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A novel form of gallic acid to improve the compound bioavailability and cancer

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Gallic acid (GA), a natural phenolic compound, has numerous biological properties such as antimalarial, antibacterial (against *Bacillus subtilis*, *Staphylococcus aureus* and *Escherichia coli*), antiviral, anti-inflammatory and anticancer activities. In this poster we presented the methodology that allows for the synthesis of a range of sulphonamide analogous to gallic acid (GA), 3,4,5-trimethoxybenzenesulfonamide TMBS and other derivatives of GA and their antioxidant properties were investigated. CCK-8 assay was used to investigate the inhibition of cell proliferation and apoptosis cell death of GA and its sulphonamide analogue on the A549 lung cancer cell line. Different concentrations of GA (20, 30, and 40 μ M) and 3,4,5-trimethoxybenzenesulfonamide (TMBS), (30, 40 and 60 μ M) were used to treat A549 cancer cell line for 30 minutes, 12, 24 and 48 hours. The apoptosis rate of GA and TMBS was higher than without treatment and positive control. Furthermore, TMBS showed a higher inhibition rate than GA.

The genotoxic effects of these compounds were assessed on a model for non-small cell lung cancer (A549) and lymphocytes from lung cancer patients and healthy controls by using the Comet assay. The Comet assay was carried out on 40 blood samples, 20 from patients with lung cancer and 20 from healthy volunteers. The most promising compound synthesised showed approximately a 2-fold more potent effect with a p-value of 0.001 compared to negative control and 1.4-fold reduced DNA damage when compared to gallic acid. The results of the Comet assay on the cell line showed that both compounds are not as genotoxic. However, adding an oxidising agent such as hydrogen peroxide increased their genotoxicity by up to 3-fold. These results clearly demonstrated that this compound is an effective compound to repair DNA damage compared to gallic acid and can pave the way for developing an effective drug. Intriguingly, the new derivatives suggest a higher level of antioxidant effect compared to GA.

Keywords:

Gallic acid; 3,4,5-trimethoxybenzenesulfonamide (TMBS); antioxidant; genotoxic; Comet assay.