XXI ICICU

BOOK OF ABSTRACTS

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Uzhhorod 3-6 June 2024

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The Book of abstracts contains the materials of invited, plenary and poster presentations reports on XXI International Conference on Inorganic Chemistry Ukraine (XXI ICICU) witch take place in Uzhhorod June 3-6, 2024. At the conference were considered issues of solid state chemistry, solid inorganic materials, crystal chemistry, synthesis of new compounds for modern medicine and pharmaceutical chemistry, chemistry and physics of materials, atomic and electronic structure of solid inorganic materials, materials for "green" chemistry. The conference will cover issues on solid state chemistry, solid-state inorganic materials, crystal chemistry, synthesis of new compounds for modern medicine and pharmaceutical chemistry, materials chemistry and physics, atomic and electronic structure of solid inorganic materials, materials for “green” chemistry, etc. The reports contain the latest scientific results and advanced research methods in the field: 1. Chemistry of inorganic and coordination compounds, including medicinal and pharmaceutical chemistry; 2. Characterization and properties of new inorganic substances, crystal chemistry; 3. Physical inorganic chemistry, nanochemistry; 4. Dual-use materials, alternative energy sources, environmental chemistry.

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(Uzhhorod 2024, June 3-6)

THIAZOLOTHIENOPYRIMIDINIUM SYSTEMS WITH ANTIMALARIAL ACTIVITY

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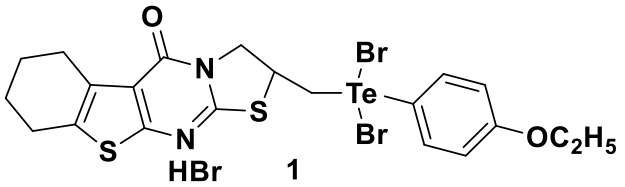
Malaria causes millions of victims every year around the world. Among the considered druggable targets to develop new malaria chemotherapy agents, proteolytic enzymes are very attractive due to their critical roles in the life cycle of malaria parasites. During the erythrocytic stage of infection, *Plasmodium* proteases process host´s hemoglobin and also facilitates parasite invasion and evasion from erythrocytes. Thus, protease inhibitors are promising therapeutical agents for malaria treatment. Organotelluranes are a class of selective and potent cysteine protease inhibitors as demonstrated previously for cathepsins and caspases. As part of a program to explore biological activities of organotelluranes, the action of a set of related organotelluranes 1, 2 in malaria model was assessed.



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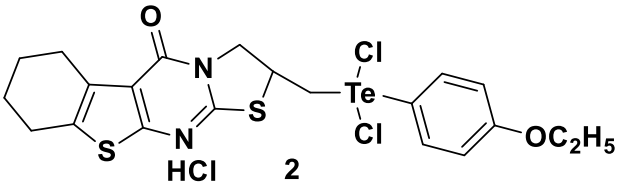

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Herein, we evaluated a group of heterocyclic organotelluranes against a 3D7 strain of *Plasmodium falciparum in vitro*, the inhibition of recombinant Falcipain-2 and intracellular proteolytic activity of isolated parasites and the effect on isolated erythrocytes and HUVEC cells as an approach to study compounds toxicity.

All compounds were able to decrease parasitemia at 72 hours significantly accompanied by significant intracellular proteolysis inhibition (IC_{50} values up to 10 μM). These compounds did not lead to considerable cytotoxicity or hemolysis at concentrations close to the EC_{50} or IC_{50}. The group of compounds was also able to inhibit Falcipain II with Ki values about 1 μM. Despite there is some apprehension about the use of tellurium compounds as chemotherapeutics, some compounds have shown negligible acute toxicities. Thus, our results demonstrate the importance of the organic moieties of organotelluranes to modulate their activities. Collectively, our results suggest that these compounds have a potential to be further improved and strengthen the potential of tellurium-based antimalarial drugs.

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