Radiopharmaceutical Chemistry: Radiopharmacy

Radiopharmacy Posters

Evaluation of ^{99m}Tc-neurotensin analog using different=20 chelators

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Objectives: Different analogs of Neurotensin (NT) peptide = have=20 been synthesized because of practical interest. The sequence=20 (8-13) of the original NT molecule was here modified in two=20 sites: by N-methylation between Arg 8 and Arg 9, and by = substitution=20 for Tle of the original Ile13 amino acid. The NT analog=20 (Arg-(N-CH3)-Arg-Pro-Tyr-Tle-Leu was labeled with = ^{99m}Tc=20 conjugated to the bifunctional chelate MAG_3 or to = HYNIC=20 using βAla as spacer.The objective was the comparison of = radiolabeling and biological profile of the two radiotracers = with=20 these bifunctional chelators.

Methods: Two NT formulations were prepared for = radiolabeling,=20 one for HYNIC-NT and other for MAG3-NT approach. Both = radiotracers,=20 ^{99m}Tc-HYNIC-NT and ^{99m}Tc-MAG3-NT were = injected in=20 healthy Swiss mice and biodistribution was evaluated at 5, = 15, 30,=20 60, 120, 240, 360 and 1440 min post-injection.

Results: Radiochemical purity of the two radiocompounds = was=20 greater than 99%. Partition coefficient pointed out that=20 ^{99m}Tc-HYNIC-NT and = ^{99m}Tc-MAG_3-NT are=20 hydrophilic (*log* P =3D -3.78 and *log* P =3D = -1.79=20 respectively). Biodistribution confirmed that uptake in = different=20 organs and tissues was higher for MAG_3 tracer, being = most=20 remarkable in liver and lungs. Uptake in kidneys was quite = high for=20 both , and blood clearance was fast with very little activity = after=20 1h. Uptake of ^{99m}Tc-MAG_3-NT in small = intestine=20 was more substantial than for ^{99m}Tc-HYNIC-NT, = consistent=20 with hepatobiliary clearance in addition to urinary excretion = for this tracer.

Conclusions: Neurotensin analog was successfully labeled = with=20 ^{99m}Tc using two different chelators. Influence of = labeling=20 methods on biodistribution of the radiotracers in mice was=20 documented, with a more remarkable uptake for=20 ^{99m}Tc-MAG_3-NT , in all organs and = tissues.=20