bases gave the highest rate of the drug release than the other bases used. The reduction effect of the emulsion MT suppository base on the blood pressure and heart rate was found to be faster and greater than that administered orally. The selected emulsion suppository base (F11) showed a significant increase in the AUC (1.88-fold) in rabbits as compared to the oral tablets. From the above results we can conclude that rectal route can serve as an efficient alternative route to the oral one for systemic delivery of MT which may be due to the avoidance of first-pass effect in the liver.

Keywords:

Antihypertensive, metoprolol tartrate, pharmacokinetics, rectal administration, suppository bases

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