DR-31

SYNTHESIS OF CYCLOPROPA[C]COUMARINS FROM DONOR-ACCEPTOR CYCLOPROPANES

A. O. Chagarovskiy,[1, 2] M. A. Boichenko,[3] O. A. Ivanova, [1, 3] I. V. Trushkov[1, 2]

[1]N.D. Zelinsky Institute of Organic Chemistry Russian Academy of Sciences, 47 Leninsky Pr., Moscow 119991, Russian Federation.
[2]Dmitry Rogachev National Research Center of Pediatric Hematology, Oncology and Immunology, Samory Mashela 1, Moscow 117997, Russian Federation.
[3]Department of Chemistry, M.V. Lomonosov Moscow State University, Leninskie Gory 1-3, Moscow 119991, Russian Federation.
E-mail: alex.chagarovskiy@gmail.com

Abstract. Cyclopropa[c]coumarins are of particular interest as precursors of various coumarin-based scaffolds, which are promising compounds in terms of potential biological activity. Even though the first cyclopropanation of 3-acylcoumarins and coumarin-3-carboxylates with haloketones was described by Widman 100 years ago[1,2], cyclopropa[c]coumarins remain poorly investigated due to the absence of efficient methods for their synthesis.
We report[3] a simple and straightforward method for the synthesis of cyclopropa[c]coumarins based on the acid-induced intramolecular transesterification of 2-(2-hydroxyaryl)cyclopropane-1,1-dicarboxylates[4]. The proposed method was efficiently applied to a broad range of substrates with a variety of functional groups in the aromatic ring including alkyl, halogen and nitro functionalities.

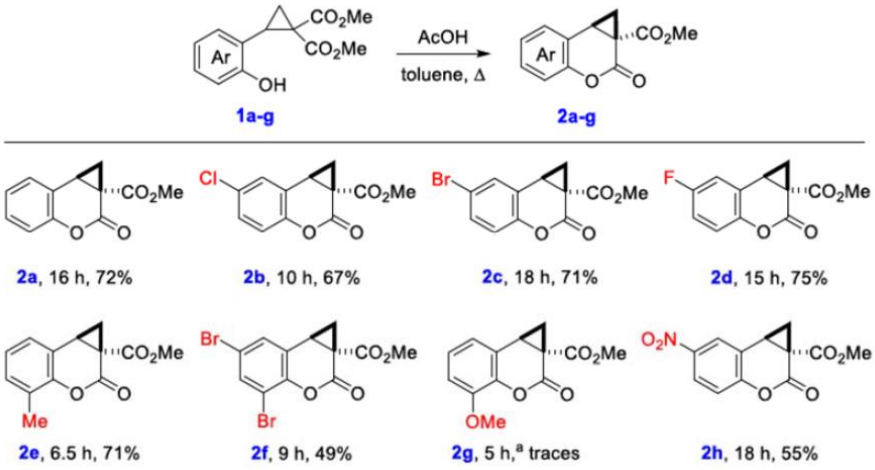

Figure 1. Synthesis of cyclopropacoumarines. [a] *only trace amounts were detected*

References

1. Coumarin: A Natural, Privileged and Versatile Scaffold for Bioactive Compounds / A. Stefanachi, F. Leonetti, L. Pisani [et al.] // Molecules – 2018**.** – *Vol.* 23. – P. 250.
2. Coumarin Compounds in Medicinal Chemistry / T. M. Pereira, D. P. Franco, F. Vitorio [et al.] // Curr. Top. Med. Chem. – 2018**.** – *Vol.* 18. – P. 124.
3. Convenient Synthesis of Functionalized Cyclopropa[c]coumarin-1a-carboxylates / O. A. Ivanova, V. A. Andronov, I. I. Levina [et al.] // Molecules – 2019**.** – *Vol.* 24. – P. 57.
4. Expanding the Reactivity of Donor−Acceptor Cyclopropanes: Synthesis of Benzannulated FiveMembered Heterocycles via Intramolecular Attack of a Pendant Nucleophilic Group / O. A. Ivanova, V. A. Andronov, V. V. Vasin [et al.] // Org. Lett. – 2018**.** – *Vol.* 20. – P. 7947.

This work was supported by the Ministry of Education and Science of the Russian Federation (grant MK1567.2018.3).