Trifunović *et al.: Intrinsic Activity*, 2015; **3**(Suppl. 2):A7.6
http://www.intrinsicactivity.org/2015/3/S2/A7.6
published online: 9 September 2015

21st Scientific Symposium of the Austrian Pharmacological Society: Joint Meeting with the British Pharmacological Society and the Pharmacological Societies of Croatia, Serbia and Slovenia

Graz, 16–18 September 2015

MEETING ABSTRACT

A7.6

The role of bile acid derivatives in transport of drugs through biological membranes

Jovana TRIFUNOVIĆ[1], Saša VUKMIROVIĆ[1], Svetlana GOLOČORBIN KON[2] and Momir M. MIKOV[1]

[1]Department of Pharmacology, Toxicology and Clinical Pharmacology, Faculty of Medicine, University of Novi Sad, Serbia; [2]Department of Pharmacy, Faculty of Medicine, University of Novi Sad, Serbia

Background: In recent years oxo derivatives of bile acids have been intensively investigated as compounds with amphiphilic properties which contribute to the transport of drugs trough biological membranes. As a system of drug carrier, bile acid derivatives express potential regarding the transport of large molecules like macrolide antibiotics. Macrolide antibiotics are in widespread use for the treatment of bacterial infections caused by Gram-positive, and to a limited extent by Gram-negative, bacteria. Because of the voluminosity of their molecules, macrolide antibiotics exhibit limited penetration into brain tissue which is often the target of bacterial infections.

Methods: The aim of this study is to determine which bile acid derivatives better provide transport of erythromycin into brain tissue. In view of this, the present work is concerned with the application of the chromatographic parameter R_M^0 obtained by normal-phase thin-layer chromatography in the solvent system toluene/butanol and silica gel as stationary phase to describe the hydrophobicity of bile acids.

Results: Table 1: Parameters of hydrophobicity of bile acids

Bile acids	Log*P*	cLog*P*	R_M^0 (T/E)[1]	R_M^0 (T/B)[2]
Deoxycholic acid	4.20	4.51	1.23 ± 0.08	1.46 ± 0.07
Chenodeoxycholic acid	4.13	4.51	1.20 ± 0.06	1.44 ± 0.08
Cholic acid	3.04	2.43	0.85 ± 0.03	1.03 ± 0.05
12-oxo-lithocholic acid	4.69	4.11	1.04 ± 0.03	1.25 ± 0.05
3,7,12-trioxo-cholanoic acid	4.01	2.33	0.48 ± 0.01	0.65 ± 0.02

R_M^0 determined in [1]toluene/ethanol and [2]toluene/butanol

Discussion: The increase in the number of oxo groups in the molecule is accompanied with a decrease in the hydrophobicity of the convex side of the steroid skeleton of the bile acid derivatives. Increasing hydrophobicity of both the macrolide and the bile acid strengthen this interaction.

Acknowledgements: The authors acknowledge the financial support of the Ministry of Science and Technological Development of the Republic of Serbia (project no. 41012).

*Corresponding author e-mail: *jovanatrifunovic@gmx.com*