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Design of a polymeric microparticle formulation of prilocaine

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Abstract: The use of injectable local anesthetics for the treatment of severe postoperative pain is limited by the short duration of the painkilling effect. A time controlled delivery system could avoid several administrations, use of catheters and hospitalization. The aim of the work was the development, optimization and characterization of an injectable microparticle formulation of prilocaine, an amino-amide type local anesthetic suitable for intravenous, subcutaneous and intramuscular administration.

Materials and methods: The base form of the drug was extracted in dichloromethane from an alkalinized suspension of prilocaine hydrochloride. The microparticles were prepared by the double emulsion method using PLGA polymer (type RG504) and polyvinyl alcohol (PVA). In order to calculate the efficacy of encapsulation, the content of non-encapsulated drug in the filtrate was assayed by UV-VIS spectrophotometry (indirect determination). The encapsulated drug was quantified after disruption of microparticles in organic solvents (direct determination). The morphology and size of MP were investigated by microscopy and dynamic light scattering analysis. In order to optimize the formulation, the variation of formulation and technological parameters was investigated. In vitro drug release studies of the optimized formulation were also performed.

Results and conclusions: Microparticles of PLGA encapsulating the local anesthetic prilocaine were developed and fully characterized. The optimized formulation, that is biocompatible and able to provide a sustained drug release, could represent a novel pharmacological tool in the treatment of postoperative pain.

Palabras clave: Prilocaine. PLGA microparticles. Local anesthesia.

Investigación Básica
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