

Effect of co-crystallization on physico-chemical properties of Gefitinib

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Well-controlled crystallization of the API's (Active Pharmaceutical Ingredients) is often an important factor in pharmaceutical industries. The development of new crystallization methods to design the products with specific physico-chemical properties is a complex and challenging issue. This existing challenge impetus us to explore the possible ways to control the crystallization of gefitinib. Gefitinib, [N-(3-chloro-4-fluro-phenyl)-7-methoxy-6-(3-morpholin-4-yl propoxy) quinazolin-4-amine] is an anticancer drug used in the treatment of non-small cell lung cancer. Gefitinib exists in five polymorphic forms (i) anhydrous (ii) solvate of MeOH (iii) solvate of DMSO, (iv) monohydrate and (v) trihydrate forms. Each polymorph has different physical properties and leads to large variation in the biopharmaceutical performance. The bioavailability of pure gefitinib is low and there is a need to enhance the solubility. With this regard, our aim is to isolate the more specific crystalline polymorph of gefitinib and to enhance the solubility of the preferred form. Here we present, the effect of selective amino acids used for co-crystallization with gefitinib and the results will be discussed in detail.

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