Product Information		
Biologically active bases Chiral separation SFC	**Enantioselective separation of basic compounds in SFC mode**	Date: 13.07.2017 Author: Anna Bergmann

Analysis of biologically active basic compounds using YMC CHIRAL ART Amylose-SA

Method development and optimisation in SFC mode

Biologically active bases
Chiral separation
SFC

Enantioselective separation of basic compounds in SFC mode

Date: 13.07.2017

Author: Anna Bergmann

1 Introduction

The enantioselective separation of chiral basic substances is of high interest especially in the pharmaceutical sector, as many pharmaceuticals are chiral bases. Often, one enantiomer shows higher pharmacological activity. The other one may be inactive or even toxic. More and more chiral separations are being performed in SFC mode, but there still remain some challenges for basic compounds.

Therefore, Geryk et al. performed a study in which they investigated the influences of mobile phase composition, temperature, and back pressure on the separation result with the aim to develop a fast SFC method for the analysis of chiral basic compounds.

They used CHIRAL ART Amylose-SA, an immobilised chiral polysaccharide phase from YMC, for determination and separation of 27 biologically active basic compounds, which can be classified into 3 groups:

1. amphetamine- and cathinone-related psychostimulants (designer drugs) (A)
2. benzofuran based psychostimulants (designer drugs) (B)
3. synthesised aminonaphthols with antituberculous activity (“Betti bases”) (C)

