Biologically Active Ethers of N-Substituted 4-Piperidoles

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The search of new potentially pharmacologically active substances in piperidine family is traditional Research of Lab. of Medicinal compounds Chemistry. The principal starting materials are industrially available 1-(2-ethoxyethyl)-4-oxopiperidine and its N-alkoxyalkyl homologs. As a result of synthetic modification of syntons there is introduction of new functional groups having different reactionary centers can be able to subsequent structural changing. This Research methodology is vindicated itself by new synthesized substances more active then used medicinal preparations and by explicit correlation "structure-activity". Moreover, it has been found some unexpected "anormalous" pharmacological properties as analgesic at structures have not any anagesiotropic fragments in a molecular. It must be perfectly it will lead to creation of powerful non-narcotic analgesic.



To prepare principal (N-,O-)dialkoxyalkylpiperidines (3,5,7) the alkylation of piperid-4-oles (2,4,6) with (alkoxy- or aryloxy-)alkylbromides in DMFA in presence of powdery potassium hydroxide at room temperature had been used. Acrylonitrile had been used for synthesis of cyanoethyl ether and then carboxylic acid (8) from alcohols (2,4). The synthesized ethers are viscous oil liquids. The pharmacological screening tests of obtained substances had shown low toxicity (800 mg/kg) in experience on white mice. Moreover, there had been found preparations exceeding analgesia duration of tramal. Another one possess antiallergic, antiarrhythmic and spasmolitic activity equal to the same correspondingly of Dimedrol, Lidocaine and No-spa.