Formulation Design and Evaluation of Metronidazole Microspheres in a Bioadhesive Gel for Local Therapy of Vaginal Candidiasis

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SUMMARY. The purpose of this study was to design a novel vaginal delivery system composed of metronidazole microspheres dispersed within a bioadhesive gel. Microspheres were prepared by solvent evaporation method using Eudragit RS-100 and RL-100 polymers with different drug/polymer ratios. Microspheres were characterized by SEM, DSC, FT-IR and particle size analysis and evaluated for morphology, drug loading and *in vitro* drug release in simulated vaginal fluid. The % yield, actual drug loading and encapsulation were found to range between 79 ± 0.5 to $94 \pm 0.6\%$, 19.6 ± 0.27 to 35.91 ± 0.66 %, 69.3 ± 0.78 to 81.2 ± 0.36 %, respectively. The FT-IR and DSC spectra revealed that there was no chemical interaction between drug and polymers used. SEM revealed that microspheres were spherical with nearly smooth surface morphology with a mean particle size ranging from 177 ± 0.4 to $456 \pm 0.5 \mu$ m. The formulation F9 have shown better *in vitro* release 99 % at 10 h. To achieve bioadhesion to mucosal tissue, formulation F9 was incorporated in the bioadhesive gel made of carbopol 934P. Metronidazole microspheres gel (MTZ-MG) was characterized by *in vitro* drug release and antifungal activity. The drug release was controlled up to 12 h. Inhibition effect on the *C. albicans* j1012 growth, suggested their effectiveness in the treatment of vaginal candidiasis. It may be concluded from present study that MTZ-MG can be used as a novel delivery system for local therapy of vaginal candidiasis.

KEY WORDS: Bioadhesive gel, Metronidazole microspheres, Vaginal candidiasis.

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