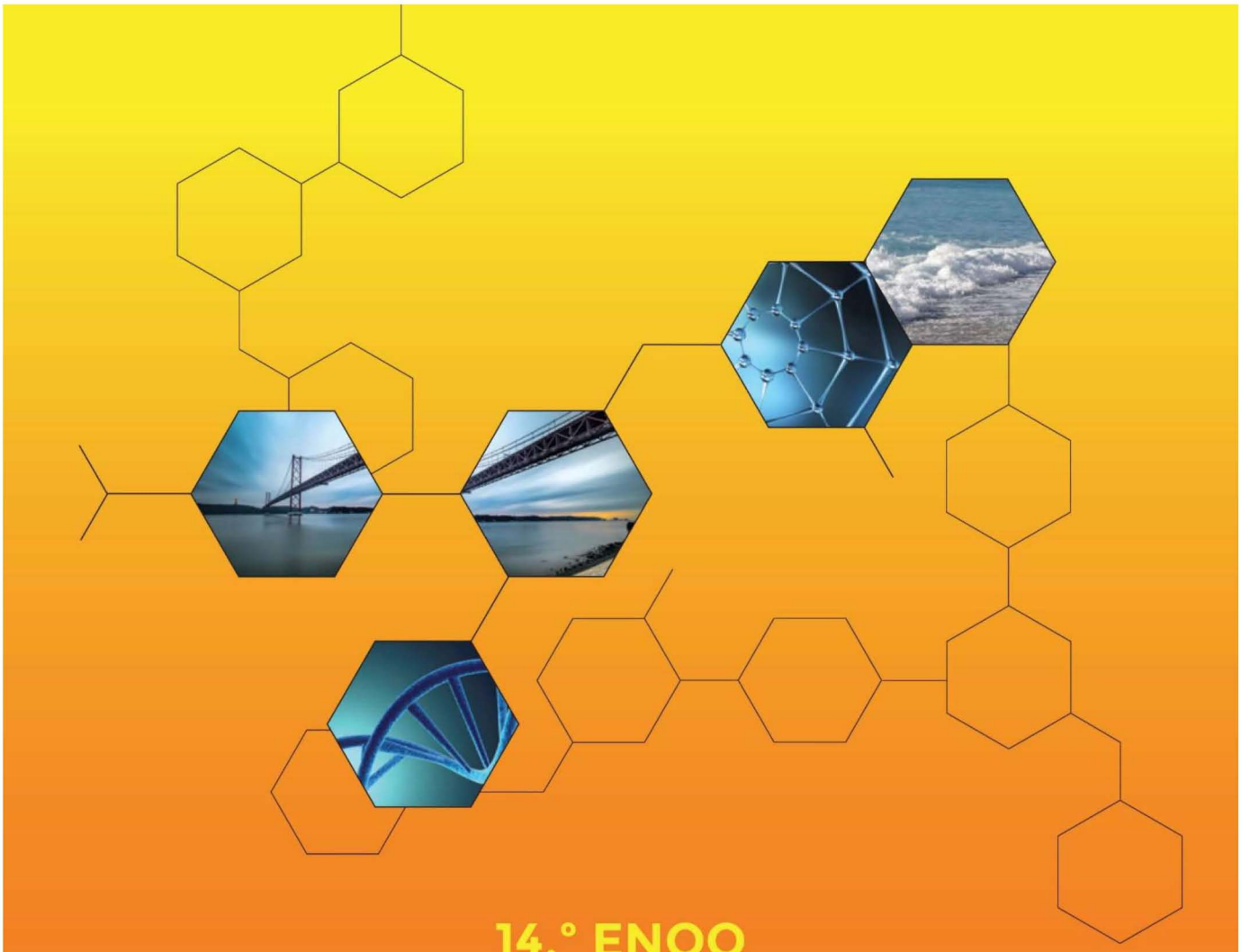

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14th National Organic Chemistry Meeting

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14.º ENQO 14TH NATIONAL ORGANIC CHEMISTRY MEETING
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7.º ENQT 7TH NATIONAL MEDICINAL CHEMISTRY MEETING

Analysis of the antidiabetic potential of natural xanthones through the inhibition of α-amylase and α-glucosidase activities

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Diabetes *mellitus* (DM) is a complex endocrine disorder associated with a state of hyperglycemia caused by the deficiency in the secretion of insulin and/or in the action of this pancreatic hormone. Thus, the control of postprandial blood glucose level via the inhibition of carbohydrate-hydrolyzing enzymes, such as α-amylase and α-glucosidase, is a consistent strategy for the management of type 2 DM and its related complications.[1,2]

In the past two decades, diversely functionalized xanthones, an important class of oxygen-containing heterocyclic compounds, have been recognized by scientific community for their interesting antidiabetic profile, exemplified by the number of studies developed in this area.[3] Recent advances have been noticed in the inhibition of α-glucosidase activity by natural xanthones. However, the effects of this class of compounds on the activity of α-amylase enzyme is still scarce.[1-3]

As part of our on-going project, the main goal of the present study is to evaluate the inhibitory effects of a group of natural xanthones [mangiferin (**1**), α-mangostin (**2**) and γ-mangostin (**3**)] against both α-amylase and α-glucosidase enzymatic activity, using a spectrophotometric screening methodology.[4,5] Acarbose was used as standard inhibitor for both assays. In addition, the study of the inhibition type for the two enzymes was carried out through nonlinear regression Michaelis-Menton enzymatic kinetics and the corresponding Lineweaver-Burk plots.

The results showed that the studied xanthones exhibited a stronger inhibition against α-glucosidase when compared to α-amylase activity. Mangiferin (**1**) was not active against any enzyme, α-mangostin (**2**) was only able to inhibit the action of α-glucosidase, while γ-mangostin (**3**) inhibited both enzymes, being more active against α-glucosidase activity. In addition, the type of inhibition mechanism was also studied, and the results indicate a competitive type of inhibition for γ-mangostin (**3**) against α-amylase activity while the action of α-mangostin (**2**) and γ-mangostin (**3**) against α-glucosidase activity is through a non-competitive inhibition mechanism. The present work can open a promising area of research based on the design of novel xanthone derivatives for targeting key enzymes involved in glucose metabolism and therefore in the management of type 2 DM.

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