Enabling a Healthier World

Lonza
Capsules & Health Ingredients

# Capsugel® Enprotect® capsule

## An innovative capsule for enteric delivery with no additional coating required for low pH protection

The Capsugel® Enprotect® capsule for enteric delivery features both HPMC and HPMC-AS polymers to create **an oral dosage form with acid resistance up to pH 6.0 with no additional coating required**.


Lonza original research

# Scientific evidence supporting Capsugel® Enprotect® capsules

## Dissolution performance

### USP for dissolution confirmed in compendial tests

In lab tests, the Capsugel® Enprotect® capsule show no drug release or product degradation in the acid stage (HCl 0.1 N) for up to 2 hours.

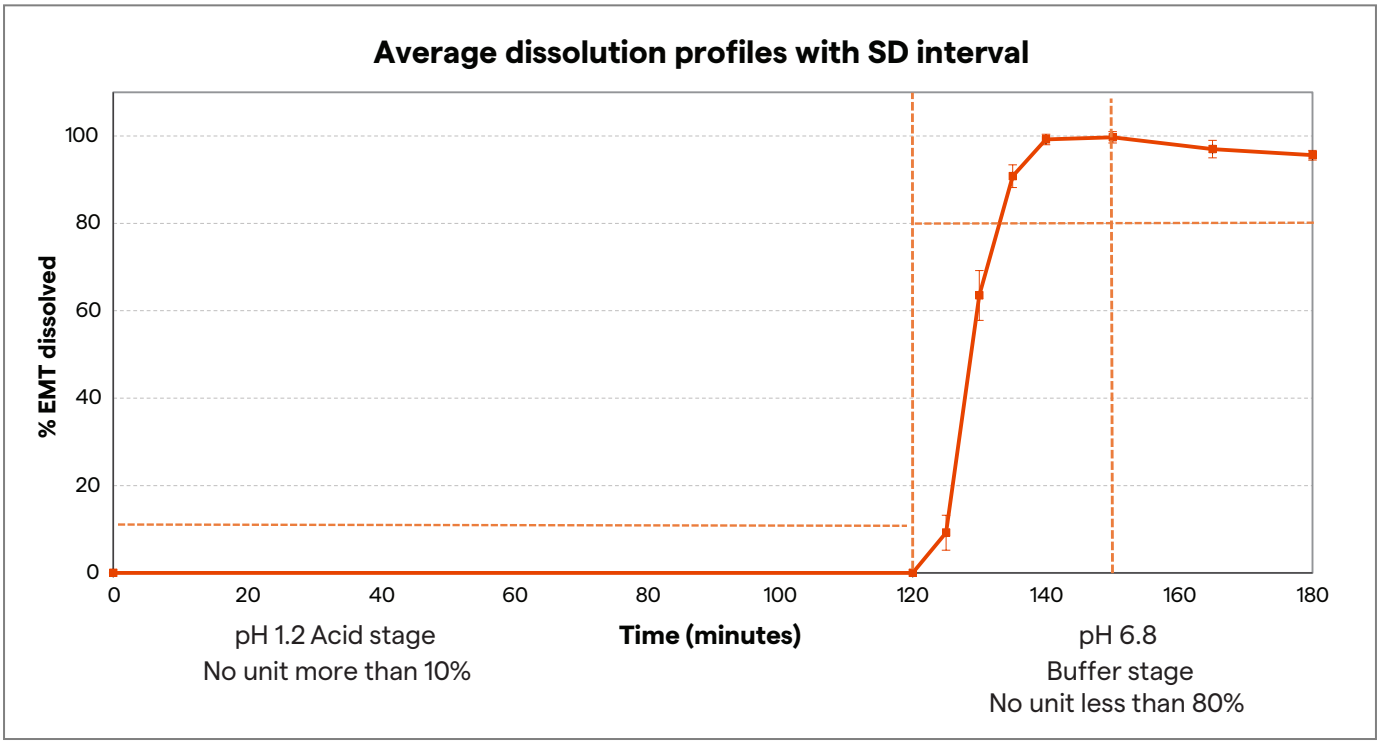



**Figure 1:** Release of esomeprazole magnesium trihydrate (EMT) uncoated pellets from the capsules conforms to the USP esomeprazole magnesium delayed-release capsules monograph.

