

Poster Presentation

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Crystal engineering principles: fluoroquinolone salts

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Crystal engineering principles[1] are amenable to improve the physico-chemical properties of the materials, especially in the pharmaceutical industry useful to improve the properties of the drug molecule such as solubility, dissolution rate and bioavailability via formation of salts, cocrystals, solvates, hydrates and screening of polymorphs etc.[2] without modifying the chemical bonds. Therefore, to further strengthen the field, the principles which exist in the crystal engineering were employed to alter the solubility and dissolution properties of fluoroquinolone antibiotics norfloxacin and ciprofloxacin molecules (Fig. 1) via salt formation using six different carboxylate counter ions such as oxalate, tartarate, benzoate, malonate, and citrate, and hydration state.[3] The obtained new salts were characterized by SC-XRD, PXRD, IR and DSC experiments. The proton transfer and molecular composition are confirmed from their crystal structure. Moreover, the hydration state on the solubility and dissolution profile of drug salts were studied in water at different pH. The salts are more soluble than a parent drug molecule and exhibit the faster dissolution profile in pure water and phosphate buffer (pH 6.8) medium than the reference drugs, whereas the order is reversed in acidic medium 0.1 N HCl (pH 1.2). These salts are chemically stable to the dissolution measurement conditions, whereas the reference drug norfloxacin undergoes phase transformation to norfloxacin hydrate at the end of the experiment.[3]

References

[1] Crystal Engineering: A Textbook, (2011) by Desiraju, G. R. et al. 216.

[2] Duggirala, N. K. et al. (2016) Chem. Commun., 52, 640-655.

[3] Reddy, J. S. et al. (2011) J. Pharm. Sci., 100, 3160-3176.

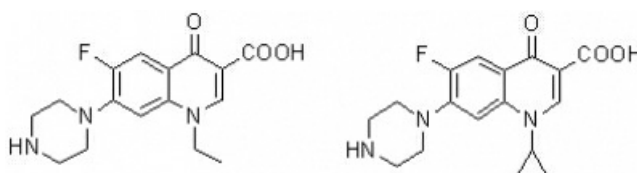


Figure 1. Molecular structure of norfloxacin and ciprofloxacin.

Keywords: [fluoroquinolone](#); [ciprofloxacin](#); [norfloxacin](#).