ANKARA UNIVERSITY
FACULTY OF PHARMACY

ISOPS 13th International SYMPOSIUM ON PHARMACEUTICAL SCIENCES

Book of Abstracts



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Introduction: *Nepeta transcaucasica* Grossh. (Lamiaceae), named as 'kaf pisik otu' in Anatolia, belongs to *Nepeta* genus that is represented in Turkey by 33 species and 40 taxa, 19 of them are endemic. In previously studies showed that *N. transcaucasica* has antibacterial and anticandidal activities (1-3). The aim of our study was characterizing essential oil obtained from aerial parts of the plant and evaluating for *α*-glucosidase inhibitory activity, as well as making anatomical examination of different parts of the plant.

Materials and Methods: Essential oil was obtained with Clevenger apparatus and analyzed by Gas Chromatography and Mass Selective Detector. The enzyme inhibitory effect of essential oil was determined according to method of Bachhawat (4). Sections were taken manually from plant parts in 70% alcohol, and prepared with Sartur reagent for anatomical examinations.

Results: The major compounds of essential oils were found as *cis*-nepetalactone (92.5%) and *trans*-nepetalactone (5.5%). Essential oil exhibited *α*-glucosidase inhibitory activity with an IC_{50} value of 7966.88 µg/mL compared to the positive control, acarbose (IC_{50} = 4199.05 µg/mL). The stem cross section was quadrangular. There were glandular trichomes with bicellular heads and unicellular stalk on stem. Stomatas were on the upper and lower surface of the leaf. There were glandular trichome with unicellular head, unicellular stalk and Lamiaceae type glandular trichomes on leaf. In addition, Lamiaceae type glandular trichomes were found on petals and sepals.

Conclusions: Nepetalactones were determined as major compounds of essential oil of *Nepeta transcaucasica*. Essential oil was not found as a potent *α*-glucosidase inhibitor. Essential oil was found at different types of glandular trichomes of the plant. These data will contribute to the taxonomic classification of the plant.

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OP163: *IN VIVO* ANTI-ANGIOGENIC AND ANTI-INFLAMMATORY POTENTIALS OF R(+) OR S(-) LIMONENE LOADED EUDRAGIT® RS 100 NANOPARTICLES

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Introduction: R(+) and S(-) limonene are major compounds of *M. spicata* essential oil have been reported to be used in treatment due to their antimicrobial, anticancer, anti-angiogenic, anti-inflammatory and antioxidant effects and as well as in cosmetics and as sweetener in drinks (1). We aimed to design and characterize R(+) or S(-) limonene loaded nanoparticles (NPs) and investigate their *in vivo* anti-angiogenic and anti-inflammatory potentials.

Materials and Methods: Eudragit RS 100-based NPs were prepared by following the nanoprecipitation technique with some modifications (2). The *in vivo* anti-angiogenic and anti-inflammatory activities of R(+) and S(-) limonene NPs were evaluated by using *in vivo* CAM and HET-CAM assays respectively (3,4).

Results: According to the results, NPs at the concentration of 50 µg/pellet including R(+) limonene and S(-) limonene as 4 µg/pellet showed strong *in vivo* anti-angiogenic potentials with anti-angiogenic scores of 0.93 ± 0.1 and 0.74 ± 0.05 and no membrane irritation and embryotoxicity compared with (±)-Thalidomide (0.8 ± 0.05) (Figure 1). R(+) and S(-) limonene NPs also exhibited strong *in vivo* anti-inflammatory activities with 75.00 ± 12.50% and 80.00 ± 11.18% inhibition values compared with 81.25 ± 8.84% (Figure 1).

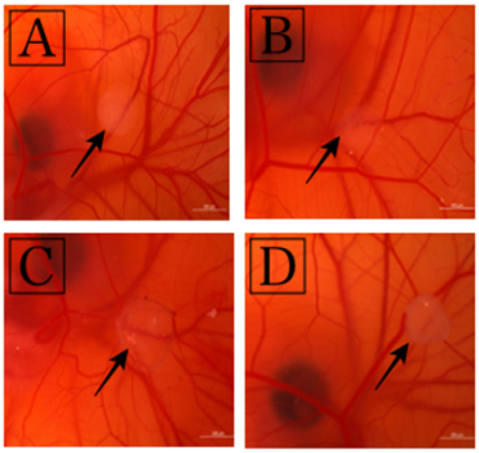

Figure 1. *In vivo* anti-angiogenic and anti-inflammatory effects of R(+) and S(-) limonene NPs. **A:** strong anti-angiogenic effect of R(+)

limonene NP, **B:** strong anti-angiogenic effect of S(-) limonene NP, **C**: strong anti-inflammatory effect of R(+) limonene NP, **D:** strong anti-inflammatory effect of S(-) limonene NP.

Conclusions: Plant derived natural angiogenesis inhibitors including plant extracts, essential oils and their volatile compounds used to treat cancer and inflammatory diseases, are seen promising as good alternatives to synthetic ones due to their low side effect profiles and different mechanisms of effect.

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OP164: SEARCH OF POTENTIAL MARINE NATURAL PRODUCTS AGAINST COVID-19

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Introduction: The development of vaccines has come to a certain point to end the new coronavirus (SARS-CoV-2) pandemic that has affected humanity for more than a one and a half. Scientists continue to research in order to develop an effective drug against the virus that has killed more than 3 million people (1). The aim of this study is present our findings and bring together the result of other studies on marine secondary metabolites from the studies carried out to end COVID-19 epidemic and to draw attention to the importance of studies on marine natural products for drug research.

Materials and Methods: Docking study was done using the procedure reported in literature (2). Tested compounds were downloaded from Pubchem (pubchem.ncbi.nlm.nih.gov) or built form the 2D structures. Ligands and proteins were prepared as reported in literature. Docking analysis and image preparation was done using PyMol. The proposed binding mode of the isolated compounds with neutrophil elastase (NE) and SARS-CoV-2 main protease (Mpro) was studied using Autodock Vina (3).

Results: Thousands of compounds were subjected to preliminary evaluations in studies and hundreds of compounds with drug-like properties were studied. As a result, it has been found that some marine natural products have a potent inhibitory effect by binding to COVID-19 M^{Pro} (Main Protease) (4). In this study four compounds isolated from marine derived *Aspergillus terreus* fungi were assessed for their in silico COVID-19 main protease (Mpro) inhibitory activities. Among the tested compounds, only butyrolactone I revealed significant activity that makes butyrolactone I a potential lead entity for developing new remedy to treat and/or control the currently devastating COVID-19 pandemic. It has also been shown from other studies that sulfated polysaccharides from marine organisms inhibit different stages of the viral infection process within the host cell and Plitidepsin is a peptide found in *Aplidium albicans* tunicate, which is currently used in cancer treatment, has also been found effective against COVID-19 and phase 3 clinical studies have been initiated recently (5).

Conclusions: The marine habitats are excellent homes to countless organisms and microorganisms and thousands of bioactive marine natural products have been isolated from these sources until now. The results revealed in the compiled studies show that marine natural products have a very important place in efforts to develop new drugs against the COVID-19 virus. Despite the reported antiviral activity of isolated marine metabolites, structural modifications showed the importance in targeting and efficacy.

Acknowledgements

Authors declare that there is no conflict of interest in this study.

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