

CHAPTER IV

CONCLUSION

N-Salicyl-1-naphthyl and *N*-Salicyl-2-naphthyl- β -aminoalcohol-based chiral ligands were synthesized. α -Bromination of 1- and 2-acetonaphthone afforded corresponding α -bromoacetone in 98 % and 97 %, respectively. Subsequent asymmetric reduction by (-)-*B*-chlorodiisopinocampheylborane (DIP-chloride), followed by reaction with sodium hydroxide solution resulted in optically active 1-naphthyl and 2-naphthyl oxiranes in 43 % and 67 % yields, respectively. The enantioselectivity of the reaction was reflected from percentage of enantiomeric excess determined by $^1\text{H-NMR}$ by means of addition of chiral lanthanide shift reagent. The results showed that (*R*)-1-naphthyl and (*R*)-2-naphthyloxirane were obtained in higher than 99%*ee*. The following nucleophilic ring-opening process of epoxide by sodium azide yielded benzylic-azidoalcohols in 65 % yield for both (*S*)-1-naphthyl and (*S*)-2-naphthyl azidoalcohols. The %*ee* were determined by chiral HPLC analysis to be higher than 99 %*ee*. The next step is the reduction to chiral naphthylaminoalcohol, followed by a reaction with salicylaldehyde, and finally the reduction. Through this sequence, chiral-*N*-salicyl-1-naphthyl (**47a**) and 2-naphthyl- β -aminoalcohols (**47b**) were obtained in 57% and 70%, respectively. When employed in a Strecker reaction, **47a** could achieve the same efficiency in asymmetric induction as chiral (*S*)-*N*-salicyl-phenylalaninol ligand (**26**) which induced up to 97 %*ee* of the Strecker product. It was more efficient than **47b**. For Michael reaction, the actual reaction time, which was from reaction monitored by $^1\text{H-NMR}$, was not more than 5 hours. In addition, the enantioselectivity of the product was not a function of reaction time. With the reaction between both reactants, 2-cyclohexen-1-one and 2-cyclopenten-1-one, and di-*t*-butylmalonate, **47a** was found to be more efficient than **47b** and **25**, which was the previous most effective ligand. The enantioselectivities of the product from using 2-cyclohexen-1-one as a reactant and **47a** as ligand was up to 91 %*ee*. Asymmetric models of the Strecker reaction and Michael reaction were proposed. However, both **47a** and **47b** did not show a detectable level of asymmetric induction in a Pudovik reaction.