

# Bioconjugate Chemistry

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DOTA-Peptide Conjugates (see p. 391)



# Bioconjugate Chemistry

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The Synthesis and Chelation Chemistry of DOTA–Peptide Conjugates

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

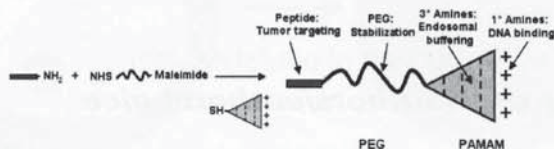
## COMMUNICATIONS

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 glucose-regulated 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**



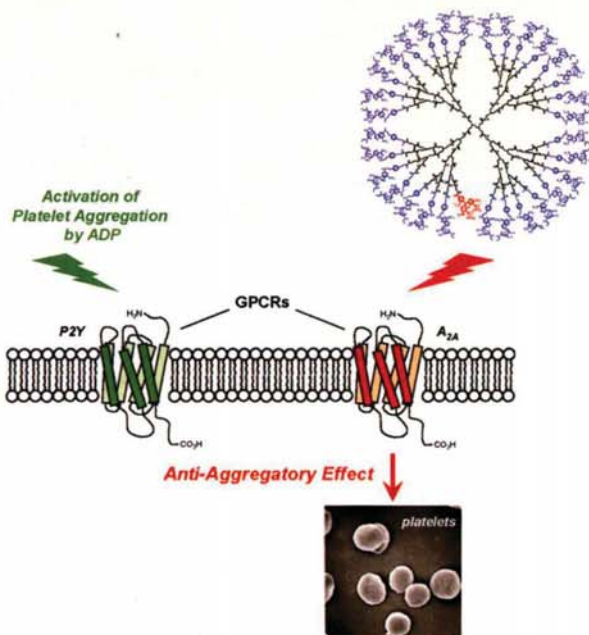


Activation of the  $A_{2A}$  receptor, a G protein-coupled receptor (GPCR), for extracellular adenosine, is anti-aggregatory in platelets and anti-inflammatory. PAMAM dendrimers were covalently coupled to multiple copies of an  $A_{2A}$  agonist, the nucleoside CGS21680, and characterized spectroscopically. 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.

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**

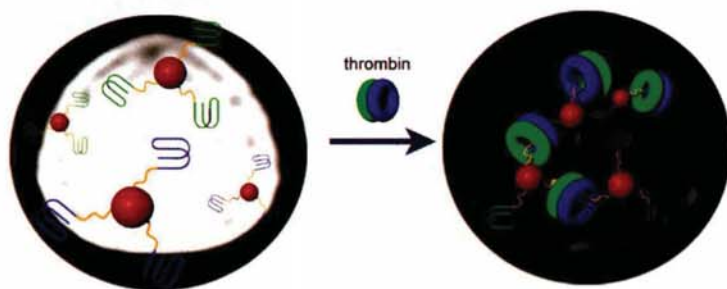


The detection of the human  $\alpha$ -thrombin protein by MRI is reported by designing a thrombin targeted MRI contrast agent. The contrast agent is composed of thrombin aptamer functionalized superparamagnetic iron oxide nanoparticles. The detection of thrombin is based on the increase in the size of 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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