

Preliminary Evaluation of Molecular Adducts of Sulfadiazine and Organic Acids [†]

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Abstract: Antibacterial sulfonamides are active pharmaceutical ingredients (APIs) with proven efficiency in generating intermolecular interactions in the solid state due to their molecular structures. In the present work, we evaluate the ability to form molecular adducts between sulfadiazine (SDZ) and citric acid (CIT), malic acid (MAL), succinic acid (SUC), or oxalic acid (OXA) and we analyze the effect of the obtained cocrystals on the solubility of the API. Equimolecular amounts of SDZ and the different organic acids were dry-milled for 30 min. The solids obtained were preliminarily characterized by melting temperature, optical microscopy, polarized light microscopy, hot plate microscopy, and TLC. The thermodynamic solubility measurements of each pair were carried out by the shake-flask method in a phosphate buffer with pH 7.4 at 37.0 ± 0.1 °C. The SDZ-CIT and SDZ-SUCC pairs exhibited melting ranges lower and different from the individual components. All pairs showed lower solubility than the individual components, but the SDZ-CIT and SDZ-SUCC pairs were the most soluble. We continue working on solid-state characterization and determining the pharmaceutical properties of the solids obtained.

Keywords: sulfadiazine; citric acid; malic acid; oxalic acid; succinic acid; solid-state; solubility.

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Conflicts of Interest

The authors declare no conflict of interest.