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Enteric Coated Capsules Designed for Performing Pre-Clinical Trials such as Pharmacokinetic, Pharmacodynamic and Safety Studies With Rodents

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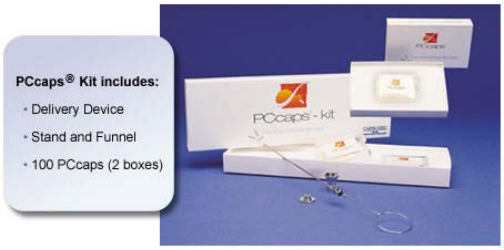
Key words: enteric capsules, coating, dissolution, oral dosage form

PURPOSE

In the pre-clinical trials of new API, it may be important to control and avoid the stomach's acidic exposure.

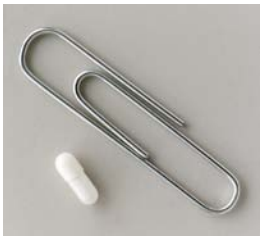
PCcaps®

The present work illustrates the adaptation of the enteric coating process to Capsugel PCcaps® capsules designed for performing pre-clinical trials and to document their compliance with the definition for USP Enteric oral dosage form to qualify them for *in vivo* testing with rats.



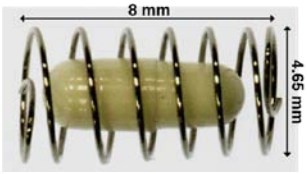
METHOD

Capsugel PCcaps™ capsules capacity ~22 µl are filled with caffeine



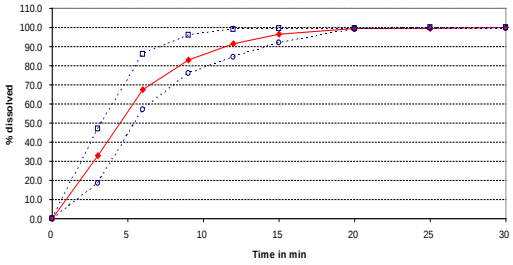
Dissolution test adaptation

- Small dissolution sinker developed for method for USP dissolution apparatus 2 (paddle). Test conditions: 50 rpm 37 °C USP SGF fluid without enzyme, Caffeine assay UV wavelength 293 nm.



Wire diameter: 0.25 mm
Dissolution sinker for PCcaps

- Equipment: Dissolution test equipment Sotax AT70 or Vankel VK 7000 and Perkin Elmer Lambda 25.



In vitro dissolution of Caffeine from PCcaps™ in water

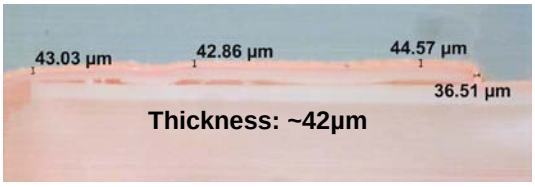
We confirmed the ability to measure *in vitro* dissolution of Caffeine from PCcaps™ with standard USP test protocol.

Coating adaptation

PCcaps™ coating process adaptation: with Acryl Eze® from Colorcon and Glatt GPCG1 fluidized bed Wuster bottom spray.

Coating evaluation

Coated PCcaps™ capsules were cut in the lengthwise with a microtome device and observed under microscope

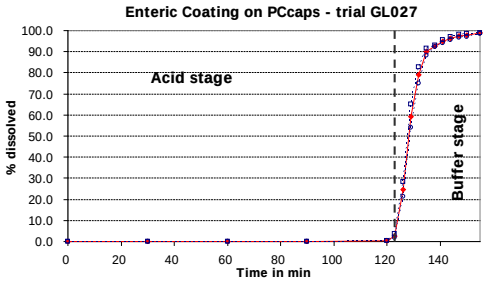


Dissolution testing

Coated capsules filled with caffeine were subjected to dissolution testing using the USP dissolution apparatus (paddle) at 50 rpm. Capsules were tested for 2 hours in acid stage (pH 1.2) at 37 °C. Samples were withdrawn at regular interval and analyzed spectro-photometrically to determine the level of dissolved caffeine.
After two hours the dissolution media is adapted to the USP buffer stage pH 6.8. Solubilization of the capsules and subsequent dissolution of the caffeine is monitored.

RESULTS

We optimized the enteric coating process with Acryl Eze® from Colorcon using Glatt fluidized bed to obtain reproducible coating**.**



In vitro **dissolution of Caffeine from PCcaps™ in buffer pH 1.2 and 6.8.**

We confirmed the compliance of the caffeine Enteric coated PCcaps™ with the USP requirement for Enteric Oral Dosage Form.

CONCLUSION

We developed an innovative process to coat the PCcaps™ and documented *in vitro* their enteric behavior

REFERENCES

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- E. Cole et al." Enteric coated HPMC capsules designed to achieve intestinal targeting" Intern. Journ. of Pharm. 231 (2002) 83–95
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