200mm x 10mm, flow 6ml/min, wavelength 254 nm, solvent 0.3M HCl in saline/Ethanol 65/35). The product fraction was diluted with saline and sterile filtrated (Millipore 0.22µm). Quality control was performed with analytical HPLC (C18 µBondapak, flow 1ml/min, wavelength 254nm, solvent 50mM ammoniumformiate buffer pH3.5/acetonitrile:water (50:7) 40/60), GC analysis (Poraplot Q isotherm 200°C, split rate 10, flow 350) on residual solvents showed no remaining residues in the applicable solution and osmolality and pH were measured.

Results: The uncorrected yields of (R)-[O-methyl-^{11}C]metomidate were 5-10% (corresponded to EOB, synthesis time: 40min, specific activity 500Ci/mmol), whereas highest losses of activity confer to the transformation of the [^{11}C]- carbondioxide to [^{11}C]-methyl iodide (~50%). The radiochemical purity is higher than 97%, where the main impurities appear as [^{11}C]-methanol and [^{11}C]-methyl iodide. No residual solvents (DMF, Dichloromethane) could be detected in the final product, due to the complete removement by the preparative HPLC.
First clinical results will be presented at the congress.

Conclusions: PET with ^{11}C-metomidate has the potential to be an attractive method for the characterisation of adrenal masses with the ability to discriminate lesions of adrenal cortical origin from noncortical lesions. The adopted and improved synthesis method allows the fast routine support of [^{11}C]-metomidate for at least two patients per synthesis with a high reproducible standard.

3.6

Evaluation of PET Radioligands for the Neuronal Nicotinic Acetylcholine Receptor

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A-186253.1, a compound made by Abbott laboratories, was labelled with carbon-11 and evaluated as a PET ligand for the neuronal nicotinic acetylcholine receptor (nAChR).
The compound was labelled with C-11 by methylation with 11C-MeI of the desmethyl precursor A-183828.1. The affinity of A-186253.1 for the α4β2 and the α7 subtype of the nAChR was determined in displacement studies. PET-studies were performed in rats and pigs Inhibitory constants (K_i) *vs.* cytsine were 461 ± 99 pM for A-186253.1 and *vs* α-Bungarotoxin >100 µM. which means a very high selectivity for the α4ß2-receptor (>227,000)
Highest uptake of [^{11}C]-A-186253.1 was observed in the thalamus where an increase in radiotracer uptake was seen until 45 min p.i.. Thereafter, the radiotracer concentration remained constant until the end of the scan indicating slow washout of [^{11}C]-A-186253.1. Application of cold A-186253.1 (0.5 mg/kg) 40 min p.i. resulted in a decrease in radiotracer concentration in the thalamus and the cortex indicating displacement of [^{11}C]-A-186253.1. Blockade studies with cytisine (0.5 mg/kg), a selective ligand for the α4ß2 nicotinic receptor, showed just a slight reduction of the radioligand uptake in the thalamus and in the cortex whereas the blockade with cold A-186253.1 (1mg/kg) resulted in a 50 % reduction. These

results suggest, that 50% of the [^{11}C]-A-186253.1 in the brain corresponds to specifically bound radioligand, but not to the α4ß2 subtype of the nicotinic receptor.

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3.7

^{211}At Production and Recovery: First Results of a New Start

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Aim: ^{211}At is the only alpha-emitting isotope which can be introduced into organic molecular structures by covalent chemical binding and possesses superior decay characteristics for therapeutic applications when compared with other alpha emitters. However, its production requires a minimum of 27,5 MeV alpha-particles, which are relatively rarely available. In view of the revival of alpha-therapy approaches a production of ^{211}At has been set up at the MHH cyclotron (MC-35). The first aim of this project was to establish a target- and work-up-system for the reliable production of several ten MBq-quantities of ^{211}At for animal- and cell-research.

Methods: An aluminum-target-system holds a 1.3cm diameter Bi-disc of 0,25 mm thickness. The disk is pressed onto a the aluminum backing with 2 tons/cm^2. The front is covered with a 125 µm Al foil, cooled by a He-jet which is separated from the vacuum by a 250µm Ti foil. Starting with 27.5 MeV alpha-particles the resulting target-energy is 25 MeV. This relatively low energy is choosen to avoid any contamination with ^{210}At and ^{210}Po (1) in the first experimental period. A beam current of 10µA for 15 min (9 mCoulomb) yields 16.4 MBq ^{211}At theoretically. Astatine is recovered from the target by a dry destillation technique, using various gases as a transport support-medium. The small all-quarz destillation-apparatus is heated up to 900°C. Astatine is recovered in a small coolable vessel containing various trapping media. Destillation and trapping can be monitored with small radioactivity monitors. The whole system fits in a cubical 0.5m glove box.

Parameter Testing: Continuous monitoring of the destillation process allowed to optimize the heating rate, the transport support-medium and its flow-rate. Various trapping solutions were tested for their efficiency.

Results: Different beam dispersion in the target seems to influence the release and destillation of Astatine from the molten Bismuth-target. Two different release patterns werde observed. The first pattern resulted in a first slow, than rapid release, while the other pattern was a continuous release of Astatine. Both patterns were independent of the transport support-medium, (air (oxidizing) or nitrogen (inert)), with no significant difference in the overall release rate of Astatine. The flow rate had a significant effect on the over all destillation time as well as on the trapping efficiancy in the trapping medium. These effects were reversely related. The overall destillation time needed for optimal recovery was 30 min.. The overall recovery of Astatine in the trapping vessel was ca. 80%, with 20% usually escaping the trapping solution, unless strongly reducing trapping conditions were applied. All of the escaping Astatine could be trapped in activated charcoal. The current production conditions yield 13 MBq ^{211}At in aqueous solution routinely which are used in cell-culture- and animal-experiments.

Conclusion: A target and a recovery system has been installed which yields about 13 MBq ^{211}At with only 15 min. beam-time. Production improvements of a factor of 30 are anticipated.