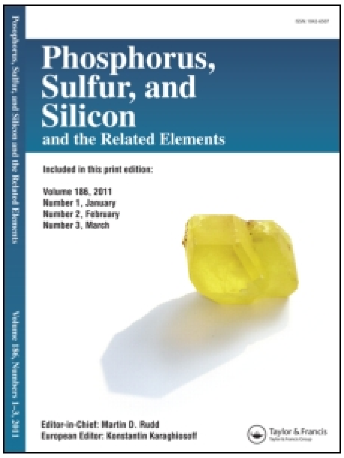

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Phosphorylation as a Method of Stabilization of Thermodynamically Unstable Isomers of A-Amino Ketones

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PHOSPHORYLATION AS A METHOD OF STABILIZATION OF THERMODYNAMICALLY UNSTABLE ISOMERS OF α-AMINO KETONES

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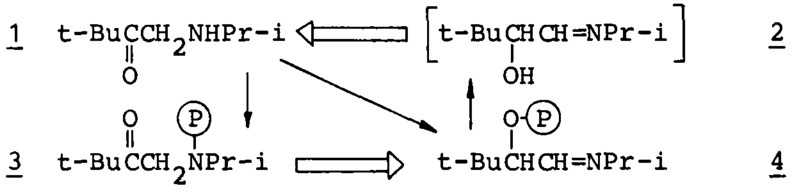
α-hydroxy imines 2 are unstable compounds which irreversibly isomerize into thermodynamically more stable α-amino ketones 1, usually at the moment of formation. We have found the principles of stabilization of both isomeric forms, for example, by regioselective phosphorylation of the ambident substrate 1.



Ⓟ = Et_2P, Ph_2P, $Me_2P(O)$, $(MeO)_2P(O)$.

Depending on the structure of the phosphorylating reagent and the reaction conditions (kinetic or thermodynamical control) the interaction leads to the products of N- or O-phosphorylation. As a rule, phosphorotropic isomers 3, 4 are stable enough to be isolated and identified. In contrast to prototropic isomer 1, N-phosphorylated amino ketones 3 on heating at 120-160°C transform into thermodynamically more stable O-derivatives by [1,4]-(N→O)-migration of the phosphorus-containing group. The thermal isomerization is accelerated in the presence of acids. The mild hydrolysis of both O- and N-phosphorylated compounds 3, 4 leads to the α-amino ketone 1 in accordance with the equilibrium of prototropic isomers, completely shifted to the side of N-H form 1.