Enantiopure β-amino acids are present in a variety of natural products, still they are not found in nature as frequently as their α analogs. For this reason, the stereoselective synthesis of β-amino acids and their derivatives represents a topic of great interest nowadays and consequently many efforts are being led in this direction. Optically pure β-amino acids possess broad biological activity\(^1\) and represent important chiral building blocks for the synthesis of peptidomimetics\(^2\), β-lactam antibiotics\(^3\) and numerous bioactive compounds\(^4,5\), in addition to their valuable applications in organic synthesis. Biocatalytic stereoselective methods often offer elegant and efficient routes to achieving the desired compounds in highly enantiopure forms. Among these, lipase-catalysed kinetic resolution of a racemate represents the most popular biocatalytic approach presently used. High enantioselectivity, stability, versatility and commercial availability have made lipases extremely attractive chiral catalysts through the acylation of a nucleophilic functionality or through the deacylation of an ester functionality in the molecule\(^6\).

This research is focused on the synthesis of a series of enantiomerically enriched benzofuran-based β-amino amides and their corresponding N-acyl derivatives through lipase-mediated enantioselective N-acylation reaction. For this purpose, commercially available free or immobilized lipases were screened in various organic solvents for the stereoselective N-acylation of the model compound rac-3-amino-3-(benzofuran-2-yl)propanamide with three different acyl donors. Lipase A from *Candida antarctica* immobilized on Celite proved to be the most suitable catalyst in CH\(_2\)Cl\(_2\) for the selective acylation of the model compound with 2,2,2-trifluoroethyl butyrate, in the presence of small amounts of dimethyl sulfoxide as additive.

![Scheme 1](image)

**Scheme 1.** Enzymatic kinetic resolution of rac-3-amino-3-(benzofuran-2-yl)propanamide

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**References**