

Barcelona, Spain

Joint Symposium 10

Drug Development Committee / Society of Radiopharmaceutical Sciences (SRS)

Monday, October 14, 08:00-09:30

Session Title

What is Molar Activity and When does it Impact PET Imaging?

Chairpersons

Antony Gee (London, United Kingdom)

Pierre Payoux (Toulouse, France)

Programme

- 08:00 - 08:30 Salvatore Bongarzone (London, United Kingdom / SRS): What do I Need to Know? Basic Concepts of Mass in Radionuclide Imaging
- 08:30 – 09:00 Vladimir Tolmachev (Uppsala, Sweden): Optimal Molar Activity is a Precondition for a Sensitive and Specific Molecular Imaging in Oncology
- 09:00 - 09:30 Christer Halldin (Stockholm, Sweden / SRS): Mass Effect of PET Radioligands for Neuroscience

Educational Objectives

1. To consolidate the basic concepts of mass effects in radionuclide imaging
2. To define molar, specific, apparent activity and why this can be critical in radionuclide imaging
3. To elucidate the importance of an optimal molar activity for sensitivity and specificity of molecular imaging in oncology
4. To understand why too high mass of a PET radioligand for CNS applications may be a problem. A saturation analysis can be performed to determine binding parameters by varying the molar activity

Summary

As an introduction, a definition of what molar, specific, apparent activity are will be discussed followed by how these parameters are determined and when they are important (image quantification, saturation of target). The molar activity of radiopharmaceuticals has to be sufficiently high to avoid an excessive saturation of a target in tumours by a non-labelled tracer. However, the highest possible molar activity is also not always the optimal. Too high molar activity (and accordingly too low injected mass) might result in insufficient binding of a tracer in tumours. Decreasing molar activity to an optimal level might help to discriminate between metastases with high and low expression of a molecular target in metastases or suppress an uptake in normal tissues. Optimization of molar activity is an important aspect in both preclinical and clinical development of probes for radionuclide molecular imaging in oncology, neurosciences and cardiology. In the brain, saturation analysis can be performed using for example ^{11}C -raclopride to determine dopamine D2 receptor binding parameters such as density (B_{max}) and affinity (K_d) by varying the molar activity. Care must also be taken with rodent studies, where even at tracer doses, saturation of target proteins can cause misinterpretation of the in vivo data if not fully understood.

Key Words

Molar activity, specific activity, saturation, image quantification