Dynamic kinetic resolution of racemic amines with stereogenic nitrogen centers

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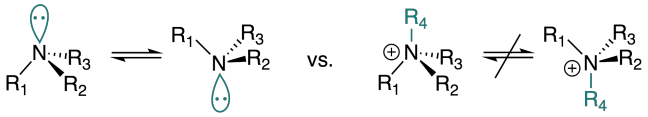
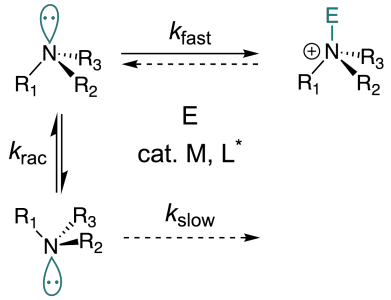
Within the last decades, much attention from the chemical community has been directed at the development of asymmetric reactions. Just as a tetrahedral carbon atom, nitrogen with three different substituents can be a stereogenic centre. Such tertiary amines, however, usually do not show optical activity due to a low lying transition state for nitrogen inversion, which results in a rapid equilibrium between the enantiomers. This inversion can be prevented by conformational strain such as the one observed in Tröger's base[1], or by a quaternization of the nitrogen atom.[2]



Chiral ammonium salts are widely used as phase-transfer catalysts[3] and recently also as stereo-controlling cations[4]; they can be found in nature[5] and some exhibit pharmacological activity.[6] Current strategies for the synthesis of such compounds are based on the resolution techniques of diastereoselective adducts or salts.[7]

Recently we published the first example of the Pd-catalyzed enantioselective allylation of tertiary amines, where we could realize excellent conversions and significant stereoselectivities.[8] Hence, our research is focused on the dynamic kinetic resolution of racemic amines with stereogenic nitrogen centers via TM-catalyzed transformations.



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