Synthesis, characterisation, crystal structure and antimicrobial evaluation of novel 6-alkoxyergosta-4,6,8(14),22-tetraen-3-one derived from natural ergosta-5,7,22-trien-3β-ol

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Abstract
In this study, we report a facile transformation starting from 5α-hydroxyergosta-7,22-dien-3,6-dione (1) to afford two novel compounds: 6-methoxyergosta-4,6,8(14),22-tetraen-3-one (2) and 6-ethoxyergosta-4,6,8(14),22-tetraen-3-one (3) using alcoholic acid catalysis. Their structures were elucidated using NMR experiments, FT-IR, MS and X-ray analysis. These compounds were evaluated for antibacterial activity using the disk and broth diffusion test. In those tests, compound 3 was found to be the most significant antibacterial agent. In general, compounds 1-3 showed inhibition zone in the range of 7.00–12.3 mm for S. aureus and S. mutans, meanwhile for Gram-negative bacteria E. coli and Pseudomonas sp. was found to be in the range of 7.00–8.00 mm. For the most active, compound 3, MIC was significantly lower than that reported for ergosterol, in a value of 160 µg/mL. Overall, these compounds were more active than their natural precursor.

Author keywords
alcoholic acid catalysis; antimicrobial activity; sterol derivatisation; X-ray analysis