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论著

［^{125}I］西夫韦肽在大鼠体内的药代动力学

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摘要 目的 为临床上合理安全地应用西夫韦肽提供药代动力学资料。方法 Iodogen法制备［^{125}I］西夫韦肽，大鼠单次给［^{125}I］西夫韦肽后，三氯醋酸(TCA)沉淀法测定血浆或组织中的放射性含量。结果 大鼠单次sc 0.8，2.4，7.2 mg·kg^{-1} ［^{125}I］西夫韦肽后，AUC分别为10.6，32.2和112.3 mg·h·L^{-1}；达峰时间在1.40～4.60 h之间，吸收较为缓慢；$t_{1/2}(k_e)$分别为7.39，4.53，13.84 h；血浆清除率相近，分别为0.44，0.70和0.50 L·h^{-1}；TCA法测定大鼠sc给药［^{125}I］西夫韦肽组织分布，放射性分布特点为泌尿系统和胃肠道系统浓度最高，血浆其次，脑组织和脂肪组织内浓度最低。结论 大鼠sc ［^{125}I］西夫韦肽后，在给药剂量范围内呈线性动力学。TCA沉淀法测得泌尿系统和胃肠道系统放射性最高。［^{125}I］西夫韦肽主要经肾脏排泄。

关键词 西夫韦肽 药代动力学 分布

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Pharmacokinetics of ［^{125}I］sifuvirtide in rats

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Abstract

AIM To provide pharmacokinetic data for safe use of sifuvirtide in clinics. **METHODS** ［^{125}I］Sifuvirtide was prepared by Iodogen method. The radioactivity in plasma or tissues was determined following trichloroacetic acid (TCA) precipitation of ［^{125}I］sifuvirtide after single sc injection. **RESULTS** The AUC for plasma were 10.6, 32.2 and 112.3 mg·h·L^{-1} following sc dose of 0.8, 2.4, 7.2 mg·kg^{-1}, respectively. Time to maximum concentration over the dose range tested was between 1.40－4.60 h following sc ［^{125}I］sifuvirtide, showing the slow absorption of ［^{125}I］sifuvirtide. The half-life of ［^{125}I］sifuvirtide was 7.39, 4.53, 13.84 h, respectively. The plasma clearance of ［^{125}I］sifuvirtide, determined after sc administration was similar: 0.44，0.70 and 0.50 L·h^{-1}, respectively. Acid-precipitable radioactivity of plasma was higher than that of other tissues but lower than that of urinary system and gastrointestinal system. The radioactivity of the brain and adipose tissues were the lowest. **CONCLUSION** In dosage range studied pharmacokinetic behavior appeared as linear kinetics. Acid-precipitable radioactivity in urinary system and gastrointestinal system were the highest. ［^{125}I］sifuvirtide was excreted out of body mainly from urine.

Key words sifuvirtide pharmacokinetics distribution

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