Development of molecular probe for application of molecular imaging to drug development

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Sensitive in vivo imaging technologies in biomedicine are opening up new areas of research in drug development. In particular, the nuclear imaging technologies, positron emission tomography (PET) and single photon emission computed tomography (SPECT), have the power to obtain dynamic and real-time information, directly and non-invasively, on the in vivo behaviors of radiolabeleled molecules not only in experimental animals but also in humans.

The use of these nuclear medical imaging technologies to drug development can include two main approaches. The most straightforward approach of the nuclear imaging technologies is to obtain information on the biodistribution. To accomplish these tasks, the drugs or drug candidates of interest can be labeled radioisotopically with an appropriate radionuclide. This approach is able to do the human microdose test. Furthermore, this methodology can be applied to the drug delivery imaging, that is the evaluation of the efficacy of a new formulation and route of administration with respect to drug delivery. Another approach is to assess the in vivo interaction of drugs or drug candidates between the target molecules at the physiological sites and the alterations in biological processes caused by them, such as receptor occupancy, enzyme activity, blood flow, and energy metabolic rate. In this area, a radiolabeled compound is used as the probe for the imaging and quantification of molecular interactions or biological processes relevant to the drugs or drug candidates in vivo. Therefore, the radiolabeled compound used in these studies should be designed to have characteristics in the in vivo behavior to provide the desirable information on a specific biological process, requiring a rational drug design based on a structure-biological activity-biodistribution relationship. Furthermore, the information obtained by this methodology can be also used to validate targets for drug action and to optimize clinical treatment. Thus, nuclear imaging studies can be a powerful tool for accelerating drug development.

The present paper will report the present state of the development of molecular probe for application of molecular imaging to drug development.