"Spheronized" taste-masked microparticles obtained by hot-melt extrusion and further thermal treatment

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Introduction:

- To overcoming swallowing difficulties/problems of geriatric and paediatric patients the appropriate dosage forms like taste-masked liquids or dispersible forms including microparticle-based are used.
- Currently, the most efficient way of taste-masking of microparticles is preventing drug release in the oral cavity by a fluid-bed taste-masking coating.
- The hot-melt extrusion (HME) and following face-cut pelletisation can be considered as an alternative technique.
- Its obvious disadvantage is the non-smooth and sharp particles' edges.

Methods:

- The HME of cationic polymer Eudragit® E PO (Evonik GmbH, Germany; Tg 48°C) was done with a twin-screw extruder (MiniLab HAAKE; Thermo Scientific, Germany) with a 2mm diameter nozzle size at 125°C and a screw speed of 50rpm followed by face-cut pelletization (VaryCut; Thermo Scientific, Germany).
- The thermal treatment of particles has been done in the aqueous media (at pH 12.3) or with hot air.
- Pellets' diameter (D) and length (l) were measured before and after the thermal treatment with an electronic calliper.
- The aspect ratio (AR=D/l) and aspect ratio change ($\Delta AR=(D/l)-(D/l)$) values were used for the assessment of geometric change. D and D as well as l and l are filament diameter and length before and after the thermal treatment, respectively

<u>The purpose</u>: to prepare HME-filaments from the common taste-masking polymer, to prepare particles with face-cut palletization and to investigate the possibility to smooth particles surface by thermal treatment.

Results:

- SEM images of pelletized filament particles before and after treatment (at 85-95°C) in the aqueous media shown the ability of the thermal treatment to change the AR and to smooth the cuts' side surface (**Fig.1**).
- The effect of temperature and the aqueous heat treatment duration on initial pelletized filament particles has shown:
  - the increase of ΔAR with temperature and treatment duration increase (**Fig. 2**);
  - the treatment-induced ΔAR was more pronounced for particles with an initial diameter of 1.01±0.06mm and initial length of 2.34±0.20mm than for particles with an initial diameter of 1.51±0.09mm and initial length of 2.93±0.18mm (Av.±SD; n=10) as shown in **Fig. 2A vs. 2B**, respectively.
- The thermal treatment with hot air showed the same tendency of ΔAR change as an aqueous thermal treatment.

