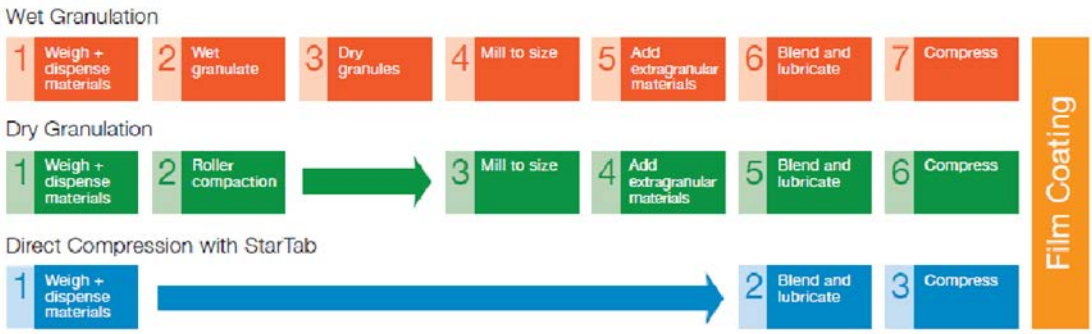
Overcoming Dietary Supplement Challenges – Vitamin C

Formulation of Dietary Supplements

Direct compression of dietary supplements may be challenging due to their high concentration of active ingredients and poor physicochemical properties of the ingredients. Typical challenges include:

- Sensitivity to environmental humidity, heat and light
- Poor flow and compressibility
- Possible interactions between active ingredients in a single dosage form
- Strong odor and/or bitter taste

Therefore, formulators must understand the properties of the active ingredients and choose the right excipients that will improve the formulation properties for successful manufacture and shelf-life stability of the finished dosage form.



The Challenge

Vitamin C (ascorbic acid) is a widely used dietary supplement for boosting the immune system[1]. It is used in a broad range of doses and is highly soluble in water. Poor flow and compressibility present formulation and manufacturing challenges. Vitamin C is also moisture sensitive and prone to undergo degradation on storage. This study demonstrates the use of StarTab®, Directly Compressible Starch in simplifying the formulation and manufacturing process leading to stable film coated vitamin C tablets.

Materials and Methods

Robust film-coated immediate release tablets of vitamin C (200 mg dose) were developed using direct compression (Table 1) in this case study.

A 1 kg batch of the formulation (Table 1) was prepared by mixing the main ingredients for 10 minutes, adding lubricant and mixing for a further 3 minutes. Tablets were compressed using 13/32” (10.3 mm) standard round concave B-tooling, 23 kN main compression force. Final tablets were coated with a brown

pigmented Nutrafinish®, High Performance Coating, to 4% weight gain (w/w), in a 12" perforated coating pan (O'Hara Labcoat II). Coating parameters are shown in Table 2.

Table 1: Composition of Immediate Release Vitamin C Tablets

Core Tablet Ingredients	% w/w	mg / tablet
Vitamin C (Ascorbic acid)	50.00	200.00
StarTab	24.75	99.00
Microcrystalline Cellulose (90µm) / Avicel 102	24.75	99.00
Magnesium Stearate	0.50	2.00
Final Core Tablet Weight	***100.00***	***400.00***

Table 2: Film Coating Process Parameters

Coating System	Nutrafinish® Brown
Solid Content (% w/w)	25
Pan Speed (rpm)	13
Air Volume (CFM)	125-142
Atomizing Air Pressure (psi)	20
Pattern Air Pressure (psi)	20
Spray Rate (g/min)	8-9
Inlet Temperature (° C)	58-60
Exhaust Temperature (° C)	38-40
Product Temperature (° C)	32-40

Results

The use of StarTab improved the powder properties of vitamin C, making the formulation suitable for direct compression (Table 3). The formulation compressed easily, yielding defect-free tablets with good physical properties. Table 4 shows the final tablet properties of uncoated and coated vitamin C tablets. The tablets were successfully film coated, resulting in glossy, smooth tablets (Figure 1). All tablets met the USP specification[2] of complete tablet disintegration in less than 30 minutes. Additionally, coated tablets were tested for dissolution resulting in >90% of vitamin C released within the first 10 minutes (Figure 2).

Table 3: Comparative Powder Properties

Property	Vitamin C	Formulation Blend
Bulk density (g/mL)	0.81	0.63
Compressibility index (%)	32.00	23.23
Particle size d(0,5) (µm)	154.36	111.63
Flow rate (g/min)	Did not flow (30 mm)	36.7 (4 mm)
Overall Flow	Very poor	Flowable

Table 4: Properties of Vitamin C Immediate Release Tablets

Property	Uncoated Tablets	Coated Tablets
Weight (mg)	401.51 ± 1.86	423.50 ± 2.40
Thickness (mm)	5.17 ± 0.03	5.21 ± 0.03
Hardness (kP)	11.90 ± 2.07	11.20 ± 1.10
Friability, %	0.0 ± 0.0	0.0 ± 0.0
Disintegration time (minutes)	1.23 ± 0.20	1.42 ± 0.12

Figure 1: Film Coated Ascorbic Acid Tablets

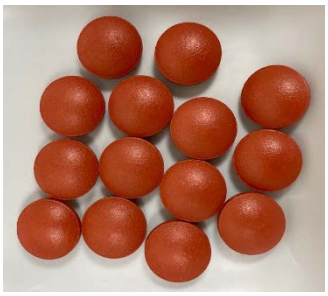
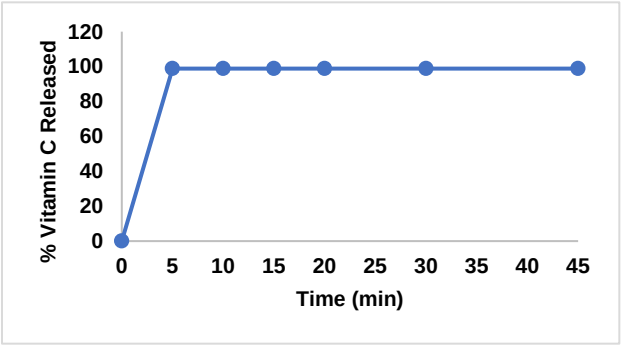


Figure 2: Drug Release from Coated Vitamin C Tablets



Conclusion

The use of StarTab, directly compressible starch, in the formulation of immediate release vitamin C tablets, shows simplicity of the formulation and process. StarTab improved the formulation powder flow and compressibility. Tablets developed were robust and easily coated with Nutrafinish, High Performance Coating for a perfect finish.

StarTab Overcomes Challenges of Poor Flow and Compressibility

StarTab supports the development of robust directly compressible tablets for a hydroscopic ingredient with poor flow characteristics.

Think Direct Compression, Think StarTab.

- Elimination of glidant and superdisintegrant in tablet formulation
- Excellent powder flow, blend uniformity and tablet weight uniformity
- Superior compressibility with fast disintegration
- Simplified formulation and process

References

1. Zelman, Kathleen. (2010). The Benefits of Vitamin C. https://www.webmd.com/diet/features/the-benefits-of-vitamin-c#1
2. USP 32 – Dietary Supplements I <2020> Disintegration and Dissolution of Dietary Supplements

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