

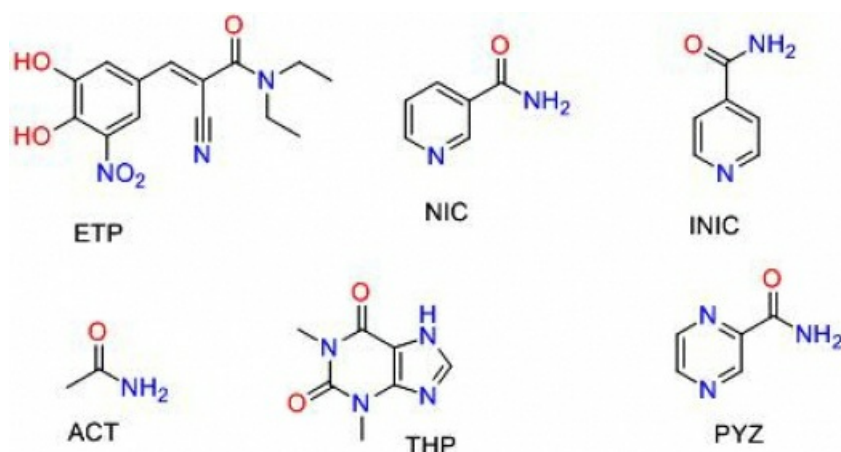
*Novel entacapone cocrystals and their physicochemical properties study*Manish Kumar Bommaka¹, M.K. Chaitanya Mannava¹, Kuthuru Suresh¹, Ashwini Nangia¹¹School Of Chemistry University Of Hyderabad, Hyderabad, India

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More than 90% of the Active Pharmaceutical ingredients (APIs) exist in crystalline phase because of high purity and are commonly incorporated into solid dosage forms such as tablets and capsules which generally present the most convenient way for their application and storage. 60-70% of APIs are in pipeline never brought to market because of low aqueous solubility issue. Therefore it is important to improve aqueous solubility of poorly soluble APIs. Physicochemical properties can be tuned by making novel solid forms such as cocrystals (multicomponent) through supramolecular interactions by Crystal Engineering approach. Formulation development and efficacy of a drug product are attempted to be influenced, this can be traditionally achieved on a molecular level. Salt is most preferable solid forms of marketed drug (50%) because of its high solubility, but has limitation over hydration problems and selective towards ionizable APIs. But cocrystal can be prepared for both neutral and ionizable APIs. In the last decade, pharmaceutical cocrystals gained immense importance because of their better physicochemical properties compared to pure API. Cocrystals can provide dual advantage of solubility and stability in a same solid form of an API. With this background here we evaluated the solubility and stability of entacapone by cocrystallization. Entacapone (ETP) is a BCS (biopharmaceutical classification system) class-IV drug with low solubility and low permeability and used for the treatment of Parkinson's disease. To overcome these issues, here we adapted cocrystallization strategy. We obtained four pharmaceutical cocrystals with GRAS (Generally Recognized As Safe) cofomers such as Acetamide (ACT), Nicotinamide (NIC), Isonicotinamide (INIC), Theophylline (THP) and drug-drug cocrystals with Pyrazinamide (PYZ). Further all these new solid forms physicochemical properties such as solubility physical/chemical stability can be evaluated and the structure-property relationship are also studied in detail.

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