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Title: Ciprofloxacin Hippurate Salt: Crystallization Tactics, Structural Aspects, and Biopharmaceutical

Performance

Authors: Mandal, S.K. (/jspui/browse?type=author&value=Mandal%2C+S.K.)

Keywords: Ciprofloxacin

Solubility Fluoroquinolone Bioavailability

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Citation: Crystal Growth and Design, 16(9), pp. 4960-4967.

Abstract:

Ciprofloxacin is a widely prescribed fluoroquinolone antibacterial for the treatment of several types of bacterial infections; however, it suffers from unfavorable biopharmaceutical characteristics such as solubility as well as bioavailability. To improve dissolution and bioavailability characteristics, a salt of the drug has been prepared by treating hippuric acid with ciprofloxacin using the solvent assisted grinding technique. Furthermore, single crystals of the salt were obtained by the vapor diffusion technique. The new phase was analyzed using Fourier transform infrared spectroscopy, differential scanning calorimetry, and powder X-ray diffraction, and structural parameters were determined using single crystal X-ray diffraction. The solubility and intrinsic dissolution rate of the salt were measured in pharmaceutically relevant buffer solution with pH 1.2 and water (pH 6.3). In the aqueous solution, the salt demonstrated solubility improvement (22-fold) and a faster dissolution rate than the parent form of the drug. Pharmacokinetic studies on rats showed double plasma area under the curve values in a single dose. The antibacterial efficacy (MIC) of the salt was found to be high even at low concentration as compared to the parent molecule. Thus, the present work demonstrated the diverse pharmaceutically relevant properties, including dissolution, pharmacokinetics, and antibacterial efficacy by a new crystalline salt. Further, the vapor diffusion technique to attain single crystals of the prepared salt was also assessed.

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