New chemo-enzymatic approaches for the synthesis of (*R*)- and (*S*)-bufuralol

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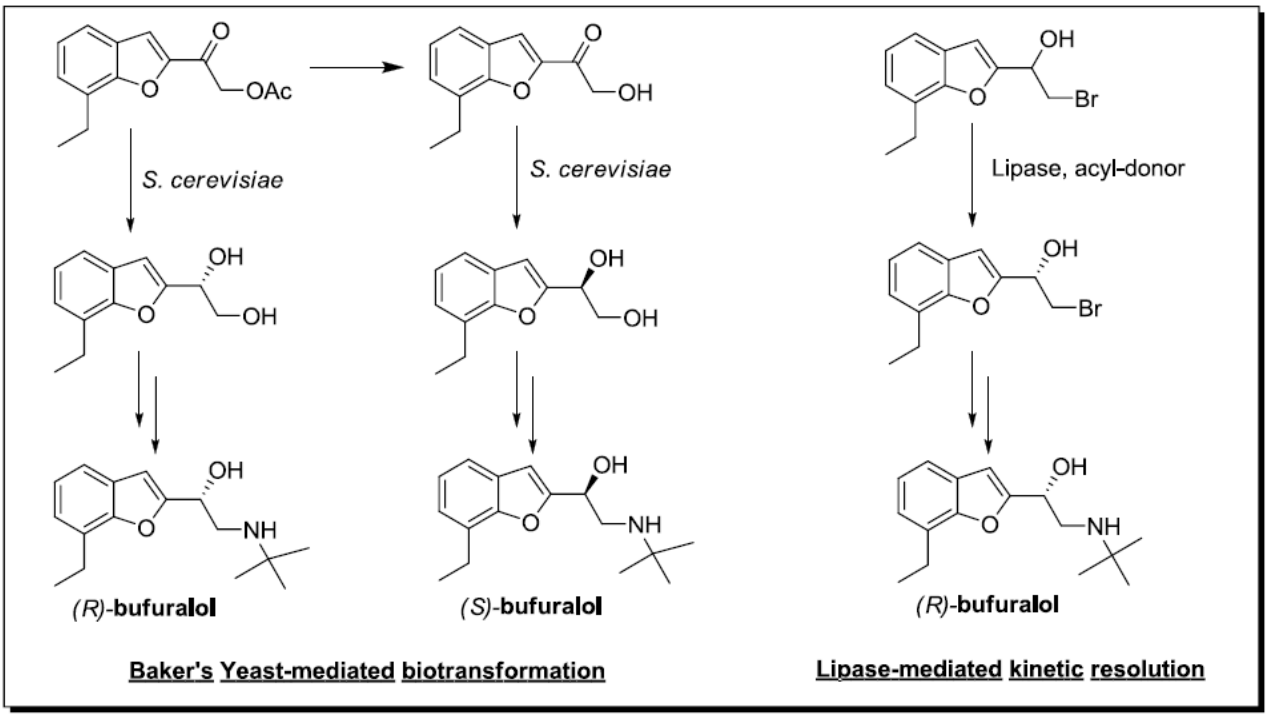
Both enantiomers of bufuralol are pharmaceutically important molecules. While the (*S*)-isomer with higher β-blocking activity is recommended for the hypertension treatment, the (*R*)-enantiomer can be used as marker of hepatic activity.



Sceheme 1. Two approaches for Bufuralol-enantiomers synthesis

Using two alternative biocatalytic approaches based on baker's yeast mediated reactions (through the biotransformation of prochiral α-substituted 7-ethyl-benzofuran-2-yl-ethanones) and on lipase catalyzed kinetic resolution of (7-ethyl-benzofuran-2-yl)-bromohydrin (by enantiomer selective *O*-acylation), highly enantiomerically enriched compounds were obtained. These compounds serve as building blocks for the synthesis of both enantiomers of bufuralol. Due to the partial racemization occurring during the chemical transformation of the chiral intermediates, the enantiomeric excesses of the target (*R*)- and (*S*)- bufuralol slowly decreased to 96-98%.

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