

Formulation & evaluation of sustained release microspheres of Ropinirole hydrochloride

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Preparation of sustained release microcapsules of water-soluble pharmaceuticals poses a great challenge to pharmaceutical scientists. Sustained release microspheres of ethylcellulose and bees wax of Ropinirole HCl, which is a highly water soluble drug were successfully prepared and characterized. Ethyl cellulose microspheres were prepared by w/o type emulsion solvent evaporation techniques and bees wax based microspheres was prepared by spray drying techniques. Thus the sustained release microsphere of ropinirole hydrochloride for 12 h were successfully design, optimized and characterized by using potential polymers ethylcellulose and bees wax.

Keywords: Sustained release microspheres, Ropinirole Hydrochloride, Solvent evaporation, Spray drying.

Introduction: Ropinirole HCl is a selective non-ergoline dopamine D2 receptor agonist indicated for use in treating Parkinson's disease. Ropinirole HCl is a highly water soluble drug (133 mg/mL). It is rapidly absorbed from the gastrointestinal tract and mean peak plasma concentrations have been achieved within 1.5 h after oral doses. The starting dose of ropinirole HCl is 2 mg taken once daily for 1 to 2 weeks followed by increases of 2 mg/day for one week and so on. For that patient has to take conventional IR tablet 3-4 times a day. Based on all above facts that to achieve desired therapeutic effect, to minimize the side effects and to improve the patient compliance the objective was to develop sustained release microspheres for Ropinirole HCl.

Experimental Method: Weighed amounts of RPN and ethyl cellulose (EC) were dissolved in ethanol separately by using magnetic stirrer (Remi, Mumbai). Drug solution was added to ethyl cellulose solution and mixed for 15 minutes. This solution was added in a thin stream to a mixture of 90 ml light liquid paraffin and 10 ml n-heptane contained in a 250 ml beaker which contains 2 % v/v of span 80, while stirring using a mechanical stirrer (Remi, Mumbai). Stirring was continued for 2 h at RT until ethanol evaporated completely and microspheres were formed. The formed microspheres were initially filtered through nylon cloth and finally filtered through Whatman no.41 filter paper. The residue was washed 4-5 times with 50 ml portions of petroleum ether. The product was then dried at room temperature for 24 hours. All batches were prepared in triplicate. Capsules were selected as dosage form for microspheres which is more suitable and convenient. Selection of suitable capsules capacity was done on the basis of bulk density of microspheres and fillers. Calculated amount of RPN microspheres were blended with filler and glidant and filled in the capsule.

Result & Discussion: In the present study, microspheres were prepared by emulsion-solvent evaporation method. For preparation of w/o type of emulsion polar organic solvent was employed as 'w' phase in o/w type of emulsion system. The SEM photomicrographs of ethyl cellulose & wax based microspheres which were prepared by solvent evaporation & spray drying method respectively as shown in figures: a & b respectively. The dissolution profile of optimized ethylcellulose microspheres and wax based microspheres are shown in figure: c & d respectively.

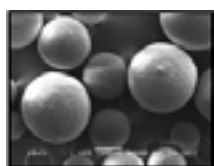


Fig.(a)

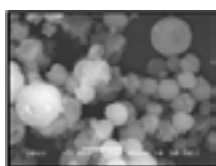


Fig.(b)

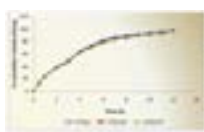


Fig.(c)



Fig.(d)

Fig.(a) SEM photomicrograph of ethylcellulose microspheres prepared by solvent evaporation at x85

Fig.(b) SEM photomicrograph of wax based microspheres prepared by spray drying at x 5,000

Fig.(c) Dissolution of optimized formulation of ethyl cellulose based microspheres at 40°C / 75 %RH during stability study

Fig.(d) Dissolution of optimized formulation of wax based microspheres at RT during stability study

Conclusion: The sustained release microspheres of Ropinirole hydrochloride were successfully designed, optimized and characterized by using potential polymers; ethylcellulose and bees wax.

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