

Formulation of Human Insulin Microcrystals for Pulmonary Delivery

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Abstract

Pulmonary delivery pathway became well known as the noteworthy alternative route for parenteral administration of peptide and protein drugs. With this aspect, we produced human insulin microcrystals in suspension which then dried with lactose carriers to prevent agglomeration.¹⁾ For pulmonary delivery, morphological examination using scanning electron microscope (SEM) showed that the microcrystals were homogeneous trigonal shape, with some triclinic forms, without aggregation. The average diameter was 2.3 μm with a narrow monodispersed size distributions. The percentage of high molecular weight proteins (%HMWP), the percentage of other insulin related compounds (%OIRC) and the percentage of A-21 desamido insulin (%D) of the microcrystals were included in suitable range during the processes.²⁾ Animal test was done with normal Sprague-Dawley rats. After the administration of 10 ~ 12 U/kg of the microcrystal powder by intratracheal insufflation, the blood glucose levels were significantly reduced. The percent minimum reductions of the blood glucose concentration (%MRBG) produced by the microcrystal powder and insulin solution reached 40.4% and 33.4%, respectively, of the initial level and the bioavailabilities relative to subcutaneous injection (F) were 15% and 10%, respectively.³⁾ This results confirm that the prepared insulin microcrystal powder is suitable for an effective insulin dosage form for pulmonary delivery.

References

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