

## Specialty area: Molecular & Cellular Imaging: From the Bench to the Bed

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### Highlights

- A few small molecule, targeted MRI contrast agents have been reported
- Many nanoparticle targeted agents have been reported
- Targeted imaging with MRI allows enhanced disease characterization

### Title: Targeting Agents

**Target audience:** attendees interested in contrast agent development, ligand conjugation strategies and molecular imaging will benefit.

**Objectives:** after the talk, attendees should be able to differentiate the principles between small molecule and nanoparticle targeting. Attendees should be able to select an appropriate targeting strategy and platform for their molecule of interest. Attendees should be able to design a suitable ligand conjugation approach.

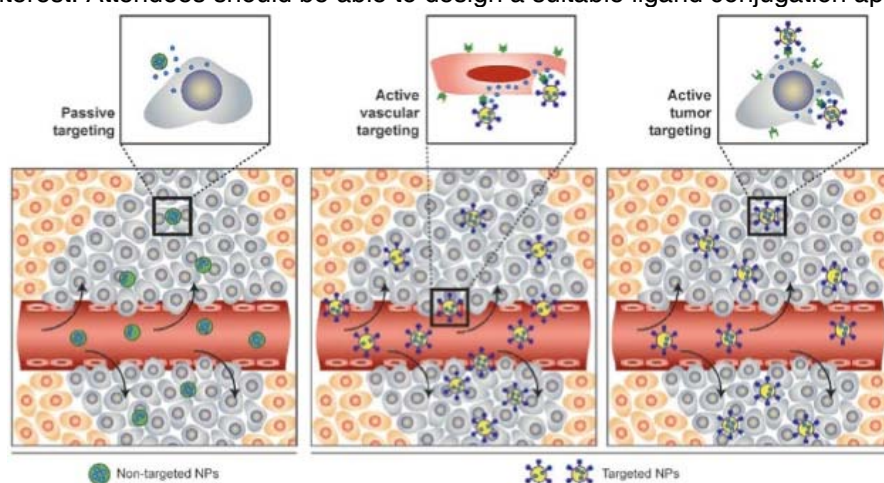


Figure: Nanoparticle tumor targeting strategies. Adapted, with permission, from OC Farokhzad, R Langer ACS Nano, **2009**, 3, 1, 16-20.

**Purpose:** targeted agents are developed to facilitate molecular imaging, the *in vivo* characterization and measurement of biologic processes at the cellular and molecular level via imaging. Targeting is, for the most part, not used to 'see better', as most pathologies can be distinguished from regular tissue using non-targeted contrast media or simply the appropriate sequence parameters. The greater value of targeted imaging is to specifically characterize the biological state of tissue, for example by evaluating the angiogenic state of tumor vasculature by targeting the alpha-v-beta-3 integrin.

**Methods:** small molecule targeted contrast agents have been synthesized by attachment of gadolinium (Gd) chelates to peptides. Nanoparticles used include iron oxides and a range of Gd labeled structures such as liposomes, micelles, emulsions, lipoproteins, gold nanoparticles, quantum dots, silica and so forth. The targeting ligands used include antibodies, proteins, peptides, aptamers, small molecules and sugars. A range of conjugation strategies exists. Selection of a conjugation strategy that delivers high yield and does not disrupt targeting efficacy is important.

**Results:** small molecule targeted agents have been used to image highly expressed targets such as collagen. Nanoparticles have been used to image a wide range of targets in a variety of diseases. Targets include integrins, adhesion molecules, receptors and specific cell types (e.g. macrophages). Diseases include atherosclerosis, myocardial infarction, stroke and many forms of cancer, amongst others.

**Conclusion:** a targeted MRI contrast agent may be devised to image many targets of interest. Knowledge of the target, ligands available, tissue/setting of interest will guide the selection of an appropriate platform/conjugation strategy.