ABSTRAK

INFLUENCE OF LORATADINE–SUCCINIC ACID COCRYSTAL STOICHIOMETRY ON LORATADINE PHYSICOCHEMICAL CHARACTERISTICS

(Using the Liquid Assisted Grinding Method)

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Loratadine is a second generation H1 histamine antagonist drug used to treat allergies. Loratadine shows low solubility but high permeability, thus it is classified into Class II according to Biopharmaceutics Classification System (BCS). In order to improve its solubility, cocrystalization of loratadine with succinic acid in molar ratio of 2:1 was performed by liquid assisted grinding method. Succinic acid as coformer has two carboxylic groups which are expected to form hydrogen bonds with the carbonil group from Loratadine. This aim of this study is to determine the physicochemical characteristics of cocrystal of loratadine-succinic acid which was made using the liquid assisted grinding (LAG) method with various of solveent (isopropil alcohol, ethil acetate, acetone, acetonitrile, metanol). The cocrystals were characterized by Differential Thermal Analysis (DTA), Powder X-Ray Diffraction (PXRD), Fourier Transform Infrared (FTIR), and Scanning Electron Microscope (SEM) to determine the physicochemical properties of loratadine-succinic acid cocrystals. Based on thermograms, diffractograms, IR Spectra and microscopy, loratadinesuccinic acid cocrystals displayed different physicochemical characteristics from the their pure components in various solvent. Thermograms from DTA showed a decrease in the melting temperature compared with the constituent materials. The powder diffractogram of the cocrystals exhibited new diffraction peaks. IR spectra showed that there was a shift in the C=O and O-H indicating that loratadine has formed intermolecular hydrogen bond with succinic acid. SEM microphotograph showed morphologies of the cocrystals that were different than the constituents.

Keyword: loratadine, succinic acid, co-crystal, characterization, liquid assisted grinding