Cancer is a group of diseases classified as one of the most life-threatening worldwide. Many anti-cancer medicines are currently used in clinical treatment, but more than 50% of them are platinum-based drugs. Their effectiveness is still hampered by clinical problems, including acquired or congenital resistance, a limited spectrum of action, and high toxicity leading to adverse effects. One strategy adopted to overcome these limitations is the development of new alternative transition metal (*e.g*. Cu, Ru, Pd, and Au) anti-cancer agents.

Nowadays, transition metal complexes and iridium compounds are probably the most promising group of potential medicine. They appear to be an attractive alternative to their platinum counterparts, mainly because they are less toxic and exhibit anticancer properties through the induction of apoptosis and interactions with DNA or protein kinases.

Fluoroquinolones are broad-spectrum antibiotics used to treat bacterial infections not only in humans but also in animals. In addition, to their strong antimicrobial activity, these antibiotics have also shown immunomodulatory and antitumor effects. Consequently, the linking of fluoroquinolones *via* phosphine moiety to iridium(III) complexes may decrease the overall toxicity and may enable selective delivery to neoplastic cells.

The main aim of my work was to design and synthesis organometallic iridium(III) complexes with phosphines derived from fluoroquinolone antibiotics possessing anticancer potential. In the next step, for the compounds with the highest antitumor activity, methods for their selective delivery using encapsulation in nanoformulations were designed.

During my work, I prepared four iridium(III) complexes containing phosphine ligands with/without a fluoroquinolone motif. The physicochemical properties in both solution and solid-state of each obtained complex were investigated using elemental analysis, mass spectrometry, cyclic voltamperometry, and spectroscopic methods (NMR, IR, UV-Vis, fluorescence). The crystal structures of every synthesized complex were obtained using the X-ray single-crystal diffraction method. The mononuclear iridium(III) complexes adopt half-sandwich pseudo-octahedral “three-leg piano-stool” geometry with an η^5-coordinated cyclopentadienyl and three additional sites of ligation occupied by one phosphine ligand and two chloride ligands. Homonuclear Ir(III) complexes containing the fluoroquinolone motif are stable in an aqueous solution. In the case of the complex without the fluoroquinolone motif, hydrolysis was observed in an aqueous solution, which was monitored in the presence of various concentrations of NaCl (mimicking the most important environment in the body).

The cytotoxicity of all compounds was tested *in vitro* against the five most common cancer cell lines: MCF7 (human breast adenocarcinoma), A549 (human lung adenocarcinoma), PANC-1 (human pancreatic/duct carcinoma), WM2664 (metastatic human melanoma) and DU-145 (human prostate carcinoma) as well as one normal, human embryonic kidney (HEK293T). Based on these results, examined complexes exhibited promising cytotoxicity *in vitro* with IC_{50} values significantly lower than that of the cisplatin. It is worth emphasizing that the introduction of the fluoroquinolone motif in complexes significantly increased the antitumor cytotoxicity of the final compounds against the lung, breast, and melanoma cell line. This study made it possible to select from a pool of all compounds with the best effect (**IrPCp**) and to make an attempt to determine their mechanism of cytotoxic action. Furthermore, preliminary investigation focused on elucidation of the mode of action allowed to formulate the following conclusions: ***(i)*** iridium(III) complexes are accumulated in both nucleus and cytoplasm, ***(ii)*** cytometric analysis showed clear evidence for predominance of apoptosis in the induced cell death, ***(iii)*** the activation of caspase-3/7 along with the decrease of mitochondrial membrane potential also confirmed the apoptotic cell death, ***(iv)*** iridium(III) complexes may induce the changes in cell cycle leading to G2/M phase arrest, ***(v)*** ROS generation (involving hydroxyl radical, singlet oxygen and superoxide anion radical) as plausible pathway responsible for the cytotoxicity ***(vi)*** efficient anticancer action on 3D multicellular tumor spheroids assemblies was demonstrated, ***(vii)*** inorganic compounds exhibited multimodal DNA interaction with predominance of minor groove binding, ***(viii)***and they bind to HSA tryptophan residues at site I (subdomain II A) and bind to all four possible apo-Tf binding sites containing tyrosine or tryptophan residues.

To overcome poor solubility, serious side effects related to the systemic cytotoxicity of the complexes, and the acquisition of cancer cell resistance, the resulting homonuclear complexes were encapsulated in nanoemulsions and Pluronic-123 micelles. The enclosure of compounds in micelles (**IrPCp_M**) improved the effective accumulation of drugs in human lung adenocarcinoma and human prostate cancer and increased their cytotoxicity by an order of magnitude.