in vivo Assessment of 16α-[¹⁸F]Fluoro-17β-Estradiol as a New PET Tracer for Evaluating ER Expression of Prostate Cancer Following Androgen Ablation Therapy

Introduction and Objective: The expression and role of estrogen receptor (ER) in prostate cancer receiving androgen ablation therapy remains unclear. 16α -[18 F]fluoro- 17β -estradiol (FES) is an 18 F-labeled compound of estradiol and is used for the detection of ERα–positive organs and disease. It was reported that FES accumulation was well associated with the concentration of ERα *in vitro* measurements, and it could there enable *in vivo* noninvasive measurement of ERα density. The purpose of this study was to assess the expression of ER by using FES in prostate cancer following androgen ablation therapy *in vivo*.

Materials and Methods: LNCaP tumor, a well established human prostate cancer cell line, was implanted in athymic male mice. Approximately 4 weeks after tumor implant, the mice were castrated surgically, and tumor volume was calculated. Before castration (control) and after 4, 8 or 12 weeks after castration, FES was administered via tail vein and tumor tracer uptake was determined with gamma counter 1h after injection. ER α expression of the tumor was determined with real-time PCR and immunohistochemical staining to assess the interaction between androgen ablation therapy and ER α expression.

Results: Tumor volume stopped increasing after castration, followed by gradual increase approximately 6 weeks after castration. The biodistribution study showed a gradual increase of FES uptake in tumors. ERα expression of tumors was also correlated with FES uptake.

Conclusions: These results of *in vivo* studies indicate that FES is a promising tracer in monitoring the expression of $ER\alpha$ in prostate cancer following androgen ablation therapy.