

**Bibliographical identification:**

Author's first name and surname: Bc. Lucia Pazderová

**Title:** **Synthesis and study of properties of platinum carboxylato complexes**

Type of thesis: Diploma

Department: Department of Inorganic Chemistry, Faculty of Science, Palacký University in Olomouc, Czech Republic

Supervisor: Mgr. Pavel Štarha, Ph.D.

The year of presentation: 2015

**Abstract:** Eleven platinum(II) carboxylato complexes of the general formula  $[\text{Pt}(\text{naza})_2(\text{Mal})]$  **(1)–(3)**, *cis*- $[\text{Pt}(\text{naza})_2(\text{Dec})_2]$  **(4)–(7)**,  $[\text{Pt}(\text{naza})_2(\text{EtMal})]$  **(8)** a **(9)** and *cis*- $[\text{Pt}(\text{naza})_2(\text{MEE})_2]$  **(10)** a **(11)** were synthesized and fully characterized; *naza* = 7-azaindole derivatives, Mal = malonate dianion, Dec = decanoate anion, EtMal = ethylmalonate dianion and MEE = 2-[2-(2-methoxyethoxy)ethoxy]acetate anion. Compounds **(1)–(7)** were tested for their *in vitro* cytotoxicity against cisplatin sensitive (A2780) and resistant (A2780R) human ovarian carcinoma cell lines, normal human fibroblast cell line (MRC5) and primary culture of human hepatocytes (Hep). The complexes **(2)**, **(4)**, **(5)** and **(7)** were found to be more *in vitro* cytotoxic against the A2780 cells ( $\text{IC}_{50} = 13.0\text{--}24.4 \mu\text{M}$ ) than the clinically used platinum-based drug cisplatin ( $\text{IC}_{50} = 26.3 \mu\text{M}$ ). All the studied complexes **(1)–(7)** were effective against A2780R cell line ( $\text{IC}_{50} = 13.6\text{--}28.9 \mu\text{M}$ ) and thus circumvented the acquired resistance of the cancerous cells against cisplatin ( $\text{IC}_{50} > 50.0 \mu\text{M}$ ). Complexes **(3)** (A2780:  $\text{IC}_{50} = 26.6 \pm 8.9 \mu\text{M}$ ; A2780R:  $28.9 \pm 6.7 \mu\text{M}$ ) and **(4)** (A2780:  $14.5 \pm 0.6 \mu\text{M}$ ; A2780R:  $14.5 \pm 3.8 \mu\text{M}$ ) seem to be the most pharmacologically promising ones, because these substances were not biologically active against MRC5 ( $\text{IC}_{50} > 50.0 \mu\text{M}$  for **(3)** and  $> 25.0 \mu\text{M}$  for **(4)**) and Hep ( $\text{IC}_{50} > 250.0 \mu\text{M}$  for **(3)** and **(4)**) non-cancerous cells within the tested concentration range. The obtained biological data show on selective biological effect of **(3)** and **(4)** against cancerous cells.

Keywords: Platinum(II) complexes; 7-azaindole; Carboxylato; Synthesis; Characterization; *In vitro* cytotoxicity

Number of pages: 81

Language: Slovak