Research Article

Screening, preparation, and characterization of aceclofenac cocrystals

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ABSTRACT

Aim: The aim of the present investigation was to prepare cocrystals of a poorly soluble biopharmaceutical classification system Class - II drug, aceclofenac after screening to enhance its solubility and in turn the bioavailability. **Materials and Methods:** The screening of the cocrystal formers was done by calculating the solubility parameters using Hoftyzer and Van Krevelen solubility parameters and slurry crystallization technique using seven cocrystal formers. Cocrystals of the drug were prepared using solvent evaporation technique using the selected cocrystal formers, that is, Gallic acid and nicotinamide in the stoichiometric ratio of 1:1. Characterization of the prepared cocrystals was done using differential scanning calorimetry, Fourier-transform infrared studies, X-ray diffraction, and scanning electron microscopic techniques. **Conclusion:** All the four characterization techniques confirmed the formation of cocrystals of the drug thereby establishing cocrystallization as the method for improving the physiochemical properties of an active pharmaceutical ingredient.

KEY WORDS: Aceclofenac, Cocrystals, Hoftyzer, Slurry crystallization technique, Van Krevelen solubility parameters

INTRODUCTION

Cocrystallization has gained immense attention in the last decade as a means of tailoring the physicochemical properties, such as solubility and dissolution of biopharmaceutical classification system (BCS) Class - II drugs. It is the method of producing multicomponent crystals in which the individual neutral molecules, that is, the active pharmaceutical ingredient and the pharmaceutically acceptable molecules, known as the pharmaceutical cocrystal former are held together in stoichiometric ratios by freely reversible, non-covalent interactions hydrogen bonds.[1] Cocrystals which are generally solid at ambient temperature have the ability to partially design the crystal architecture using established crystal engineering principles including the design of supramolecular synthons, and conduction of screening studies to evaluate stoichiometric variations in cocrystal composition.[2]

Aceclofenac (2-[(2, 6-dichlorophenyl) amine] phenylacetoxyacetic acid) is an orally effective nonsteroidal anti-inflammatory drug of the phenylacetic acid group, possessing remarkable anti-inflammatory, analgesic, and antipyretic properties. It is used to treat pain, inflammation, rheumatoid arthritis, osteoarthritis, and inflammatory disease of the joints. Being a BCS Class - II drug, it exhibits very slight solubility in water, poor flow properties and compression characteristics. It shows an elimination half-life of 4 h, volume of distribution 25 L and 50% oral bioavailability.[3]

Cocrystal formers are pharmacologically inactive material, safe and generally with improved physicochemical properties.[4] They can be screened using a number of approaches such as supramolecular synthon approach using Cambridge database structure, hydrogen bonding between the drug and the coformer, Hansen solubility parameters, and virtual cocrystal screening methods. Here, we have used solubility parameters using Hoftyzer and Van Krevelen solubility parameters and slurry crystallization technique as the screening methods for the screening of cocrystal conformers.[5]

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MATERIALS AND METHODS

Materials

Aceclofenac was generously gifted from Suraksha Pharma, Hyderabad, India. Nicotinamide was

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