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Synthesis of *N*-substituted 1-piperazinoalkylindoles

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Abstract

Modern organic chemistry is in a constant search for ways to synthesize new structures. This is due to the direct dependence of their biological activity on the configuration of the molecules. High physiological activity of indole derivatives is widely known. Indole (benzopyrrole, 2,3-benzpyrrole) is a heterocyclic condensed aromatic compound, which is colorless leafy crystals with the smell of rotten cabbage sticks. A large number of medicaments of the indole group obtained synthetically are derivatives of indolines and piperazinoalkylindoles.

There are many methods for the synthesis of indole derivatives based on the use of natural tryptophan, while examples of the synthesis of indole derivatives containing a substituent at the nitrogen atom are extremely small. In view of the increasing attention to the search for ways to synthesize new biologically active structures, the synthesis of 1-piperazinoalkylindole derivatives based on the introduction of 1-methyl-4-(3-chloropropyl) piperazine by alkylation of the nitrogen atom at position 1 of the indole ring of 3-(quinolyl-2)-5-methoxyindole, 2,3-dimethylpyrrolo[3,2-h]quinoline and 5-methoxyindole, followed by heteroarylation of the latter with quinoline and isoquinoline in the presence of benzoyl chloride and 2-bromo-3-methylbutanoyl chloride. It has been established that alkylation proceeds more easily in the case of an increase in the basicity of the nitrogen atom of the indole ring by intermediate production of the anhydro-bases from heterocyclic derivatives. Also shown is the possibility of introducing an alkyl substituent at the 5-position of the indole ring of ethyl 5-hydroxy-1,2,6-trimethyl-1*H*-indole-3-carboxylate.

The physical and chemical characteristics of the compounds obtained are determined. Using ^{1}H NMR spectroscopy and elemental analysis, structures of the resulting derivatives have been established, which are in good agreement with the expected structural formulas.

Based on the analysis of literature data, the structures obtained can be useful for the synthesis of physiologically active compounds.

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