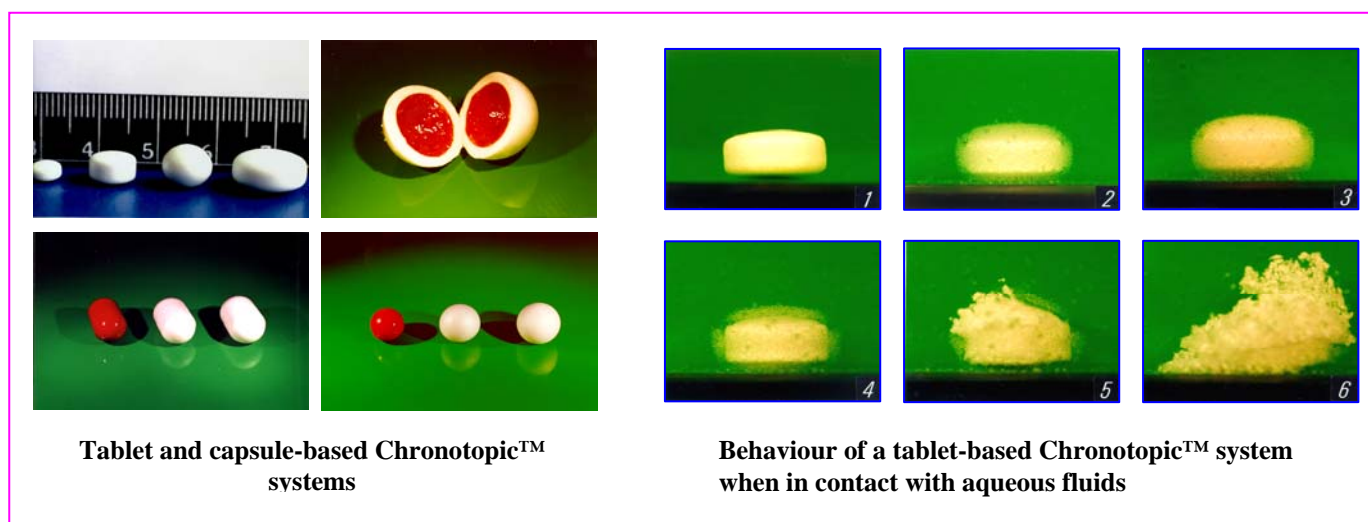


## Oral Drug Delivery System for Colon Targeting

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Colon delivery is described as a potentially advantageous strategy for the therapy of local pathologies and the oral administration of peptides/proteins intended for systemic action. While the distal colon can even be targeted via the rectal route, proximal large bowel districts are only reached via oral administration. Based on this premises, the present delivery technology has been developed to provide oral time-controlled colon delivery.



### 1. Description of the product

The proposed colon delivery system consists of a single- (tablets, capsules) or multiple-unit (minitablets, pellets) drug core, coated with a hydrophilic swellable polymer that is able to delay the release for a programmable time period. Externally, an enteric film is applied, so that the variability in gastric emptying time is overcome and time-dependent colon targeting can be pursued relying on the relative consistency in the small intestinal transit time of dosage forms. Proof-of-concept data confirming the suitability of this delivery platform for selectively releasing active compounds into the large bowel have been achieved through in vivo pharmacokinetic,  $\gamma$ -scintigraphic and pharmacoscintigraphic studies in healthy volunteers.

### 2. Innovative aspect of the product

The innovation potential of this technology is chiefly related to the high compliance and cost-effectiveness proper to oral drug delivery systems coupled with the increasing interest that is currently addressed to colon targeting because of strong underlying rationales. These mainly include the need for inflammatory bowel disease (IBD) treatments with improved efficacy and tolerability and, in addition, the pursuit of enhanced oral bioavailability for peptides and proteins, which have become available on a large scale following the advent of biotechnologies. Indeed, the impressive applications of such drugs are heavily constrained by well-known stability, biodegradability and permeability issues that in most cases hinder their administration via non-parenteral routes.

### 3. Main advantages of the offer

The main advantages connected with this delivery platform consist in the relative simplicity of design, working principle and manufacturing. Particular emphasis is laid on the possibility of using conventional pharmaceutical equipment and materials with consolidated safety profile, ease of handling, general availability in several grades and reasonable costs as well. The avoidance of organic solvent-based spray-

coating procedures and of complicated multiple-step processes is also highlighted. Finally, the versatility in terms of starting core formulations (single- or multiple-unit, immediate- or prolonged-release) as well as drugs to be delivered (different physical-chemical properties and pharmacological indications) would likely allow potentially diverse technological and biopharmaceutical needs to be fulfilled.

Relying on these premises, this delivery technology is reasonably expected to meet general feasibility and scale-up criteria and to involve a relatively limited regulatory burden.

#### **4. Technology key words**

Chronotopic™ Technology, time- and site-controlled delivery systems, colon delivery, pulsatile release

#### **5. Current Stage of Development**

Available for demonstration – tested on field

#### **6. Intellectual Property Rights**

Patents pending on specific formulation aspects

#### **Technical and scientific publications**

L. Zema, A. Maroni, A. Foppoli, L. Palugan, M.E. Sangalli, A. Gazzaniga - Different HPMC Viscosity Grades as Coating Agents for an Oral Time and/or Site-Controlled Delivery System: an Investigation into the Mechanisms Governing Drug Release. *J. Pharm. Sci.* in press (2007).

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M.E. Sangalli, A. Maroni, L. Zema, C. Busetti, F. Giordano, A. Gazzaniga. In Vitro And In Vivo Evaluation Of An Oral System For Time And/Or Site-Specific Drug Delivery. *J. Controll. Rel.* 73(1), 103 (2001)

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