Title:	Co-crystallization of Active Pharmaceutical Ingredients: An Approach for Physical Property Enhancement and Chiral Separation
Authors:	Verma, I. (/jspui/browse?type=author&value=Verma%2C+I.)
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Abstract:	We have been interested in exploring the possibility of the formation of salts/cocrystals of the Active Pharmaceutical Ingredients (APIs), which generally suffer formulation difficulties because of their poor solubility in water. Formation of salts or cocrystals has been found to modify the physiocochemical properties of the parent substance. The molecule of interest is ofloxacin (OFX) and levofloxacin (LFX), antibiotics of the fluoroquinolone drug class. OFX is the racemic mixture of LFX (S-isomer) and R-isomer. OFX suffers formulation difficulties due to its low aqueous solubility whereas LFX is more effective therapeutically and more water soluble than the R-form or the racemate. The molecule has a –COOH group, tertiary amine group and a halogen atom (C–X bond) along with some other hydrogen bond accepting functionalities. The functional groups are utilised to establish interactions to produce salts/cocrystals. In the present work, OFX and LFX were co-crystallized with 27 different cocrystal formers (1:1, 1:2 and/or 2:1 molar ratio) using solvent assisted grinding method. Efforts were also made to form salts of OFX with the optically active cocrystal formers in order to explore the possibility of chiral separation by salt/cocrystal formation. 10 new phases of OFX and 11 new phases of LFX were identified by Powder X-ray Diffraction, Raman, FTIR and UV-Vis Spectroscopy and Differential Scanning calorimetry. Crystal structure of salts of pimelic acid and maleic Acid with LFX were obtained by Single Crystal X-Ray Diffraction. The solubility of OFX's salts was found to be enhanced by 2-100 times than OFX and of LFX's salts by 2-23 times. These salts can be the potential alternative solid forms for OFX and LFX.
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