Ackermann et al. report on the chemical hybridization approach exemplified by artesunic acid (ARTA) and naturally occurring triterpene betulinic acid (BETA). Artemisinin derived semisynthetic compound artesunic acid (ARTA) and naturally occurring triterpene BETA were used to synthetically couple to the hybrid compound termed 212A. We investigated the impact of 212A and its parent compounds on glioma cells, astrocytes and neurons. ARTA and BETA showed cytotoxic effects on glioma cells at micromolar concentrations. ARTA was more effective on rodent glioma cells compared to BETA, whereas BETA exhibited higher toxic effects on human glioma cells compared to ARTA. We investigated these compounds on non-transformed glial cells and neurons as well. Noteworthy, ARTA showed almost no toxic effects on astrocytes and neurons, whereas BETA as well as 212A displayed neurotoxicity at higher concentrations. Hence we compared the efficacy of the hybrid 212A with the combinational treatment of its parent compounds ARTA and BETA. The hybrid 212A was efficient in killing glioma cells compared to single compound treatment strategies. Moreover, ARTA and the hybrid 212A displayed a significant cytotoxic impact on glioma cell migration. Taken together, these results demonstrate that both plant derived compounds ARTA and BETA operate gliomatoxic with minor neurotoxic side effects. Altogether, our proof-of-principle study demonstrates that the chemical hybrid synthesis is a valid approach for generating efficacious anti-cancer drugs out of virtually any given structure. Thus, synthetic hybrid therapeutics emerge as an innovative field for new chemotherapeutic developments with low neurotoxic profile $^{1)}$.

1)

Ackermann A, Karagöz AÇ, Ghoochani A, Buchfelder M, Eyüpoglu I, Tsogoeva SB, Savaskan N. Cytotoxic profiling of artesunic and betulinic acids and their synthetic hybrid compound on neurons and gliomas. Oncotarget. 2017 Jun 7. doi: 10.18632/oncotarget.18390. [Epub ahead of print] PubMed PMID: 28637008.

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