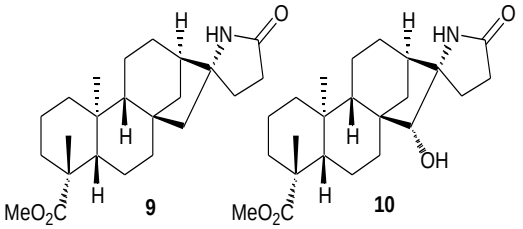
a 2020 0026

The invention relates to natural product-derived compounds, which include an additional spiro-γ-lactamic functional group to the basic diterpenic carbon backbone of *ent*-kaurenoic acid (1) – a component of the extract from the wastes of sunflower (*Helianthus annuus*) harvesting.
The invention deals with new natural *ent*-kaurenoic product derivatives containing a spirofused lactam moiety, which exhibit selective cytotoxic properties towards some human cancerous cell lines and can be used as chemotherapeutic agents for treatment of oncologic disorders.
The structures of the claimed compounds include the *ent*-kauranic carbon backbone specifically functionalized in cycle D with either a single spiro-γ-lactam (9) moiety or a combination of a spiroy-γ-lactam and a free hydroxil group (10):



The cytotoxic activity of these compounds is demonstrated on the inhibition at single digit
micromolar and submicromolar concentrations of at least 50% of cells multiplication belonging to Capan-1 (pancreatic adenocarcinoma), Hap-1 (chronic myeloid leukemia), HCT-116 (colorectal carcinoma), NCI-H460 (pulmonary carcinoma), DND-41 (acute lymphoblastic leukemia). The selective action of the claimed compounds is demonstrated by high values of selectivity index given by IC_{50} for normal cells / IC_{50} for the corresponding tumor cells.

Claims: 3
Fig.: 7