

CHIRAL TECHNOLOGIES

Standard-Setting *Chiral* Stationary Phases

Application Note

Introduction

Chiral amino acids represent a vast array of diverse structural components that are essential for development of peptide-derived drugs. Our recently introduced zwitterionic stationary phases, CHIRALPAK® ZWIX(+) and ZWIX(-), can serve as important tools to help accelerate drug discovery and development of target peptides.

As potential therapeutics, peptides offer higher specificity and lower toxicity profiles than small molecules. However, peptides are susceptible to degradation by natural proteases. This can be easily overcome by synthesizing peptides that contain D-amino acids, which are not recognized by proteases, thereby making the peptides resistant to the degradation. Analyses of underivatized D/L-amino acids, as building blocks of peptide-derived drug targets, are therefore, necessary to ensure enantiomeric purity of the final products.

Examples of separations of di- and tri-peptides, utilizing CHIRALPAK ZWIX stationary phases, are shown in *Figure 1* and *Figure 2*.

Experimental and Discussion

CHIRALPAK ZWIX(+) and ZWIX(-) columns – 3 mm i.d. x 150 mm long, packed with 3- μ m particles – were used to develop the separations of the di- and tri-peptides. Chromatographic conditions used were the same for both separations.

The CHIRALPAK ZWIX selectors are molecules that incorporate both anion- and cation-exchange functional groups. These selectors are designed to exhibit enantiomeric recognition toward zwitterionic molecules; furthermore, allowing separations of underivatized amino acids and peptides.

Examples provided in the Application Note demonstrate effective separations of DL-Leu-DL-Val on CHIRALPAK ZWIX(+) (*Figure 1*) and DL-Ala-DL-Leu-Gly using CHIRALPAK ZWIX(-) (*Figure 2*).

Work is in progress to develop separations of larger peptides.

move easily
move reliably
move quickly

move ahead

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DAICEL

CHIRALPAK® ZWIX ◊ Peptide Separations

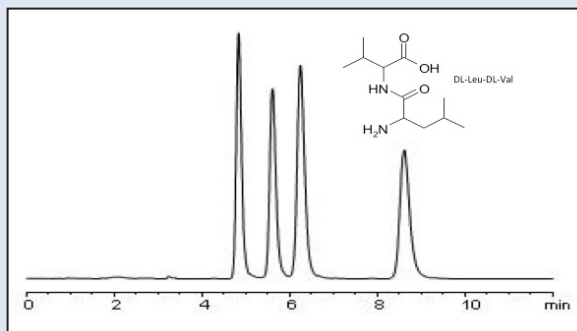


Figure 1: Separation of di-peptide on CHIRALPAK ZWIX(+)

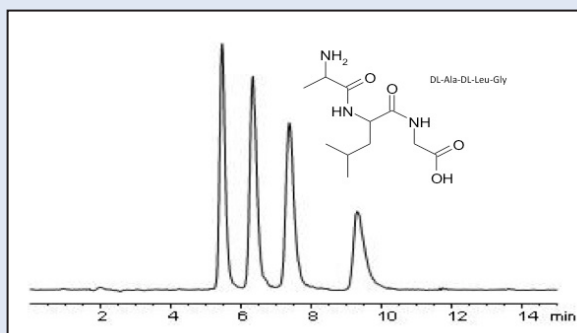


Figure 2: Separation of tri-peptide on CHIRALPAK ZWIX(-)

Chromatographic Conditions

Column:	CHIRALPAK ZWIX, 3.0 mm i.d. x 150 mm, 3 μ m
Mobile phase:	50 mM formic acid + 25 mM DEA in MeOH/THF/H ₂ O (49/49/2)
Flow rate:	0.5 mL/min
Detection:	ELSD
Column temperature:	25 °C