

ESOCAP ANNOUNCES DATA PRESENTATION AT THE EUROPEAN CONFERENCE ON PHARMACEUTICS



EsoCap

Basel, Switzerland, March 21, 2023

EsoCap AG announced the presentation of data showing that for the first time, long-lasting topical drug therapy in the esophagus is possible with the EsoCap smart delivery technology in the upper gastrointestinal tract.

The University of Greifswald conducted an imaging study in twelve healthy volunteers to investigate the disposition of thin films in the esophagus. The imaging study confirmed the excellent functionality of the EsoCap system. This drug delivery system allows a long contact time of the mucoadhesive polymer with the esophageal mucosa. Using a questionnaire completed by the twelve healthy volunteers, the study demonstrated the good swallowability and acceptability of the EsoCap system¹. The data were presented at the 4th European Conference on Pharmacy, held March 20-21, 2023, in Marseille, France.

"We are in an extremely exciting time in the field of drug delivery," said Prof. Werner Weitschies, head of the Department of Biopharmacy at the Center for Drug Absorption and Transport at the University of Greifswald. "Until now, local treatment of esophageal diseases has been very difficult due to the extremely short transit time in the esophagus. With the thin film study in healthy volunteers, we were able to visualize the uniform

disposition of thin films in vivo."

"The work performed in Greifswald makes it possible to consider completely new treatment options for esophageal diseases," said Isabelle Racamier, CEO of EsoCap AG. "EsoCap AG is currently conducting the ACESO randomized, placebo-controlled, double-blind phase II study in eosinophilic esophagitis (EoE).

Characterization of the performance of a novel esophageal drug delivery system in humans

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Purpose

To overcome the limitation of short esophageal transit times for topical treatment of esophageal diseases, a novel drug delivery system called EsoCap has been developed. The EsoCap system is composed of a mucoadhesive polymer film, furled into a hard capsule with a slit. The bottom of the hard capsule contains a compressed sinker as weight reducing buoyancy. The upper end of the polymer film, which protrudes through the slit in the hard capsule, is fixed to a thread called retainer. This retainer in turn is connected to an application beaker filled with water. While the capsule is swallowed and passes the esophagus, the mucoadhesive film rolls off and attaches to the esophageal mucosa, where it can allow long-acting drug delivery. The aim of this study was to investigate the principal functionality and acceptance of the EsoCap system in humans.

Methods

EsoCap system

- polymer film (0.4 x 20 cm), consisted of polyvinyl alcohol (PVA 18-88, Merck), glycerol (Caelo) and an aqueous extract of commercially available hibiscus tea (Spinirad), made using solvent-casting method [1]
- compressed sinker, consisted of CaHPO₄ (JRS Pharma), magnesium stearate (Sigma-Aldrich), croscarmellose sodium (JRS Pharma) and black iron oxide (Caelo)
- retainer: food-grade polyester string (Westmark)

Study design

- approved ethics: 12 healthy volunteers with mean BMI of 23.0 ± 2.3 kg/m² and mean age of 24 ± 3 years
- three repeated intakes with 100 mL water and subsequent magnetic resonance imaging (f-MRI, MAGNETOM Aera (Siemens Healthcare), 1.5 T) with strongly T1-weighted VIBE sequences)

Outcomes

- visible contact length of the contrast-enhanced film in the esophagus
- visible residence time of contrast-enhanced film in the esophagus
- swallowability, evaluated by questionnaire with a visual analogue scale (score of 0 = perfect swallowability, score of 100 = impossible swallowability)

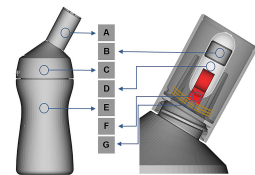


Fig. 1: Left - Scheme of the application device; Right - close-up view of the applicator with EsoCap system. A: applicator; B: compressed sinker; C: cap; D: hard gelatin capsule with slit; E: drinking cup; F: rolled-up, mucoadhesive film; G: retainer thread.

