A new approach to the synthesis of natural product Aripuanin

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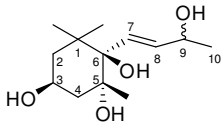
INTRODUCTION

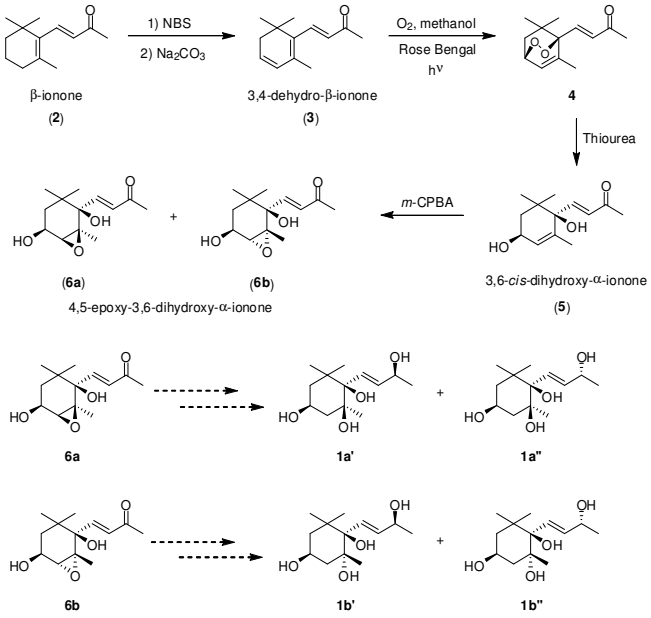
The sesquiterpene (*3S,5R,6R,7E,9ξ*)-megastigman-7-ene-3,5,6,9-tetrol, also known as Aripuanin (**1**), was isolated from the leaves of *Ficus aripuanensis*, which belongs to one of the main species of the Amazonian forest used in folk medicine for their several biological properties.[1]



(**1**)

Figure 1. Structure of Aripuanin.

In this work, we describe a new synthetic route for the preparation of natural product Aripuanin (**1**), as outlined in Scheme 1, starting from the readily available commercial β-ionone (**2**).



Scheme 1. Preparation of the Aripuanin (**1**).

RESULTS AND DISCUSSION

According to Scheme 1, the β-ionone (**2**) was submitted to a bromination reaction using *N*-bromosuccinimide (NBS) in carbon tetrachloride, under the heating of a tungsten lamp of 100 W. Treatment of the resulting bromide without further purification with sodium carbonate in dimethylformamide (DMF), furnished the compound **3** (67% yield). After, the diastereoselective synthesis of *cis*-3,6-dihydroxy-α-ionone (**5**) was performed from compound **3** with oxygen and a high-pressure sodium vapor lamp, in the presence of "Rose Bengal" as a photosensitizer.[2] Subsequent addition of thiourea promoted the cleavage of the peroxy-derivative **4** to give the compound **5** (51% yield). The next step involved the epoxidation reaction of double bond in the cyclic system of **5** using *meta*-chloroperbenzoic acid (*m*-CPBA) in dichloromethane. This reaction furnished a mixture of diastereomers **6a** and **6b** (38% and 42% yield, respectively), which were separated by silica-gel column chromatography.

Now we are studying the ring-opening reaction of epoxide and simultaneous reduction of carbonyl group of compounds **6a** and **6b**, in order to obtain the desired compound **1**.

CONCLUSION

The results obtained so far demonstrate the feasibility of this new synthetic route and indicate that the desired natural product **1** can be prepared successfully. Moreover, our goal is also to correctly assign the stereochemistry of the several stereogenic centers present in the natural product.

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