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Title:	Cocrystal of 5-Fluorouracil: Characterization and Evaluation of Biopharmaceutical Parameters
Authors:	Mandal, S.K. (/jspui/browse?type=author&value=Mandal%2C+S.K.)
Keywords:	Cocrystallization DSC FTIR PXRD
Issue Date:	2019
Publisher:	Springer Link
Citation:	AAPS PharmSciTech, 20(4).
Abstract:	To prepare the cocrystals of 5-fluorouracil (5-FU) with GRAS status coformers via a cocrystallization technique with an aim to improve physicochemical properties as well as bioavailability for colon cancer, breast cancer, and prostate cancer. The mechanochemical method was used in the preparations of three crystals of 5-FU with gentisic acid (5-FUGA), 3,4-dihydroxybenzoic acid (5-FUBA), and 4-aminopyridine (5-FUPN). A thermoanalytical and spectroscopic technique was used for their characterization. Their biological evaluation was done in different cancer cell lines. The new solid pure crystal forms were characterized by DSC, FTIR, and PXRD. The crystal structure was determined from single crystal and PXRD that exposed the existence of the monoclinic and triclinic crystal system with P2 ₁ /n and P-1 space groups. The dermatokinetic studies on the rat skin revealed two- to threefold improvement in relative bioavailability as compared to pure 5-FU. "MTT assay was performed by varying the concentrations of the drug from 1 to 50 µg mL ⁻¹ . After 24 h, the cell viability dropped to 70.67%, 74.05%, and 76.37% in MCF-7, Hela, and Caco-2 cell lines when the concentration of 5-FU was 50 µg mL ⁻¹ ", while it dropped dramatically in cocrystals 5-FUGA (22.06%, 24.63%, and 25.61%), 5-FUBA (31.22%, 29.46%, and 32.81%), and 5-FUPN (21.65%, 32.64%, and 21.46%). All the results indicated that 5-FU cocrystals possess better antitumor efficacy than free drug. Thus, cocrystallization expands the extent of the existing pre-formulation options ahead of pure API form to ameliorate the bioavailability and permeability.
Description:	Only IISERM authors are available in the record.
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