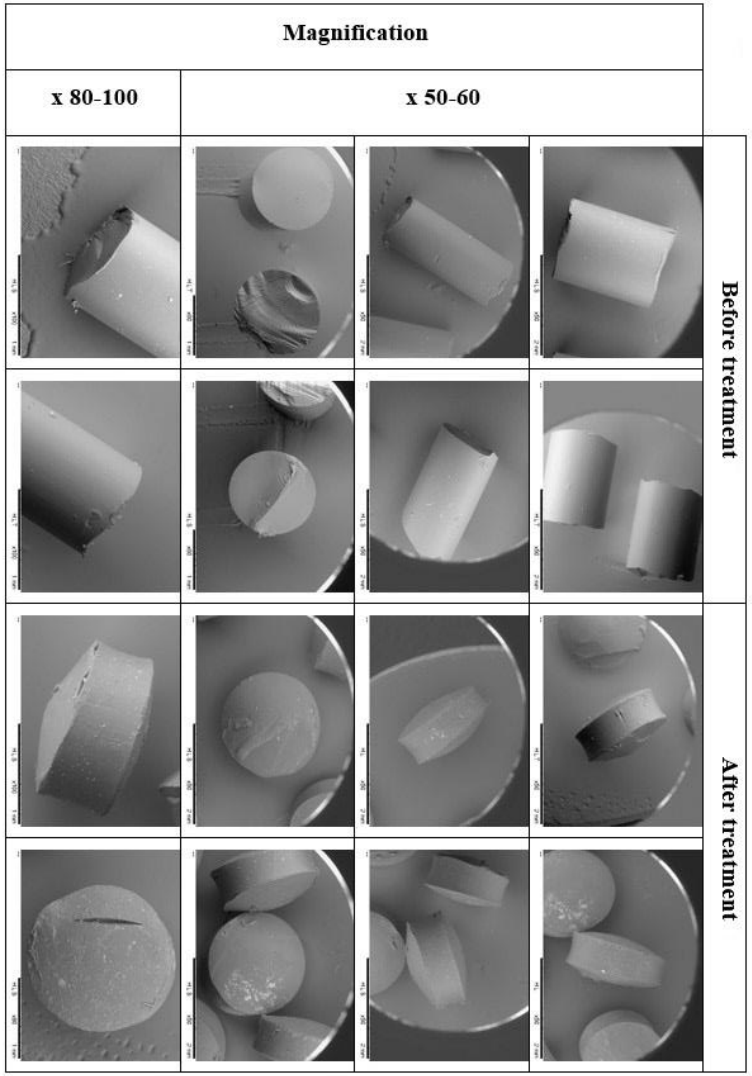

Fig. 1

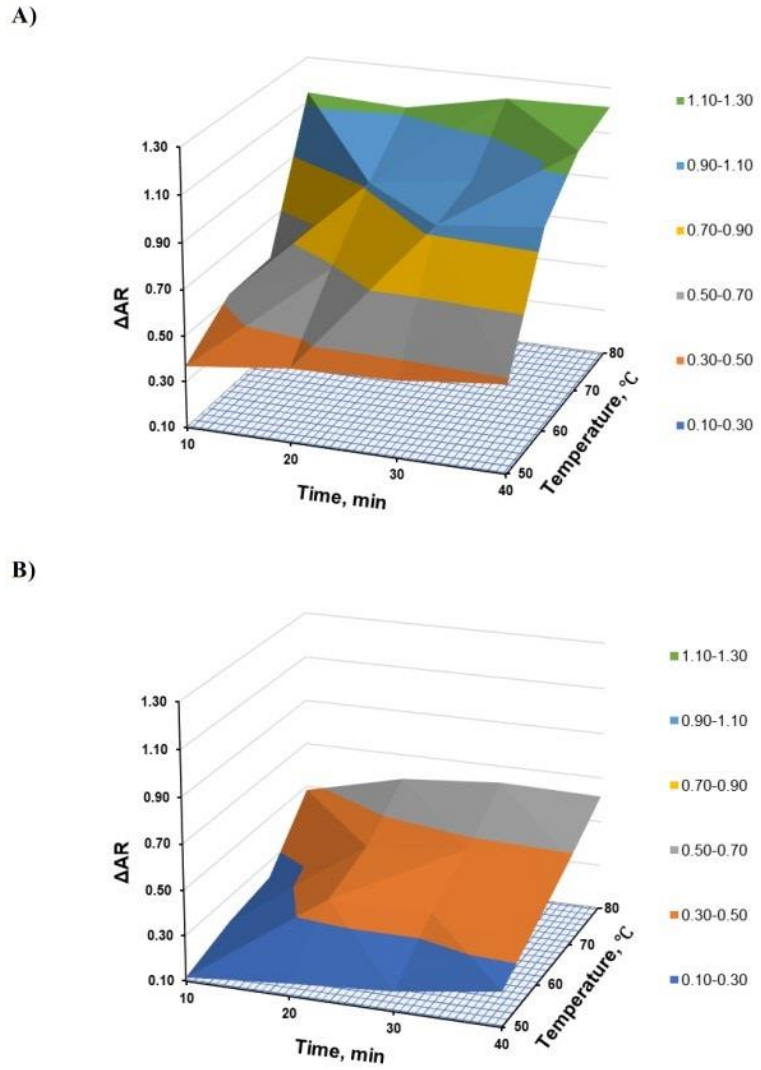

Fig. 2

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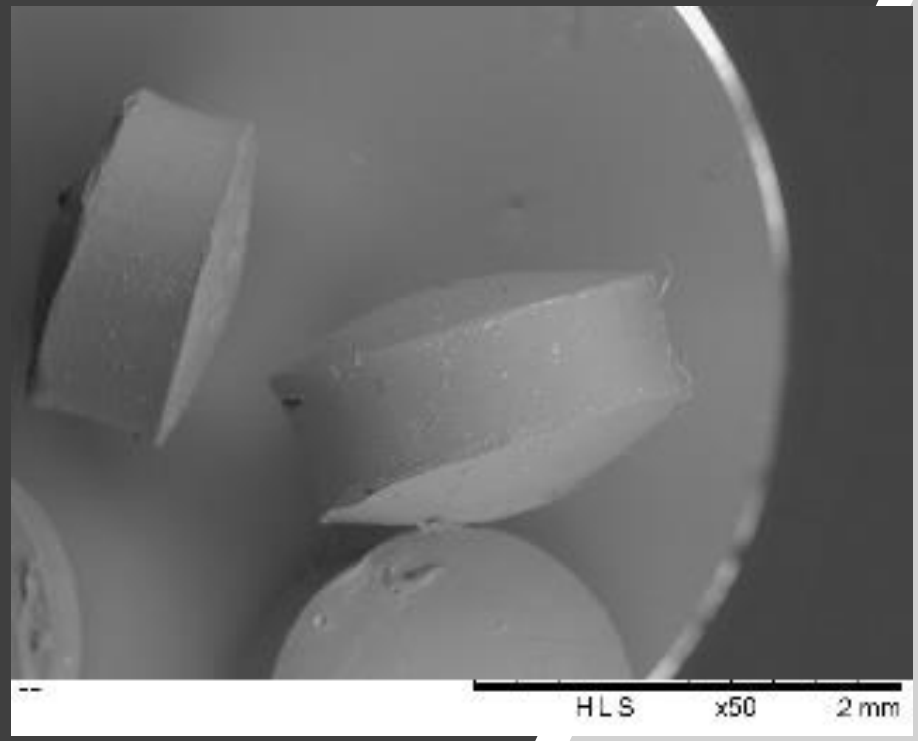
Conclusions/ Impact:

- This research is opening the possibility to produce taste-masked microparticles with a smooth and regular surface
- by continuous HME, followed by face-cut pelletization and thermal treatment
- instead of time- and resource-consuming (most frequently periodical) fluid-bed coating method.



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