

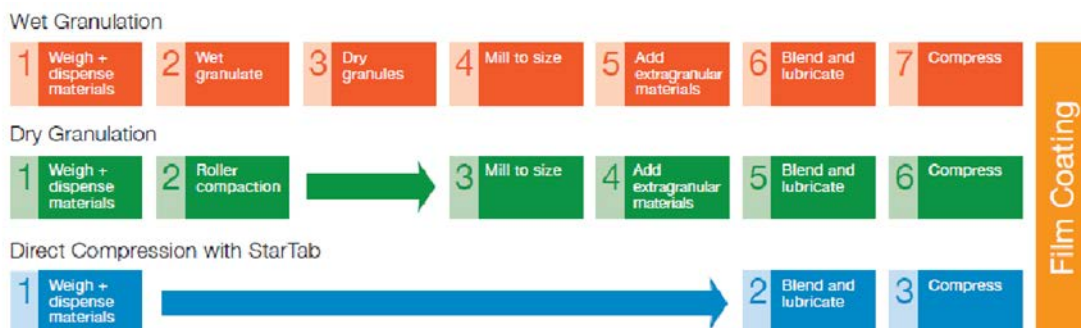
Overcoming Dietary Supplement Challenges – Vitamin C

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 system¹. 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 specification² 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 |

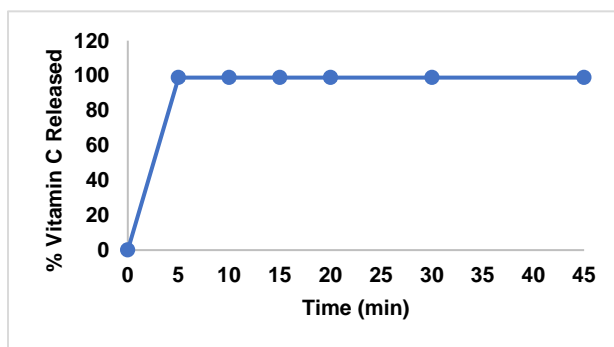
Table 4: Properties of Vitamin C Immediate Release Tablets

| 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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