Results

Schematic intake of the EsoCap system

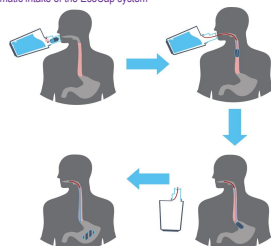


Fig. 2: Schematic 3D model of EsoCap system (above) and its intake and unwrapping of polymer film while esophageal passage (below).

MR Imaging: Functionality

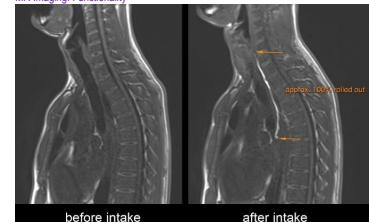


Fig. 3: T1-weighted sagittal images before and after intake of the novel esophageal drug delivery system with contrast-enhanced polymer film.

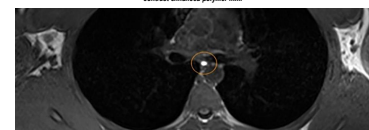


Fig. 4: T1-weighted transversal images with brightly visible polymer film in lower esophagus near the heart.

Questionnaire: Acceptance

- on average, a visible contact length of 8.1 ± 4.1 cm (n=36) was achieved
- in some subjects up to 19 cm of contact length in the esophagus could be confirmed → quantitative unwrapping of the film
- visible residues of contrast enhanced film material were visible for up to 15 min until the end of MRI measurements
- mean swallowability score of 29 ± 22% (n=36) → good swallowability and good acceptance
- no negative effects like nausea or vomiting were observed during intake

Conclusion

This imaging study confirmed the general functionality of the EsoCap system. This drug delivery device enables a long contact time of the mucoadhesive polymer to the esophageal mucosa. Furthermore, the acceptance and swallowability were acceptable but can further be improved. It should be noted that the film used in this study was optimized for MR imaging and thus had relatively low flexibility and length. For clinical application in patients, an optimized film with improved flexibility and a length corresponding to the physiological conditions must be used. The concept of the EsoCap drug delivery system was proven and can be a promising tool for the therapy of local esophageal diseases. A recently launched phase 2 clinical study (ACESO study; randomised, placebo-controlled, double-blind) will hopefully demonstrate the benefits.

References

- [1] Krause et al., *Journal of Control Release*, 327: 1-7 (2020).

¹Grimm, M., Rosenbaum, C., Braun, H., et al. (2019). Characterization of the performance of a novel esophageal drug delivery system in humans. *Poster Presentation, AAPS Annual Meeting 2019*.



About EsoCap

EsoCap AG is a privately funded company based in Basel, Switzerland.

EsoCap's vision is to improve the lives of patients with serious diseases of the upper gastrointestinal tract through development of a unique topical drug delivery platform.

Topical treatment in the upper gastrointestinal tract is extremely difficult to achieve due to ultra-short transit times with less than two seconds from the mouth to the stomach.

EsoCap owns a unique drug delivery platform allowing topical application of drug substances for local treatment of diseases of the upper gastrointestinal tract.

For more information, please visit www.esocapbiotech.com.

About the University of Greifswald

Across numerous departments and disciplines, the University of Greifswald community advances ideas and innovations that enrich human life. The University's departments encompass a wide range of fields, including General and Clinical Pharmacology, Biopharmaceutics and Pharmaceutical Technology, Pharmaceutical Biotechnology, and all clinical disciplines. The Center of Drug Absorption and Transport (C_DAT) is an internationally recognized center of expertise, in which research groups from the University of

Greifswald and University Medicine Greifswald investigate the processes of absorption and transport of drugs through to their desired - and unwanted - sites of effect in the human body.

The C_DAT is respected worldwide and is a leading center of excellence for drug absorption and transport in Europe.

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