

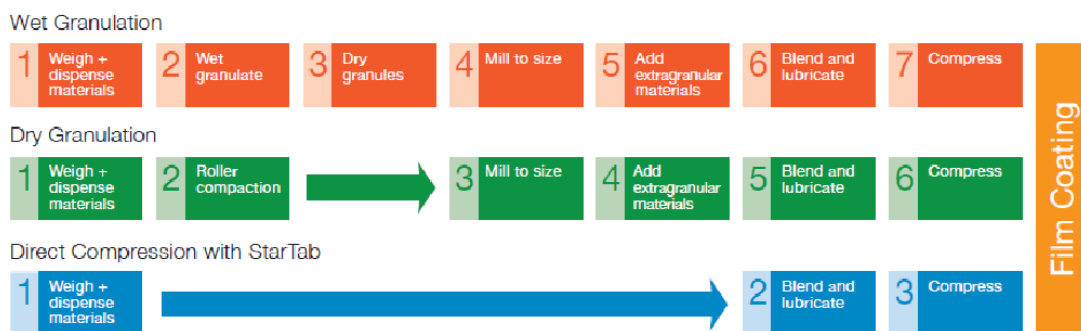
Overcoming Dietary Supplement Challenges – Valerian Root Extract

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.



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 (90 µm) / 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 (min)	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 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. 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

The information contained herein, to the best of Colorcon, Inc.'s knowledge is true and accurate. Any recommendations or suggestions of Colorcon, Inc. with regard to the products provided by Colorcon, Inc. are made without warranty, either implied or expressed, because of the variations in methods, conditions and equipment which may be used in commercially processing the products, and no such warranties are made for the suitability of the products for any applications that you may have disclosed. Colorcon, Inc. shall not be liable for loss of profit or for incidental, special or consequential loss or damages.

Colorcon, Inc. makes no warranty, either expressed or implied, that the use of the products provided by Colorcon, Inc., will not infringe any trademark, trade name, copyright, patent or other rights held by any third person or entity when used in the customer's application.

For more information, contact your Colorcon representative or call:

North America	Europe/Middle East/Africa	Latin America	India	China
+1-215-699-7733	+44-(0)-1322-293000	+54-1-5556-7700	+91-832-6727373	+86-21-61982300

You can also visit our website at www.colorcon.com



© BPSI Holdings LLC, 2020.

The information contained in this document is proprietary to Colorcon and may not be used or disseminated inappropriately.

All trademarks, except where noted, are property of BPSI Holdings, LLC.

ads_2020_StarTab_valerian