

Hydroxyapatite Microcapsules

A paradigm shift in formulation development for ODTs

Innovation

In recent years porous particles have attracted much attention. With our approach we have found the optimal surface properties, in respect to their specific surface area, their morphology, their size, and their pore size distribution. Moreover, we managed to add additional functionalities, such as to enhance the loading capacity by redesigning simple, porous particles into microcapsules with porous shells. Our design of porous structures allows enhanced loading with controlled release properties alongside an unprecedented liquid conduction within the porous microdomain. The latter aids in tablet disintegration in a matter of seconds, whereas the developed external surface of particles allows the compaction of hard tablets and effective mucoadhesion.

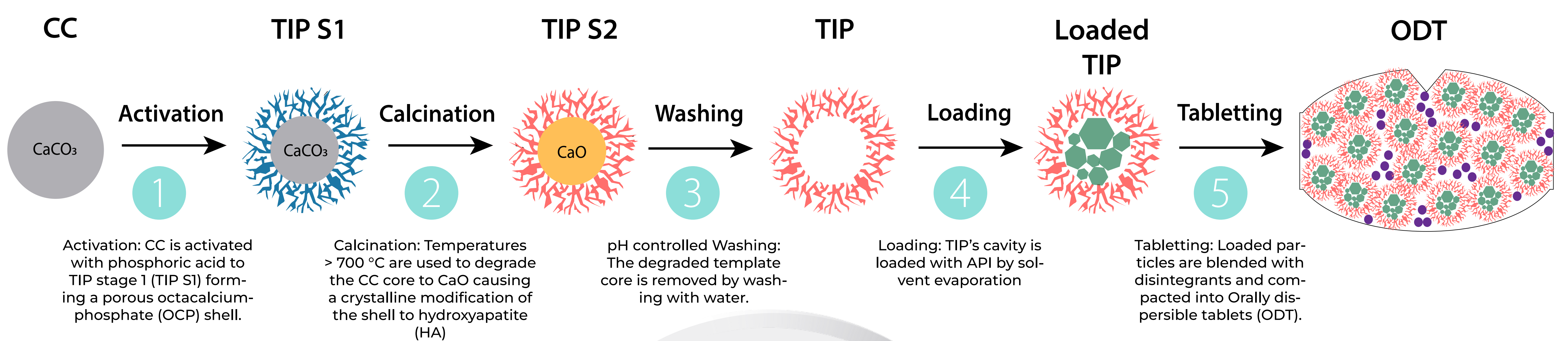
We invert the structure to yield a hollow space inside a porous particle, called Template-Inverted Particles (TIP).

