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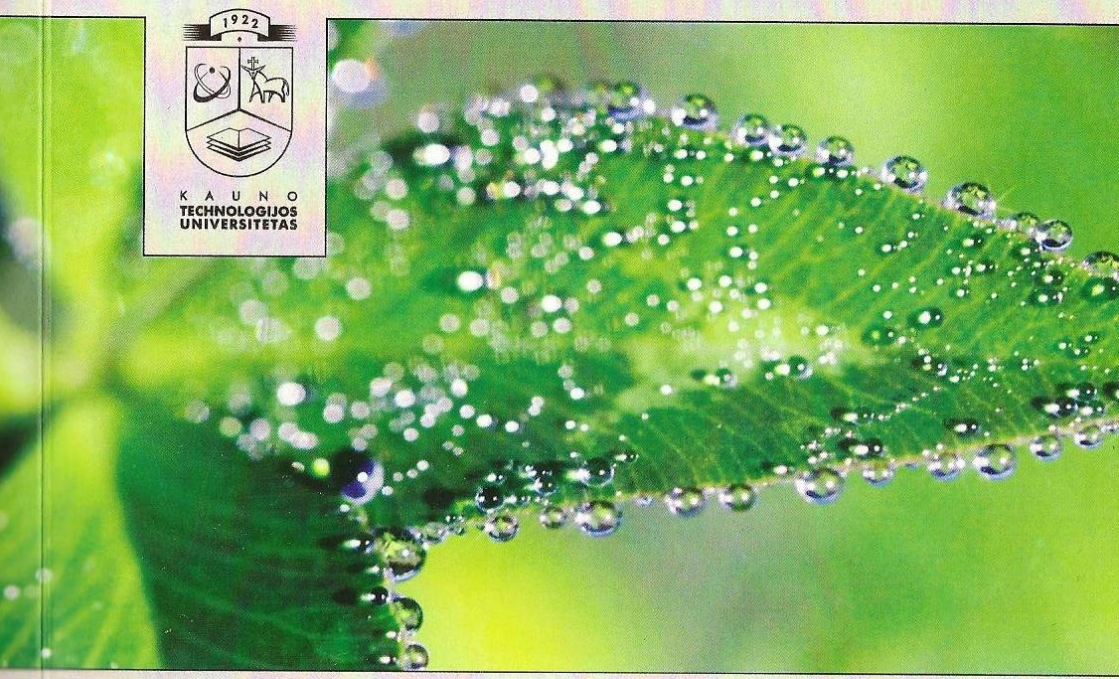

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STEREOSELECTIVE SYNTHESIS OF GABA DERIVATIVES FROM DIACETONE-D-GLUCOSE

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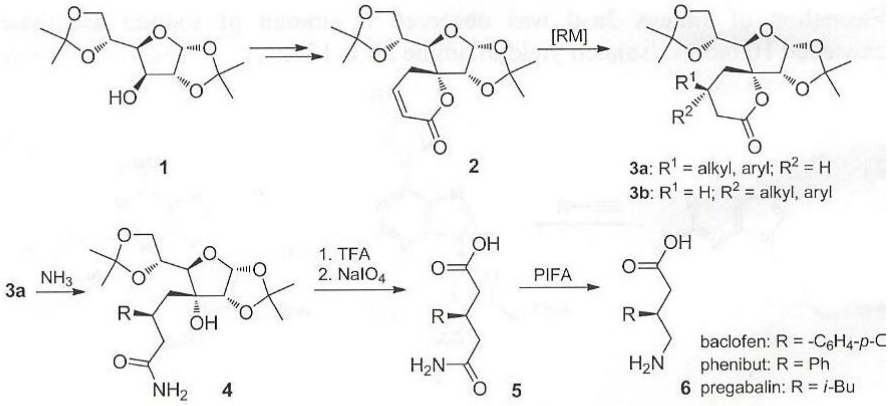
We present an approach to synthesis of enantiomerically enriched 3-substituted γ-aminobutyric acid derivatives. This class of compounds includes well-known CNS drugs baclofen, phenibut and pregabalin.

The proposed key reaction is diastereoselective Michael addition[1,2] on α,β-unsaturated lactone **2** (Scheme 1) which contains sugar moiety as chiral auxiliary. The latter is obtained in a four step synthesis from diacetone-D-glucose **1**, an inexpensive and commercially available compound.



Scheme 1. Synthetic pathway towards GABA derivatives.

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