| 분류번호 | III-2 |
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| 제 목 | 제 3세대 백금착체 항암제 신약개발<br>2. Antitumor activity and ex vivo pharmacodynamics of SKI 2053R |
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| 내 용 | |

The *in vitro* cytotoxicity of SKI 2053R was evaluated against human tumor cell lines along with those of cisplatin and carboplatin using MTT assay. The cell lines tested were two human lung cancer cell lines and five human stomach cancer cell lines. The level of cytotoxic effects of SKI 2053R against two human lung cancer cell lines was located between cisplatin and carboplatin. However, the cytotoxic activity of SKI 2053R against five human stomach cancer cell lines was similar to that of cisplatin. SKI 2053R is considered to be selectively cytotoxic toward human stomach cancer cell lines. We carried out pharmacokinetic and *ex vivo* phrmacodynamic studies of SKI 2053R in beagle dogs to predict the clinical antitumor effect of SKI 2053R, comparing with those of cisplatin and carboplatin. In *ex vivo* pharmacodynamics which used MTT assay as bioassay on the 2 lung and 5 stomach cancer cells, mean antitumor indexes (ATIs) of SKI 2053R were highest among three compounds in both lung and stomach cancer cell lines, especially in stomach cancer cells. Much higher ATI profiles and maximal inhibition rates of SKI 2053R appeared in the stomach cancer cells will give desirable advantages to clinical trials against gastric carcinoma. The antitumor activity and target organ toxicity of SKI 2053R were compared with those of cisplatin on stomach cancer cell line, KATO Ⅲ xenografted into nude BALB/c (*nu/nu*) mice. All groups of cisplatin and SKI 2053R showed active tumor regression. The inhibition rates(IR) of SKI 2053R were higher than that of cisplatin on the basis of mean IR. Though the loss of body weight was observed in all groups from the first week, the SKI 2053R group recovered it soon from the third week after the initiation of treatment, maintaining the most active antitumor activity among three groups.