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Multidisciplinary Approach on Characterizing Composites of Vinpocetine and Crospovidone Obtained by Solid State Activation

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The poorly-soluble Vinpocetine was successfully coground with micronized crospovidone in a planetary mill, which allowed an enhancement of bioavailability through a solid-state activation. Drug-to-polymer weight ratio and milling time variables led to statistically significant effects on the activation/amorphysation of the product. An *ad hoc* software was then used to calulate the dimensions of the drug crystallites in the samples on the basis of the calorimetric data [1]. The thermal analyses were then accompanied by a multisciplinary characterization of the samples, by means of X-ray diffraction, Raman imaging/spectroscopy, DRIFT and SS-NMR spectroscopy, laser light scattering and solubilization kinetics tests. All the analyses attested the progressive loosing of crystalline structure of the drug when increasing milling time and amount of polymer in the formulations. Further, the analyses revealed the perturbation of the VIN carbonyl environment as a result of the disruption of the crystalline lattice, the absence of hydrogen bonding between components and the insurgence of hydrophobic interaction between components. The activated status of the drug, that resulted to be homogeneously distributed on the coground sample and stable for at least 1 year, was reflected on favorable solubilization kinetics. Finally, the in vivo studies on rats revealed that coground systems promoted a five-fold higher oral bioavailability enhancement in comparison to a marketed oral formulation (Vimpocetin® 5 mg C, Pharma). *The authors thank Linnea for the kind gift of Vinpocetine and Fondazione CRTrieste for funding*.

[1] Coceani N, Magarotto L, Ceschia D, Colombo I, Grassi M. Melting temperature, enthalpy and solubility dependence on crystal radius. The case of co-ground Nimesulide. submitted to J Phys Chem C, 2010.