Benefits of the Technology

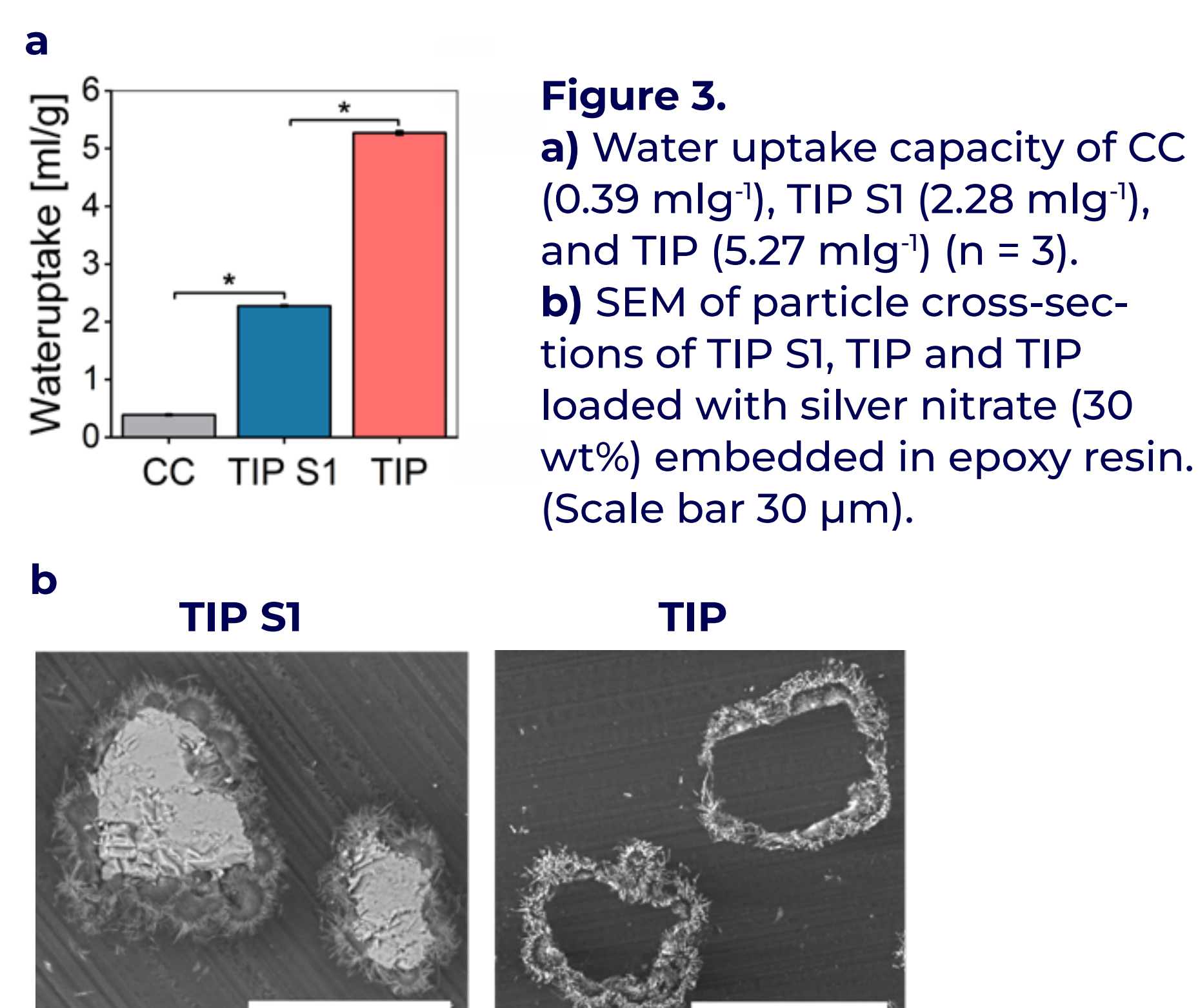
Our microcapsules contain the active substance in their internal cavity. Other particles will be in contact with the shell structure only, which allows unified formulation development for problematic substances. In other words, as the substance particles are encapsulated within the microcapsules, we only need to care about the microcapsules' formulation without having to reflect the properties of the active substance.