# Disintegration performance

## Ready-to-use enteric capsule with acid resistance up to pH 6.0

Internal disintegration performance tests demonstrated no opening of the Capsugel® Enprotect® capsule in pH 1.2 for 2 hours and a rapid disintegration, 98% after 30 minutes, in the buffer stage (pH 6.8).

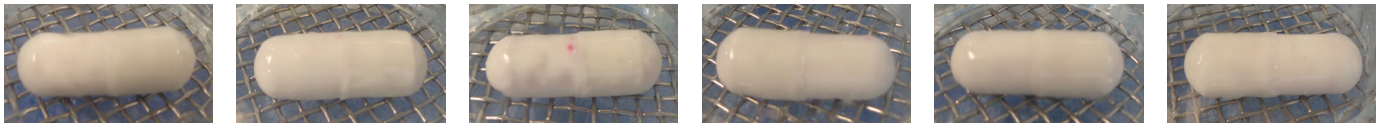
Additionally, the Capsugel® Enprotect® capsule also meets Ph Eur specifications for enteric capsules. In the figure 2, highlights a size 0 capsules filled with lactose with .1% pure red carrot coloring food. Throughout the 2 hours in HCl 0.1N, only minor deformations of the capsule were observed. Results were independent of filling levels and required no banding or sealing.

### Internal compendial disintegration tests

| | | | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|---|
| Test conditions | pH value | 1.2 | 4.5 | 4.5 | 4.5 | 5.0 | 5.4 | 6.0 | 6.4 | 6.8 |
| | Media | HCl 0.1M | Phosphate medium | Citrate buffer | Citrate buffer saline | Citrate buffer | Citrate buffer | Citrate buffer | Phosphate buffer | Phosphate buffer |
| Disintegration results | # capsules open | 0/6 | 0/3 | 0/3 | 0/3 | 0/3 | 0/3 | 3/3 | 3/3 | 6/6 |
| | Time (min) | NA | NA | NA | NA | NA | NA | >120 | <15 | <15 |

**Table 1:** Enteric capsules withstand disintegration in various acidic media up to pH 6.0

### Capsules after 2 hours in HCl 0.1 N



Vessel 1 | Vessel 2 | Vessel 3 | Vessel 4 | Vessel 5 | Vessel 6

**Figure 2:** Capsugel® Enprotect® capsules filled with lactose and 0.1% red carrot after 2 hours in HCl 0.1N

# Scientific evidence supporting Capsugel® Enprotect® capsules

## Mechanical robustness test

The capsules demonstrated excellent mechanical properties in all tested conditions. The brittleness of these new capsules is in line with the mechanical properties of HPMC capsules. They also showed better resistance to impact compared to standard gelatin capsules in conditions with RH below 33%.

