Optimization and Characterization of a Parenteral In Situ Forming Gel Formulation of Tramadol to Use in Chronic Pains

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Abstract

Introduction: Targeted delivery systems such as smart polymers based on in situ forming gel can form controlled release formulations. These smart polymers based on in situ forming gel are thermosensitive and converse to gel in the site of injection and body temperature. Tramadol is an opiate-like analgesic that has tendency to μ-receptors of opioids. It inhibits the re-uptake of monoamines and serotonin in the central nervous system. In this research our goal is preparation, optimization and characterization of a parenteral in situ forming gel formulation of tramadol to use in chronic pains.

Methods and Results: Optimization of formulation done by a D-optimal method. We found the effect of different factors such as; polymers' concentrations, type and concentration of gelating agent on gelation time. The prepared in situ forming gel formulation in vitro were fully characterized. Morphologic study such as AFM and drug release in PBS environment with Franz cell were done. The release kinetics study was also performed.

In optimum situation, the resulted concentration of chitosan, glycerophosphate, poloxamer F-127 and TPP are 1%, 14.5%, 20% and 0.5%. Gelation time and temperature were validated and the results show about 1.5 minutes and 37 ℃ respectively. The drug release profile of free drug showed the fastest release rate with about 100% at 8 h whereas the formulations with TPP and without TPP were about 94% and 38% at 28 h respectively.

Conclusions:

A parenteral in situ forming gel formulation was designed and in vitro evaluation showed that our gel formulation has a uniform texture and can release drug through its nanostructured pores, properly. So, it can be useful in chronic pains, according to its features and reduces the frequency of consumption.

Key words: Tramadol, in-situ forming gel system, thermosensitive gel, smart polymer

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