Ascorbic Acid Stability in Effervescent Tablets Formulated with Direct Compressible Maltitol

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Purpose
In recent years more and more direct compression excipients were developed in order to ease the tablet manufacturing process. The aim of this investigation was to determine if new commercially available direct compressible maltitol based excipients can prevent or lower the degradation of ascorbic acid (which is prone to oxidation and hydrolysis) used as an active pharmaceutical ingredient (API) in an effervescent tablet and also to increase the shelf life and patient compliance (digestive tolerance).

Methods
Effervescent tablets were formulated by using sorbitol (Neosorb P60W0) as a control and two different grades of direct compressible maltitol (SweetPearl P300DC and SweetPearl 300FD) as fillers in order to deliver ascorbic acid (AA) in an effervescent tablet. The effervescence was created by a powder mixture of: citric acid, malic acid, Na bicarbonate and K bicarbonate. The tablets were designed to stay at bottom of the glass throughout the effervescent period as well as to complete effervescence in less than 2 minutes providing a clear solution in the 200ml of water. The tablets were compressed in a Korsch XP1 tablet press to around 100N hardness, then packed in plastic bottles and Al foil patches and stored for 6 months under ICH recommended conditions (25°C and 60% RH and under accelerated conditions (40°C and 75% RH). Samples were collected monthly and analyzed by HPLC-MS using an Agilent 1200 series HPLC (Agilent Technologies, USA) and a Waters Acquity UPLC BEH Amide column (2.1 x 100mm, 1.7um; Waters Corporation, USA) connected to an Agilent 6410 Triple Quadrupole mass spectrometer with electrospray ionization source in selective ion-monitoring mode.

Results
Preliminary results are showing that based on DHA (Dehydroascorbic acid, main degradant of AA ) as a marker for breakdown of AA the direct compression fillers performance can be ranked at both stability conditions (25°C/60% RT and 40°C/70% RT), starting with the best, as follows: SweetPearl P300DC ≥ Neosorb P60W ≥ SweetPearl 300FD

Conclusion
Compared to other fillers, direct compressible maltitol possesses good compressibility and less hygroscopicity. It can be a new choice for the formulator when there is need for a better digestive tolerance to provide improved patient compliance and improve taste due to its "sugar-like" sweetness.