ABSTRACT

MICROPARTICULATE ORAL GALENICAL FORM FOR THE DELAYED AND CONTROLLED RELEASE OF PHARMACEUTICAL ACTIVE PRINCIPLES

The invention relates to a microparticulate system for the delayed and controlled release of active principles (AP) whose absorption window in vivo is essentially limited to the upper parts of the gastrointestinal tract, this system being intended for oral administration. The object of the invention is to provide a system ensuring that the AP is released with certainty by means of a dual mechanism of "time-dependent" and "pH-dependent" release. To achieve this object, the invention proposes a multimicrocapsular oral galenical form which is designed so as to guarantee therapeutic efficacy, and in which the release of the AP is governed by a dual release triggering mechanism that is "time-triggering" and "pH-triggering". This system consists of microcapsules (200 to 600 μm) comprising a core of AP coated with a film (maximum 40% by weight) comprising a hydrophilic polymer A (Eudragit® L) and a hydrophobic compound B (vegetable wax, melting point = 40-90°C), B/A being between 0.2 and 1.5. These microcapsules have a dissolution behavior in vitro such that, at a constant pH of 1.4, a latency phase of between 1 and 5 hours is observed, followed by a release of the AP, and such that the change from pH 1.4 to pH 6.8 results in a release of the AP without a latency period in vitro.



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