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PET in 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. Prerequisite criteria, such as high affinity and selectivity, need to be satisfied for a radioligand to reveal target binding sites *in vivo*. During the past decade over 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 ^{11}C- and ^{18}F-labeled radioligands have been developed for labeling some of the major central neuroreceptor systems. There is still a need to develop pure selective PET tracers for all the targets of the human brain. This presentation will review recent examples in neuroreceptor radioligand development and the clinical potential of *in vivo* imaging of neurotransmitter systems. The review will focus on studies with PET radiotracers in neuropsychopharmacological drug development. A basic problem in the discovery and development of novel drugs to be used in for example the therapy of neurological and psychiatric disorders is the absence of relevant *in vitro* or *in vivo* animal models that can yield results to be extrapolated to man. Drug research now benefits from the fast development of functional imaging techniques such as PET.