

# THE MODERN AUXILIARY SUBSTANCES USED IN THE TABLET MANUFACTURING TO PROLONG THE THERAPEUTIC EFFECT

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The cardiovascular diseases are the urgent problem of our time. It's one of the main reasons of population mortality.

In order to achieve therapeutic effect, a drug needs to reach the right place in the body at the right time. For some drugs, this may be achieved by simple solutions or solid dosage forms with an instant drug release while, for others, one has to modify the drug release. The clinical effect of low - molecular - weight substances is often related to the concentration of the drug in the blood plasma.

The stability of a drug in the solid state or in aqueous solution is a critical parameter when selecting an appropriate manufacturing process. A drug in an oral extended-release (ER) formulation reaches aqueous environments with, for example, variations in pH (1 –8), ionic strength, and bile salt concentration, which requires high chemical stability of the drug. Furthermore, the substance should be stable not only against chemical degradation such as hydrolysis but also against enzymatic degradation (metabolism) during the passage from the lumen to the systemic blood circulation.

The main principles related to ER systems are as follows: insoluble matrix formulations; membrane - coated solid dosage forms including osmotic pump systems; soluble hydrophilic matrix formulations.

The term *insoluble matrix tablet* refers to tablets in which the drug is embedded in an inert carrier that does not dissolve in the gastrointestinal fluids. The carrier material in insoluble matrix tablets can be based on insoluble lipids or polymers, both matrix builders whose function it is to keep the matrix together during the passage through the gastrointestinal tract and thus prolong the diffusion path of the drug before it is released from the formulation.

One way to protect the drug from being directly released is to coat the system with an insoluble film. This can be achieved, for example, by enteric coatings, where the film - forming materials are insoluble in aqueous solutions at low pH but soluble at high pH values. As film - forming material, water - insoluble substituted cellulose derivatives such as ethylcellulose have been suggested as well as synthetic polymers such as methylacrylates.

Hydrophilic matrix tablets are composed of an active substance, a hydrophilic polymer, release modifiers, lubricants, and glidants. So the rational choice of the excipients promotes the modified release of the drugs.