

Overcoming Dietary Supplement Challenges – Valerian Root Extract

Formulation of Dietary Supplements

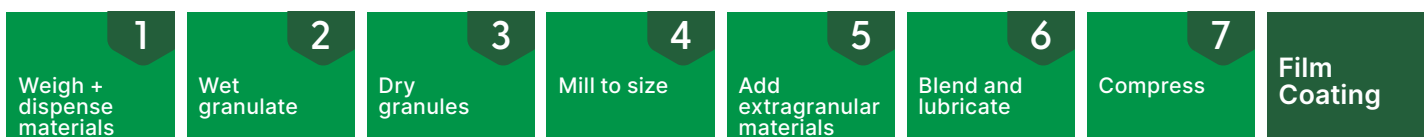
Direct compression of dietary supplements may be challenging due to their high concentration of active ingredients and poor physicochemical properties of the ingredients. Typical challenges include:

- Sensitivity to environmental humidity, heat and light
- Poor flow and compressibility

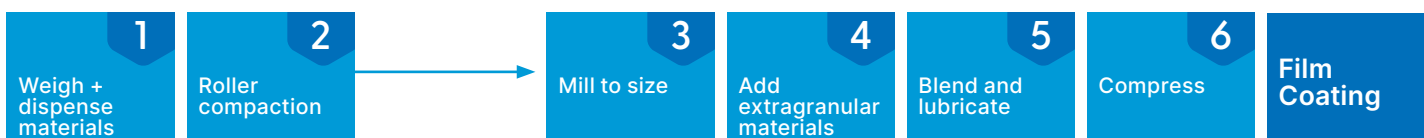
- Possible interactions between active ingredients in a single dosage form
- Strong odor and/or bitter taste

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.

Wet Granulation



Dry Granulation



Direct Compression with StarTab



The Challenge

Valerian Root Extract is a popular dietary supplement used for the treatment of anxiety and insomnia¹. It is hygroscopic, insoluble and has very poor flow characteristics, which provides significant compression challenges when used in high doses. Depending on the source of the extract powder, valerian root extract may also have an objectionable odor. This study demonstrates the use of StarTab®, Directly Compressible Starch, in simplifying the formulation and manufacturing process leading to stable film coated valerian root extract tablets.

Materials and Methods

Robust film coated immediate release tablets of valerian root extract (300 mg dose) were developed using direct compression (Table 1 and 2). StarTab and microcrystalline cellulose (Avicel 102, Dupont) were used in a 2:1 ratio as filler excipients.

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, 10kN main compression force. Final tablets were coated with a blue pigmented Nutrafinish®, High Performance Coating, to 3% 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 Tablets of Valerian Root Extract

| Core Tablet Ingredients | % w/w | mg / tablet |
|--|---------------|---------------|
| Valerian Root Extract | 50.00 | 300.00 |
| StarTab | 32.67 | 196.02 |
| Microcrystalline Cellulose (90µm) / Avicel 102 | 16.33 | 97.98 |
| Magnesium Stearate | 1.00 | 6.00 |
| Final Core Tablet Weight | 100.00 | 600.00 |

Table 2: Film Coating Process Parameters

| Coating System | Nutrafinish® Blue |
|------------------------------|-------------------|
| Solid Content (% w/w) | 25 |
| Pan Speed (rpm) | 13 |
| Air Volume (CFM) | 137 |
| Atomizing Air Pressure (psi) | 20 |
| Pattern Air Pressure (psi) | 20 |
| Spray Rate (g/min) | 8-10 |
| Inlet Temperature (°C) | 59-61 |
| Exhaust Temperature (°C) | 37-41 |
| Product Temperature (°C) | 32-40 |

Results

Powder properties of valerian root extract were improved with the addition of StarTab, making the formulation suitable for direct compression (Table 3). The formulation was easily compressed and yielded defect-free tablets with good physical properties. Table 4 shows the final tablet properties of uncoated and coated valerian root tablets. The tablets were successfully film coated producing shiny and smooth tablets (Figure 1). Due to the lack of an analytical method, tablets were not evaluated for valerian root content on dissolution and tested for disintegration time only. All tablets met the USP specification² of complete tablet disintegration in less than 30 minutes (Table 4).

Table 3: Summary of Powder Properties

| Property | Valerian Root Extract | Formulation Blend |
|----------------------------|-----------------------|-------------------|
| Bulk density (g/mL) | 0.49 | 0.53 |
| Compressibility index (%) | 33.80 | 21.51 |
| Particle size d (0,5) (µm) | 47.69 | 79.40 |
| Overall Flow | Very poor | Flowable |

Table 4: Properties of Valerian Root Extract Immediate Release Tablets

| Property | Uncoated Tablets | Coated Tablets |
|-------------------------------|------------------|----------------|
| Weight (mg) | 604.31 ± 4.33 | 627.30 ± 5.60 |
| Thickness (mm) | 7.80 ± 0.01 | 7.76 ± 0.02 |
| Hardness (kP) | 14.21 ± 0.74 | 18.60 ± 1.30 |
| Friability, % | 0.0 ± 0.0 | 0.0 ± 0.0 |
| Disintegration time (minutes) | 18.53 ± 1.93 | 18.68 ± 1.08 |

Figure 1: Film Coated Valerian Root Extract Tablets



Conclusion

The use of StarTab, directly compressible starch, in the formulation of immediate release valerian root extract tablets, shows the 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 hygroscopic 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. Bent, S., Padula, A., Moore, D., Patterson, M., Mehling, W. 2006. Valerian for sleep: a systematic review and meta-analysis. Am J Med. 119(12), pg. 1005-1012
2. USP 32 – Dietary Supplements I <2020> Disintegration and Dissolution of Dietary Supplements

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