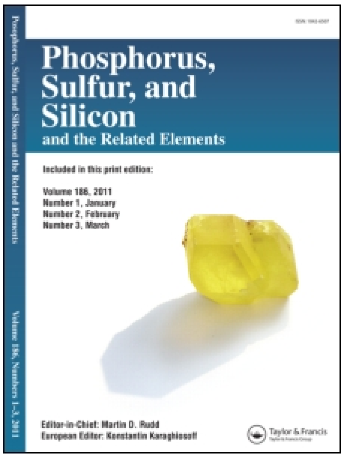

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The 2,2'-Coupled Pyrrolidine-Phospholane Ring System: A Highly Enantioselective Synthesis and Kinetic Resolution of the Phosphorus Centre

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THE 2,2'-COUPLED PYRROLIDINE-PHOSPHOLANE RING SYSTEM: A HIGHLY ENANTIOSELECTIVE SYNTHESIS AND KINETIC RESOLUTION OF THE PHOSPHORUS CENTRE.

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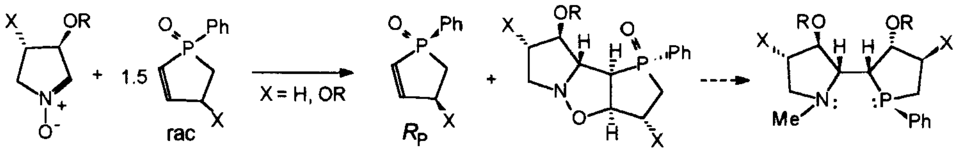
Enantiopure five-membered ring nitrones derived from *L*-tartaric acid and from *L*-malic acid undergo highly regio- and stereoselective cycloaddition reactions with an excess of racemic 2,3-dihydro-1-phenyl-1*H*-phospholes producing two readily separable tricyclic cycloadducts and concomitantly effecting kinetic resolution of the dihydrophosphole derivative (diastereomeric ratio up to 10:1; stereoselectivity factor $s = k_S/k_R = 14$). The tricyclic cycloadducts feature 2,2'-connection of pyrrolidine and phospholane rings and five to seven contiguous stereogenic centers of which three are induced and one or two are kinetically resolved during the cycloaddition process.



The kinetic resolution process can be adjusted to produce dihydrophosphole derivatives in virtually 100% e.e. Also, single cycloadducts of 100% e.e. can be directly obtained by means of the corresponding doubly asymmetric processes utilizing enantiopure nitrones and enantiopure 1-phenyl dihydrophosphole oxide in matched configurational pairings. In these cycloadditions processes 1-phenyl dihydrophosphole oxide approaches the nitrones exclusively from the P=O side and in the exo mode. The tricyclic cycloadducts serve as precursors to novel C,P-chiral pyrrolidine-phospholane ligands.