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Disintegration mechanism of pharmaceutical tablets: the chemistry behind excipients

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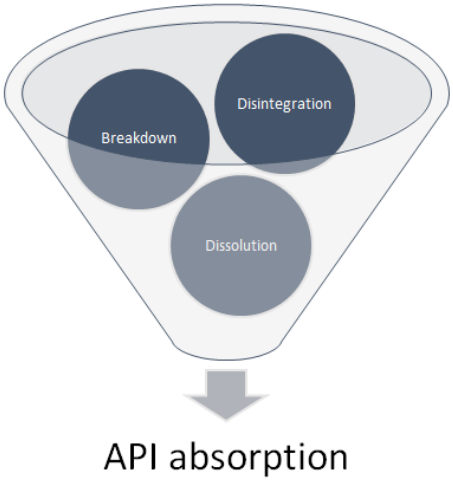
Background

For the pharmacodynamics (therapeutic) action of a tablet to occur, whether coated or not, it is necessary that, after swallowing, the tablet disintegrates, that is, the breakdown of the granules (particles denser than powders that can form a tablet). Then there is the dissolution of particles and absorption of the active pharmaceutical ingredient (API) into the circulatory system.



Sodium starch glycolate is frequently used in pharmaceutical formulations, such as tablets, capsules and other oral solids, being a disintegrating pharmaceutical adjuvant widely used in the pharmaceutical industry due to its efficiency and cost-effectiveness.[1] Sodium starch glycolate is a potato starch derivative compound with carboxymethylation and crosslinking leading to the formation of a three-dimensional structure, and the end result is a spheroid morphology that remains stabilized.[1]

According to the literature there are 3 types of sodium starch glycolate (A, B and C). Type A and Type B are described in monographs as the sodium salt of a partially crosslinked and carboxymethylated potato starch. Type C is described as the sodium salt of a partially carboxymethylated starch, crosslinked through dehydration.[1]

The excipient in question when coming into contact with water, dissolution media or biological fluids undergoes expansion (swelling), thus vigorously pushing the other components of the formulation causing the disintegration of the tablet, as well as in capsules that can occur the same phenomenon in its content, presenting the release of the API as the last step.[1]

Croscarmellose sodium is also used in pharmaceutical formulations, such as tablets, capsules and other oral solids, being a pharmaceutical disintegrating adjuvant very well known in the pharmaceutical industry due to its efficiency in some tablet formulations.[2] Croscarmellose sodium is a compound obtained from cotton; with cellulose chains substituted with carboxymethyl groups increasing its hydrophilicity and in turn its affinity for water. And, later, in a second production step, a crosslinking of the cellulose chains is carried out, providing adequate disintegration properties.[2]

Scanning electron micrographs of croscarmellose sodium demonstrate a crystalline habit of fibers and the morphology of these particles in a tablet form water channels and, through the capillary effect, it favors the entry of water into the compressed matrix, enabling its disintegration.[2] Crospovidone is another alternative for pharmaceutical formulations such as tablets, capsules and other oral solids, being a pharmaceutical disintegrating adjuvant widely known in the pharmaceutical industry due to its efficiency and compressibility in some tablet formulations.[3] Crospovidone is a synthetic compound, that is, a homopolymer (crosslinked) of N-vinyl-2-pyrrolidinone. It is used pharmacotechnically as a disintegrant and to optimize the solubility of BCS (Biopharmaceutics Classification System) Class II and IV drugs.[3]

Among the main disintegrants used, crospovidone has the 3 complete disintegration mechanisms:

a) Expansion (swelling): in contact with water, dissolution media or biological fluids, it undergoes expansion (swelling) with little tendency to gel formation, thus vigorously pushing the other components of the formulation causing the disintegration of the tablet, and in the capsules the same phenomenon occurs in its content, presenting the release of the API as the last step.[3]

b) Water channels (capillarity): water permeation into the tablet matrix due to the formation of water channels (capillary) due to the fact that it has granular and porous particles with a significant surface area, positively favoring the disintegration/dissolution process.[3]

c) Shape Recovery: after compression, the excipient recovers its initial structure, providing a physical property of high compressibility.[3]

There are reports in the literature that the particle size distribution of crospovidone would be related to the disintegration of tablets, with larger particles apparently favoring a faster disintegration when compared to smaller particles.[3]

A study comparing the disintegration force of tablets not confined in water showed that tablets with croscarmellose sodium (CCS) and sodium starch glycolate (SSG) had a maximum disintegration followed by a decay to zero when the tablets separated, while tablets containing crospovidone (PVPP) greater disintegration force without decay was observed; possibly due to the original shape recovery disintegration mechanism of crospovidone (PVPP), where the tablet only expands in the opposite direction of the applied compression force.[4]

In a 0.1M hydrochloric acid solution, a plateau was formed regarding the disintegration force of unconfined tablets having as constituents croscarmellose sodium (CCS) and sodium starch glycolate (SSG), that is, being an indication that the tablets they did not break as they did in water by continuing to apply a force to the measuring.[4]

Probably in an acidic medium, the protonation of the carboxylic groups of croscarmellose sodium (CCS) and sodium starch glycolate (SSG) occurs, removing the ionic charge of the molecule, reducing the affinity between the liquid medium and the excipients.[4]

Final considerations

The disintegration test appears to be just a simple test, however, when combined with the physicochemical knowledge of excipients and APIs, it can be fundamental for the proper understanding of the "in vitro" and even "in vivo" performance of solid pharmaceutical forms. Despite the widespread definition that excipients are inert materials from a pharmacological point of view, we can state that, from a pharmacotechnical aspect, it is a compound with physical and chemical properties that can impact the rate of disintegration, both "in vitro" and "in vivo" in some pharmaceutical formulations. Therefore, depending on the API and proposed dissolution for the drug, the development of a formulation with the proper disintegrant is essential for the performance of oral solids, especially tablets.

Acknowledgments

None.

Conflicts of interest

Authors declare that there is no conflict of interest.

References

1. EXPLOTAB. *The cost effective superdisintegrant*; 2021. 8 p.
2. VIVASOL. *The efficient superdisintegrant for pharma and food applications*; 2021. 8 p.
3. VIVAPHARM PVPP. *Unsurpassed disintegration performance and versality*; 2021.
4. *Measuring the disintegration force development of tablets containing different disintegrants*. JRS Pharma; 2008. 4 p.