Analysis of New Antimycotic Substances (5aTHQs) by COSMOSIL Cholester

Technical Note
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Data courtesy of Dr. Shinichi Nishimura and Dr. Hideaki Kakeya,
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Separation of compounds with similar hydrophobicity is a challenge for C_{18} columns. COSMOSIL Cholester can improve separation efficiency with its unique cholesteryl-bonded stationary phase. This technical note shows analysis of newly-discovered antimycotic substances with different alkyl chain length.

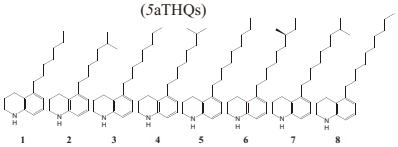
1. Experiment outline

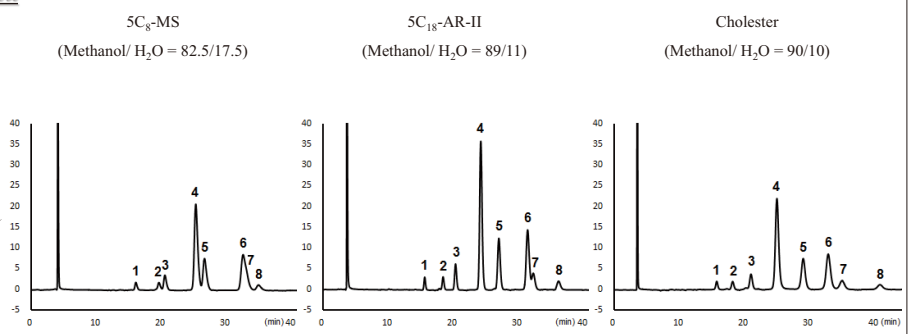
To discover new antimycotic substances, active compounds were isolated from cultures of Streptomyces carbophilus, using growth inhibition of fission yeast as an indicator. We discovered new compound group, 5aTHQs, which consists of an alkyl chain on position 5 of 1,2,3,4-Tetrahydroquinoline. Purification was difficult with a C_{18} column due to the similar hydrophobicity of some of the 5aTHQs. COSMOSIL Cholester was able to separate and purify 8 new antimycotic substances, which enabled determination of structures and structure-activity relationships.

COSMOSIL Application Data

Column: COSMOSIL **
Column size: 4.6mmI.D.-250mm
Mobile phase: Methanol/ H_2O = */*
Flow rate: 0.8 ml/min
Temperature: 25°C
Detection: UV254nm

Sample: *5*-alkyl-*1,2,3,4*-tetrahydroquinolines (*5*aTHQs)





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Data courtesy of Shinichi Nishimura, Ph. D. and Hideaki Kakeya, Ph. D. Department of System Chemotherapy and Molecular Sciences, Division of Bioinformatics and Chemical Genomics, Graduate School of Pharmaceutical Sciences, Kyoto University

2. Comparison of C_8, C_{18} (polymeric type) and Cholester columns

COSMOSIL 5C_8-MS and 5C_{18} AR-II did not sufficiently separate some analogs, while COSMOSIL Cholester achieved good separation for all compounds.

3. Observations

To identify the structure and activity of physiologically active substances, it is necessary to collect high-purity samples. However, it is a challenge to separate compounds that differ only by alkyl chain length. 5aTHQs, newly-discovered antimycotic substances, were separated with good reproducibility by COSMOSIL Cholester, which contributed to identification of alkyl chain structures and structure-activity relationships.

4. Reference

Ryosuke Sugiyama, Shinichi Nishimura, Taro Ozaki, Shumpei Asamizu, Hiroyasu Onaka, and Hideaki Kakeya, *Org. Lett.* , **17** 1918 (2015)

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