Eudragit® polymers in pharmaceutical technology - a world of possibilities

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1. Introduction

Eudragit® polymers act as key materials in controlled release formulations development. The aim of controlled release of active pharmaceutical ingredient (API) from dosage forms is achieving better therapeutic effect, by eliminating the possibilities of sub-dosing or over-dosing.

The name Eudragit® polymers hides within itself a great variety of different polymethacrylate polymers with various solubility characteristics, which makes them suitable for controlled release formulations. They are manufactured in German city, Darmstadt by Evonik Industries (former Röhm & Haas GmbH). They are produced by polymerization of acrylic and methacrylic acid and their esters, and their physical-chemical properties are dependent on functional groups.

Availability of Eudragit® polymers in different forms (powder, granules, organic solution or aqueous dispersion) is customer friendly, providing easiness in handling and processing, as well as specific application. They can be combined in different ratios, to give specific, tailored release profile of API.

2. Materials and methods

A brief review of selected reference texts on the use of Eudragit® polymers in the field of pharmaceutical technology, namely in dosage form formulation.

3. Results and discussion

3.1. Eudragit® polymers in ocular API delivery

The greatest problem in conventional ocular systems, like eyedrops or ointments is the lacrimation, that flushes away API very soon after administration, so the API has short contact time with ocular surface, resulting in low absorption and short therapeutic effect. One possible elegant solution to overcome this problem is the use of Eudragit® polymers, which can prolong contact time of API with eye by prolonging its release from dosage form.

Nanosuspensions and ocular films made from Eudragit® RL and/or Eudragit® RS with different active substances were able to prolong API release from 2h up to 120h.

3.2. Eudragit® polymers in buccal API delivery

The most desirable feature of systems for buccal API delivery is the ability to formulate a barrier with good mucoadhesive strength that will prolong contact time of API and buccal mucus, leading to better absorption and bioavailability. Buccal drug delivery systems formulated with Eudragit® L or a combination of Eudragit® NE and performed prolonged release over 6h.

3.3. Eudragit® polymers in transdermal API delivery

Transdermal delivery is painless method to deliver API through intact skin into the bloodstream. This is the way to avoid first pass metabolism, assure prolonged release and improve patients' compliance.

Transdermal patches and films showing prolonged release over 48h were formulated with Eudragit® RS, RL, E or NE individually or in a combination.

3.4. Eudragit® polymers in pulsatile release systems

Particularly interesting pharmaceutical dosage forms developed with the aid of Eudragit® polymers are systems with pulsatile (sigmoidal) API release. Pulsatile release is characterized by lag-time (no release) after which an explosive release of the API occurs. This kind of release is very desirable and important in chronotherapy, in which an appropriate dose of drug is provided to each individual patient when disease symptoms occur. In this case, the drug is most needed. Coatings made of Eudragit® RS are insoluble in wide pH-range. In aqueous media, however, they swell and hydrate, and due to the presence of ionized quaternary ammonia groups, they become permeable to different APIs. Depending on proper dissolution media selection, various release profiles can be obtained. These profiles appear to be pH dependent. As Eudragit® RS is pH-independent, the difference between release profiles is due to ionic interactions between Eudragit® quaternary ammonia groups and anions in dissolution media. When anion (acid) was included in the coating formulation, a sigmoidal release profile would be achieved. The studies carryed out showed that different lag-times can be achieved simply by varying coating thickness.

4. Conclusion

Eudragit® polymers give immense versatility and open hands when it comes to formulation and development of pharmaceuticals. They can be used to mask taste/odor or provide moisture protection. Yet, their ability to control API release from dosage form is much more interesting to pharmaceutical technologists. The control can be achieved by pH, time or ionic exchange. Very interesting feature of these polymers is obtaining pulsatile release, which represents a formulation response to chronotherapy.

References

[1] Evonik Nutrition & Care GmbH. Eudragit® RL100, Eudragit® RLPO, Eudragit® RS100 and Eudragit® RSPO. Evonik Industries, Darmstadt, 2015.

[2] Knop K., Influence of buffer solution composition on drug release from pellets coated with neutral and quaternary acrylic polymers and on swelling of free polymer film, Eur J Pharm Sci, 1996, 4, 293-300.

[3] Wagner K.G., Gruetzmann R., Anion-induced water flux as drug release mechanism through cationic Eudragit RS30D film coatings, AAPS J, 2005, 7(3), E668-77.

[4] Narisawa S., Nagata M., Danyoshi C. et al., An organic acid-induced sigmoidal release system for oral controlled-release preparations. Pharm Res, 1994, 11(1): 111-6.

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