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JRS Products: PROSOLV® EASYtab Nutra

Vitamin D₃ – Direct Compression

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Aim of Study

The aim of the current study was to develop Vitamin D₃ tablets, produced by a simple direct compression process with minimal effort.

Vitamin D₃

Vitamin D₃ (Colecalciferol) is a precursor of Calcitriol, the physiologically active form of Vitamin D. Under the influence of UVB radiation of the sun, Colecalciferol can be synthesized within the epidermal layer of the skin. Very few foods naturally contain small amounts of Vitamin D₃, such as fatty fish, liver, cheese, and eggs as well as mushrooms and avocados, but only about 10 % of the recommended amount of Vitamin D₃ is obtained by food. Depending on age, the recommended daily allowance ranges from 400 to 800 i.U., which refers to 10 – 20 µg. Especially in the winter months, many people are not able to produce an adequate quantity of Vitamin D₃. In order to ensure a sufficient supply of Vitamin D₃ over the year, vitamin preparations are useful.

As Vitamin D is known to improve the absorption of calcium from the intestine and its storage in the bones, Vitamin D is essential for a solid bone structure and reduces the risk of bone fractures and osteoporosis^{1,2}. Moreover, Vitamin D can strengthen the immune system³, support the function of nerves and muscles⁴ and prevent seasonal affective disorder⁵. Vitamin D is also associated with beneficial effects on cancer prevention and treatment⁶ as well as the reduction of cardiovascular diseases⁷.

Used Excipient

PROSOLV® EASYtab Nutra, which was specifically developed for nutraceutical applications, is ideally suited as an excipient for Vitamin D₃ tablets. The high-functional excipient **PROSOLV® EASYtab Nutra** is an all-in-one composite containing microcrystalline cellulose as a filler-binder, colloidal silicon dioxide as a glidant, disintegrant, and a lubricant. In order to fulfill the regulatory requirements of various countries, different **PROSOLV® EASYtab Nutra** grades with different

disintegrants and lubricants are available. These grades all have equivalent powder and tableting properties. Detailed information about the different grades are described in the JRS brochure about **PROSOLV® EASYtab®**. The special co-processing during the **PROSOLV® EASYtab Nutra** production ensures the homogeneous distribution of the single ingredients within the particles and leads to synergistic effects in terms of functional properties. **PROSOLV® EASYtab Nutra** is characterized by an excellent compactibility resulting in a mechanical robustness of the tablets combined with a fast disintegration. Furthermore, **PROSOLV® EASYtab Nutra** ensures lubrication during tablet manufacturing and provides a high robustness to over-mixing as the lubricant is fixed in the co-processed composite structure. As ready-to-use excipient, **PROSOLV® EASYtab Nutra** makes the tableting process additionally convenient and cost-efficient as only one blending step with the active is required before the mixture can be compacted into tablets.

Formulation

For the development of Vitamin D₃ tablets, Vitamin D₃ was used in the form of a free-flowing dry powder (Figure 1). The white to yellowish colored powder had a concentration of 100.000 i.U. per gram and was characterized by small particles.

	Active content [mg]	mg/tablet	Contribution [%]
Vitamin D ₃ [*]	10	10	5
PROSOLV® EASYtab Nutra		190	95
Total		200	100

^{*} Vitamin D₃ Powder Containing 100.000 i.U./g

Procedure

Blending

The ingredients were blended to homogeneity for 15 minutes and immediately used for direct compression of tablets.

Equipment

Tablet Press	IMA Kilian Pressima 13EU-D, 8 mm punches
Free Fall Mixer	Brunitec Suisse, Brunimat Type Porta
Hardness Tester	Erweka TBH 425 TD
Friability Tester	Pharma Test PTF
Disintegration Tester	SOTAX DT2

Tablet Characteristics

Tablet Weight	200 mg
Tablet Diameter	8 mm
Tablet Height	3.2 mm
Compaction Force	5.6 kN
Crushing Strength	199 N
Friability	0.0 %
Disintegration Time	36 s



Fig. 1 Pure Vitamin D₃ Powder (100,000 I.U.) and Vitamin D₃ Tablets Composed of PROSOLV® EASYtab Nutra and 5 % of Vitamin D₃ Powder

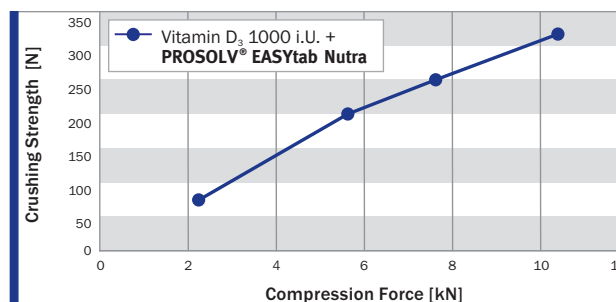


Fig. 2 Compactibility of a Vitamin D₃ Formulation Based on PROSOLV® EASYtab Nutra

Conclusion

PROSOLV® EASYtab Nutra is perfectly suited for the production of Vitamin D₃ tablets by direct compression (Figure 1). As an all-in-one excipient, PROSOLV® EASYtab Nutra enables a simple tableting process with only two process steps including blending with the active ingredients and compaction into tablets. Moreover, only low compaction forces were required in order to produce tablets with an adequate tablet hardness and without friability. The mechanical robustness in combination with a smooth surface of the tablet cores based on PROSOLV® EASYtab Nutra are the ideal basis for a coating process to apply a protective coating for better stability of the light sensitive Vitamin D₃.

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