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ASYMMETRIC ONE-POT REACTION MATCHING CHEMICAL AND
BIOCATALYTIC APPROACHES

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One of the main targets both in academic and in chemical industry is the simplification of the synthetic processes reducing the steps of a reaction with the aim to decrease costs and time.^[1] The one-pot approach is considered a valid alternative because it integrates two or more reactions whose intermediate products lack the necessity of being purified and each reaction can only start after the previous one is completed thus leading to the desired final product.^[2] One main issue to take in consideration is that in a one-pot process all the steps take place in the same reaction environment. The here proposed one-pot reaction consists in a first step involving an asymmetric conjugate addition of aryl boronic acids to 3-azaarylpropenones containing pyridine core followed by an asymmetric transfer hydrogenation of the aryl ketone in one case or by an asymmetric biocatalytic reduction in presence of alkyl derivatives. The first catalyst employed was a classical Rh complex bearing chiral diphosphine as source of chirality while the second step involved an iridium catalyst coordinated to chiral diamine for the arylketons or a *Tourolopsis* genera yeast in the case of the alyl compounds. By setting up the kinetics of the first reaction^[3] the second catalytic step can be successfully carried out affording the desired products in good enantio and diastereopure form (Figure 1). Different types of reaction conditions, diphosphines, diamines and yeasts were evaluated for the optimization of the process.

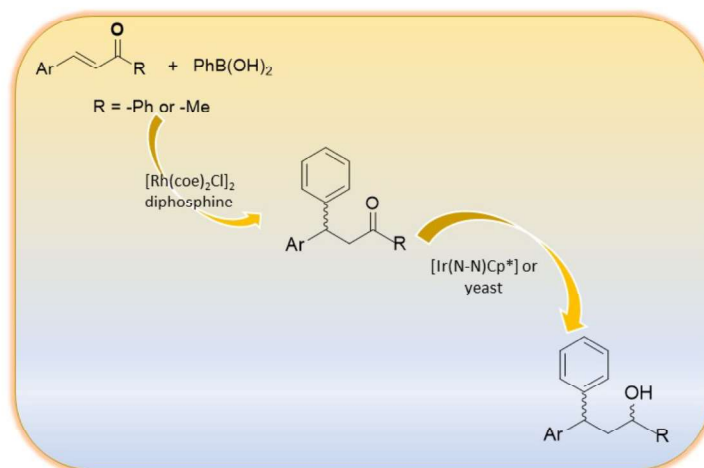


Figure 1. Asymmetric one-pot reaction

References

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