

ABSTRACT

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Title of diploma thesis: Derivatives of Amaryllidaceae alkaloids and their biological activity: derivatives of maritidine

The object of this diploma thesis was the preparation a pilot series of semisynthetic derivatives and screenink of their biological activities. Ten aromatic esters of maritidine were prepared. The compounds were identified by LC-MS, HR-MS, NMR analysis, and optical rotation. All prepared analogues matriline were screened for *in vitro* inhibitory activity against *hAChE* and *hBuChE*. Most of the derivatives were inactive, only the 3-*O*-(4-ethylbenzoyl)maritidine derivative showed moderate activity against *hBuChE* with $IC_{50} = 67.01 \pm 3.12 \mu M$.

Two derivatives maritidine, 3-*O*-(3,5-dimethylbenzoyl)maritidine and 3-*O*-(3,5-dimethoxybenzoyl)maritidine, were tested for their antimycobacterial activity. The substances showed promising antimycobacterial activity against *Mtb* H37Ra strain (MICs = 7.81 and 15.625 $\mu g/ml$). These two derivatives maritidine were tested against different strains of microorganisms. 3-*O*-(3,5-dimethylbenzoyl)maritidine showed antimicrobial activity against *Staphylococcus aureus* (MIC = 28.479 $\mu g/ml$) and *Staphylococcus epidermidis* (MIC = 14.24 $\mu g/ml$). 3-*O*-(3,5-Dimethoxybenzoyl)maritidine showed interesting activity against *Staphylococcus epidermidis* (MIC = 30.479 $\mu g/ml$). 3-*O*-(3,5-dimethoxybenzoyl)maritidine was subjected to cytotoxic activity assays on a basal panel of cells.

Keywords: Amaryllidaceae, maritidine, derivatives, biological activity