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Synthesis of Voltage Gated Sodium Channel Blockers (VGSCB)

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Voltage gated sodium channels play an important role in the physiological processes of the central nervous system. The main therapeutic areas are epilepsy, various types of pain, migraine and spasticity. In this talk the key aspects and difficulties (e.g. hERG activity) of the development of the new compounds with VGSCB activity will be demonstrated on three examples.

1) Company Gedeon RICHTER developed the centrally acting muscle relaxant Mydeton. Searching its derivatives, many aryloxy-alkyl-amines were synthetized, changing the aromatic moiety, the spacer, the amine function.
2) An HTS was the starting point of the development of NaV1.7 Subtype selective sodium channel blockers. Various members of an aryl- and heteroarylsubstituted benzyloxy-benzylamine compound family were prepared.
3) Targeting the obscessive compulsive disorder, compounds were prepared with dual activity: VGSCB and serotonin reuptake inhibitory activity. We focused on dextormethorphan derivatives. The best compounds were tested in vivo (marble burying test) too.

Speaker Biography

Bölcskei H has completed her MSc study as a chemical engineer from University of Technology, Budapest, Hungary. She received her PhD in 1979 at the same university, and her scientific degree "candidate of sciences" in 1988 from the Hungarian Academy of Sciences. Between 1973-2013 she worked as a researcher at the Hungarian pharmaceutical company Gedeon Richter Plc. Since 2009 she has been working as the associate professor of University of Technology and Economics, Budapest, Hungary. Her main research interest: alkaloid chemistry, organic chemistry, medicinal chemistry. She has over 60 publications that have been cited over 200 times.

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