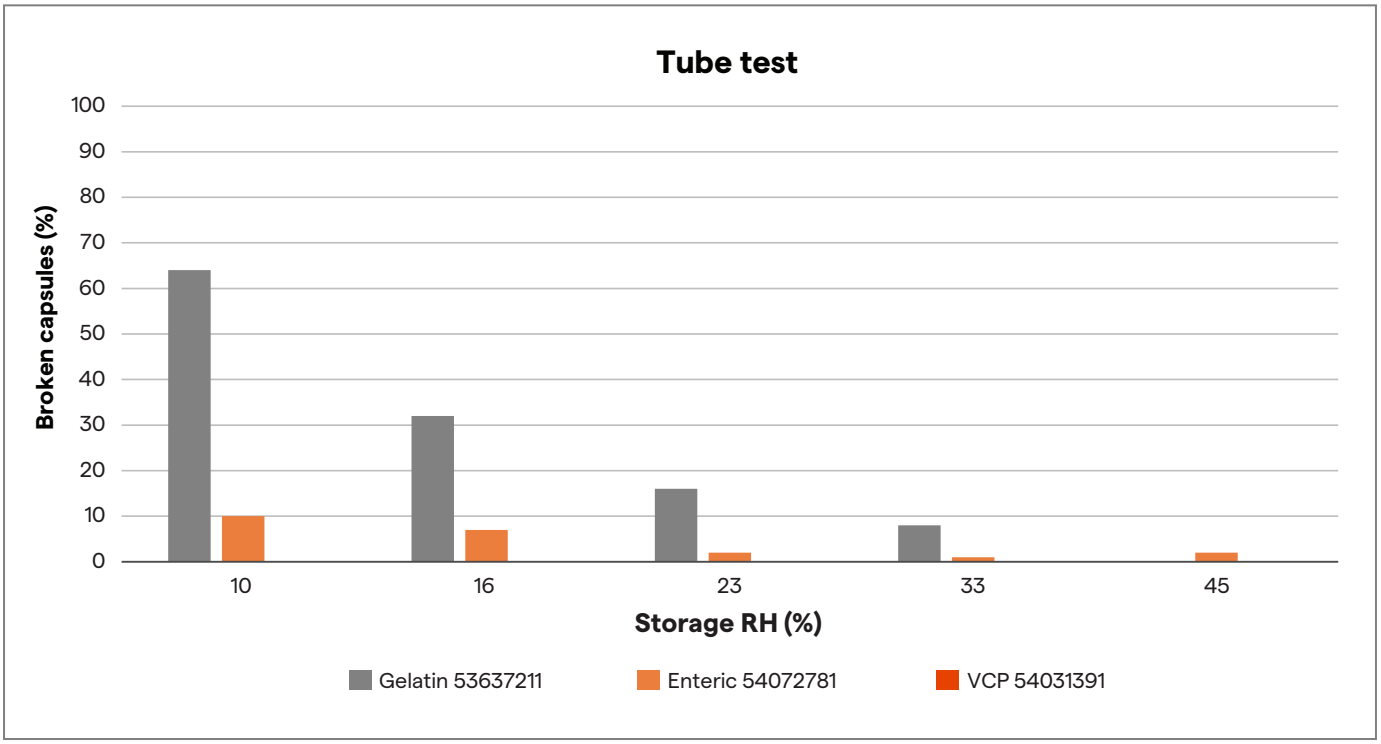



**Figure 3:** Capsules show very good mechanical properties when compared to pure HPMC capsules (Vcaps® Plus) and gelatin capsules after storage at room temperature and various RH conditions

# In-vivo disintegration

No opening of capsules in the stomach was observed confirming the enteric properties of the capsule. The capsules opened in the jejunum (3/8), ileum (4/8), or colon (1/8) as seen in Figure 4A. On average the capsules opened in 45 minutes by MRI and 36 minutes by caffeine appearance respectively (excluding the colonic disintegration due to exceptionally fast oro-cecal transit in one subject) after gastric emptying. This time interval from leaving the stomach until the capsules disintegrated also persists after a longer residence time in the stomach confirming a robust enteric composition of the capsules. An influence of gastric residence time on subsequent disintegration was not observed. No capsule disintegrated nor released caffeine in stomach irrespective of transit times, confirming robust enteric properties by MRI and caffeine release (Figure 4B). Despite minor differences, the same conclusions regarding the capsule's performance could be obtained from both methods which strengthens the overall results.

