Micronization of Valsartan for Dissolution rate Enhancement using CO_2 of Low temperature as Antisolvent for Prevention of Agglomeration phenomenon

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Valsartan is in a class of drugs called angiotensin II receptor antagonists to reduce hypertension (high blood pressure) even though oral bioavailability of valsartan is very low (less than 40%), due to its poor water solubility. Consequently, there have been some attempts to improve bioavailability of valsartan by mixture with polymers helping dissolution. However, we achieved to prepare of single valsartan particles with improved dissolution rate based on potential dangers in certain takers with pre-existing renal impairment when some organic excipients were mixed into valsartan. In this work, The fine valsartan particles with mean diameter of 0.1㎛ were obtained without excessive agglomeration at the subcritical CO2 of 70 bar and 5 oC. It is found that small valsartan particles were easily agglomerated with increase of process temperature because a melting point of valsartan was depressed after recryetallization into small size. Therefore processed valsartan at subcritical CO2 of low temperature improved its dissolution rate because of small sized and little agglomerated particles.