Polymeric nanosuspensions for the ophthalmic delivery of NSAIDS

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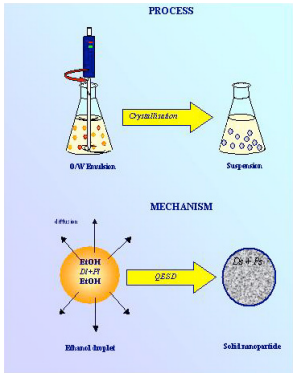
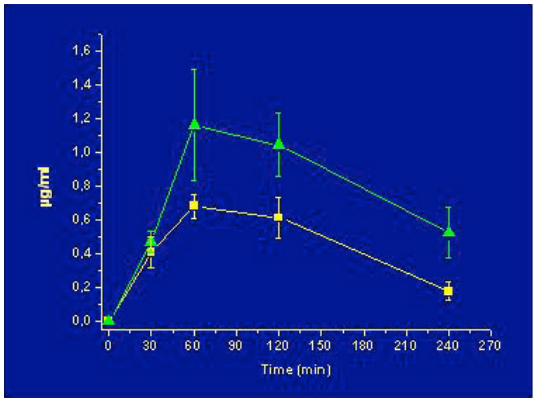
The University of Catania has developed a drug carrier systems for the ophthalmic controlled delivery of drugs. Nanoparticle suspensions made from inert acrylate polymers (Eudragit® Retard) were prepared containing NSAID agents. The local availability in the aqueous humour of the carried drugs was increased in respect to commercial eye-drops. However, the nanosuspensions were perfectly tolerated by eye tissues. Industrial partners are looking for development of therapeutic applications of the above technology.



Schematic description of the Quasi-Emulsion-Solvent Diffusion method

Appearance of Eudragit ® Retard nanosuspensions loaded with flurbiprofen or cloricromene



Aqueous humour cloricromene levels (rabbit) after administration of a drug aqueous solution (yellow) or the drug-loaded RL100 nanosuspension (green)

1. Description of the product

Different series of colloidal polymeric nanoparticle suspensions for the ophthalmic administration of FANS like ibuprofen, flurbiprofen and cloricromene were prepared.

Nanoparticle systems (based on Eudragit® RS100 and RL100) are prepared mixing an ethanol drug and polymer co-solution in an aqueous medium containing a little amount of a hydrophilic surfactant.

By the optimisation of some formulation variables nanosuspensions with very small size (35 to 200 nm) and a positive surface charge, compatible with the ophthalmic use were obtained. Nanosuspensions are stable for at least 24 months and can be freeze-dried.

Evaluations in vivo on rabbits showed a significant pharmacological activity against ocular inflammation, a great tolerability and increase of drug local bioavailability, compared to aqueous solutions or commercial eye-drops.

These nanoparticle carriers are very interesting for pharmaceutical companies which operate in the ophthalmic area. Important applications of polymeric nanosuspensions refer also to other way of drug administration, i.e. oral or transmucosal ones.

2. Innovative aspect of the product

Most of ocular disease are treated with eye-drops. One of the problem associated with these formulations is the quick pre-corneal drug loss. For this reason, many studies have been directed toward new drug controlled release systems. Nanoparticles are very efficient systems because of their property to extend the duration of action.

The peculiarity of the described system is the use of polymer matrices containing a low percentage (about 5 or 10%) of quaternary ammonium groups. The presence of cationic groups allows to create with anionic drug molecules, such as most NSAIDs, electrostatic interactions that will reinforce the simple physical dispersion of drugs inside the polymer network. Consequently, a wider possibility of increasing the drug loading and modulating the drug release is offered.

3. Main advantages of the offer

The positive aspects of colloidal systems, compared with traditional pharmaceutical drugs, can be resumed as follow:

- prolonged time of contact with the eye tissues (with the consequence of a reduced number of applications)
- the technological possibility of modulate drug loading and release properties by changing the polymer composition
- achievement of relevant drug concentrations in the aqueous humour
- a better mean to administrate drugs, i.e. not irritating and comfortable device, through the ocular way

4. Technology key words

Ocular drug delivery; Polymers; Eudragit; NSAIDs; Controlled release; Nanoparticles; Nanosuspension; Eye tolerability

5. Current Stage of Development

Available for demonstration – field tested.

6. Intellectual Property Rights

No IPR issue applied

Technical and scientific publications

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