

Phototoxicity induced by chloroquine – an in vitro study using various experimental models

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Chloroquine (CQ) is a medication used to treat and prevent malaria. It is also prescribed for certain autoimmune diseases, such as rheumatoid arthritis and systemic lupus erythematosus. Long-term use of CQ may produce phototoxic side effects both in the skin and in the eye. This may be due to the drug's high affinity for melanin and its accumulation in pigmented tissues. Melanin biopolymers may therefore be of great importance in the development of phototoxicity in patients using chloroquine. The purpose of this study was to investigate the phototoxic potential of CQ using various experimental models on skin cells with different pigmentation (human dermal fibroblasts, lightly-pigmented melanocytes, darkly-pigmented melanocytes) and incubation time with the drug prior the exposure to sunlight (1 h or 24 h). Cells were incubated with CQ (0-100 µg/mL) and then irradiated with the SXL-3000V4 sunlight simulator. The WST-1 assay was used to determine cell viability, and EC50 (concentration causing a 50% reduction in cell survival) and PIF (photo-irritation-factor) values were calculated. It was demonstrated that the extension of incubation time with CQ augments the drug phototoxic potential reflected by an increase in PIF values. A dramatic difference was observed between the cell types tested – fibroblasts were found to be significantly more sensitive to the phototoxic effect of CQ compared to melanocytes. The results indicate that the phototoxicity of CQ depends on cell type and drug exposure time. Therefore, optimal in vitro models used to evaluate the phototoxic potential of melanin-binding compounds should include cultures of cells with different pigmentation. Moreover, the preincubation time of 1 h, which is currently considered the standard, should be extended. Funding: This research was funded by the National Science Centre, Poland (SONATA 16, project 2020/39/D/NZ7/01206).