MODIFIED-RELEASE PARTICLES BASED ON POLYELECTROLYTES AND ON AN ACTIVE PRINCIPLE AND PHARMACEUTICAL FORMULATIONS CONTAINING THESE PARTICLES

ABSTRACT

The present invention relates to novel particles comprising polyelectrolyte polymers which are transporters of active principle (AP), in particular protein and peptide active principle, and to novel modified-release pharmaceutical formulations comprising said AP microparticles.

These novel particles charged with AP release the AP over a prolonged period of time of several days, indeed even several weeks.

The invention relates, in a first aspect, to particles comprising:

a) a first polyelectrolyte polymer (PE1) in the charged state, carrying side hydrophobic groups (GH), said first polyelectrolyte polymer (PE1) spontaneously forming, in water, a colloidal solution of particles at at least one pHm value of the pH of between 3 and 8;

b) a second polyelectrolyte polymer (PE2) of opposite polarity to the first polyelectrolyte polymer (PE1), said second polyelectrolyte polymer (PE2) forming, in water, a solution or a colloidal solution at at least said pHm value of the pH;

c) at least one active principle (AP) associated noncovalently with the particles of the colloidal solution of the first polyelectrolyte polymer (PE1);

said particles being obtained by mixing, at a pH equal to pHm, the first polyelectrolyte polymer (PE1), in the form of a colloidal solution of particles associated with the active principle (AP), with the second polyelectrolyte polymer (PE2), in the form of a solution or colloidal solution.

The invention also relates to the process for the preparation of these particles, to a pharmaceutical formulation comprising such particles and to a process for the preparation of medicaments.