

The Enantioselective Esterfication Aryl Propargillic alcohols with Lipase (Novo-435)

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Because acetylene functional group could be converted to various functional groups, the chiral propargylic alcohols could be one of the most important chiral syntons for the synthesis of natural products and biologically active compounds.¹ If the chiral propargylic alcohols were obtained easily through the resolution or asymmetric synthesis, they could be used widely for the application in academic and industrial fields.

Novo-435, which is a lipase B of *Candida antarctica*, is known to have a excellent capability for the extremely enantioselective acylation with a number of chiral alcohols.² Using Novo-435, enantioselective acylation of alkyl (*R*)-lactates³ and α -keto alcohols⁴ from racemic mixtures, had been accomplished, and alcoholyses of lactide with various alcohols⁵ had been also developed in this laboratory.

Based on these idea, the optimum resolving process of *rac*-aryl propargylic alcohol will be developed by enantioselective acylation with Novo-435, though their resolution methods are already reported.^{6,7} By changing the reaction conditions such as solvents, temperature, acyl donor and substrate concentration, ideal reaction condition will be discussed, and the application of aryl propargylic alcohol will be shown.

Reference

1. Stang, P. J., et al, Modern Acetylene Chemistry; VCH: Weinheim (1995); Yuhua Z., et al., Tetrahedron Letter, **45**, 7581 (2004); Manojit Pal, Synlett, 1965 (2004).
2. Ghanem, Ashraf. *et al.* Tetrahedron: Asymmetry. **15**, 3331 (2004).
3. Y. S. Lee, *et al*, Org. Procedure. Research. & Develop. **8**, 948 (2004).
4. 한국생물공학회, 생물공학의 동향 (XVI): 2005.4.
5. 대한화학회 (94차), 2004. 10.
6. Daiwang Xu, *et al*, Tetrahedron Letter **44**, 6343-6346 (2003).
7. Cristiano Raminelli. *et al*, Tetrahedron Asymmetry **15**, 3117-3122 (2004).