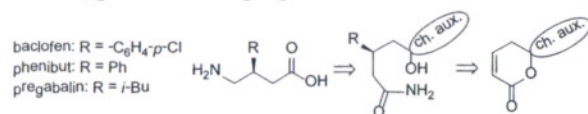


SYNTHESIS OF GABA DERIVATIVES FROM CHIRAL POOL

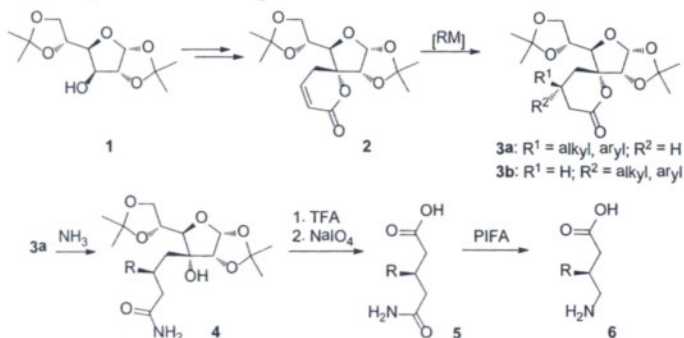
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We present an approach to synthesis of enantiomerically enriched 3-substituted γ -aminobutyric acid derivatives. This class of compounds includes well-known CNS drugs baclofen, phenibut and pregabalin.



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



Diastereoselectivity of the reaction **2** \rightarrow **3a+3b** and its optimization will be discussed.



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