FORMULATION AND EVALUATION OF MUCOADHESIVE VAGINAL TABLET OF POSACONAZOLE

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Abstract:

Posaconazole is a broad-spectrum, second generation, triazole compound with antifungal activity that is used to treat invasive infections by Candida species and Aspergillus species in severely immunocompromised patients. But its poor solubility in water makes it largely unavailable. Therefore HP-β-cyclodextrin is used to form inclusion complex with posaconazole to improve its aqueous solubility without changing its antifungal activity. The aim of the present study was to formulate and evaluate mucoadhesive vaginal tablet containing posaconazole-HP-β-CD complex to achieve good therapeutic effect with good mucoadhesion which ultimately reduce the dosing frequency in the treatment of vaginal candidiasis and also enhances the bioavailability of drug. Mucoadhesive vaginal tablet containing chitosan and HPMC K15M were used as mucoadhesive polymers to increase the residence time of the formulation in vagina and effervescent mixture (sodium bicarbonate: citric acid) was incorporated into the formulations to enhance the swellability of formulation. Drug excipients compatibility study was carried out using Fourier transform infrared spectroscopy which shows that neither drug decomposition nor drug-excipients and excipients-excipients interactions occurred in the formulation. Optimization of formulation was done using 3^2 full factorial design for optimization of the concentration of chitosan and HPMC K15M. All the formulation were evaluated for weight variation, hardness, thickness, drug content, swelling index, ex-vivo mucoadhesion study and in-vitro drug release study of the tablets in simulated vaginal fluid with different proportions of mucoadhesive polymer and

effervescent in formulations. An optimized batch containing 100 mg polymer mixture of chitosan: HPMC K15M in ratio of 1:2 and 30 mg of effervescent mixture showed good controlled release effect and moderate bioadhesion in terms of weight variation, hardness, thickness, drug content, % swelling index, ex-vivo mucoadhesion study and in-vitro drug release study. The stability studies showed that the developed mucoadhesive vaginal tablet formulation was stable after one month as there is no significant changes in % swelling index, ex-vivo mucoadhesion study and drug content for period of one month. Thus, our present study provides a potential therapeutically effective vaginal tablet formulation of posaconazole for vaginal delivery.

Keywords: HP-β-Posaconazole, Vaginal Candidiasis, mucoadhesive vaginal tablet, mucoadhesive polymers, Effervescent agents.