XXII INTERNATIONAL SYMPOSIUM „ADVANCES IN THE CHEMISTRY OF HETEROORGANIC COMPOUNDS”

Centre of Molecular
and Macromolecular Studies
Polish Academy of Sciences

Section
of Heteroorganic Chemistry
Polish Chemical Society

ŁÓDŹ
November 22, 2019

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ORGANIZED BY

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in cooperation with

Faculty of Chemistry
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Jan Długosz University
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One-Pot Synthesis of B-Ring *ortho*-Hydroxylated Homoisoflavonoids

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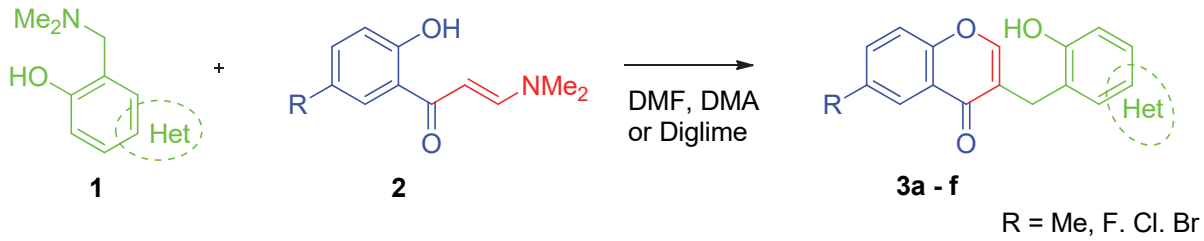
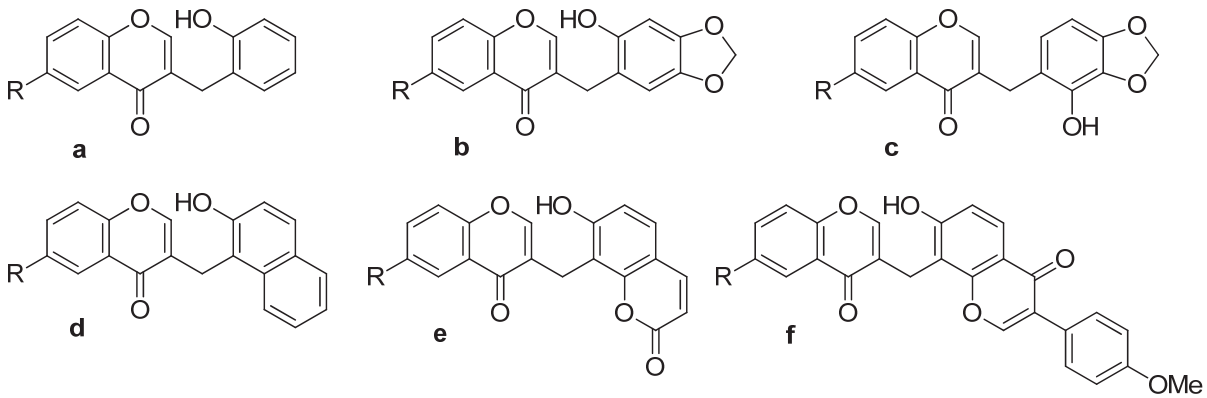
The development of innovative and efficient approaches to the natural/semisynthetic homoisoflavonoids continues to be an active synthetic direction for the discovery of novel analogs with unique bioactivities. [1]

A reliable method for the synthesis of B-ring hydroxylated homoisoflavonoids and 3-hetarylmethyl chromones has been developed. The method involves an initial *oxa*-Diels–Alder reaction of *ortho*-quinone methides generated from aryl/hetaryl-substituted *ortho*-(*N*,*N*-dimethylaminomethyl)phenols (**1**) with (2*E*)-3-(*N*,*N*-dimethylamino)-1-(2-hydroxyphenyl)-prop-2-en-1-ones (**2**) and the subsequent cascade of reactions.



1 **2** **3a - f**

R = Me, F. Cl. Br



a **b** **c**

d **e** **f**

This synthetic strategy avoids conventional multistep protocols and does not require the protection of hydroxyl groups, thus allowing the facile synthesis of a library of various aromatic and heterocyclic analogs of naturally occurring homoisoflavonoids.

References

[1] L.-G. Lin, Q.-Y. Liu, Y. Ye, *Planta Med.,* **2014,** *80*, 1053-1066.