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Synthesis and fungicidal activity of 2-methoxy-7-*R*-1,5-dinitro-3,7-diazabicyclo[3.3.1]non-2-ene

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Abstract

Synthesis of new bicyclononan derivatives is very relevant for today, since these compounds have a wide range of biological activity: antiviral, antihypertensive, antibacterial and fungicidal activity, they detect the properties of analgesics, antispasmodics, and have antiarrhythmic effects. Can be used both in medicine and in agriculture. After all, it is known that compounds with antimicrobial activity often exhibit a growth regulating effect. Therefore, it is important to expand and study this class of compounds. Under the Mannich condensation conditions, we obtained 2-methoxy-7-*R*-1,5-dinitro-3,7-diazabicyclo [3.3.1] non-2-ene, the evidence of the structure was established on the basis of IR and NMR spectroscopy data. This method of preparation is a two-stage process. The pyridine derivative was first subjected to hydrogenation by the action of sodium tetrahydroborate, under suitable mild conditions, affecting only the aromatic ring. A hydride σ-adduct was obtained, which was aminomethylated with a pre-prepared mixture of an amino acid or a primary amine and formaldehyde. A feature of the NMR spectra of these compounds is the broadening of the equatorial proton signals due to the long W interaction. All the derivatives obtained by us were tested for fungicidal activity against six fungi pathogens of agricultural plants: Venturia inaequalis – apple scab pathogen, Rhizoctonia solani – causative agent of rhizoctonia, Fusarium oxysporum, Fusarium monoliforme – fusarium pathogens, Bipolaris sorokiniana – causative agent of root rot, Sclerotinia sclerotiorum – pathogens White rot. And the following regularities are established: limiting substituents promote an increase in activity in comparison with non-limiting ones, and, the longer the chain, the higher the fungicidal action. Introduction to the structure of amino acid fragments, on the contrary, increased the radial growth of the mycelium of a number of fungi and practically reduces the fungicidal activity. Compounds containing benzyl fragments in their structure showed selective fungiciation of a specific culture. Calculating the percentage of inhibition by Abbot on the third day allowed to identify two compounds with maximum activity against certain cultures, whose effect exceeded 50%.

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