COLLOQUIA IN CELLULAR SIGNALLING

Impromptu Seminar

Venue: Medical University Vienna, Center for Physiology and Pharmacology, Institute of Pharmacology, Waehringerstrasse 13a, 1090 Vienna, "Leseraum".

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Wednesday 18.01.2012 16.30 s.t. Maria Garcia (host: A. Koschak)

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"Discovery of novel, small molecule, ion channel modulators"

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Abstract:

Drug development is a long, tedious, and costly process associated with a high failure rate. The key to later success in the clinic depends on early target validation in preclinical animal species, and the nature of the chemical leads. Ion channels regulate many physiological functions, and represent the targets of certain drugs used to treat a number of pathophysiological conditions. These drugs, however, were identified and developed using whole integrated physiological readouts in animal models of the respective disease. Human genome sequencing has identified over 400 genes that code for ion channels. In addition, native ion channels are usually made up by the functional association of identical or closely related subunits, resulting in a large number of potential targets for drug development. The question that follows is which of these targets are relevant for treating a disease condition, and very importantly, which targets have to be avoided to prevent unwanted side effects. There are a number of approaches that can be used for identifying targets of therapeutic interest, such as knowledge of a system's physiology, use of pharmacological reagents, and very importantly, information derived from human genetics. In addition, ion channel assay technologies have significantly evolved during the last few years affording platforms that can support the screening of large chemical libraries (> 1 M compounds) in a short period of time (1-2 weeks), in order to identify leads with appropriate potency and selectivity that can be optimized by Medicinal Chemistry into a clinical development candidate. Examples of drug development efforts in the diabetes and cardiovascular areas will be discussed.