Abstract

Charles University
Faculty of Pharmacy in Hradec Králové
Department of Pharmaceutical Chemistry and Pharmaceutical Analysis
Author: **Alena Jančárová**
Supervisor: **doc. PharmDr. Petr Zimčík, Ph.D.**
Co-supervisor: **RNDr. Miloslav Macháček, Ph.D.**
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Photodynamic therapy is one of the methods used for destruction of undesirable cells. It combines three essentially nontoxic components: light, oxygen and a photosensitizer. Azaphthalocyanines (AzaPcs) are promising compounds with photosensitizing properties. However, their major disadvantage is their low water solubility and significant aggregation that decreases their photodynamic activity.

The aim of this work was to synthesize an anionic derivative of AzaPc substituted with sulfonic groups on periphery characterized by good solubility in water and to evaluate its photodynamic properties.

The first step in the synthesis was condensation of diaminomaleonitrile with benzil giving 5,6-diphenylpyrazine-2,3-dicarbonitrile. Subsequently, the cyclotetramerisation with zinc acetate in 2-dimethylaminoethanol as a solvent was performed. The final product was obtained by sulfonation with chlorosulfonic acid followed by hydrolysis with sodium hydrogen carbonate. The green colored product was then purified by gel chromatography using Superdex® as stationary phase. Synthesized AzaPc is soluble in water but according to absorption spectra it is partially aggregated.

The tests for photodynamic activity were performed on HeLa cells using serum-free medium (phototoxicity EC_{50} = 0.938 ± 0.388 μM, dark toxicity TC_{50} > 1000 μM). It was practically inactive in serum-containing medium due to its strong binding to plasma proteins.