

Highly Potent Chiral Derivatization Reagents



One of the most common enantiomeric discrimination methods utilizes diastereomers which are obtained from the reaction of the enantiomers and chiral derivatization reagents, and many excellent chiral derivatization reagents have been developed. This method utilizing chiral derivatization reagents, however, has a problem in that it is very difficult to discriminate derivatized diastereomers having chiral centers more than four carbon atoms away from derivatization site.

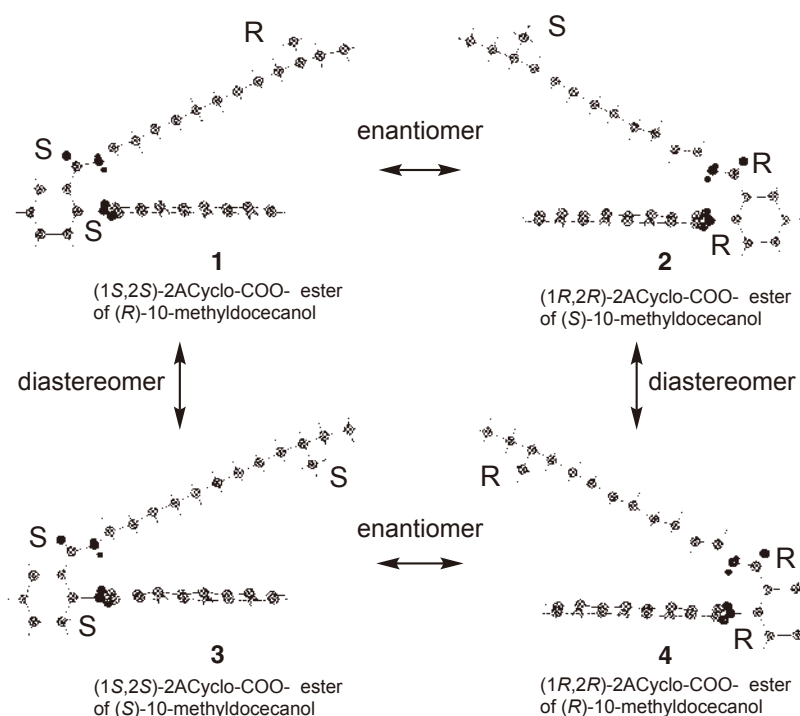


Fig. Configuration model, esters (1, 2, 3, 4) of 10-methyldodecanol.
A1657: (1*R*,2*R*)-2ACyclo-COO-, **A1658**: (1*S*,2*S*)-2A-Cyclo-COO-.

Ohri and co-workers have developed highly sensitive chiral derivatization reagents (**A1657**, **A1658**, **N0713**, **N0714**) that overcome this problem, and have reported on their efficiency. For instance, **A1657** and **A1658** react with a long-chain alcohol to form esters (1, 2, 3, 4), where the aromatic ring of the chiral derivatization reagent and the methylene chain of the alcohol are in a gauche configuration. Thus, these esters exhibit only one helical structure (clockwise or counterclockwise) based on the absolute configuration of the chiral derivatization reagents. In cases where a long chain alcohol have a chirality, the esters (1, 2, 3, 4) has both an asymmetric helical configuration and a chiral center. Moreover, if this alcohol is racemic form, it forms diastereoisomers. These diastereomers can be discriminated by HPLC and NMR.

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A1657, **A1658**, **N0713** and **N0714** can be easily discriminated when their chiral centers are far away from the hydroxyl group of an alcohol. However, in HPLC analysis, **A1657** and **A1658** are effective for the discrimination of an chiral center more than 11 carbon atoms away from the hydroxyl group, while **N0713** and **N0714** are effective for discrimination of an chiral center less than 10 carbon atoms away from the hydroxyl group. In particular, since **A1657** and **A1658** possess potent fluorescence derived from its anthracene moiety, determination can be done at a femto molar (10^{-15} M) level. Moreover, these reagents can discriminate the chirality of a secondary hydroxyl group, thus, it is also possible to separate stereoisomers of branched secondary alcohols by HPLC.

Mori and co-workers have determined the optical purity of synthetic intermediate (6S,19S)-6-hydroxy-19-methylnonaicosadecane in the synthesis of a sex pheromone extracted from female Spiral Uji fly (Screwworm fly) using reagents (**A1657**, **A1658**). The reagents (**A1657**, **A1658**, **N0713**, **N0714**) developed by Ohruai and co-workers can be discriminated of chiral centers far away from hydroxyl groups, which used to be impossible by the diastereomer methods. Thus, these reagents are highly expected to be useful for many applications in practical use including determination of the absolute configuration and optical purity of natural products.

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A1657	(1R,2R)-2-(Anthracene-2,3-dicarboximido)cyclohexanecarboxylic Acid	100mg
A1658	(1S,2S)-2-(Anthracene-2,3-dicarboximido)cyclohexanecarboxylic Acid	100mg
N0713	(1R,2R)-2-(Naphthalene-2,3-dicarboximido)cyclohexanecarboxylic Acid	100mg
N0714	(1S,2S)-2-(Naphthalene-2,3-dicarboximido)cyclohexanecarboxylic Acid	100mg

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