

P 09

Improvement of the vitamin D₂ photostability through the formation of cocrystals

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Due to their benefits for human health, vitamins are considered nutraceutical compounds. In particular, vitamins play a vital role in cellular functioning and the normal development of living organisms. The present study focuses on ergocalciferol, commonly known as vitamin D₂, which activates calcium absorption in the intestines, and maintains the calcium-phosphorus balance in the bone and muscular systems. However, from a chemical point of view, vitamin D₂ faces challenges, specially related to its low photostability and solubility. The aim of this work is, therefore, to enhance the stability of vitamin D₂ through the formation of cocrystals. A cocrystal refers to a crystalline solid form that arises from the combination of two or more different compounds in the same crystal lattice. The chemical structures of the molecules that conform the cocrystals are not modified, but the resulting solid form can show different physicochemical properties compared to the ones of the original components. In this work, two different cocrystals have been prepared with orcinol and resorcinol as cofomers. The new cocrystals have been characterized by solid-state analytical techniques (Differential Scanning Calorimetry, Thermogravimetric Analysis, Powder X-ray Diffraction, Dynamic Vapor Sorption, and Nuclear Magnetic Resonance), showing they both a 1:1 stoichiometry. Interestingly, DSC studies show that the orcinol cocrystal has an enantiotropic polymorphic transition, which has not been observed for the resorcinol cocrystal.

For the photostability study, different samples of the pure components and the two cocrystals samples have been exposed to light irradiation at 5000 lx. The amount of vitamin or cofomers has been quantified over time using High-Performance Liquid Chromatography. As expected, after 20 days of light exposition, pure vitamin D₂ is completely degraded. In contrast, the cocrystals present 80% (orcinol) and a 40% (resorcinol) of intact vitamin after 60 days of exposure, offering a good strategy for potential photostable formulations of this important nutraceutical compound.