Rationale

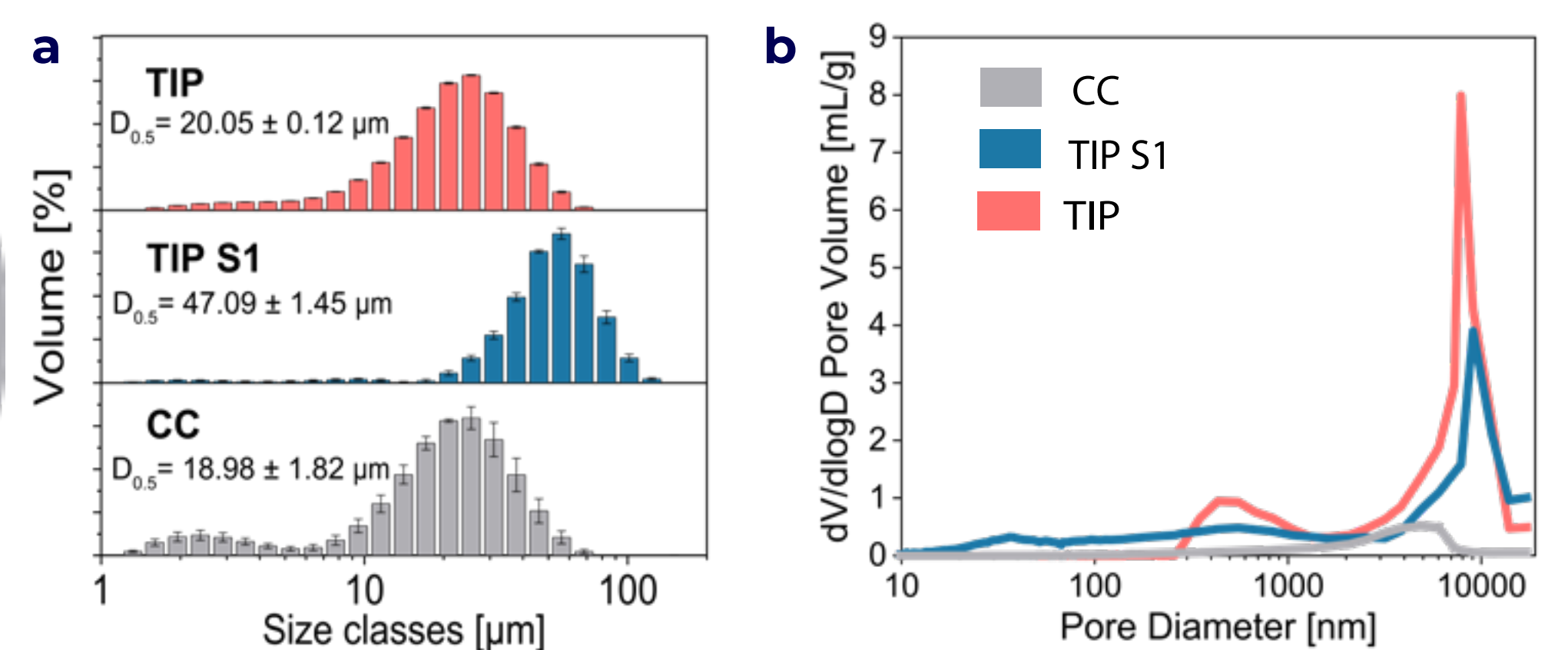
- Taste Masking
- Hard Tablets
- Fast Disintegration
- Capillary Pump Effect
- Natural/Biodegradable



Encapsulation



Characterization



Compaction & Disintegration

"Tensile strength of the TIP tablets compacted at 200MPa is reaching 4.5MPa and increases at higher drug loading"

Disintegration Time:
 CC > 300 s
 TIP S1 = 12 ± 2.5 s
 TIP + 25 % Ivermectin = 13 ± 3 s

Use Case for TIP

- Nutraceuticals and Pharmaceuticals
- Circumvention of first pass mechanism with rapid onset of substances that have poor bioavailability when given orally
- Bitter substances that need taste-masking (in particular for low soluble substances)
- Targeted release (delayed or sustained) for gastric or colonic release
- High drug loading (up to 40% is possible per individual microcapsule)

Conclusion

- We established a scalable approach to manufacture inorganic Template-Inverted Particles.
- TIP is a 100% pure hydroxyapatite, which is natural, non-toxic, and biodegradable.
- The unique feature of TIP is a hollow core that offers plenty of encapsulation space.
- TIP's ideal geometry facilitates capillary pump action for an active substance loading
- TIP is a highly porous particle with a narrow pore size distribution responsible for a rapid liquid uptake.
- We showed that TIP has a narrow particle size distribution and an average size of 40 µm
- Drug loading improves compactability and results in strong (>4MPa) and fast disintegrating tablets (<15s)
- TIP is a multifunctional microcapsule for oral drug delivery and is a platform technology for patient-friendly formulations such as ODTs.