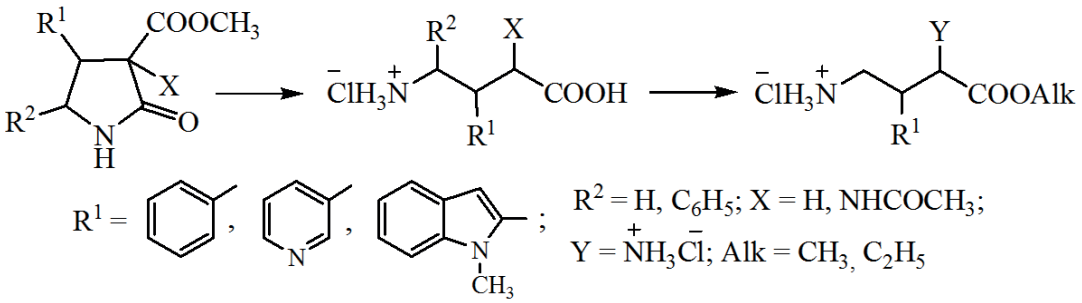
HET(ARYL) SUBSTITUTED 4-AMINO- AND 2,4-DIAMINOBUTANOIC ACIDS: SYNTHESIS AND STRUCTURE

Makarenko S.V., Vasil'eva O.S., Baichurin R.I., Ostroglyadov E.S.

Russian Herzen State Pedagogical University, Moika emb., 48, Saint-Petersburg, 191186, Russia, e-mail: kohRGPU@herzen.spb.ru

It is known that different methods are used for the synthesis of γ-aminobutyric acid (GABA) structural analogues each has its own advantages and disadvantages. Meanwhile, methods based on the our study of acid hydrolysis reactions of pyrrolidonecarboxylic esters are of great interest since they have quite satisfactory yields and lead to the target substituted GABA hydrochlorides[1].

Continuing research in the field of GABA and 2-pyrrolidone chemistry we obtained new potentially biologically active het(aryl) containing 4-amino- and 2,4-diaminobutanoic acids by acid hydrolysis of 4- and 5-disubstituted 2-pyrrolidone-3-carboxylates with high yields (up to 90%).



The amino acids methyl and ethyl esters were synthesized by their esterification in the presence of thionyl chloride. Compounds that contain in the composition of molecules fragments of such “preferred structures” as het(aryl)ethylamine or GABA are of undoubted interest as promising pharmacologically active substances. The synthesized amino acids and their esters are colorless crystalline substances; their structures were characterized by IR and NMR ^{1}H and ^{13}C spectroscopy using ^{1}H-^{13}C HMQC and ^{1}H-^{13}C-HMBC experiments. Their preparation methods are characterized by simple synthesis equipment, high efficiency and they are good for scaling.

Reference
1. Berestovitskaya V.M., Vasil'eva O.S., Ostroglyadov E.S. 2-Pyrrolidone and their derivatives. Monography. – SPb: Asterion, 2013. – 192 p.