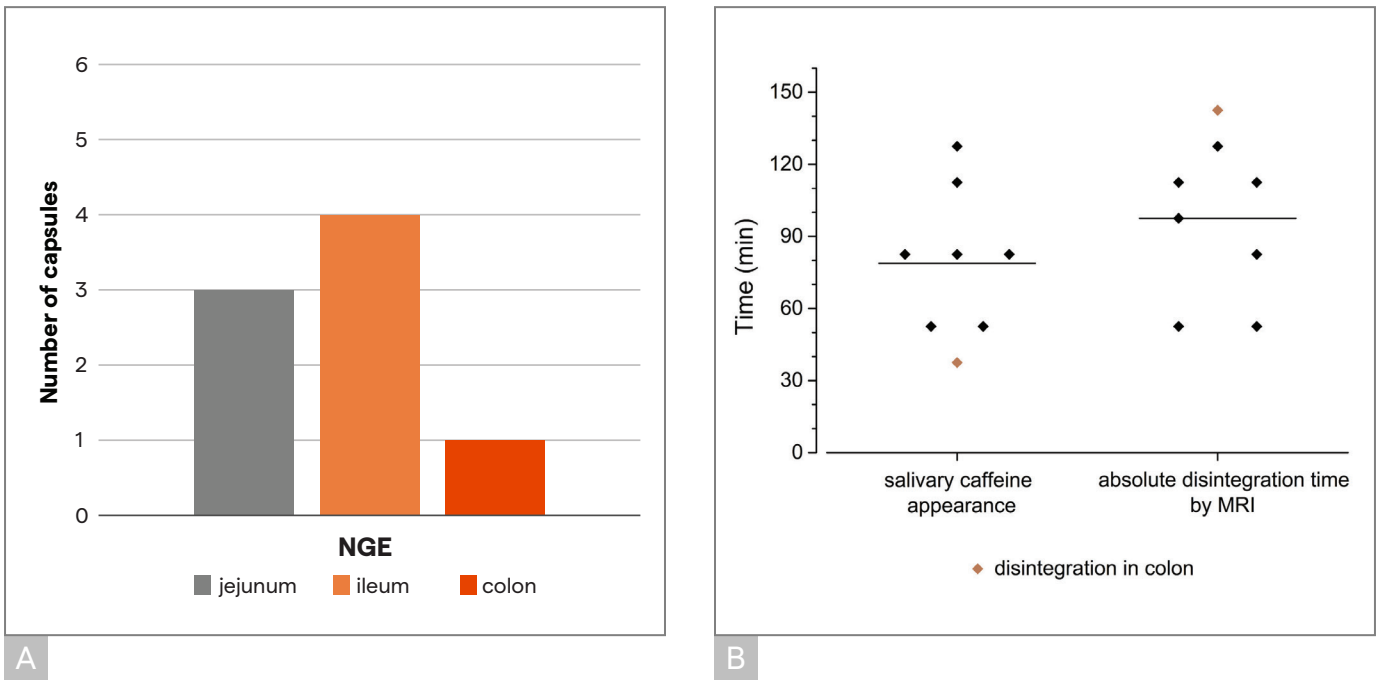



**Figure 4:** Disintegration of enteric capsules in healthy humans observed by MRI and caffeine appearance:
A. Localization of the disintegration.
B. Salivary appearance time compared to the absolute disintegration time determined by MRI.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# Capsugel® Enprotect® capsule

## Oral solid dosage form with first of its kind enteric manufacturing technology

Building on the Capsugel® legacy of developing and launching new and innovative oral solid dosage forms, Lonza has developed a unique manufacturing process to build a **bi-layer capsule to assist in enteric delivery**.

Partner with us to meet compendial enteric delivery requirements and enable accelerated development processes.


**Targeted** enteric drug delivery

Acid **protection**

**No additional coating** required

**Customizable** and scalable

Partnering to solve enteric delivery challenges **accelerates time to human and time to market**

**Contact us to start your next project**

**Together**
we enable a
healthier world

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**To speak to a capsule expert or request a sample of the Capsugel® Enprotect® capsule for enteric delivery,** contact us or your Lonza Capsules & Health Ingredients sales representative


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