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Synthesis of a [^{18}F]-labeled ceritinib analogue for positron emission tomography of anaplastic lymphoma kinase, a receptor tyrosine kinase, in lung cancer

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Anaplastic Lymphoma Kinase (ALK), an oncogenic receptor tyrosine kinase, has emerged as a therapeutic target in solid and hematologic tumors. Although several ALK inhibitors have gained approval for therapy, non-invasive indicators of target engagement or predictive biomarkers in vivo are lacking. We designed and synthesized a radiolabeled analogue of the ALK inhibitor ceritinib, [18F]fluoroethyl-ceritinib, (]18F]-FEC), for use with positron emission tomography (PET). We used two methods to synthesize [18F]-FEC. Method 1: [18F]fluoroethyl-tosylate was prepared by radiofluorination of ethylene glycol di-tosylate, purified by HPLC and coupled with ceritinib at 120°C. The product was purified by flash chromatography to yield [18F]-FEC. Alternatively, a precursor compound, chloroethyl-ceritnib, was synthesized and fluorinated with K18F/kryptofix. The product was purified by HPLC or flash chromatography to yield [18F]-FEC. Method 1 produced [18F]-FEC with an average decay-corrected yield of 24% (n=4), specific activity of 1200 mCi/μmol, and >99% purity; synthesis time was 115 min from the end of bombardment (EOB). Method 2 produced [18F]-FEC with an average yield of 7% (n=4), specific activity of 1500 mCi/μmol, and >99% purity; synthesis time was 65 min from the EOB. Of these two methods, we judged Method 1 to be the better choice for producing a pure compound for biological applications. Synthesis of a novel [18F]ceritinib analogue has been achieved in good yields, with high purity and specific activity. The compound is a potential PET imaging agent for the detection of ALK overexpressing solid tumors, such as lung cancer, and should be tested *in vitro* and *in vivo*.

Biography

Mian M Alauddin has completed his PhD at the age of 39 years from the University of Manitoba, Winnipeg, Canada, and postdoctoral training from California Institute of Technology (Caltech), Pasadena, CA, USA. He is an Associate Professor at the Universityof Texas MD Anderson Cancer Center. He has developmed many PET radiopharmaceuticals for early detection of cancer and HSV-tk gene expression. He has published more than 100 preer reviewed papers in reputed journals and has been serving as an editorial board member of some reputed journals.

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