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Editorial

Sweet Co-Crystals for Pediatric Drugs Formulation

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Among different challenges of drug formulations for pediatric use, bitterness and un-palatable taste of most drugs represent the main barriers for treatment completion in pediatrics. Enhancing the palatability and sweet taste of these formulations would be translated as enhanced patient compliance and improved therapeutic value in addition to the commercial benefits for the pharmaceutical companies. Masking the un-pleasant taste of the drug is done by various masking technologies. Among the newly developed technologies is co-crystallization of the drug with a sweetener.

Co-crystals are defined as crystals composed of two or more components that are solid at room temperature. Co-crystallization is one of the emerging crystal engineering techniques for modulating pharmaceutical performance through controlling solid-state properties of Active Pharmaceutical Ingredients (APIs) and expanding the access to new solid forms differing in structures. Co-crystallization can modify different physicochemical properties of the APIs, without any change in their activity, such as improving the solubility of poorly soluble drugs, enhancing the dissolution rate and bioavailability, increasing the chemical stability and decreasing the hygroscopicity.

When co-crystallization of an API is done by using a co-crystal former which is one of effective artificial sweeteners fit for human use such as saccharin, aspartame, acesulfame and sucralose, they are called sweet co-crystals. These sweeteners molecules are capable of forming co-crystals as they have multiple hydrogen bond acceptors and donors. Saccharine is one of the most used sweetener as a co-crystal former for different APIs such as carbamazepine theophylline, ethenzamide, indomethacin and others.

Saccharine (pKa 2.2) is an acid being used in the pharmaceutical industry as a salt former and is generally regarded as safe material (GRAS). It has (C=O) bond which acts as strong hydrogen bond acceptor and (N-H) bond which acts as strong donors which gives it the ability of forming hydrogen bonds with other molecules forming salts or saccharinates. Co-crystal formation with saccharine requires that the API has sufficiently low basicity, functional group complementarity and viable packing interactions (synthon compatibility).

The resultant saccharin-API sweet co-crystals are usually characterized by superior physicochemical properties over the free API such as higher solubility, increased dissolution rate, masked bitter taste resulting in increased bioavailability and enhanced patient compliance. In addition, the important of sweet co-crystals for pediatric drug delivery lies in that they can be incorporated into different attractive dosage forms suitable for pediatric delivery such as lozenges, fast-dissolving tablets, chewable tablets, lollipops, oral suspension and oral solutions.

Co-crystals are prepared by either by solvent-mediated co-crystallization or solid-state co-crystallization. The former method which is mainly depends on the phase solubility diagram of both the API and the co-former is used for commercial scale due to availability of the solvents and equipments of crystallization although the outcome of this method isn't usually predictable. The second method, solid-state co-crystallization, is usually used when the first one fails. It depends on mechanical treatment or grinding of both the API and the co-former leading to formation of amorphous phase, vapor diffusion and eutectic melting which increases the molecular mobility of co-formers and enhances the rate of reaction.

After preparation, co-crystals have to be characterized by different analytical techniques to identify the newly formed solid state such as Fourier transform infrared spectroscopy (FTIR), Differential scanning calorimetry (DSC), Powder X-ray diffraction (PXRD) and Scanning electron microscopy (SEM).

In conclusion, co-crystallization of API with sweeteners could solve the problem of un-pleasant taste, especially in pediatric formulations in addition to enhancement of other API properties. Detailed pharmaceutical, pharmacological and clinical studies are required to emerge this technique into the pharmaceutical industry.

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