1. Record Nr. UNINA9910227348203321

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Titolo	In Vivo Imaging in Pharmacological Research
Pubbl/distr/stampa	Frontiers Media SA, 2017
Descrizione fisica	1 electronic resource (222 p.)
Collana	Frontiers Research Topics
Lingua di pubblicazione	Inglese
Formato	Materiale a stampa
Livello bibliografico	Monografia
Sommario/riassunto	The discovery and development of a biological active molecule with therapeutic properties is an ever increasing complex task, highly unpredictable at the early stages and marked, in the end, by high rates of failure. As a consequence, the overall process leading to the production of a successful drug is very costly. The improvement of the net outcome in drug discovery and development would require, amongst other important factors, a good understanding of the molecular events that characterize the disease or pathology in order to better identify likely targets of interest, to optimize the interaction of an active agent (small molecule or macromolecule of natural or synthetic origin) with those targets, and to facilitate the study of the pharmacokinetics, pharmacodynamics and toxicity of an active agent in suitable models and in human subjects. The objective of this Research Topic is to highlight new developments and applications of imaging techniques with the objective of performing pharmacological studies in vivo, in animal models and in humans. In the domain of drug discovery, the pharmacological and biomedical questions constitute the center of attention. In this sense, it is fundamental to keep in mind the strengths and limitations of each analytical or imaging technique. At the end, the judicious application of the technique with the aim of supporting the search for answers to manifold questions arising during a long and painstaking path provides a continuous role for imaging within the complex area of drug discovery and development.