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Formulation and In vivo Evaluation of Venlafaxine Hydrochloride Long Acting Tablet

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Abstract : Venlafaxine HCl is a novel antidepressant drug used in the treatment of major depressive disorder, generalized anxiety disorder, social anxiety disorder and panic disorder. Conventional therapeutic regimens with venlafaxine HCl immediate-release dosage forms require frequent dosing due to short elimination half-life of the drug and reduced bioavailability. Hence, this study was carried out to develop sustained-release dosage forms of venlafaxine HCl to reduce its dosing frequency, to improve patient compliance and to reduce side effects of the drug. The polymers used were hydroxypropylmethyl cellulose, xanthan gum, sodium alginate, sodium carboxymethyl cellulose, Carbopol 940 and ethyl cellulose. The physical properties of the prepared tablets including tablet thickness, diameter, weight uniformity, content uniformity, hardness and friability were evaluated. Also, the in-vitro release of venlafaxine HCl from different matrix tablets was studied. Based on physical characters and in-vitro release profiles, certain formulae showing promising sustained-release profiles were subjected to film coating with 15% w/v EC in dichloromethane/ethanol mixture (1:1 ratio) using 1% w/v HPMC as pore former and 30% w/w dibutyl phthalate as plasticizer. The optimized formulations were investigated for drug-excipient compatibility using FTIR and DSC studies. Physical evaluation of the prepared tablets fulfilled the pharmacopoeial requirements for tablet friability test, where the weight loss of the prepared formulae did not exceed 1% of the weight of the tested tablets. Moderate release was obtained from tablets containing HPMC. FTIR and DSC studies for such formulae revealed the absence of any type of chemical interaction between venlafaxine HCl and the used polymers or excipients. Forced swimming test in rats was used to evaluate the antidepressant activity of the selected matrix tablets of venlafaxine HCl. Results showed that formulations significantly decreased the duration of animals' immobility during the 24 hr-period of the test compared to non-treated group.

Keywords : antidepressant, sustained-release, matrix tablet, venlafaxine hydrochloride
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