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**Abstract:** Cancer is one of the leading causes of death worldwide. Despite substantial progress

in the understanding of tumor biology, and the appearance of new generations of targeted drugs

and treatment techniques, the success achieved in this battle, with some notable exceptions, is still only moderate. Photodynamic therapy (PDT) is a successful but still underestimated therapeutic modality for treating many superficial cancers. In this paper, we focus on the extensive investigation of the monocationic chlorin photosensitizer (PS), considered here as a new photosensitizing agent for both antitumor and antimicrobial PDT. This monocationic chlorin PS (McChl) obtained from methylpheophorbide a (MPh) via a two-step procedure is well soluble in water in the physiological temperature range and forms stable complexes with passive carriers. McChl generates singlet oxygen with a good quantum yield in a lipid-like environment and binds mainly to low- and high-density lipoproteins in a vascular system. A comparison of the photodynamic activity of this agent with the activity of the well-established photosensitizer chlorin e6 (Chl e6) clearly indicates that McChl provides a much more efficient photoinactivation of malignant and microbial cells. The pilot PDT treatment of M1 sarcoma-bearing rats with this PS demonstrates its good potential for further preclinical investigations.

**Keywords:** cancer; antibiotic resistant microorganisms; photodynamic therapy; chlorin photosensitizers; synthesis; physicochemical study; antimicrobial and antitumor efficacy