

DESIGN METHODS OF NEW BIOLOGICALLY ACTIVE COMPOUNDS IN THE SERIES OF GABA, GLU AND 2-PYRROLIDONE

Ostroglyadov E.S., Berestovitskaya V.M., Vasil'eva O.S.

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

Increased interest in derivatives of γ -aminobutyric (GABA) and glutamic (Glu) acids and genetically related to them α -pyrrolidone due to their high pharmacological activity and low toxicity. It should be noted that the representatives of these classes of substances are widely presented in the register of medicines: phenibut, baclofen, epilapton, nootropil, phenotropil, levetiracetam and etc¹.

In this report we discuss the original methods of preparation new aryl(hetaryl)substituted pyrrolidonecarboxylates, diastereomerically pure aryl(hetaryl)containing threo-Glu, mono- and disubstituted GABA, 2,4-diaminobutyric acids, new analogs of piracetam and little studied 3,3'-spirobi[2-pyrrolidones]. The latter are valuable precursors in the synthesis of previously unknown N-carboxyethyl- and 2-aminoethyl- GABA and piracetam spiroanalogs. Pharmacological properties of new Glu and piracetam derivatives are protected by patents of the Russian Federation²⁻⁶.

Commercial availability of starting materials, mild conditions, simplicity of hardware design synthesis and excellent yields make developed methods good for scaling and optionally for commercial scale.

References

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