

# **Leaky enteric coating on ranitidine hydrochloride beads: Dissolution and prediction of plasma data**

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## **Abstract**

The present research is based on the hypothesis that leaky enteric-coated pellets formulations are able to provide sustained input for drugs that have an absorption window, such as ranitidine hydrochloride, without jeopardizing their bioavailability. Leaky enteric-coated pellets formulations are defined as enteric-coated pellets that allow some of the drug to be released from the formulation in gastric fluid. Different approaches to making leaky enteric-coated pellets were investigated using extrusion–spheronization followed by spray coating. Leaky enteric coats were formulated using a commonly used enteric polymer, Eudragit® L 30 D-55, combined with soluble compounds including lactose, PEG 8000 and surfactants (Span 60 (hydrophobic) or Tween 80 (hydrophilic)). The rate of drug release from the formulations in simulated gastric fluid can be tailored by varying the additive's amount or type. All leaky enteric-coated formulations studied completely released the drugs within 30 min after changing dissolution medium to phosphate buffer, pH 6. Predictions of plasma concentration–time profiles of the model drug ranitidine hydrochloride from leaky enteric-coated pellets in fasted conditions and from immediate-release formulations were performed using computer simulations. Simulation results are consistent with a hypothesis that leaky enteric-coated pellets formulations provide sustained input for drugs shown to have an absorption window without decreasing bioavailability. The sustained input results from the combined effects of the formulation and GI transit effects on pellets.

The present research demonstrates a new application of knowledge about gastrointestinal transit effects on drug formulations. It also shows that enteric-coating polymers have new applications in areas other than the usual enteric-coated formulations. The hypothesis that a leaky enteric-coated pellets formulation may maintain or increase the bioavailability of drugs that have a window of absorption is still to be confirmed by further in vivo studies.

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