

# Chemical Transformations of Aconitane Sceletone of Lappaconitine

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Great research interest in the diterpenoid alkaloids is connected with their high toxicological and pharmacological activity. The physiological action of these compounds is resulted from their complex structure and stereochemistry and especially from chemical properties of nitrogen atom in heterocyclic system.

We studied chemical transformations of diterpenoid alkaloid Lappaconitine (I). There are only some reports concerning with derivatisation of tertiary heterocyclic nitrogen atom of Lappaconitine.

We obtained 6,0 g Lappaconitine (0.5 % to dry plant material) by crystallisation of 19.2 g of total alkaloids isolated from 1.2 kg of air-dry roots of *Aconitum Septentrionale Koelle* collected in Novosibirsk region.

Lappaconitine was transformed into N-oxide (II) by action of *m*-Cl-perbenzoic acid in chloroform at room temperature. Isolation of product II (72%, m.p. 161-162°C) was carried out by flash-chromatography on Al<sub>2</sub>O<sub>3</sub>. Then N-oxide II was deethylated on pyrolysis to get Hydroxyamine (III) (90 %, m.p.135-139°C [ $\alpha$ ]<sub>580</sub><sup>17</sup> = +15.8).

After Hydroxyamine III oxidation by MnO<sub>2</sub> in chloroform at room temperature with following usual procedure compound IV (77%) was obtained. The structures of all products were confirmed by spectral data (UR, UV, MS, NMR <sup>1</sup>H and <sup>13</sup>C). Pharmacological properties of the obtained compounds will be investigated.

