

POSTER PRESENTATIONS

SYNTHESIS OF NEW DERIVATIVES OF LAPPACONITINE ALKALOID

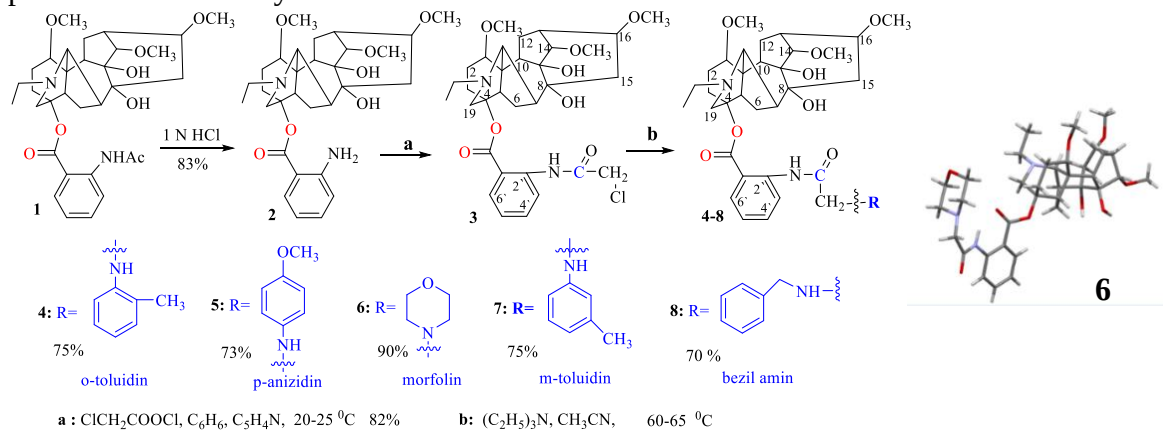
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Diterpene alkaloids are a class of naturally occurring compounds featuring complex structures and diverse biological activities. Recent studies reveal a wide range of pharmacological properties, including antiarrhythmic, analgesic, anti-inflammatory, neuroprotective, hypotensive, antimicrobial, and antitumor activities. Among diterpene alkaloids, lappaconitine occupies a special place due to its relatively low toxicity and strong antiarrhythmic activity. Decades of extensive research on lappaconitine led to the creation of the antiarrhythmic drug Allapinin, which has been successfully used in clinical practice. Furthermore, recent studies demonstrate that lappaconitine and its derivatives possess significant analgesic, neuroprotective, anti-inflammatory, and anticancer effects, serving as a promising foundation for developing novel pharmaceuticals.

The pharmacological properties of diterpene alkaloids are closely related to the functional groups in their molecules. Therefore, the main goal is to synthesize semi-synthetic analogs of these compounds using simple and efficient methods, and subsequently evaluate the activity of these newly modified compounds. Acidic hydrolysis of the alkaloid lappaconitine yielded *N*-deacetylappaconitine with an 83% yield. The reaction of *N*-deacetylappaconitine with chloroacetyl chloride produced the chloroacetamido derivative of the lappaconitine alkaloid. Reactions of the resulting chloroacetamido derivative with various amines were carried out in anhydrous acetonitrile for 6–8 hours at a temperature of 60–65 °C, yielding the corresponding products in 70–90% yields.



The structure of the obtained compounds were determined by mass spectrometry, ^1H and ^{13}C NMR spectroscopy and confirmed by XRD.

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