Nonenzymatic, enantioconvergent dynamic kinetic resolution (DKR) of racemic 2-(1H-Pyrrol-1-yl)alkanoic acids as α-amino acid equivalents

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Purpose of Research

We have developed “dynamic kinetic resolution (DKR)” in which optically-active ester can be obtained at a yield of almost 100% by combining asymmetric esterification with racemization (I. Shiina, K. Ono, K. Nakata, Catalysis – Science & Technology, 2, 2200–2205 (2012). [Cover Feature Article] [Hot Article] [Most Accessed Article]).

Furthermore, we have also developed a novel synthesizing process which provides optically-active amino acid equivalent with excellent selectivity by realizing DKR in a manner of applying the racemic 2-(1H-Pyrrol-1-yl)alkanoic acid to this reactions.

Summary of Research

Dynamic kinetic resolution of 2-pyrrolylpropionic acid

Kinetic resolution of 2-arylpropionic acid

Points

- Convert racemic carboxylic acid to optically-active carboxylate ester
- Synthesize optically-active 2-arylpropionic ester at a yield of almost 100%
- Synthesize optically-active α-amino acid ester at a yield of almost 100%
- Capable of selective synthesizing one of enantiomers directly without racemic form separation following synthesis of racemic drug or medicinal intermediate

Future Developments

- Find other synthesis processes or solutions for shortening of synthesis time
- Expand a range of application of substrate
- Produce novel catalysts
- Undertake collaborate projects with pharmaceutical, food development or medicinal intermediate companies while aiming for acquiring sponsored research funds

Associated System:

Awards:
- The Chemical Society of Japan Award for Creative Work 2013
- The Inoue Prize for Science 2014, The Ichimura Prize for Science 2014
- The Prize for Science and Technology from the Ministry of Japan 2015

Intellectual Property:
- Prototype: Present
- Sample: Available

Reference:
