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论著

基于粉末直接压片工艺的硝苯地平缓释片：制备、体外释放度及比格犬体内药动学评价

张信中*，吴 娟， 张 洪

（北京京丰制药有限公司， 北京 100070）

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摘要 目的 选用粉末直接压片工艺，以羟丙基甲基纤维素为骨架材料制备日服1次的硝苯地平缓释片。方法 建立24 h的释放度测定方法并进行硝苯地平缓释片的体外评价；应用液相色谱 质谱联用技术研究缓释片在比格犬体内药代动力学，与市售参比制剂对比并计算相对生物利用度。结果 受试制剂和参比制剂有相似的药代动力学参数，相对生物利用度为（100.9±12.4）%；药物体外累积释放百分数与体内吸收百分数有较好的相关性，r=0.962 5。结论 本工艺制得的硝苯地平缓释片可以达到缓释24 h的要求。

关键词 硝苯地平； 缓释片； 直接压片； 相对生物利用度； 药代动力学； 液相色谱-质谱联用

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Nifedipine sustained-release matrix tablets based on direct compression: preparation, *in vitro* release, and *in vivo* evaluation in beagle dogs

ZHANG Xin-zhong, WU Juan, ZHANG Hong

（Beijing Jingfeng Pharmaceutical CO., Ltd， Beijing 100070, China）

Abstract

Objective Using the direct compression method, sustained-release matrix tablets for once daily administration of nifedipine were developed. **Methods** The nifedipine tablets were prepared with hydroxypropylmethylcellulose as basic matrix material. The dissolution of nifedipine from the tablets was evaluated as a formulation that had a sustained release over 24 h. The pharmacokinetic parameters of beagle dogs were investigated by the HPLC-MS/MS method. Compared with control marketed tablets, the relative bioavailability of the test tablets was calculated. **Results** The pharmacokinetic parameters of the test tablets were similar with the reference tablets, which indicated that the test tablets possessed excellent sustained release performance. Relative bioavailability of the test tablets to control was (100.9±12.4)%. Statistics analysis showed that the release percentage of nifedipine from tablets in vitro was related to the drug absorption rate in vivo, r=0.962 5. **Conclusion** The test nifedipine tablets could be an ideal 24 h sustained-release formulation.

Key words nifedipine sustained-release matrix tablets direct compression relative bioavailability pharmacokinetics HPLC-MS/MS

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