

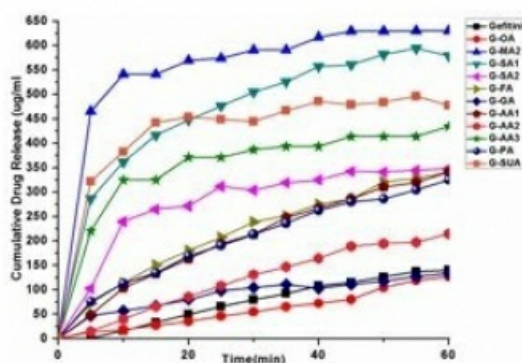
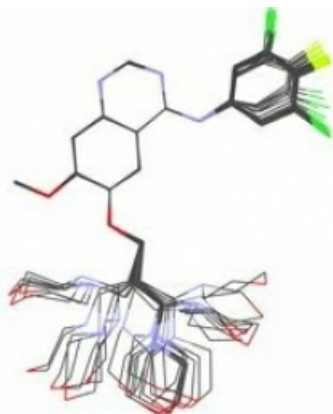
*Cocrystals/salts of an anticancer drug gefitinib with dicarboxylic acids*

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Constant and consistent attempt to develop cocrystals/salts of an active pharmaceutical ingredient (API) with suitable coformer is gaining widespread research interest in contemporary areas. This is basically because cocrystals/salts of APIs show great promise in improving its pharmaceutically relevant properties such as solubility, bioavailability, compressibility, stability, hygroscopicity, crystallinity, etc. without altering their therapeutic efficiency. This has prompted pharmaceutical companies to engage in developmental aspects of cocrystals that not only include physicochemical characterization, but also its scale up, processing and formulations of these novel materials. We are interested in improving the solubility of anticancer drug, gefitinib (Iressa). It is orally administrated chemotherapy treatment for lung and breast cancers. However, it suffers from poor aqueous solubility and hence its bioavailability is poor. Gefitinib was screened for polymorphism [1] and cocrystals/salts synthesis. Interestingly, it displayed polymorphism and also formed several cocrystals/salts with numerous dicarboxylic acids. Although the newly generated polymorph showed solubility similar to the marketed form owing to their isostructurality, the cocrystals/salts showed a significant increase in the solubility of gefitinib. The cocrystals/salts forming ability of gefitinib with respect to its different hydrogen bond forming groups, tunable conformation flexibility offered by morpholine moiety that accommodates various cocrystal formers of different sizes and shapes made gefitinib an excellent candidate for cocrystals/salts preparation.[2]

[1] Thorat et al. (2014). CrystEngComm, 16, 8638–8641.

[2] Gonnade, R. G. (2015). Patent. WO2015170345 A1 .



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