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Transdermal Therapeutic System of Lercanıdipine Hydrochloride: Fabrication and in Vivo Evaluation

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Abstract : Introduction: Lercanidipine hydrochloride (LD), an effective calcium channel blocker, widely used for the treatment of chronic stable angina and hypertension seems to be potential transdermal therapeutic system candidate, mainly due to its low oral bio availability, short half life and high first-pass metabolism. Objective: To develop transdermal therapeutic systems for LD and to evaluate its in vivo performance in rabbits. Methodology: Transdermal patches of LD were formulated using the polymer blend of eudragit RL100 (ERL) and polyvinyl pyrolidone (PVP) by casting method Propylene glycol (PG) and tween 80 were used as plasticizer and permeation enhancer respectively. The pharmaco kinetic parameters of LD after the administration of transdermal patches was compared with that of oral administration. The study was carried out in a two way crossover design in male New Zealand albino rabbits. Results: The formulation with ERL: PVP ratio 1:4 with 15% w/w PG as plasticizer and 4% w/w tween 80 as permeation enhancer showed the best drug release results. The pharmacokinetic parameters such as Cmax, tmax, mean residence time (MRT) and area under the curve (AUC 0-∞) were significantly different following transdermal administration compared to oral administration. The terminal half life of transdermally administered LD was found to similar that of oral administration. A sustained drug release over a period of 24 hrs was observed after transdermal administration. Conclusion: The fabricated transdermal delivery system have the potential to provide controlled and extended drug release, better bio availability and thus, this may improve the patient compliance.

Keywords : transdermal therapeutic system, lercanidipine hydrochloride, eudragit, skinpermeation
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