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New approaches in development of PET radioligands for drug development

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PET provides a new way to image the function of a target and by elevating the mass, to pharmacologically modify the function of the target. The main applications of radioligands in brain research concern human neuropsychopharmacology and the discovery and development of novel drugs to be used in the therapy of psychiatric and neurological disorders. A basic problem in PET brain receptor studies is the lack of useful radioligands with ideal binding characteristics. During the past decade, more than a hundred neurotransmitters have been identified in the human brain. Most of the currently used drugs for the treatment of psychiatric and neurological disorders interact with central neurotransmission. Several receptor subtypes, transmitter carriers, and enzymes have proven to be useful targets for drug treatment. Molecular biological techniques have now revealed the existence of hundreds of novel targets for which little or no prior pharmacological or functional data existed. Due to the lack of data on the functional significance of these sites, pharmacologists are now challenged to find the physiological roles of these receptors and identify selective agents and possible therapeutic indications. During the past decade, various 11C- and 18F-labeled PET radioligands have been developed for labelling some of the major central neuroreceptor systems. There is still a need to develop pure selective PET radioligands for all the targets of the human brain. This presentation will cover the more recent and promising developments of PET radiochemistry and its utility in the production of novel radiopharmaceuticals, with special emphasis on labelling methods that have the greatest potential to be translated from animals into clinical PET imaging. The need for novel PET radioligands for clinical PET imaging is increasing and is especially important within clinical research and drug development. The drug industry is heavily involved in PET for drug development in collaboration with academia.

Recent Publications

1. Halldin C, Gulyás B, Farde L. PET studies with carbon-11 radioligands in neuropsychopharmacological drug development. Current pharmaceutical design. 2001 Dec 1;7(18):1907-29.

2. Halldin C, Gulyás B, Farde L. PET for drug development. From Morphological Imaging to Molecular Targeting: Implications to Preclinical Development. 2004:95-109.

Biography

Christer Halldin completed his Ph.D. at the age of 31 years from Uppsala University, Sweden. He is the director of the Karolinska Institute PET Centre and professor of Medicinal Radiochemistry at Karolinska Institute in Stockholm, Sweden. He has over 650 original publications that have been cited over 28.000 times, and his publication H-index is 78 and has been PI of several major grants and serves as an editorial board member of many reputed Journals.

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