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REVIEWS

The Synthesis and Chelation Chemistry of DOTA-Peptide Conjugates

391 Luis M. De León-Rodríguez and Zoltan Kovacs*

COMMUNICATIONS

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A family of linear-dendritic "hybrid" polymers containing multiple modular functionalities are designed and synthesized using a three-step, aqueous approach. These polymers are functionalized with a peptide targeting ligand that specifically binds to glucoseregulated protein-78 kDa (GRP-78), a clinically relevant tumor antigen identified in human cancer patients. The resultant systems can condense plasmid DNA into small nanoparticle structures and transfect cells expressing GRP-78 with efficiencies that exceed branched polyethylenimine (bPEI). As such, they may be useful in clinical cancer gene therapy applications.



Kris C. Wood, Samira M. Azarin, Wadih Arap, Renata Pasqualini, Robert Langer,* and Paula T. Hammond*

Bioconjugate Chem. 2008, 19, 403 =

Tumor-Targeted Gene Delivery Using Molecularly Engineered Hybrid Polymers Functionalized with a Tumor-Homing Peptide Activation of the A_{2A} receptor, a G protein-coupled receptor (GPCR), for extracellular adenosine, is anti-aggregatory in platelets and anti-inflammatory. PAMAM dendrimers were covalently coupled to multiple copies of an A_{2A} agonist, the nucleoside CGS21680, and characterized spectroscopically. A fluorescent PAMAM-CGS21680 conjugate **5** inhibited aggregation of washed human platelets and was internalized. We envision that our multivalent dendrimer conjugates may improve overall pharmacological profiles compared to the monovalent GPCR ligands.

Activation of Platelet Aggregation by ADP BY Activation of Particles Activation of Activation of Activation of Activation Activativation Activation A

The detection of the human α -thrombin protein by MRI is reported by designing a thrombin targeted MRI contrast agent. The contrast agent is composed of thrombin aptamer functionalized superparamagnetic iron oxide nanoparticles. The detection of thrombin is based on the increase in the size of nanoparticle assembly, which leads to a change in brightness of the image. A detectable change in MR signal is observed with 25 nM thrombin in human serum.

Mehmet Veysel Yigit, Debapriya Mazumdar, and Yi Lu*

Bioconjugate Chem. 2008, 19, 412

MRI Detection of Thrombin with Aptamer Functionalized Superparamagnetic Iron Oxide Nanoparticles



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Yoonkyung Kim, Béatrice Hechler, Athena M. Klutz, Christian Gachet, and Kenneth A. Jacobson*

Bioconjugate Chem. 2008, 19, 406

Toward Multivalent Signaling across G Protein-Coupled Receptors from Poly(amidoamine) Dendrimers

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