분류번호	III-3

제 목	제 3세대 백금착체 항암제 신약개발 3. General pharmacology and pharmacokinetic study of SKI 2053R
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The general pharmacological profiles of SKI 2053R were investigeted on the central nervous system, autonomic nervous system, respiratory-cardiovascular system, digestive system and other systems. SKI 2053R had no significant pharmacological effects.

Pharmacokinetic studies on time-course of blood levels, tissue distribution and excretion of SKI 2053R were performed in rats and beagle dogs after intravenous administration of ^{14}C-labeled SKI 2053R. The blood level of radioactivity decreased in bi- or tri- exponential manners ; rapidly decreased at α-phase but slowly decreased at β- or γ- phase. ^{14}C-SKI 2053R was well distributed to all tissues except central nervous system. Tissue concentration profiles of radioactivity were almost consistent with those of blood, but higher than those of plasma from 1 to 168 hrs after administration. Also, these results were consistent with those of whole body ARG study. The urinary and fecal excretions of radioactivity within 168 hr after administration were 84-87 and 9-11 % of total radioactivity of ^{14}C-SKI 2053R administered.

In lactating rats, the levels of radioactivity in the milk were significantly lower than that in the blood, but slightly higher than that in the plasma. The disapperance of the radioactivity from the milk was similar as that in the plasma.

In pregnant rats, ^{14}C-SKI 2053R was well distributed to uterus and placenta, but the level of radioactivity in amniotic fluid and fetuses were very lower than that in plasma, and it was confirmed by whole body ARG study.

The blood cell distribution study was performed *in vitro* system with the whole blood of mouse, rat, beagle dog and human, respectively. ^{14}C-SKI 2053R was well distributed to the blood cell of mouse and rat but the blood cell of beagle dog and human.