

A novel cis/trans-diaminocyclohexane platinum coordination complexes possessing *in vitro* and *in vivo* antitumor activity

*Jee-Chang Jung*¹, *Sung-Goo Chang*², *Kyung-Tae Lee*³, *Young-Soo Rho*³,
*Joo-Han Lee*⁴, *Kyou-Heung Lee*⁴ and *Sang-Lin Kim*⁴

¹Department of Pharmacology & ²Urology, School of Medicine, ³College of Pharmacy, Kyung Hee University, Seoul 130-701, ⁴Boryung Central Research Institute, Boryung Pharmaceutical Co., LTD. 689 Keumjung-Dong, Kunpo-Si, Kyungki-Do, 435-050, Korea

As part of a drug discovery program to develop more effective platinum-based anticancer drugs, a series of platinum complexes trans-diaminocyclohexane platinum bisdiphenylphosphino-ethane (KHPC-002) cis-diaminocyclohexane platinum bisdiphenylphosphino-ethane (KHPC-006) has been evaluated *in vitro* against 4 human carcinoma cell lines with those of cisplatin using a tetrazolium-based colorimetric assay (MTT assay). The cell lines were two human bladder carcinoma cell lines, HT-1197 and HT-1376, human colon carcinoma cell line, HCT-116, and prostate cancer cell line DU-145.

In vitro cytotoxic potential of each platinum complex was expressed as the cytotoxicity index (CI, %).

From the assay results, the level of cytotoxic effect of KHPC-002 and KHPC-006 against two human bladder carcinoma cells and human prostate carcinoma cells was similar to that of cisplatin. However, KHPC-002 showed less than those of KHPC-006 and cisplatin in human colon carcinoma cell lines. In conclusion, KHPC-002 and KHPC-006 are considered to be as active as cisplatin against several tumor cell lines.

In vivo, the antitumor activity of a new platinum complexes was also compared with cisplatin. KHPC-002 exhibited greater or comparable therapeutic efficiency in BDF1 mice bearing L1210 leukemic cells. However, KHPC-006 was also effective against L1210 bearing BDF1 mice but the effect was not superior to that of cisplatin on this animal tumor model.