CHARACTERIZATION OF COMMERCIAL PHARMACEUTICAL TABLETS AND MODEL BINARY MIXTURES TOWARD AN IMPROVED UNDERSTANDING OF TREATMENT EFFICIENCY

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Pharmaceutical tablets are an important class of formulated forms of active pharmaceutical ingredients (API). The control and optimization of their therapeutic efficiency is thus a fundamental challenge for pharmaceutical industries. This efficiency is influenced both by the interactions between ingredients (API, excipients...) and the manufacturing process (milling, granulation, compression, storage…) which can alter various important characteristics (polymorphism, solvatation, dehydration, crystalline/amorphous conversion…). Taking into account the number of ingredients and unit operations involved in the manufacturing process of pharmaceutical tablets, systematic studies are required to gain insight into main factors responsible for the efficiency of treatments.

In that work, the followed methodology comprised analyses of commercial tablets together with characterisation of model mixtures prepared in the laboratory, i.e. involving a limited number of ingredients and not submitted to any potentially degrading manufacturing operations. Various commercial tablets containing β-blockers, acebutolol and carvedilol, as well as different model binary mixtures of API with various excipients and antioxidants were analyzed using several experimental techniques. The obtained data provided valuable information about the samples regarding their compositions (using nuclear magnetic resonance and high performance liquid chromatography), their morphology (using differential scanning calorimetry, DSC, Figure 1, and X-ray diffraction), their thermal stability (themogravimetric analysis) and the binary interactions between ingredients (using infrared spectroscopy).

The importance of the nature of the excipient as well as the conditions of manufacturing was revealed through the detection of partial API degradation.

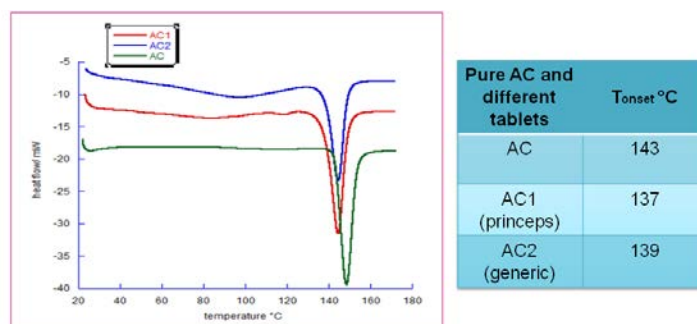| Pure AC and different tablets	T_{onset} °C
AC	143
AC1 (princeps)	137
AC2 (generic)	139

Figure 1: DSC thermograms of pure acebutolol (AC) and two commercial tablets (AC1 and AC2).