

Research Article



Formulation Development and Evaluation of Sustained Release Microsphere of Levetiracetam

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ABSTRACT

The aim of the present work was to develop and evaluate of oral microsphere of Levetiracetam to reduce the frequency of dosing by achieving 12 hours sustained drug release. The microsphere formed will also mask the bitter taste of the drug and thus increase the compatibility of the drug with the patients. Levetiracetam is a second-generation anti-epileptic agent useful in the treatment of partial onset and monoclinic seizures. It has a short half life of 7 hours and its recommended dose is 500 mg twice a daily. Microspheres are suitable drug delivery system for such drug candidate. For these reasons it is must to formulate a suitable dosage form by which it will be easier to administer the dose and also to get a sustained drug release hence microsphere was prepared using solvent evaporation method. Preformulation studies were carried out to rule out any drug polymer interaction by FTIR technique. In this study formulation was done solvent evaporation method using different percentage of HPMC- K 100, HPMC- K 15 and coated with Eudragit S100. Drug, polymer and physical mixture were evaluated for in compatibility study by Fourier transforms infrared spectroscopy. All the batches of microsphere (F1 to F5) were subjected for in vitro dissolution. Microsphere was evaluated for surface morphology, micromeritics properties, entrapment efficiency and in vitro drug release. The entrapment efficiency of microsphere ranged from 71.16%-73.66%. The size of the prepared microsphere ranges between 42.8 μm to 55.64 μm which was found to increase with increase in RPM at same polymer ratio. Micromeritics studies showed good flow properties. Among the microsphere batches, F-5 was observed as an optimized batch as its formulation with polymer i.e. Eudragit-S 100 and HPMC-K 100 was found to be release in sustained manner. The F-5 batch shows is 79.45% drug release at the end of 7 hrs and its stability study indicate that these microspheres were stable at selected temperature and humidity while storage for 28 days without any significant changes in drug contents and drug release characteristics.

Keywords: Levetiracetam, Microsphere, HPMC K 100, HPMC K15, Eudragit S-100.

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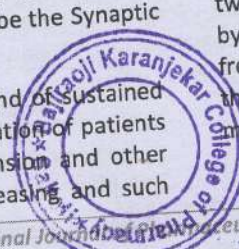
INTRODUCTION

Levetiracetam (S)- α -ethyl-2-oxo-1-pyrrolidine acetamide is a broad-spectrum anti-epileptic drug that was approved by the U S Food and Drug Administration in 1999 and has quickly become one of the widely prescribed drugs for the treatment of partial and generalized epilepsy. While it is structurally unrelated to other anti-epileptic drugs, it is structurally related to nootropic agent piracetam. Levetiracetam is not considered a substrate for multi- drug transporters.¹ Levetiracetam has novel mechanism of action involving an interaction with a novel binding site on the synaptic plasma membrane recently discovered to be the Synaptic Vesicle protein 2A.²

In today's time there is a growing demand of sustained release drug delivery system.³ The population of patients with diseases such as epilepsy, hypertension and other chronic diseases has recently been increasing, and such

situations necessitate to take drug for a long period and/or multiple medicines simultaneously, which can lead to increase in non-compliance. The problem would be worse for drugs with short biological half-life. One method to solve such problem is to find a dosage form capable of releasing the drug gradually in a controlled manner. Epilepsy is a chronic neurological disorder affecting 40 million people worldwide and up to 30% of all seizures are provoked by CNS disorders. These seizures may become recurrent and require chronic treatment with antiepileptic drugs. Therefore, there is an ongoing need for new antiepileptic drug options without the limitations of multiple dosing. Epilepsy therapies with more convenient dosing schedules may help encourage greater patient compliance, which is important for effective seizure control. Levetiracetam is a second-generation anti-epileptic drug for the treatment of partial onset and myoclonic seizures. According to Biopharmaceutics Classification System, Levetiracetam is type -1 drug which has high water solubility and permeability. The short half-life of the drug with bitter taste and a high dose of 500 mg twice a daily makes it very incompatible for patients. Thus by formulating a dosage form that could reduce the dosing frequency one could increase the patient compatibility.

In the present research endeavor, levetiracetam microspheres were proposed to be developed to reduce



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