Moisture Sorption Properties of Different Poloxamer Grades

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BACKGROUND

Water sorption properties are of physical significance for the characterization of excipients used in pharmaceutical formulation. An important group of excipients is Poloxamers, which are nonionic triblock copolymers composed of a central hydrophilic block of polypropylene glycol covalently linked with two hydrophilic blocks of polyethylene glycol on each side. The water sorption isotherms of Poloxamers are important because of lack of such data in the literature, and relevant to a robust formulation development. In this study, we have investigated the moisture sorption for a range of Poloxamer grades.

MATERIALS AND METHODS

Samples: Poloxamers, a group of triblock copolymers of EO/PO, as shown in Table I, are nonionic surfactants widely used as emulsifiers, wetting agents, control release agents and solid dispersion carriers in liquid, oral, topical, and parenteral dosage forms [1]. Powdered Poloxamer grades Kolliphor™ P188 & P188 Micro, Kolliphor™ P237, Kolliphor™ P338, Kolliphor™ P407 & P407 Micro (BASF, Ludwigshafen, Germany) were used as received.

Water sorption properties measured by Dynamic Vapor Sorption (DVS): The DVS system provides a well-established method for the determination of water sorption and desorption properties. It has been used successfully in the past to determine the critical moisture content where glass transition and crystallization occurs at constant temperature [2].

Raman Spectra: A unique combination of a fiber optic Raman probe with Dynamic gravimetric Vapor Sorption (DVS) was used to monitor the real-time transformations using combined gravimetric and spectroscopic techniques. Raman-vapor sorption experiments have previously been performed on a wide range of materials [3]. Raman spectra were obtained by means of a Raman Plus (B&W Tek, Newark, DE, USA) using a 785nm laser, and was integrated into the DVS-Advantage instrument directly through a fiber optic probe and software trigger to collect data during the DVS experiment.

RESULTS AND DISCUSSIONS

Water Sorption Isotherms

Figure 1. Structure of Poloxamer.

Water sorption isotherms for different Poloxamer grades (Figure 4) demonstrate minimal water sorption below 3% RH by mass up to 80% RH. Above the point, relative sorption increases exponentially indicating a sudden change in sorption mechanisms, and a rapid dilutional effect at a critical relative humidity of 80%, although the rapid dilution effect is not clear. 2% of absorbed water (by weight) causes a substantial amount of polymer due to the highly soluble nature of Poloxamers, causing a abrupt desorption.

As such, a close inspection of the moisture sorption isotherms (see insert) indicates some subtle differences. For instance, the ‘Micronized’ samples show a more rapid initial penetration, due to their lower moisture uptake.

Moisture-Induced Phase Change

Humidity ramping experiments were performed on all Poloxamer grades to more accurately determine the onset conditions for moisture induced phase change indicated in Figure 4. Figure 5 shows a composite plot of all six samples. The rate of mass change is plotted versus the relative humidity. Similar experiments have proven effective in identifying moisture-induced phase transitions on pharmaceutical materials [4]. All six samples show a dramatic increase in mass change around 80% RH at 25 °C, suggesting a critical relative humidity (CRH) for Poloxamer deactivation reached at 80% RH.

It is interesting to note that the low hygroscopic property of Poloxamer P407 before reaching 80% RH has been utilized to suppress the hygroscopic growth for several methods.

Table 1: Moisture diffusion coefficients for Poloxamer grades at 25 °C

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The moisture sorption properties of different Poloxamer grades was studied in detail.

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CONCLUSIONS

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REFERENCES


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