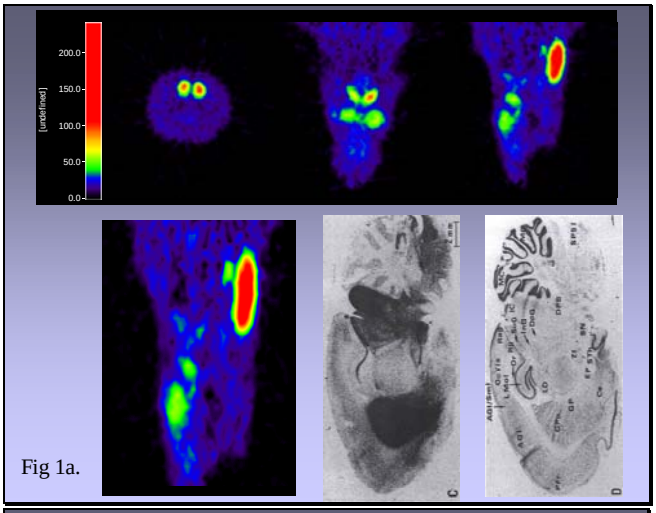
Assessment of D2- receptor binding using ^{18}F-Fallypride

Y. Waerzeggers[1], R. Graf[1], A. Jacobs[1], S. Winkeler[1], M. Piel[2], S. Höhneman[2], S. Maus[2], F. Rösch[2]
[1]Max-Planck-Institut für neurologische Forschung, Gleueler Str. 50, 50931 Köln;
[2]Institut für Kernchemie, Johannes Gutenberg-Universität Mainz

Objective: Objective of the study was identification with HRRT and micro-PET of striatal and extra-striatal D2-receptor binding and comparison of this binding between rats and cats, and identification of exogenous D2-receptor binding in mice.
Also we compared the kinetics of Fallypride, Raclopride and FDG in cat striatal binding and the uptake of Fallypride in different brain regions.

Methods and Material: Four healthy cats were scanned with HRRT and 4 healthy rats and 2 D2-receptor expressing tumor bearing mice with micro-PET.

Results: In rats, striatal as well as extra-striatal binding could be identified; and micro-PET images compared favorably with autoradiograms (Fig. 1).



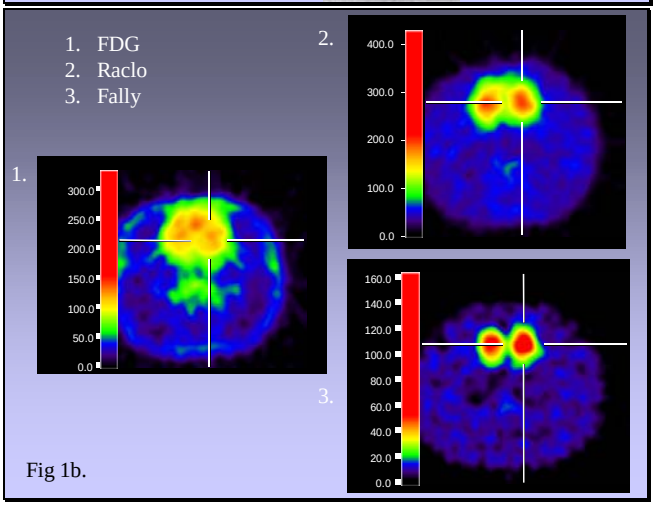


Fig. 1a: ^{18}F-Fallypride uptake in rat; comparison between micro-PET images and autoradiograms.
Fig. 1b: Rat striatal binding, comparing ^{18}F-Fallypride, ^{11}C-Raclopride and ^{18}F-FDG.

In cats, however, it was difficult to visualize extra-striatal binding (Fig. 2).

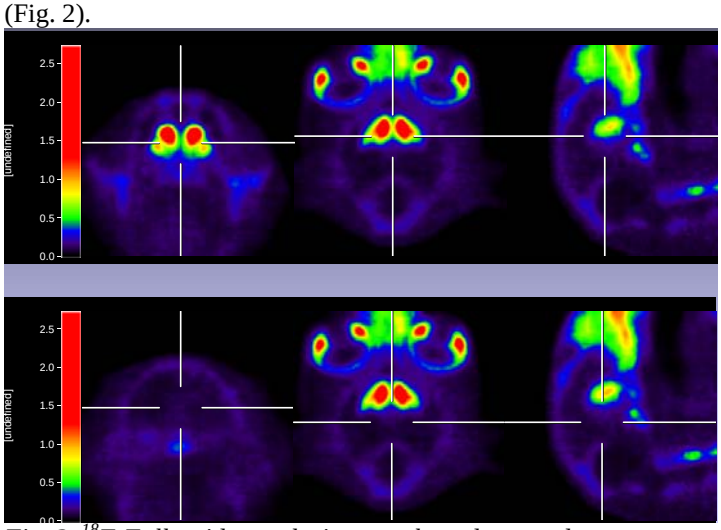


Fig. 2: ^{18}F-Fallypride uptake in cat ncl caudatus and putamen.

In tumor bearing mice, Fallypride was able to distinguish between wild-type and D2-receptor expressing tumors (Fig. 3).

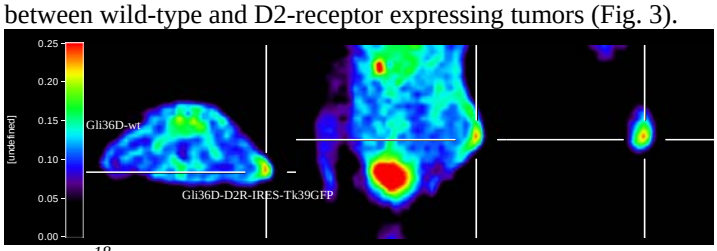


Fig. 3: ^{18}F-Fallypride uptake in D2-receptor expressing tumor (Gli36D-D2R-IRES-TK39-GFP) in comparison to wild-type tumor (Gli-36D-wt).

Fallypride kinetics in striatum and blood resemble Raclopride and FDG kinetics; for cat cf. Fig. 4.

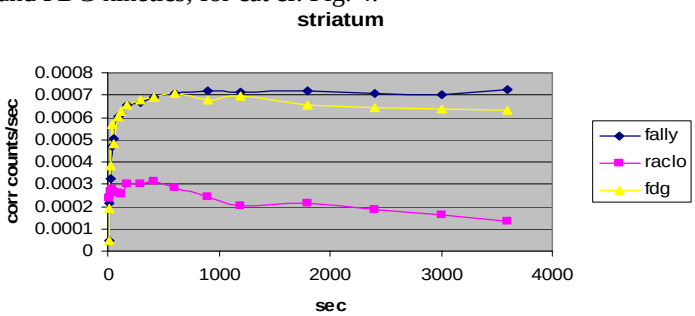


Fig. 4: Time-activity curves in cat striatum after administration of 2,5mCi of ^{18}F-Fallypride, 2mCi ^{11}C-Raclopride and 2,5mCi ^{18}F-FDG.

Conclusion: High resolution PET with ^{18}F-Fallypride allows differential region-specific kinetic analysis of D2-receptor binding, which was shown to be species specific particularly in extra-striatal regions. A further application is provided by imaging of mutant D2-receptor expression in experimental gliomas.