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Study on Pharmacokinetics of a new NSAID SJ-151

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Cinmetacin, one of the candidate of NSAID of arylacetate group was developed into a prodrug SJ-151 with butendiol group to minimize its gastrointestinal side effects. We studied its excretion and distribution after single oral administration in rats.

Male rats were orally administered with 30, 60, 80 or 120mg/kg of SJ-151 and their urine and stool were collected at 0, 6, 12, 24 and 48 hour after administration. To evaluate its tissue distribution, 120mg/kg of SJ-151 was orally given and samples of blood, liver, kidney and brain were taken at 0.5, 1, 2, 4, 8, 24, and 48 hour of administration.

As results, less than 0.1% of administered SJ-151 was detected in 48 hour collected urine as its metabolite cinmetacin. 33-50% of administered SJ-151 was observed in 48 hour collected stool as SJ-151. 3-7% of excreted SJ-151 was observed in 48 hour collected stool as cinmetacin. SJ-151 and cinmetacin were not detected in the brain regardless of dosage. SJ-151 was detected neither in kidney nor in liver. Only cinmetacin was observed in both organs with kidney concentrations higher than liver throughout the observation period. On the whole, organ concentration of cinmetacin fluctuated through 0.1-1.5 times that of plasma. As no reports on the metabolism of SJ-151 or cinmetacin in specific organs has been published yet, any detailed explanation of these results needs further study and the plasma concentration profile of rats showed remarkable interspecies difference with dogs.