ABSTRACT

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Title of diploma thesis: Synthesis of precursors and studies of „click“azide-alkyne cycloaddition .

Photosensitizers are used in photodynamic therapy that is based on a destruction of tumor cells by singlet oxygen. Singlet oxygen is generated during irradiation of photosensitizers. The third generation of the photosensitizers is characterized by high efficiency, optimal spectral properties and particularly by targeted distribution into the tumor cells. This can be achieved by conjugation of phthalocyanine photosensitizer with biomolecules.

My thesis concerned with conjugation of suitable phthalocyanine with mestranol using 1,3 azide-alkyne cycloaddition (also called „click chemistry“). Selected photosensitizer with optimal photophysical and photochemical properties was prepared in our department earlier. 1,3 azide-alkyne cycloaddition is CuI catalyzed reaction of azide and terminal alkyne. This reaction is high yielding, selective and easy to perform, without any considerable effects of substituents in proximity of azide or alkyne.

Pre-prepared 3-azidopropylamine was linked to the selected phthalocyanine introducing thus azide group to photosensitizer. The azide group in Pc reacted with terminal alkyne of mestranol and provided the desired conjugate through 1,3 azide- alkyne cycloaddition.

Carboxy group in chosen phthalocyanine is responsible for its low yield because of strong silica-binding during purification by column chromatography. That is why, the phthalocyanine was prepared also by alternative method - by amidation of the precursor with 3-azidopropylamine and subsequent cyclization with precursor bearing *tert*-butylsulfanyl groups. The alternative approach provided phthalocyanine in higher yield particularly due to easier isolation from the reaction mixture.