Biologically active bases
Chiral separation
SFC

Enantioselective separation of basic compounds in SFC mode

Date: 13.07.2017

Author: Anna Bergmann

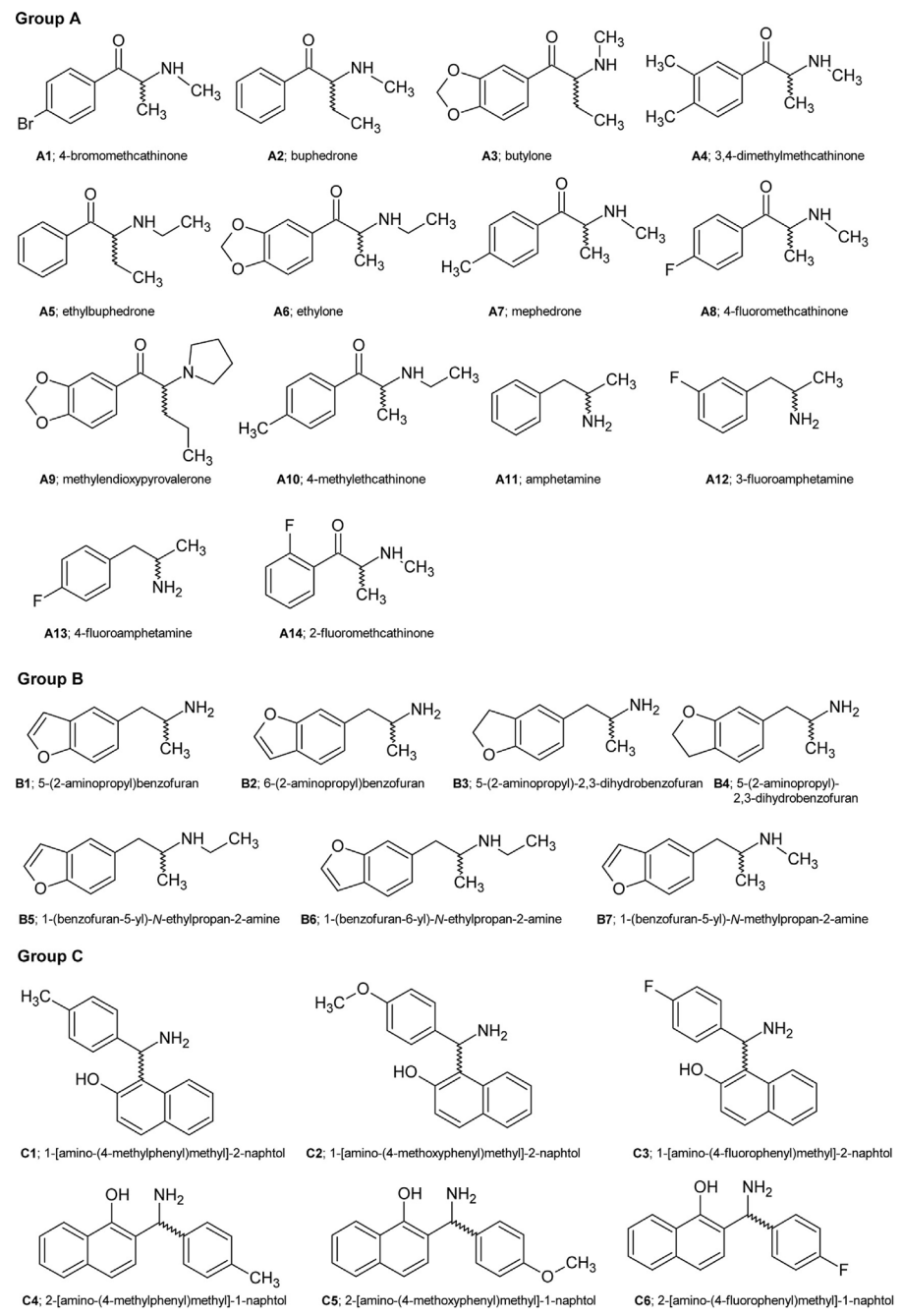

Figure 1: Overview of the 27 investigated biologically active basic compounds [1].

This study was published in April 2016 in the journal *Analytica Chimica Acta under the title Enantioselective separation of biologically active basic compounds in ultra-performance supercritical fluid chromatography.*

2 Results

During their study, Geryk et al. investigated the influence of three different co-solvents and the addition of small amounts of basic or acidic additives, or a combination of both on the enantioselective separation. The three co-solvents used are:

- methanol
- methanol / isopropanol (50/50, v/v)
- isopropanol

The additives used were triethylamine, diethylamine, and TFA. In addition to the mobile phase, the influence of temperature and backpressure was also investigated. Even with the starting conditions, 96% of all the compounds analysed are baseline separated using CHIRAL ART Amylose-SA. After method development and optimisation, all 27 biologically active basic compounds could be baseline separated with the YMC column in SFC mode. Below, an extract of the obtained chromatograms is shown.

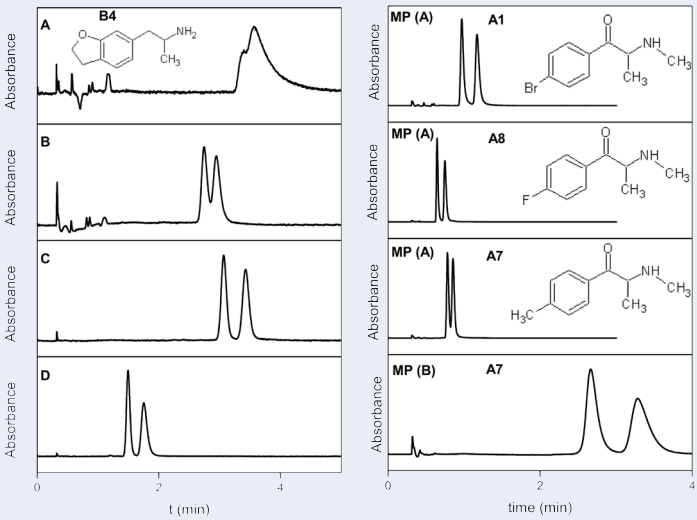

Figure 2: SFC chromatograms for compound B4 with different mobile phase compositions (left) and for the compounds A1, A8 and A7 (right) [1].

Column	CHIRAL ART Amylose-SA, 3 µm particle size, 150 × 3.0 mm ID
Mobile Phase	CO_2 + different organic modifier (MeOH, IPA, MeOH/IPA) and additives (TEA, DEA, TFA)
Flow rate	2.5 mL/min
Temperature	30, 35, 40 °C
Backpressure	124, 138, 152 bar
Detection	UV at 230 and 254 nm
Injection volume	1 µL

3 Summary

With CHIRAL ART Amylose-SA it is possible to separate different basic, chiral compounds in SFC mode.

Use CHIRAL ART columns from YMC to benefit from the advantages of SFC:

- **improved resolution**
- **faster separations**
- **higher throughput**
- **reduced eluent consumption**

The immobilised chiral YMC phases such as CHIRAL ART Amylose-SA can be used in RP, NP, and SFC mode. Furthermore, with Alcyon SFC columns, YMC provides an additional hardware which is especially dedicated to SFC use.

4 Literature

[1] *R. Geryk et al., Enantioselective separation of biologically active basic compounds in ultra-performance supercritical fluid chromatography, Analytica Chimica Acta 932 (2016) 95-105*