



# Chemo-enzymatic, regioselective synthesis of dihydropyrimidinone-fused $\beta$ -amino alcohols and their anti-inflammatory and antioxidant activity evaluation\*

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## ABSTRACT

A highly regioselective and efficient method has been developed for synthesizing novel  $\beta$ -amino alcohols fused with dihydropyrimidin-2-one. This method utilizes the enzyme *Novozyme-435* to catalyze the reaction between epoxides and various aliphatic amines in acetonitrile. *Novozyme-435* outperformed other catalysts, including *Porcine Pancreatic Lipase* (PPL), *Pseudomonas aeruginosa lipase* (PAL), and *Candida rugosa lipase* (CRL). This process yielded two series of  $\beta$ -amino alcohols (compounds **8a-h** and **9a-h**), whose structures were confirmed through IR, NMR (<sup>1</sup>H, <sup>13</sup>C), and HRMS analyses. The anti-inflammatory and antioxidant properties of these compounds were evaluated, revealing mild to moderate inhibition of TNF- $\alpha$ -induced ICAM-1 expression in primary human endothelial cells, with compounds **9a** and **9c** showing approximately 60% inhibition. Antioxidant activity, assessed using the DPPH (2,2-diphenyl-1-picrylhydrazyl) method, indicated that compounds **9a**, **9b**, **9c**, and **9g** had the superior activity than others. This study highlights the potential of these  $\beta$ -amino alcohols fused with dihydropyrimidin-2-one as anti-inflammatory and antioxidant agents.

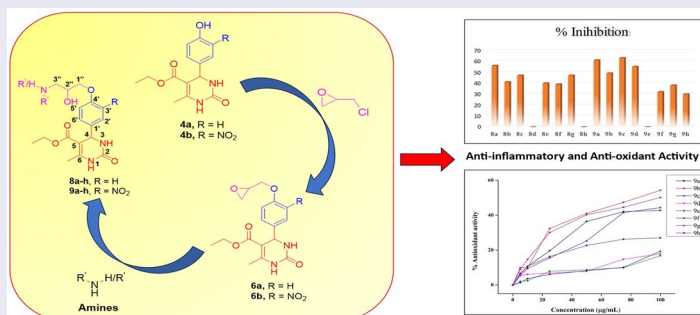
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## GRAPHICAL ABSTRACT



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\*Dedicated, in loving memory of our esteemed mentor, the late Professor Ashok K. Prasad.

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