XXIX CONGRESO ALASBIMN
XXIII CONGRESO AABYMN
BUENOS AIRES 15 al 18 de NOVIEMBRE 2023

PRODUCTION AND QUALITY CONTROL OF 68GaFAPI : Preliminary Results

González Granero, Emiliano(1); Espinosa, Dailenys (1); Espinosa, Daylen (1); Ardanaz Sebastian (1) ; Castiglia Silvia G. de (1)

(1) Tecnonuclear-Eckert Ziegler. Buenos Aires. Argentina

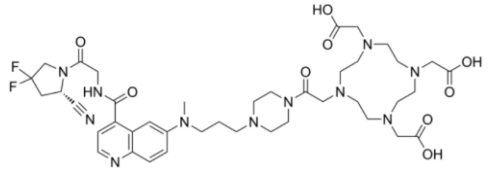
TECNONUCLEAR
Somos parte de Eckert & Ziegler

Introduction

Fibroblastic activation protein (FAP)is an endopeptidase that is located in the cell membrane. It is not expressed in normal, healthy tissues, but it ir expressed during wound repair and in the microenvironment of numerous types of cancer, including colorectal and pancreatic cancer. Several FAP inhibitor molecules have been developed, with FAPI-46 being one of the most promising.

Objective

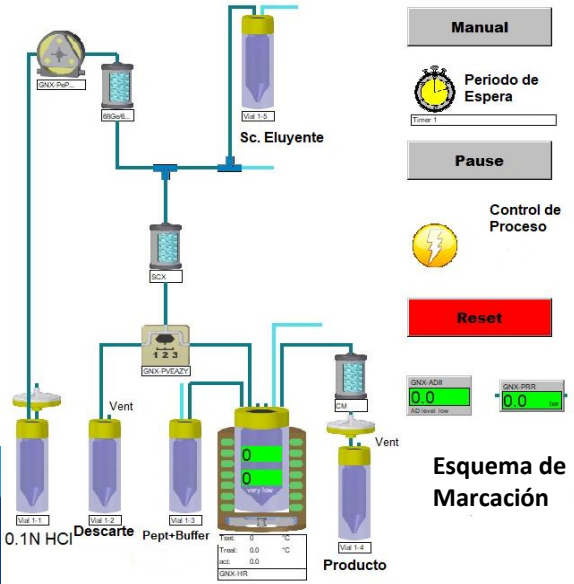
Determine the optimal amount of TAPI-46 for 68Ga Labelling ,develop an accurate synthetic procedure to ensure successful procurement of the labelled product and perform a rigorous radiochemical Quality Control of the product.



FAPI-46

Labelling — Eazy Lab Module with a PSMA-11 casette (Eckert & Ziegler)

Quality Control — HPLC Shimadzu with radiometric detector detector and ITLC-SG plates



Esquema de Marcación

Materials and Methods

FAPI-46 with a purity of 99% was provided by MED_CHEM and was prepared in aliquots of 10,30 and 50µg concentration (1mg/ml)The buffer solution was Amonium Acetate, with the addition of ascorbic acid (0.3 mg in 0.1ml of UP water).6.0ml of saline was used for dissolution and cooling and 1.1 ml of eluent solution was added.The reaction mixture contained 0.4 ml of final solution buffer, 0.1ml of ascorbic acid and the FAPI-46 aliquot.The 68Ga was extracted from a 68Ge/68Ga IGG-100 generator /EZ), using an Easi-Lab module and with PSMA11 cassette. The generator eluate was preconcentrated in a column of strong cation exchange (SCX). Recovered of the 68GaCl4 ion was done using a 5M NaCl/ HCl 0.1M eluent. The activity was transferred to the reaction vial and heated for 10 minutes at 98ºC. The reaction product was cooled with 6ml of saline. The resulting solution was purified through a SepPak Light CM.

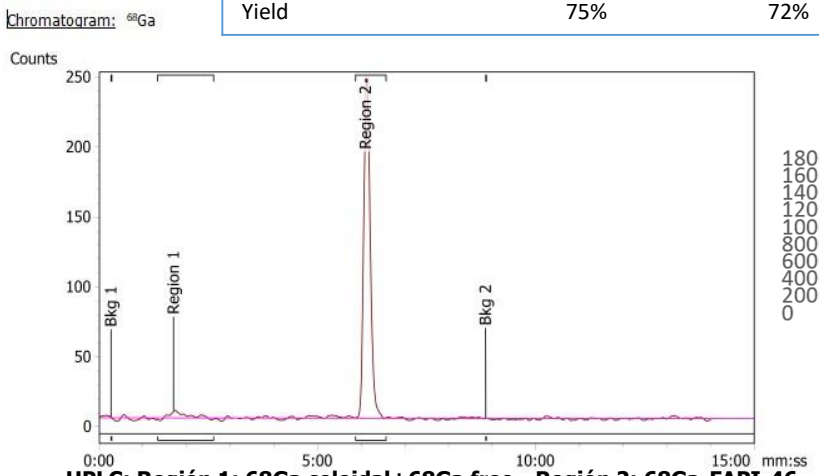
-

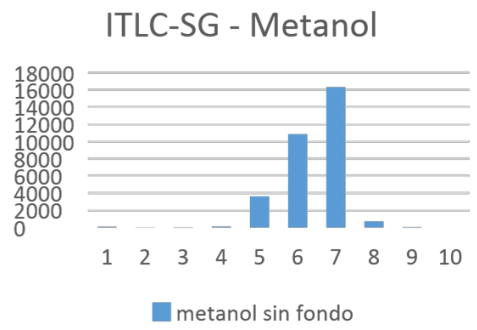
Quality Control

Radiochemical Purity quality controls were carried out by PTLC-SG system 1: 1M ammonium acetate : methanol (1:1), system 2: citrate buffer pH4 and by HPLC with a radiometric detector using a C18 stationary phase column. Elution system A: water (0.1% TFA), B : acetonitrile (0.1% TFA)
In system 1 the Rf of the 68Gacolloid is 0.2 and the labeled product Rf is 0.8.
In system 2 the Rf of rhe free 68Ga is 0.8 and the Rf product is 0.2.
In HPLC the Rt of the 68Ga FAPI-46 is 6.2min

Results

Mass	50µg	30µg	10µg
RQ purity	96%	82%	82%
Yield	75%	72%	43%





HPLC: Región 1: 68Ga coloidal+68Ga free - Región 2: 68Ga-FAPI-46

Conclusions:

It was determined that the appropiate mass for labeling FAPI-46 is 50 µg . Assays were again performed usig only 50 µg of the product, which were consistent and reproducible. A reliable and robust method of tadiochemical purity determination of 68Ga-FAPI 46 was generated establishing the Rt of 68Ga colloid, 68Ga free and 68Ga product respectively. The labeling conditions with this product mass (50µg)were optimized in order to obtain high yield and adequate reproducibility. The use of the Razy -Lab labelling module makes it possible to automate the synthesis process, reducing the manipulation of the radioisotope by the personnel involved