[^{11}C]VINPOCETINE: A PROSPECTIVE TSPO BIOMARKER PET LIGAND IN NEURODEGENERATIVE DISEASES

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Vinpocetine is a synthetic compound related to the Vinca minor alkaloid, vincamine. The compound was originally discovered by Gedeon Richter Plc Budapest, Hungary and is widely used in the prevention and treatment of cerebrovascular diseases. In addition to this vinpocetine is also widely studied as a potent neuroprotective agent. Recent studies have indicated that its neuroprotective effect could be partly due to vinpocetine's submicromolar binding affinity to the 18 kDa translocator protein (TSPO, previously peripheral benzodiazepine receptor, PBR). TSPO can be found in microglia and astrocytes in the brain. It has several regulatory and metabolic functions (apoptosis, cholesterol transport, steroid hormone synthesis etc.). The expression of TSPO increases during neuroinflammatory, degenerative and acute brain disorders primarily due to the activation of microglia. During the past years many molecular imaging biomarkers for TSPO have been tested using positron emission tomography (PET). [^{11}C]PK1195 is considered as golden standard but several other biomarkers have also been developed. Using [^{11}C]vinpocetine we have shown earlier in both monkies and humans that the labelled compound readily enters the brain the maximal uptake being around 4% of the total injected radioactivity showing a heterogenous distribution pattern in the brain. The highest uptake was in the thalamus, basal ganglia and visual cortex. A similar pattern was demonstrated after oral administration of the labelled compound. Based on these findings we made a series of PET studies with [^{11}C]vinpocetine in sclerosis multiplex patients, in Alzheimer's disease affected elderly patients as well as on healthy volunteers of different age groups. The results summarized in the presentation indicate that [^{11}C]vinpocetine is a prospective TSPO biomarker and PET ligand for in vivo human studies in various neurodegenerative diseases aswell as studying „normal" aging.